STN SEARCH TRANSCRIPT 10/685,658

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623ZCT

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

```
          Welcome to STN International
 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  FEB 28  PATDPAFULL - New display fields provide for legal status
                  data from INPADOC
 NEWS  4  FEB 28  BABS - Current-awareness alerts (SDIs) available
 NEWS  5  MAR 02  GBFULL: New full-text patent database on STN
 NEWS  6  MAR 03  REGISTRY/ZREGISTRY - Sequence annotations enhanced
 NEWS  7  MAR 03  MEDLINE file segment of TOXCENTER reloaded
 NEWS  8  MAR 22  KOREAPAT now updated monthly; patent information enhanced
 NEWS  9  MAR 22  Original IDE display format returns to REGISTRY/ZREGISTRY
 NEWS 10  MAR 22  PATDPASPC - New patent database available
 NEWS 11  MAR 22  REGISTRY/ZREGISTRY enhanced with experimental property tags
 NEWS 12  APR 04  EPFULL enhanced with additional patent information and new
                  fields
 NEWS 13  APR 04  EMBASE - Database reloaded and enhanced
 NEWS 14  APR 18  New CAS Information Use Policies available online
 NEWS 15  APR 25  Patent searching, including current-awareness alerts (SDIs),
                  based on application date in CA/CAplus and USPATFULL/USPAT2
                  may be affected by a change in filing date for U.S.
                  applications.
 NEWS 16  APR 28  Improved searching of U.S. Patent Classifications for
                  U.S. patent records in CA/CAplus
 NEWS 17  MAY 23  GBFULL enhanced with patent drawing images
 NEWS 18  MAY 23  REGISTRY has been enhanced with source information from
                  CHEMCATS
 NEWS 19  JUN 06  The Analysis Edition of STN Express with Discover!
                  (Version 8.0 for Windows) now available
 NEWS 20  JUN 13  RUSSIAPAT: New full-text patent database on STN
 NEWS 21  JUN 13  FRFULL enhanced with patent drawing images
 NEWS 22  JUN 27  MARPAT displays enhanced with expanded G-group definitions
                  and text labels
 NEWS 23  JUL 01  MEDICONF removed from STN
 NEWS 24  JUL 07  STN Patent Forums to be held in July 2005
 NEWS 25  JUL 13  SCISEARCH reloaded
 NEWS 26  JUL 20  Powerful new interactive analysis and visualization software,
                  STN AnaVist, now available

 NEWS EXPRESS  JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

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          STN Columbus

FILE 'HOME' ENTERED AT 12:21:22 ON 22 JUL 2005

=> LOG HOLD
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                               0.21       0.21

 SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 12:21:30 ON 22 JUL 2005

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623ZCT

PASSWORD:
      RECONNECTED TO STN INTERNATIONAL
SESSION RESUMED IN FILE 'HOME' AT 12:22:03 ON 22 JUL 2005
FILE 'HOME' ENTERED AT 12:22:03 ON 22 JUL 2005

COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                               0.21       0.21

=> FILE REG
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                               0.21       0.21

FILE 'REGISTRY' ENTERED AT 12:22:14 ON 22 JUL 2005
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COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   21 JUL 2005  HIGHEST RN 856430-35-8
DICTIONARY FILE UPDATES:  21 JUL 2005  HIGHEST RN 856430-35-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*****************************************************************
*                                                               *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                               *
*****************************************************************

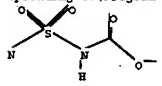
Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

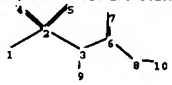
Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=>
Uploading C:\Program Files\Stnexp\Queries\BURGESS REAGENT SULFAMIDES.str
```





```
chain nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
10
chain bonds :
1-2 2-3 2-4 2-5 3-6 3-9 6-7 6-8 8-10
exact/norm bonds :
1-2 2-3 2-4 2-5 3-6 6-7 6-8 8-10
exact bonds :
3-9

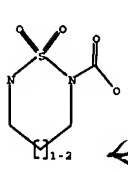
Match level :
1:Atom 2:Atom 3:Atom 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS

L1      STRUCTURE UPLOADED

=> que L1

L2   QUE L1

=> D L3
L3 HAS NO ANSWERS
L1              STR
```



SEARCH WHERE = ϕ PERFORMED LAST.

```
Structure attributes must be viewed using STN Express query preparation.
L2              QUE  ABB=ON  PLU=ON  L1

=> S L3
SAMPLE SEARCH INITIATED 12:22:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      8 TO ITERATE

100.0% PROCESSED         8 ITERATIONS                              5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:             8 TO      329
PROJECTED ANSWERS:                5 TO      234

L3            5 SEA SSS SAM L1

=> S L3 SSS FULL
FULL SEARCH INITIATED 12:22:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    197 TO ITERATE

100.0% PROCESSED       197 ITERATIONS                            160 ANSWERS
SEARCH TIME: 00.00.01

L4          160 SEA SSS FUL L1

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=>
Uploading C:\Program Files\Stnexp\Queries\BURGESS REAGENT SULFAMIDES.str
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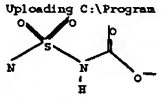
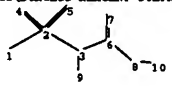




```
chain nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
10
chain bonds :
1-2 2-3 2-4 2-5 3-6 3-9 6-7 6-8 8-10
exact/norm bonds :
1-2 2-3 2-4 2-5 3-6 6-7 6-8 8-10
exact bonds :
```

```
Match level :
1:Atom  2:Atom  3:Atom  4:CLASS  5:CLASS  6:CLASS  7:CLASS  8:CLASS  9:CLASS
10:CLASS

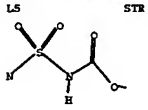


L5      STRUCTURE UPLOADED

=> que L5

L6    QUE L5

=> D L6
L6 HAS NO ANSWERS
L5                STR
```



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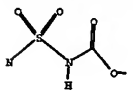
Structure attributes must be viewed using STN Express query preparation.
L6                QUE  ABB=ON  PLU=ON  L5


=> D L6
L6 HAS NO ANSWERS
L5                STR
```



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Structure attributes must be viewed using STN Express query preparation.
L6                QUE  ABB=ON  PLU=ON  L5


=> S L6
SAMPLE SEARCH INITIATED 12:25:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      98 TO ITERATE

100.0% PROCESSED       98 ITERATIONS                                50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          1367 TO     2553
PROJECTED ANSWERS:              608 TO     1472

L7            50 SEA SSS SAM L5

=> S L5 SSS FULL

FULL SEARCH INITIATED 12:26:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    1780 TO ITERATE

100.0% PROCESSED     1780 ITERATIONS                          766 ANSWERS
SEARCH TIME: 00.00.01

L8          766 SEA SSS FUL L5

=> FILE CAPLUS
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                              ENTRY      SESSION
FULL ESTIMATED COST                          324.81      325.02

FILE 'CAPLUS' ENTERED AT 12:26:19 ON 22 JUL 2005
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FILE COVERS 1907 - 22 Jul 2005  VOL 143 ISS 5
FILE LAST UPDATED: 21 Jul 2005  (20050721/ED)

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  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> S L8 OR L4
           304 L8
            23 L4
L9          316 L8 OR L4

=> D 1-316 IBIB ABS HITSTR

L9   ANSWER 1 OF 316  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2005:451397  CAPLUS
DOCUMENT NUMBER:          143:7066
TITLE:                    Preparation of novel 17 β-hydroxysteroid
                          dehydrogenase type I inhibitors
INVENTOR(S):              Messinger, Josef; Thole, Heinrich-Hubert; Husen,
                          Bettina; Van Steen, Bartholomeus Johannes; Schneider,
                          Gyula; Hulshof, Johannes Bernardus Everardus;
                          Koskimies, Pasi; Johansson, Nina; Adamski, Jerzy
PATENT ASSIGNEE(S):       Solvay Pharmaceuticals G.m.b.H., Germany
SOURCE:                   PCT Int. Appl., 190 pp.
                          CODEN: PIXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND  DATE        APPLICATION NO.        DATE
     ----------------    ----  --------    --------------------   --------
     WO 2005047303       A2    20050526    WO 2004-EP52935        20041111

          W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
              TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
          RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
              AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
              EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
              SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
              NE, SN, TD, TG
PRIORITY APPLN. INFO.:                    EP 2003-104169      A  20031112
                                          EP 2004-105313      A  20041026
GI
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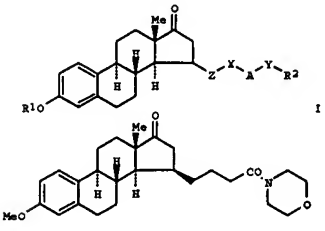
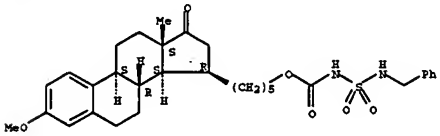


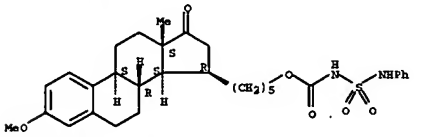
```
AB    This invention relates to the preparation of novel 3,15-substituted-estrone
      derivs., such as I [A = -CO-, -SO2-, -NR3-; X = bond, -O-, -NR3-; Y =
      bond, -O-, -NR4-, -NHSO2-. -NHSO2NR4-, etc; Z = -(CH2)n-, n = 0-6; R1, R3
      = H, Ph, substituted-Ph, alkyl, substituted-alkyl, etc.; R2 = alkyl, acyl,
      hydrazinyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, etc.], for use in
      pharmaceutical compns. which inhibit the activity of 17 β-
      hydroxysteroid dehydrogenase type I. These estrone derivs. are claimed
      for use in the treatment or prevention of steroid hormone dependent
      diseases or disorders requiring the inhibition of 17 β-hydroxysteroid
      dehydrogenase type I enzymes and/or requiring the lowering of the
      endogenous 17β-estradiol concentration, such as breast cancer, ovarian
      cancer, uterine cancer, endometrial cancer, endometrial hyperplasia,
      prostate carcinoma prostadynia, benign prostatic hyperplasia, urinary
      dysfunction and lower urinary tract syndrome, rheumatoid arthritis, colon
      cancer, tissue wounds, skin wrinkles and cataracts. In addition, these
      estrone derivs. have antagonistic binding affinities to the estrogen
      receptor and are claimed for use in the treatment and prevention of benign
      gynecol. disorders, in particular endometriosis, uterine fibroids, uterine
      leiomyoma, adenomyosis, dysmenorrhea, menorrhagia, metrorrhagia, or
      urinary dysfunction. Thus, 3-methoxy-15 β-[4-morpholin-4-yl-4-
      oxobutyl]estra-1,3,5(10)-trien-17-one (II) was prepared via an amidation
      reaction in 33% yield of the in situ formed acid chloride of the
      corresponding estratrien-15 β-ylbutyric acid and morpholine. The
      prepared estrones were assayed for inhibition of recombinant human
      17β-hydroxysteroid dehydrogenase type I.
IT    852518-88-8P 852518-89-9P 852518-91-3P
      852518-92-4P 852518-93-5P 852518-94-6P
      852518-96-8P 852518-99-1P 852519-01-8P
      852519-02-9P 852519-03-0P 852519-04-1P
      852519-05-2P 852519-06-3P 852519-08-5P
      852519-10-9P 852519-11-0P 852519-12-1P
      852519-13-2P 852519-14-3P 852519-15-4P
      852519-17-6P 852519-19-8P 852519-20-1P
      852519-21-2P 852519-22-3P 852519-23-4P
      RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
         (preparation of novel 17 β-hydroxysteroid dehydrogenase type I
         inhibitors)
RN    852518-88-8  CAPLUS
CN    Estra-1,3,5(10)-trien-17-one, 15-(9,9-dioxido-7-oxo-11-phenyl-6-oxa-9-thia-
      8,10-diazaundec-1-yl)-3-methoxy-, (15 β)- (9CI)  (CA INDEX NAME)

Absolute stereochemistry.
```



```
RN    852518-89-9  CAPLUS
CN    Estra-1,3,5(10)-trien-17-one, 3-methoxy-15-[5-
      [[[[(phenylamino)sulfonyl]amino]carbonyl]oxy]pentyl]-, (15 β)- (9CI)
      (CA INDEX NAME)

Absolute stereochemistry.
```



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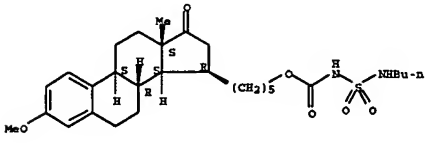
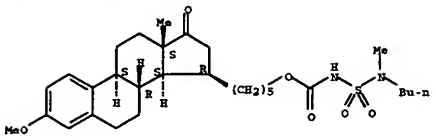
RN    852518-91-3  CAPLUS
CN    Estra-1,3,5(10)-trien-17-one, 15-(9,9-dioxido-7-oxo-6-oxa-9-thia-8,10-
      diazatetradec-1-yl)-3-methoxy-, (15 β)- (9CI)  (CA INDEX NAME)

Absolute stereochemistry.
```



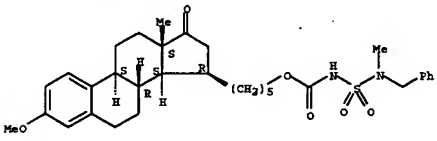
RN 852518-92-4 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-methoxy-15-(10-methyl-9,9-dioxido-7-oxo-6-oxa-9-thia-8,10-diazatetradec-1-yl)-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



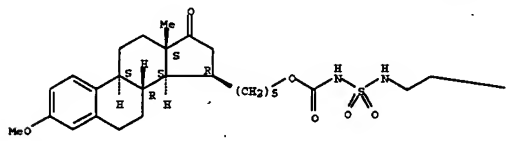
RN 852518-93-5 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-methoxy-15-(10-methyl-9,9-dioxido-7-oxo-11-phenyl-6-oxa-9-thia-8,10-diazaundec-1-yl)-, (15 β)- (9CI) (CA INDEX NAME)

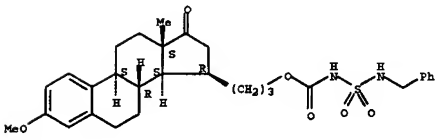
Absolute stereochemistry.



RN 852518-94-6 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-[12-(1H-indol-3-yl)-9,9-dioxido-7-oxo-6-oxa-9-thia-8,10-diazadodec-1-yl]-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

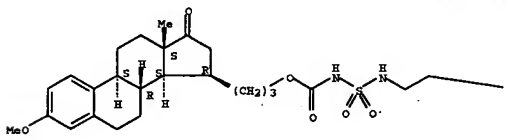
Absolute stereochemistry.

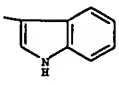




RN 852519-02-9 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-[10-(1H-indol-3-yl)-7,7-dioxido-5-oxo-4-oxa-9-thia-6,8-diazadec-1-yl]-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

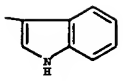
Absolute stereochemistry.





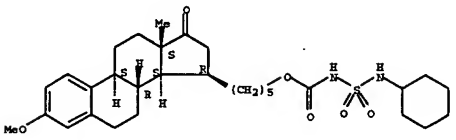
RN 852519-03-0 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-(7,7-dioxido-5-oxo-4-oxa-9-thia-6,8-diazadodec-1-yl)-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



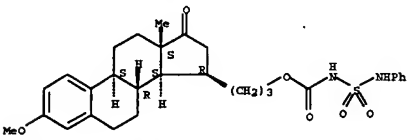
RN 852518-96-8 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-[5-[[[[(cyclohexylamino)sulfonyl]amino]carbonyl]oxy]pentyl]-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



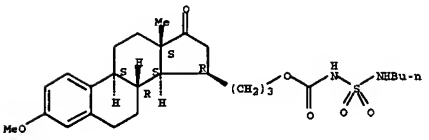
RN 852518-99-1 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-methoxy-15-[3-[[[[(phenylamino)sulfonyl]amino]carbonyl]oxy]propyl]-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



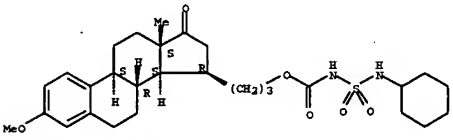
RN 852519-01-8 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-(7,7-dioxido-5-oxo-9-phenyl-4-oxa-7-thia-6,8-diazanon-1-yl)-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



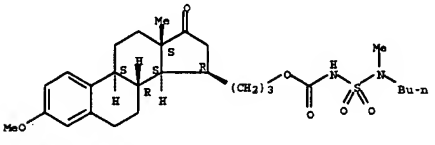
RN 852519-04-1 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-[3-[[[[(cyclohexylamino)sulfonyl]amino]carbonyl]oxy]propyl]-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



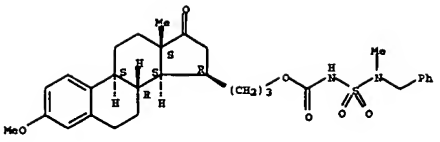
RN 852519-05-2 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-methoxy-15-(8-methyl-7,7-dioxido-5-oxo-4-oxa-9-thia-6,8-diazadodec-1-yl)-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



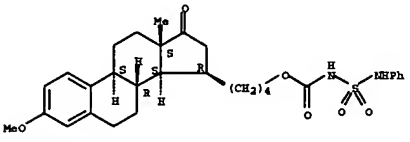
RN 852519-06-3 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-methoxy-15-(8-methyl-7,7-dioxido-5-oxo-9-phenyl-4-oxa-9-thia-6,8-diazanon-1-yl)-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



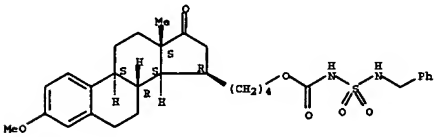
RN 852519-08-5 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-methoxy-15-[4-[[[[(phenylamino)sulfonyl]amino]carbonyl]oxy]butyl]-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



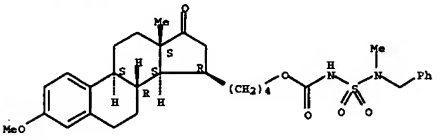
RN 852519-10-9 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-(8,8-dioxido-6-oxo-10-phenyl-5-oxa-8-thia-7,9-diazadec-1-yl)-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 852519-11-0 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-[11-(1H-indol-3-yl)-8,8-dioxido-6-oxo-5-oxa-8-thia-7,9-diazaundec-1-yl]-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

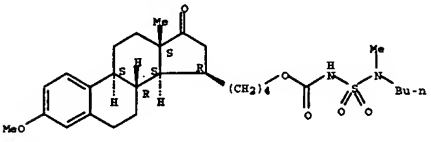


RN 852519-14-3 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-methoxy-15-(9-methyl-8,8-dioxido-6-oxo-10-phenyl-5-oxa-8-thia-7,9-diazadec-1-yl)-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

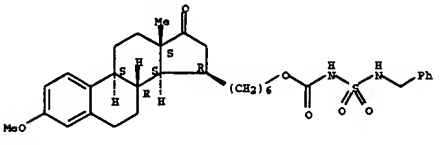
RN 852519-15-4 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-methoxy-15-(9-methyl-8,8-dioxido-6-oxo-10-phenyl-5-oxa-8-thia-7,9-diazatridec-1-yl)-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



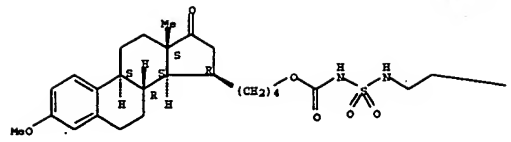
RN 852519-17-6 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-(10,10-dioxido-8-oxo-12-phenyl-7-oxa-10-thia-9,11-diazadodec-1-yl)-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

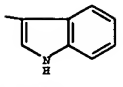
Absolute stereochemistry.



RN 852519-19-8 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-[6-[[[[(cyclohexylamino)sulfonyl]amino]carbonyl]oxy]hexyl]-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

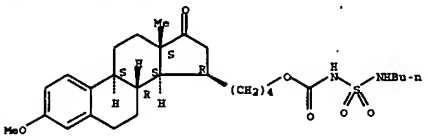
Absolute stereochemistry.





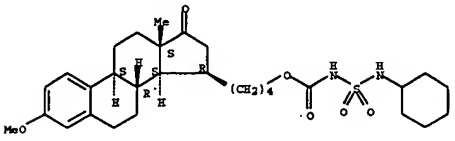
RN 852519-12-1 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-(8,8-dioxido-6-oxo-5-oxa-8-thia-7,9-diazatridec-1-yl)-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



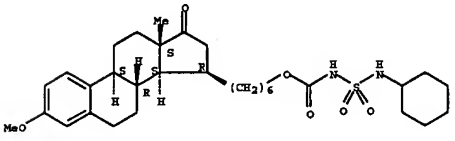
RN 852519-13-2 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-[4-[[[[(cyclohexylamino)sulfonyl]amino]carbonyl]oxy]butyl]-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



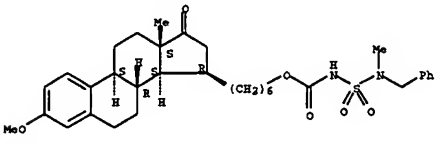
RN 852519-20-1 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-methoxy-15-(11-methyl-10,10-dioxido-6-oxo-12-phenyl-7-oxa-10-thia-9,11-diazadodec-1-yl)-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



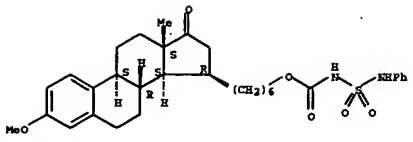
RN 852519-21-2 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-(10,10-dioxido-8-oxo-7-oxa-10-thia-9,11-diazapentadec-1-yl)-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 852519-22-3 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 3-methoxy-15-[6-[[[[(phenylamino)sulfonyl]amino]carbonyl]oxy]hexyl]-, (15 β)- (9CI) (CA INDEX NAME)

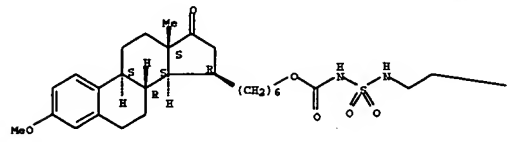
Absolute stereochemistry.



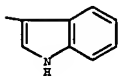
RN 852519-23-4 CAPLUS
CN Estra-1,3,5(10)-trien-17-one, 15-[13-(1H-indol-3-yl)-10,10-dioxido-8-oxo-7-oxa-10-thia-9,11-diazatridec-1-yl]-3-methoxy-, (15 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



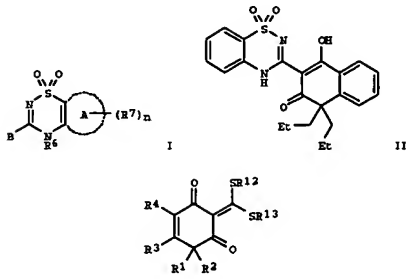
PAGE 1-B



L9 ANSWER 2 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:431400 CAPLUS
DOCUMENT NUMBER: 142:463769
TITLE: Preparation of fused thiadiazinediones, particularly dioxothiadiazinylnaphthalenones, as antiviral agents for the treatment of infections involving RNA-containing viral species such as hepatitis B and C and HIV
INVENTOR(S): Hutchinson, Douglas K.; Bellettini, John R.; Betebenner, David A.; Bishop, Richard D.; Borchardt, Thomas B.; Bosse, Todd D.; Cink, Russell D.; Flentge, Charles A.; Gates, Bradley D.; Green, Brian E.; Hinman, Mira M.; Huang, Peggy P.; Klein, Larry L.; Krueger, Allan C.; Larson, Daniel P.; Leanna, M. Robert; Liu, Dachun; Madigan, Darold L.; McDaniel, Keith F.; Randolph, John T.; Rockway, Todd W.; Rosenberg, Teresa A.; Stewart, Kent D.; Stoll, Vincent S.; Wagner, Rolf; Yeung, Ming C.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 182 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005107364	A1	20050519	US 2004-925072	20040824
PRIORITY APPLN. INFO.:			US 2003-497607P P	20030825

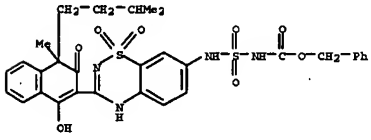
GI



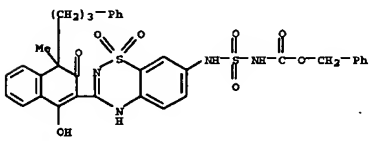
AB Thiadiazinediones I [A = mono- or bicyclic aryl, cycloalkyl, heteroaryl, heterocyclyl; B = (un)substituted 5-oxo-1-cyclopenten-1-yl, 6-oxo-1-cyclohexen-1-yl, 7-oxo-1-cycloheptn-1-yl, 6-oxo-1,3-cyclohexadien-1-yl; n = 0-4; R6 = H, (un)substituted alkyl, alkenyl, alkynyl; R7 = NC, OHC, O2N, oxo, halo, (un)substituted alkyl, alkenyl, alkynyl, acyloxy, alkoxycarbonyloxy, etc.], particularly fused dioxothiadiazinyl-substituted naphthalenones such as II and their enolate anion salts, are prepared as antiviral agents for the treatment of infections involving RNA-containing viral species such as the hepatitis B and C viruses and HIV. Alkylation of Me phenylacetate with allyl bromide and sodium hydride, hydrogenation of the alkenes, ester cleavage with potassium trimethylsilanolate to yield 2-phenyl-2-propylpentanoic acid, conversion of the acid to the acid chloride and acylation of di-Et malonate, acid-catalyzed cyclocondensation, direct amidation of the ester with 2-aminobenzenesulfonamide, and cyclocondensation yields II; treatment of II with aqueous sodium hydroxide in acetonitrile:water yields the enolate anion sodium salt of II. [Bis(alkylthio)methylene]cyclohexanediones III [R1 = H, (un)substituted alkyl, alkenyl, alkynyl, alkoxycarbonyl, aminocarbonyl; R2 = H, (un)substituted alkyl, alkenyl, alkynyl; R1R2C = (un)substituted cycloalkyl, cycloalkenyl; R3, R4 = H, NC, OHC, halo, O2N, (un)substituted alkoxy, acyloxy, aminocarbonyloxy, sulfonyloxy, aminosulfonyloxy, etc.; R3 and R4 may form (with the carbons to which they are attached) an aryl, heteroaryl, cycloalkyl, cycloalkenyl, or heterocyclyl ring; R12, R13 = alkyl, alkenyl, alkynyl] are claimed. Processes for the preparation of I are also claimed. I inhibit hepatitis C viral RNA polymerase with IC50 values of 2 nM to 500 μM and inhibit hepatitis C replication with EC50 values of between 5 nM and >100 μM. (no data on individual compds.).

IT 847441-49-0P 847441-98-9P 847442-52-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of fused thiadiazinediones, particularly dioxothiadiazinylnaphthalenones, as antiviral agents for the treatment of infections involving RNA-containing viral species such as hepatitis B and C and HIV)

RN 847441-49-0 CAPLUS
CN Carbamic acid, [[[3-[3,4-dihydro-1-hydroxy-4-methyl-4-(3-methylbutyl)-3-oxo-2-naphthalenyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

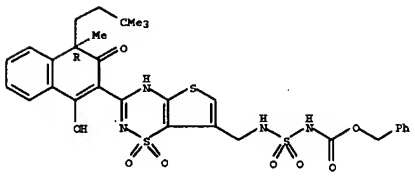


RN 847441-98-9 CAPLUS
CN Carbamic acid, [[[3-[3,4-dihydro-1-hydroxy-4-methyl-3-oxo-4-(3-phenylpropyl)-2-naphthalenyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



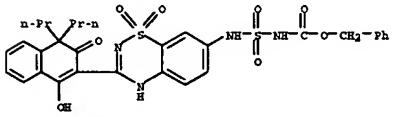
RN 847442-52-8 CAPLUS
CN Carbamic acid, [[[[3-[(4R)-4-(3,3-dimethylbutyl)-3,4-dihydro-1-hydroxy-4-methyl-3-oxo-2-naphthalenyl]-1,1-dioxido-2H-thieno[2,3-e]-1,2,4-thiadiazin-7-yl]methyl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



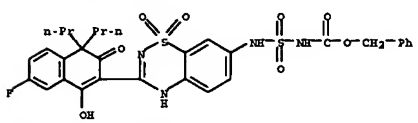
IT 847441-47-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused thiadiazinediones, particularly dioxothiadiazinylnaphthalenones, as antiviral agents for the treatment of infections involving RNA-containing viral species such as hepatitis B and C and HIV)

RN 847441-47-8 CAPLUS
CN Carbamic acid, [[[3-(3,4-dihydro-1-hydroxy-3-oxo-4,4-dipropyl-2-naphthalenyl)-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



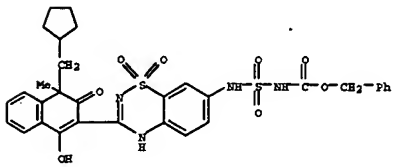
IT 847443-74-7P 847445-06-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused thiadiazinediones, particularly dioxothiadiazinylnaphthalenones, as antiviral agents for the treatment of infections involving RNA-containing viral species such as hepatitis B and C and HIV)

RN 847443-74-7 CAPLUS
CN Carbamic acid, [[[3-(7-fluoro-3,4-dihydro-1-hydroxy-3-oxo-4,4-dipropyl-2-naphthalenyl)-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

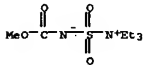


RN 847445-06-1 CAPLUS

CN Carbamic acid, [[[3-[4-(cyclopentylmethyl)-3,4-dihydro-1-hydroxy-4-methyl-3-oxo-2-naphthalenyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 3 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:395873 CAPLUS
TITLE: Total Synthesis of Natural (-)- and ent-(+)-4-Desacetoxy-6,7-dihydrovindorosine and Natural and ent-Minovine: Oxadiazole Tandem Intramolecular Diels-Alder/1,3-Dipolar Cycloaddition Reaction. [Erratum to document cited in CA142:336499]
AUTHOR(S): Yuan, Zhong Qing; Ishikawa, Hayato; Boger, Dale L.
CORPORATE SOURCE: Department of Chemistry and The Skaggs and The Institute of Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Organic Letters (2005), 7(10), 2079
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal; Errata
LANGUAGE: English
AB An erratum.
IT INDEXING IN PROGRESS
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(total synthesis of natural and ent-4-Desacetoxy-6,7-dihydrovindorosine and natural and ent-minovine via oxadiazole tandem intramol. Diels-Alder/1,3-dipolar cycloaddn. reaction (Erratum))
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

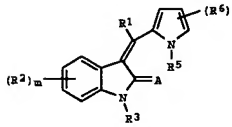


L9 ANSWER 4 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:371024 CAPLUS
DOCUMENT NUMBER: 142:430132
TITLE: Preparation of indolinone derivatives and their use in treating disease-states such as cancer
INVENTOR(S): Arnaiz, Damian; Bryant, Judi; Chou, Yuo-Ling; Feldman, Richard; Hrvatin, Paul; Islam, Imadul; Kochanny, Monica; Lee, Wheeseong; Polokoff, Mark; Yu, Hongyi; Yuan, Shendong
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: U.S. Pat. Appl. Publ., 63 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005090541	A1	20050428	US 2004-972023	20041022
WO 2005040116	A2	20050506	WO 2004-US35262	20041022
WO 2005040116	A3	20050616		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

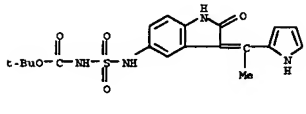
PRIORITY APPLN. INFO.: US 2003-514081P P 20031024
GI



I

AB 3-(2-Pyrrolylmethylene)indolinone derivs. (I) [R1 = H, alkyl, C(O)OR7, C(O)N(R7)2, each (un)substituted aryl, aralkyl, or heterocyclyl; R2 = alkyl, alkenyl, alkynyl, halo, haloalkyl, haloalkenyl, cyano, -R8-OR7, -R8-N(R7)2, -R8-C(O)R7, -R8-C(O)N(R7)2, -R8-S(O)tN(R7)2, -R8-N(R7)S(O)tR7, -R8-N(R7)S(O)2N(R7)2, -R8-N(R7)S(O)tN(R7)C(O)OR7, -R8-N(R7)C(O)R7, -R8-N(R7)-R8-C(O)OR7, -R8-N(R7)C(O)N(R7)2, -R8-N(R7)C(O)-R8-N(R7)2, -R8-N(R7)C(O)-R8-N(R7)-R8-C(O)OR7, -R8-N(R7)C(O)-R8-N(R7)-R8-C(O)-R8-N(R7)2, each (un)substituted heterocyclyl or cyclic ureido group, etc.; (where t = 1 or 2) R3 is hydrogen, alkyl or aralkyl; R5 = H, alkyl, aryl, aralkyl, -C(O)R11, -S(O)2R11; R6 = alkyl, alkenyl, alkynyl, halo, haloalkyl, cyano, nitro, each (un)substituted aryl, aralkyl, or heterocyclyl, -R9-OR7, -R8-C(O)OR7, -R8-C(O)N(R7)2, -R8-C(O)R7, -R8-N(R7)2, -R8-N(R7)C(O)R7, -R8-C(O)-R9-N(R7)2, -R8-N(R7)-R8-C(O)OR7, -R8-N(R7)C(O)N(R7)2, etc.; R7 = H, haloalkyl, each (un)substituted alkyl, aryl, aralkyl, heterocyclyl, or heterocyclylalkyl; R8 = a bond or a straight or branched alkylene chain; R11 = haloalkyl, each (un)substituted alkyl, aryl, aralkyl, heterocyclyl, or heterocyclylalkyl] as a single stereoisomer, a mixture of stereoisomers, a solvate or a polymorph or pharmaceutically acceptable salts thereof are prepared These compds. are useful in treating mammal having disease-states alleviated by the inhibition of phosphoinositide-dependent kinase-1 (PDK-1) activity. Thus, a solution of 5-methoxyindolin-2-one (0.41 g) and 2-pyrrolecarboxaldehyde (0.25 g) in ethanol (5 mL) was treated with piperidine (0.05 g). The reaction mixture was then heated to 85° for 3 h, cooled to ambient temperature, and chromatographed on silica gel (12 g) using 3:1 hexane/ethyl acetate to give 5-methoxy-3-[(pyrrol-2-yl)methylene]indolin-2-one (0.48 g).

IT 850716-57-3P, 5-[[[(1,1-Dimethylethoxycarbonyl)amino]sulfonyl]amino]-3-[1-(pyrrol-2-yl)ethylidene]indolin-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolinone derivs. as phosphoinositide-dependent kinase-1 inhibitors for treating cancer)
RN 850716-57-3 CAPLUS
CN Carbamic acid, [[[2,3-dihydro-2-oxo-3-[1-(1H-pyrrol-2-yl)ethylidene]-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 5 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:347030 CAPLUS
DOCUMENT NUMBER: 142:411350
TITLE: Preparation of 1-oxo and 1,1-dioxoisothiazolone and related modulators of proteins such as phosphatases that bind phosphorylated peptides and proteins
INVENTOR(S): Combs, Andrew P.; Yue, Eddy Wai Tsun; Bower, Michael Jason; Zhu, Wenyu; Crawley, Matthew Lantz; Sparks, Richard Bruce; Pruitt, James Russell; Takvorian, Amy
PATENT ASSIGNEE(S): Incyte Corporation, USA
SOURCE: PCT Int. Appl., 529 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035551	A2	20050421	WO 2004-US33212	20041007

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-510002P P 20031008
US 2003-529372P P 20031211
US 2004-600506P P 20040811
OTHER SOURCE(S): MARPAT 142:411350
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

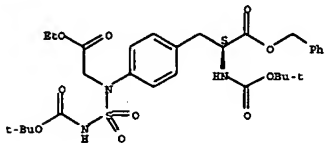
AB The present invention provides 1-oxo and 1,1-dioxoisothiazolones (shown as I-IV; also isothiazolidinone analogs of I-IV with R16 and R17 in place of R15 and Rz as a substituent at the 5 position of the isothiazolidinone ring; variables defined below; e.g. V) and related compds. that can modulate (no data) the activity of a target protein, such as a phosphatase, that selectively binds phosphorylated peptides or proteins. The present compds. can be useful (no data) in treating diseases or disorders, including, for example, diabetes and obesity, that are connected directly or indirectly to the activity of the target protein. Methods of preparation are claimed and hundreds of example prepns. are included. For example, V was prepared in 12 steps (50, 62, 100, 59, not determined, 100, 100, 99, not determined, not determined, 43, and 25 % yield) starting from N-tert-butyl-3-[2-(tert-butylcarbamoyl)ethyldisulfanyl]propionamide. For I-IV: a dashed line indicates an optional bond; Sc1 is a 1st mol. scaffold or is absent; Sc2 is a 2nd mol. scaffold or is absent, wherein at least one of Sc1 and Sc2 is present; or Sc1 and Sc2 together with X1 and X2 or X4 and X5 form a 5-, 6-, or 7-membered fused carbocyclic ring or a 5-, 6-, or 7-membered fused heterocarbocyclic ring; X1 is C or N when Sc1 is present; X1 is CR1, N, NR2, CO, CS, SO, or SO2 when Sc1 is absent; X2 is C or N when Sc2 is present; X2 is CR1, N, NR2, CO, CS, SO, or SO2 when Sc2 is absent; X3 is C or N; each D1, D2, and D3 = CR1, N, NR2, CO, CS, SO, or SO2, wherein the ring formed by X1, X2, X3, D1, D2, and D3 is an aromatic ring; X4 is C or N when Sc1 is present; X4 is O, S, CR3, N, NR4, CO, CS, SO, or SO2 when Sc1 is absent; X5 is C or N when Sc2 is present; X5 is O, S, CR3, N, NR4, CO, CS, SO, or SO2 when Sc2 is absent; X6 is C or N. Each E1 and E2 = O, S, CR3, N, NR4, CO, CS, SO, or SO2, wherein the ring formed by X4, X5, X6, E1, and E2 is an aromatic ring; Rz is H, halo, C1-C4 alkyl, C3-C6 cycloalkyl, haloalkyl, OR28, SR28, NO2, CN, SOR29, SO2R29, COR30, COOR31, NR32R33, a 5- or 6-membered heterocarbocyclyl group, or tetrazolyl. R15 is H, halo, C1-C4 alkyl, C3-C6 cycloalkyl, haloalkyl, OH, C1-C4 alkoxy, C1-C4 haloalkoxy, SH, C1-C4-thioalkoxy, CN, NO2, SO(C1-C4 alkyl), SO(C1-C4 haloalkyl), SO(C3-C6 cycloalkyl), SONH2, SO3H, SO2(C1-C4 alkyl), SO2(C1-C4 haloalkyl), SO2(C3-C6 cycloalkyl), SO2NH2, CHO, COOH, CO(C1-C4 alkyl), CO(C3-C6 cycloalkyl), CO(C1-C4 haloalkyl), CO(heterocarbocyclyl), COO(C1-C4 alkyl), COO(C3-C6 cycloalkyl), COO(C1-C4 haloalkyl), CONH2, CONH(C1-C4 alkyl), CON(C1-C4 alkyl)2, CONH(C3-C6 cycloalkyl), CON(C3-C6 cycloalkyl)2, NH2, NH(C1-C4 alkyl), N(C1-C4 alkyl)2, NH(C3-C6 cycloalkyl), or N(C3-C6 cycloalkyl)2. R16 and R17 = H, halo, C1-C4 alkyl, C3-C6 cycloalkyl, haloalkyl, OH, C1-C4 alkoxy, C1-C4 haloalkoxy, SH, C1-C4 thioalkoxy, CN, NO2, SO(C1-C4 alkyl), SO(C1-C4 haloalkyl), SO(C3-C6 cycloalkyl), SONH2, SO3H, SO2(C1-C4 alkyl), SO2(C1-C4 haloalkyl), SO2(C3-C6 cycloalkyl), SO2NH2, CHO, COOH, CO(C1-C4 alkyl), CO(C3-C6 cycloalkyl), CO(C1-C4 haloalkyl), CO(heterocarbocyclyl), COO(C1-C4 alkyl), COO(C3-C6 cycloalkyl), COO(C1-C4 haloalkyl), CONH2, CONH(C1-C4 alkyl), CON(C1-C4 alkyl)2, CONH(C3-C6 cycloalkyl), CON(C3-C6 cycloalkyl)2, NH2, NH(C1-C4 alkyl), N(C1-C4 alkyl)2, NH(C3-C6 cycloalkyl), or N(C3-C6 cycloalkyl)2; or R16 and R17 together with the C atom to which they are attached form a C3-C6 cycloalkyl group or a 3-7 membered heterocycloalkyl group; and q1 is 1 or 2; addnl. details are given in the claims.

IT 850315-26-3P, Benzyl (2S)-2-[(tert-butoxycarbonyl)amino]-3-[4-[[[(tert-butoxycarbonyl)amino]sulfonyl](2-ethoxy-2-oxoethyl)amino]phenyl]propanoate 850315-27-4P, (2S)-2-[(tert-Butoxycarbonyl)amino]-3-[4-[[[(tert-butoxycarbonyl)amino]sulfonyl](2-ethoxy-2-oxoethyl)amino]phenyl]propanoic acid 850315-28-5P 850315-30-9P, Ethyl [[[(tert-butoxycarbonyl)amino]sulfonyl][4-[(2S)-2-[(tert-butoxycarbonyl)amino]-2-[5-(trifluoromethyl)-1H-benzimidazol-2-

yl]ethyl]phenyl]amino]acetate trifluoroacetate 850315-55-8P, Benzyl (2S)-2-[(tert-butoxycarbonyl)amino]-3-[4-[[[(tert-butoxycarbonyl]amino]sulfonyl](2-ethyloxy-2-oxoethyl)amino]-3-chlorophenyl]propanoate 850315-56-9P, (2S)-2-[(tert-Butoxycarbonyl)amino]-3-[4-[[[(tert-butoxycarbonyl]amino]sulfonyl](2-ethoxy-2-oxoethyl)amino]-3-chlorophenyl]propanoic acid 850315-57-0P, Ethyl [[4-[(2S)-3-[[2-amino-5-(trifluoromethyl]phenyl]amino]-2-[(tert-butoxycarbonyl)amino]-3-oxopropyl]-2-chlorophenyl][[tert-butoxycarbonyl]amino]sulfonyl]amino]acetate 850315-59-2P, Ethyl [[[(tert-butoxycarbonyl]amino]sulfonyl][4-[(2S)-2-[(tert-butoxycarbonyl]amino]-2-[5-(trifluoromethyl]-1H-benzimidazol-2-yl]ethyl]-2-chlorophenyl]amino]acetate trifluoroacetate RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1-oxo and 1,1-dioxoisothiazolone and related modulators of proteins such as phosphatases that bind phosphorylated peptides and proteins)

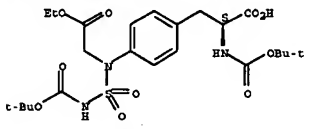
RN 850315-26-3 CAPLUS
CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-4-[[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl](2-ethoxy-2-oxoethyl)amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



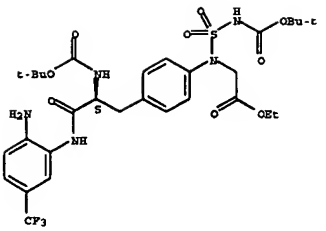
RN 850315-27-4 CAPLUS
CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-4-[[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl](2-ethoxy-2-oxoethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 850315-28-5 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 4-[4-[(2S)-3-[[2-amino-5-(trifluoromethyl]phenyl]amino]-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-oxopropyl]phenyl]-6-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

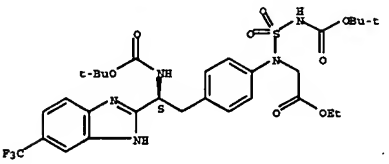


RN 850315-30-9 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 4-[4-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-2-[6-(trifluoromethyl)-1H-benzimidazol-2-yl]ethyl]phenyl]-6-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 850315-29-6
CMF C30 H38 F3 N5 O8 S

Absolute stereochemistry.

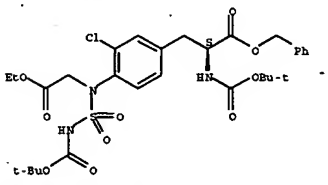


CM 2

CRN 76-05-1
CMF C2 H F3 O2

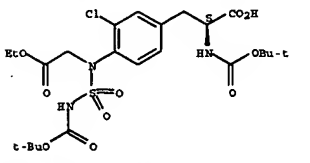
RN 850315-55-8 CAPLUS
CN L-Phenylalanine, 3-chloro-N-[(1,1-dimethylethoxy)carbonyl]-4-[[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl](2-ethoxy-2-oxoethyl)amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



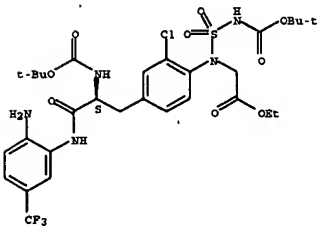
RN 850315-56-9 CAPLUS
CN L-Phenylalanine, 3-chloro-N-[(1,1-dimethylethoxy)carbonyl]-4-[[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl](2-ethoxy-2-oxoethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 850315-57-0 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 4-[4-[(2S)-3-[[2-amino-5-(trifluoromethyl]phenyl]amino]-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-oxopropyl]-2-chlorophenyl]-6-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

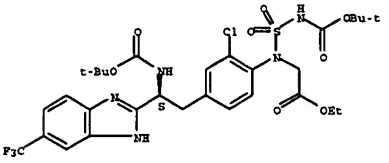


RN 850315-59-2 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 4-[2-chloro-4-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-2-[6-(trifluoromethyl)-1H-benzimidazol-2-yl]ethyl]phenyl]-6-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 850315-58-1
CMF C30 H37 Cl F3 N5 O8 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

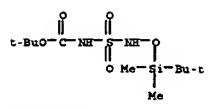
L9 ANSWER 6 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:331939 CAPLUS

DOCUMENT NUMBER: 143:43635
TITLE: Carbonic anhydrase inhibitors: synthesis and inhibition of cytosolic/tumor-associated carbonic anhydrase isozymes I, II, IX, and XII with N-hydroxysulfamides - a new zinc-binding function in the design of inhibitors
AUTHOR(S): Winum, Jean-Yves; Innocenti, Alessio; Nasr, Jihane; Montero, Jean-Louis; Scozzafava, Andrea; Vullo, Daniela; Supuran, Claudiu T.
CORPORATE SOURCE: Laboratorio di Chimica Bioinorganica, Universita degli Studi di Firenze, Polo Scientifico, Florence, 50019, Italy
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(9), 2353-2358
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB RNHSO2NHOH [I, R = octyl, decyl, dodecyl, CH2Ph, CHPh2] were prepared by conversion of Me3CSiMe2ONH2 to Me3CSiMe2ONHSO2CHCO2CMe3 and then to Me3CSiMe2ONRSO2CHCO2CMe3 and hydrolysis, to investigate whether this zinc-binding function is efficient for the design of inhibitors targeting the cytosolic (hCA I and II) and transmembrane, tumor-associated (hCA IX and XII) isoenzymes of carbonic anhydrase (CA, EC 4.2.1.1). The parent H2NSO2NHOH was a more potent inhibitor than sulfamide or sulfamic acid derivs. against all isoenzymes with inhibition consts. in the range of 473 nM-4.05 μM. I were less inhibitory against hCA I (KI in the range of 5.8-8.2 μM) but more inhibitory against hCA II (KI in the range of 50.5-473 nM). The same situation was true for the tumor-associated isoenzymes, with KI in the range of 353-790 nM against hCA IX and 372-874 nM against hCA XII. Some sulfamides and sulfamates possessing similar substitution patterns were also investigated for the inhibition of these isoenzymes, and some sulfamides are more efficient inhibitors than the corresponding sulfamates. Potent CA inhibitors targeting the cytosolic or tumor-associated CA isoenzymes can thus be designed from various classes of sulfonamides, sulfamides, or sulfamates and their derivs., considering the extensive interactions in which the inhibitor and the enzyme active site are engaged, based on recent X-ray crystallog. data.

IT 853758-92-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-hydroxysulfamides as carbonic anhydrase isoenzyme inhibitors)

RN 853758-92-6 CAPLUS
CN 5-Oxa-3-thia-2,4-diaza-6-silaoctanoic acid, 6,6,7,7-tetramethyl-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

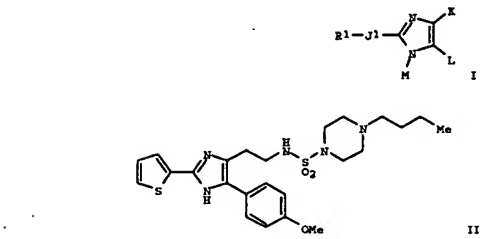


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:284150 CAPLUS
DOCUMENT NUMBER: 142:355267
TITLE: Preparation of imidazolyl inhibitors of 15-lipoxygenase
INVENTOR(S): Weinstein, David S.; Ngu, Khehyong; Robl, Jeffrey A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 65 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005070588	A1	20050331	US 2004-932594	20040901
PRIORITY APPLN. INFO.:			US 2003-499520P P	20030902
OTHER SOURCE(S):		MARPAT 142:355267		

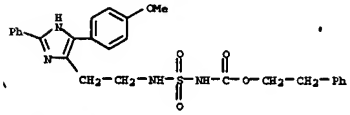
GI



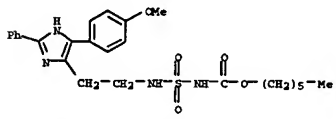
AB The title compds. I [one of K or L = J2R2 and the other is J3R3; J1, J2 = a bond, CO, OCO, CO2, etc.; J3 = (un)substituted alkenylene, cycloalkylene, alkenylene, etc.; M = H, alkyl, cycloalkyl, aryl, etc.; R1, R2 = H, alkyl, cycloalkyl, aryl, etc.; R3 = phthalimido, (un)substituted NHSO2Z, NHCOZ, etc.; Z = (un)substituted NH2, alkyl, cycloalkyl, etc.], useful for treating diseases related to the 15-LO cascade (no data), were prepared E.g., a multi-step synthesis of II, starting from 4-chloro-4'-methoxybutyrophenone, was given. The pharmaceutical composition comprising the compound I is claimed.

IT 848948-61-8P 848948-63-0P 848948-64-1P
848948-65-2P 848948-67-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazolyl inhibitors of 15-lipoxygenase)

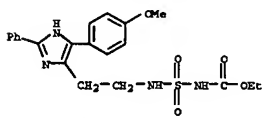
RN 848948-61-8 CAPLUS
CN Carbamic acid, [[[2-[5-(4-methoxyphenyl)-2-phenyl-1H-imidazol-4-yl]ethyl]amino]sulfonyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)



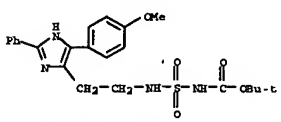
RN 848948-63-0 CAPLUS
CN Carbamic acid, [[[2-[5-(4-methoxyphenyl)-2-phenyl-1H-imidazol-4-yl]ethyl]amino]sulfonyl]-, hexyl ester (9CI) (CA INDEX NAME)



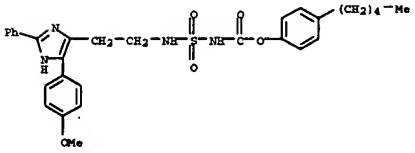
RN 848948-64-1 CAPLUS
CN Carbamic acid, [[[2-[5-(4-methoxyphenyl)-2-phenyl-1H-imidazol-4-yl]ethyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



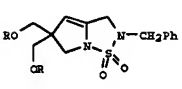
RN 848948-65-2 CAPLUS
CN Carbamic acid, [[[2-[5-(4-methoxyphenyl)-2-phenyl-1H-imidazol-4-yl]ethyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 848948-67-4 CAPLUS
CN Carbamic acid, [[[2-[5-(4-methoxyphenyl)-2-phenyl-1H-imidazol-4-yl]ethyl]amino]sulfonyl]-, 4-pentylphenyl ester (9CI) (CA INDEX NAME)



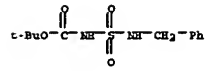
L9 ANSWER 8 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:229323 CAPLUS
DOCUMENT NUMBER: 142:447160
TITLE: Bromoallenes as allyl dication equivalents in the absence of palladium(0): Synthesis of bicyclic sulfamides by tandem cyclization of bromoallenes
AUTHOR(S): Hamaguchi, Hisao; Kosaka, Shohei; Ohno, Hiroaki; Tanaka, Tetsuaki
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Osaka University, 1-6 Yamadaoka, Suita, Osaka, 565-0871, Japan
SOURCE: Angewandte Chemie, International Edition (2005), 44(10), 1513-1517
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Terminal bromoallenes containing sulfonamide and sulfamide moieties such as PhCH2NHSO2NHCH2C(CH2OR)2CH:C:CHBr [I; R = Me3CSi(Me)2] undergo regioselective cyclocondensation reactions to yield monocyclic sulfonamides and bicyclic sulfamides such as II [R = Me3CSi(Me)2]. While the cyclocondensation of a bromoallene-containing sulfonamide to a sultonylazepine requires a palladium catalyst, reactive bromoallenyl sulfonamides or sulfamides can act as allylic dication equivalent in cyclocondensation reactions in the absence of palladium catalysts. E.g., treatment of I with a solution of sodium hydride in methanol followed by stirring for 4.5 h at 60° yields II [R = Me3CSi(Me)2] in 91% yield.

IT 147000-78-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of a bromoallenyl sulfamide and its base-mediated regioselective cyclocondensation reactions in the presence and absence of palladium catalysts to yield bicyclic sulfamides)

RN 147000-78-0 CAPLUS
CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



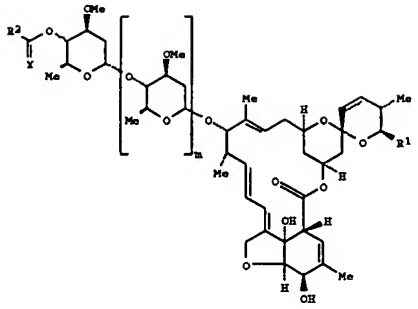
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:216832 CAPLUS
DOCUMENT NUMBER: 142:275493
TITLE: Preparation of avermectins and avermectin monosaccharides, substituted in the 4'- and 4" position, as insecticides and acaricides
INVENTOR(S): Murphy Kesabi, Fiona; Pitterna, Thomas; Maienfisch, Peter; Cassayre, Jerome; Quaranta, Laura; Jung, Pierre; Hueter, Ottmar Franz
PATENT ASSIGNEE(S): Syngenta Participations Ag, Switz.
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021569	A1	20050310	WO 2004-EP9594	20040827

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2003-20176 A 20030828
OTHER SOURCE(S): MARPAT 142:275493
GI



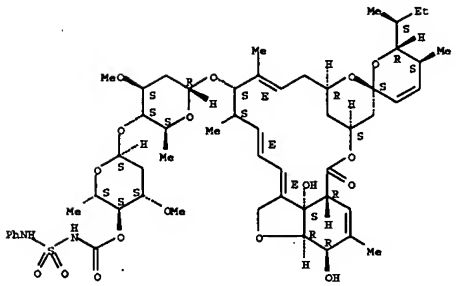
I

AB The title compds. I wherein the bond between carbon atoms 22 and 23 is a single or double bond; m is 0 or 1; R1, is C1-C12alkyl, C3-C8cycloalkyl or C2-C12alkenyl; and either (A) R2 is NR3R4, and (1) X is O, wherein R3 is, for instance, H, unsubstituted or mono- to pentasubstituted C1-C12 alkyl, and R4 is, for instance, mono- to pentasubstituted C1-C12 alkyl, unsubstituted or mono- to pentasubstituted C3-C12 cycloalkyl; or (2) X is S, wherein R3 is, for instance, H, unsubstituted or mono- to pentasubstituted C1-C12 alkyl, and R4 is, for instance, H, unsubstituted or mono- to pentasubstituted C1-C12 alkyl; or (3) X is O or S, wherein R3 and R4 together are, for instance, a three- to seven membered alkylene or a four- to seven-membered alkenylene bridge; or (B) R2 is OR5, X is O or S, wherein R5 is, for instance, C1-C12 alkyl, mono- to pentasubstituted C1-C12 alkyl; or, if appropriate, an E/Z isomer, E/Z isomer mixture and/or tautomer thereof, in free form or in salt form, are prepared as insecticides and acaricides.

IT 847186-69-0P 847187-12-6P 847187-13-7P
847187-61-5P 847187-62-6P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as insecticide and acaricide)

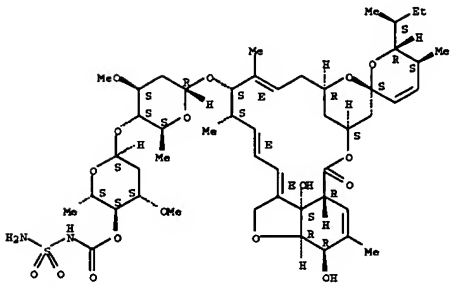
RN 847186-69-0 CAPLUS
CN Avermectin A1a, 5-O-demethyl-, 4'''-[[(phenylamino)sulfonyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



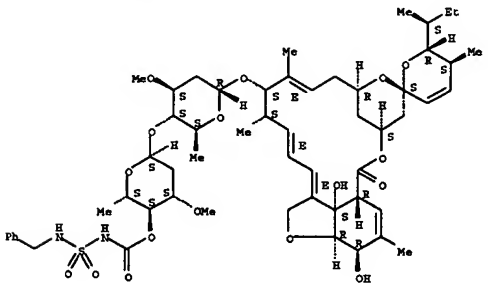
RN 847187-12-6 CAPLUS
CN Avermectin A1a, 5-O-demethyl-, 4'''-[[[(phenylmethyl)amino]sulfonyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



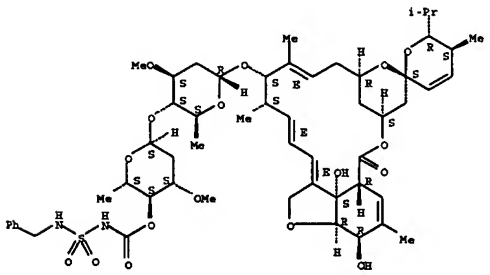
RN 847187-61-5 CAPLUS
CN Avermectin A1a, 5-O-demethyl-25-de(1-methylpropyl)-25-(1-methylethyl)-, 4'''-[[[(phenylmethyl)amino]sulfonyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



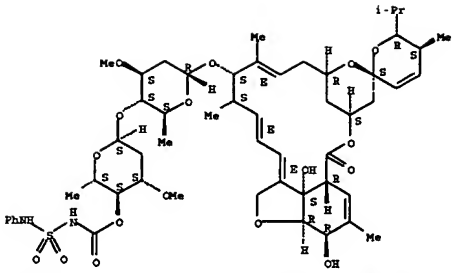
RN 847187-13-7 CAPLUS
CN Avermectin A1a, 5-O-demethyl-, 4'''-[(aminosulfonyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 847187-62-6 CAPLUS
CN Avermectin A1a, 5-O-demethyl-25-de(1-methylpropyl)-25-(1-methylethyl)-, 4'''-[[(phenylamino)sulfonyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



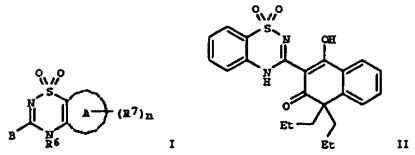
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

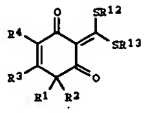
L9 ANSWER 10 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:182643 CAPLUS
DOCUMENT NUMBER: 142:280233
TITLE: Preparation of fused thiadiazinediones, particularly dioxothiadiazinylnaphthalenones, as antiviral agents for the treatment of infections involving RNA-containing viral species such as hepatitis B and C and HIV
INVENTOR(S): Hutchinson, Douglas K.; Bellettini, John R.; Betebenner, David A.; Bishop, Richard D.; Borchardt, Thomas B.; Bosse, Todd D.; Cink, Russell D.; Flentge, Charles A.; Gates, Bradley D.; Green, Brian E.; Hinman, Mira M.; Huang, Peggy P.; Klein, Larry L.; Krueger, Allen C.; Larson, Daniel P.; Leanna, M. Robert; Liu, Dachun; Madigan, Darold L.; McDaniel, Keith F.; Randolph, John T.; Rockway, Todd W.; Rosenberg, Teresa A.; Stewart, Kent D.; Stoll, Vincent S.; Wagner, Rolf; Yeung, Ming C.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 384 pp.
CODEN: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019191	A2	20050303	WO 2004-US27000	20040819
WO 2005019191	A3	20050519		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-647490 A 20030825
OTHER SOURCE(S): MARPAT 142:280233
GI

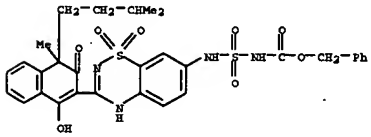




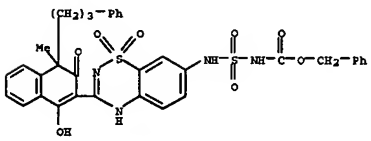
AB Thiadiazinediones I [A = mono- or bicyclic aryl, cycloalkyl, heteroaryl, heterocyclyl; B = (un)substituted 5-oxo-1-cyclopenten-1-yl, 6-oxo-1-cyclohexen-1-yl, 7-oxo-1-cycloheptyn-1-yl, 6-oxo-1,3-cyclohexadien-1-yl; n = 0-4; R6 = H, (un)substituted alkyl, alkenyl, alkynyl; R7 = NC, CHC, O2N, oxo, halo, (un)substituted alkyl, alkenyl, alkynyl, acyloxy, alkoxycarbonyloxy, etc.], particularly fused dioxothiadiazinyl-substituted naphthalenones such as II and their enolate anion salts, are prepared as antiviral agents for the treatment of infections involving RNA-containing viral species such as the hepatitis B and C viruses and HIV. Alkylation of Me phenylacetate with allyl bromide and sodium hydride, hydrogenation of the alkenes, ester cleavage with potassium trimethylsilanolate to yield 2-phenyl-2-propylpentanoic acid, conversion of the acid to the acid chloride and acylation of di-Et malonate, acid-catalyzed cyclocondensation, direct amidation of the ester with 2-aminobenzenesulfonamide, and cyclocondensation yields II; treatment of II with aqueous sodium hydroxide in acetonitrile:water yields the enolate anion sodium salt of II. [Bis(alkylthio)methylene]cyclohexenediones III [R1 = H, (un)substituted alkyl, alkenyl, alkynyl, alkoxycarbonyl, aminocarbonyl; R2 = H, (un)substituted alkyl, alkenyl, alkynyl; R1R2C = (un)substituted cycloalkyl, cycloalkenyl; R3, R4 = H, NC, CHC, halo, O2N, (un)substituted alkoxy, acyloxy, aminocarbonyloxy, sulfonyloxy, aminosulfonyloxy, etc.; R3 and R4 may form (with the carbons to which they are attached) an aryl, heteroaryl, cycloalkyl, cycloalkenyl, or heterocyclyl ring; R12, R13 = alkyl, alkenyl, alkynyl] are claimed. Processes for the preparation of I are also claimed. I inhibit hepatitis C viral RNA polymerase with IC50 values of 2 nM to 500 μM and inhibit hepatitis C replication with EC50 values of between 5 nM and >100 μM. (no data on individual compds.).

IT 847441-49-0P 847441-98-9P 847442-52-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of fused thiadiazinediones, particularly dioxothiadiazinylnaphthalenones, as antiviral agents for the treatment of infections involving RNA-containing viral species such as hepatitis B and C and HIV)

RN 847441-49-0 CAPLUS
CN Carbamic acid, [[[3-[3,4-dihydro-1-hydroxy-4-methyl-4-(3-methylbutyl)-3-oxo-2-naphthalenyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

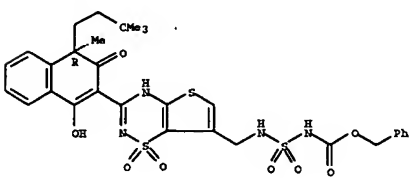


RN 847441-98-9 CAPLUS
CN Carbamic acid, [[[3-[3,4-dihydro-1-hydroxy-4-methyl-3-oxo-4-(3-phenylpropyl)-2-naphthalenyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



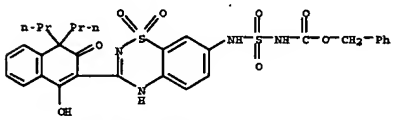
RN 847442-52-8 CAPLUS
CN Carbamic acid, [[[[3-[(4R)-4-(3,3-dimethylbutyl)-3,4-dihydro-1-hydroxy-4-methyl-3-oxo-2-naphthalenyl]-1,1-dioxido-2H-thieno[2,3-e]-1,2,4-thiadiazin-7-yl]methyl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



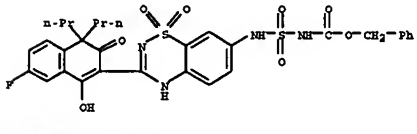
IT 847441-47-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused thiadiazinediones, particularly dioxothiadiazinylnaphthalenones, as antiviral agents for the treatment of infections involving RNA-containing viral species such as hepatitis B and C and HIV)

RN 847441-47-8 CAPLUS
CN Carbamic acid, [[[3-(3,4-dihydro-1-hydroxy-3-oxo-4,4-dipropyl-2-naphthalenyl)-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

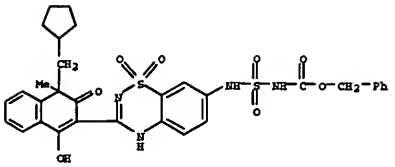


IT 847443-74-7P 847445-06-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused thiadiazinediones, particularly dioxothiadiazinylnaphthalenones, as antiviral agents for the treatment of infections involving RNA-containing viral species such as hepatitis B and C and HIV)

RN 847443-74-7 CAPLUS
CN Carbamic acid, [[[3-(7-fluoro-3,4-dihydro-1-hydroxy-3-oxo-4,4-dipropyl-2-naphthalenyl)-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 847445-06-1 CAPLUS
CN Carbamic acid, [[[3-[4-(cyclopentylmethyl)-3,4-dihydro-1-hydroxy-4-methyl-3-oxo-2-naphthalenyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 11 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:120926 CAPLUS
DOCUMENT NUMBER: 142:219286
TITLE: Preparation of benzimidazole, benzothiazole and benzoxazole derivatives and their use as LTA4 hydrolase modulators
INVENTOR(S): Axe, Frank U.; Bembenek, Scott D.; Butler, Christopher R.; Edwards, James P.; Fourie, Anne M.; Grice, Cheryl A.; Savall, Brad M.; Tays, Kevin L.; Wei, Jianmei
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 465 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012297	A1	20050210	WO 2004-US24309	20040727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005043378	A1	20050224	US 2004-900103	20040727
US 2005043379	A1	20050224	US 2004-900152	20040727
PRIORITY APPLN. INFO.:			US 2003-490710P P	20030728
OTHER SOURCE(S):	MARPAT 142:219286			

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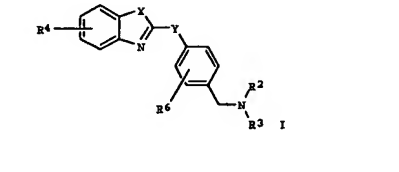
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. I and related benzylamine analogs are disclosed [wherein X = NR5, O, S; R5 = H, Me; Y = CH2, O; Z = O, a bond; W = CH2, CHR1-CH2; R1 = H, OH, wherein the R1-attached carbon member in said CHR1-CH2 is not directly attached to the N member to which said W is attached; R4 = H, OMe, Cl, F, Br, I, OH, NH2, CN, CF3, Me; R6 = H, F; R2, R3 = independently SO2-alkyl, alk(en)yl, alkylphenyl with provisos, etc.; or NR2R3 = (un)substituted heterocyclyl ring]. Leukotriene A4 hydrolase (LTA4H) inhibitors of formula I, including their enantiomers, diastereomers, racemics, tautomers, hydrates, solvates or pharmaceutically acceptable salts, esters, or amides, compns. containing them, and their use for the treatment, prevention or inhibition of inflammation and/or conditions associated with inflammation are disclosed. For example, II was prepared, in 3 steps, by reductive amination of 4-(benzothiazol-2-yloxy)benzaldehyde with (methyl)(piperidin-4-yl)carbamic acid tert-Bu ester, acidic BOC-deprotection, and reaction of the amine hydrochloride with MeSO2Cl. II displayed a IC50 of 1 nM in a recombinant human LTA4 hydrolase assay.

IT 841202-76-4P, [[[4-(Benzothiazol-2-yloxy)benzyl]piperidin-4-yl](methylaminosulfonyl)]carbamic acid tert-butyl ester
841204-66-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazoles, benzothiazoles and benzoxazoles as LTA4 hydrolase inhibitors for treating inflammations)



PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012296	A1	20050210	WO 2004-US24050	20040727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005043378	A1	20050224	US 2004-900103	20040727
US 2005043379	A1	20050224	US 2004-900152	20040727
PRIORITY APPLN. INFO.:			US 2003-490710P P	20030728
OTHER SOURCE(S):	MARPAT 142:219285			

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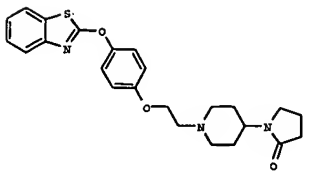
I



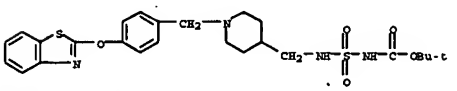
II

AB Compds. I and related phenethylamine and phenoxyethylamine analogs are disclosed [X = NH, NMe, O, S; Y = CH2, O; R4 = H, OMe, Cl, F, Br, I, OH, NH2, CN, CF3, Me; R6 = H, F; R2, R3 = independently alk(en/yn)yl, SO2-alkyl, alkylheteroaryl; or NR2R3 = (un)substituted heterocyclyl]. Leukotriene A4 hydrolase (LTA4H) inhibitors of formula I, including their enantiomers, diastereomers, racemics, tautomers, hydrates, solvates or pharmaceutically acceptable salts, esters, or amides, compns. containing them, and their use for the treatment, prevention or inhibition of inflammation and/or conditions associated with inflammation are disclosed. For example, II was prepd. in 63% yield, by amination of 2-[4-(2-Bromoethoxy)phenoxy]benzothiazole (preparation given) with 1-(Piperidin-4-yl)pyrrolidin-2-one hydrochloride. II displayed a IC50 of 1 nM in a recombinant human LTA4 hydrolase assay.

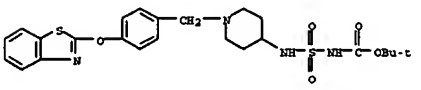
IT 841202-76-4P, [[[4-(Benzothiazol-2-yloxy)benzyl]piperidin-4-yl](methylaminosulfonyl)]carbamic acid tert-butyl ester
841204-66-8P, N-[[[1-[4-(Benzothiazol-2-yloxy)benzyl]piperidin-4-yl]amino]sulfonyl]carbamic acid tert-butyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazoles, benzothiazoles and benzoxazoles as LTA4 hydrolase inhibitors for treating inflammations)

L9 ANSWER 12 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:120925 CAPLUS
DOCUMENT NUMBER: 142:219285
TITLE: Preparation of benzimidazole, benzothiazole and benzoxazole derivatives and their use as LTA4 hydrolase modulators
INVENTOR(S): Axe, Frank U.; Bembenek, Scott D.; Butler, Christopher R.; Edwards, James P.; Fourie, Anne M.; Grice, Cheryl A.; Savall, Brad M.; Tays, Kevin L.; Wei, Jianmei
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 390 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

RN 841202-76-4 CAPLUS
CN Carbamic acid, [[[1-[[4-(2-benzothiazolyloxy)phenyl]methyl]-4-piperidinyl]methyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



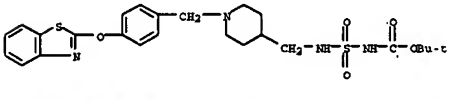
RN 841204-66-8 CAPLUS
CN Carbamic acid, [[[1-[[4-(2-benzothiazolyloxy)phenyl]methyl]-4-piperidinyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



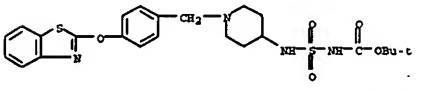
REFERENCE COUNT: 0 THERE ARE 0 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:67059 CAPLUS
DOCUMENT NUMBER: 142:336499
TITLE: Total Synthesis of Natural (-)- and ent-(+)-4-Desacetoxy-6,7-dihydrovindorosine and Natural and ent-Minovine: Oxadiazole Tandem Intramolecular Diels-Alder/1,3-Dipolar Cycloaddition Reaction
AUTHOR(S): Yuan, Zhong Qing; Ishikawa, Hayato; Boger, Dale L.
CORPORATE SOURCE: Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Organic Letters (2005), 7(4), 741-744
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

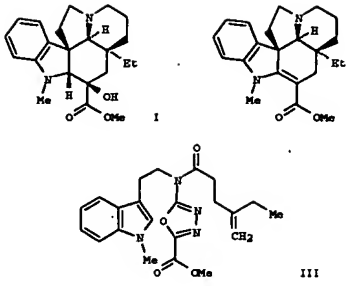
RN 841204-66-8 CAPLUS
CN Carbamic acid, [[[1-[[4-(2-benzothiazolyloxy)phenyl]methyl]-4-piperidinyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 841204-66-8 CAPLUS
CN Carbamic acid, [[[1-[[4-(2-benzothiazolyloxy)phenyl]methyl]-4-piperidinyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

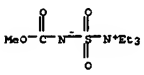


I II III

AB Efficient and unusually concise total syntheses of both enantiomers of the Aspidosperma alkaloids 4-desacetoxy-6,7-dihydrovindorosine (I) and minovine (II) are detailed. A tandem intramol. Diels-Alder/1,3-dipolar cycloaddn. reaction of the 1,3,4-oxadiazole III, in which three new rings, four new C-C bonds, and five stereocenters are formed, is a key step in the sequence. The availability of optically active material permitted an assessment of the enantiomeric integrity of minovine and the source of its reported unusual optical rotation.

IT 29684-56-8
BL: RCT (Reactant); RACT (Reactant or reagent)
(total synthesis of natural and ent-4-Desacetoxy-6,7-dihydrovindorosine and natural and ent-minovine via oxadiazole tandem intramol. Diels-Alder/1,3-dipolar cycloaddn. reaction)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1127381 CAPLUS
DOCUMENT NUMBER: 142:74585
TITLE: Preparation of imidazopyridazinones and related compounds as dipeptidyl peptidase IV (DPP-IV) inhibitors for the treatment of diabetes
INVENTOR(S): Eckhardt, Matthias; Hauel, Norbert; Langkopf, Elke; Himmelsbach, Frank; Kauffmann-Hefner, Iris; Tadayyon, Mohammad; Mark, Michael
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. Kg
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111051	A1	20041223	WO 2004-EP6303	20040611
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10327439	A1	20050105	DE 2003-10327439	20030618
US 2005026921	A1	20050203	US 2004-865719	20040610
PRIORITY APPLN. INFO.:			DE 2003-10327439 A	20030618
			US 2003-487309P P	20030715

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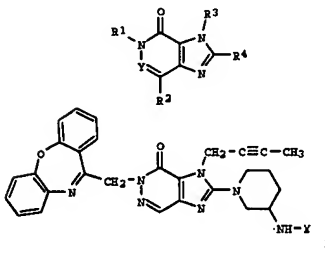
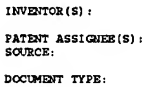


I II

AB Title compds. I [R1 = alkyl substituted 3,4-dihydroquinolinyl, 3,4-dihydroisoquinolinyl, 1,4-dihydroquinazolinyl, etc.; R2 = H, F, Cl, etc.; R3 = (un)substituted alkyl, e.g., cycloalkyl, cycloalkenyl, aryl, etc.; R4 = (un)substituted azetidin-1-yl, pyrrolidin-1-yl; Y = N, C-R5; R5 = H, alkyl] and their pharmaceutically acceptable salts and formulations were prepared For example, TFA mediated deprotection of Boc-amine II (X = Boc) afforded claimed imidazopyridazinone II (X = H) in 63% yield. In dipeptidyl peptidase IV (DPP-IV) inhibition assays, 8-examples of compds. I exhibited IC50 values ranging from 3-58 nM, e.g., the IC50 value of imidazopyridazinone II (X = H) was 14 nM. Compds. I are claimed to be useful for the treatment of type I and type II diabetes mellitus.

IT 29684-56-8, Burgess reagent
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of imidazopyridazinones and related compds. as dipeptidyl peptidase IV (DPP-IV) inhibitors for the treatment of diabetes)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1059325 CAPLUS
DOCUMENT NUMBER: 142:38156
TITLE: Preparation of quinolyl amides as new P2X7 receptor antagonists
INVENTOR(S): Evans, Richard; Eyssade, Christine; Ford, Rhonan; Martin, Barrie; Thompson, Toby; Willis, Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 213 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004106305	A1	20041209	WO 2004-SE836	20040601
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2003-12609 A	20030602
			SE 2003-1700 A	20030610
OTHER SOURCE(S):		MARPAT 142:38156		

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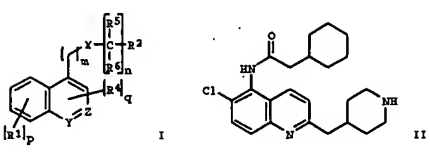


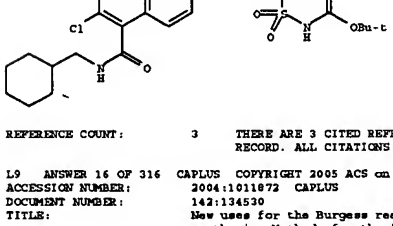
I II

AB The title compds. [I; p = 0-2; R1, R4 = halo, alkyl, hydroxyalkyl, haloalkyl, alkoxyalkyl; q = 0-2; m = 0-3; Y = CONH, NHCO; n = 0-3; R5, R6 = H, alkyl; or R5 and R6 together with the carbon atom to which they are both attached can form a 3-6 membered cycloalkyl ring; R2 = (un)substituted 4-9 membered cycloalkyl ring; one of Y or Z is N and the other is CR3 (wherein R3 = [X1]aR9R10; X1 = O, S, (un)substituted NH; a = 0-1; R9 = a bond, (un)substituted alkylene; R10 = H, hydroxy, carboxy, etc.)], useful in treating rheumatoid arthritis, asthma, chronic obstructive pulmonary disease, osteoarthritis, and atherosclerosis, were prepared E.g., a 4-step synthesis of II.2HCl, starting from 6-chloro-5-nitroquinoline 1-oxide, was given. Each of the exemplified compds. I demonstrated antagonist activity, having a pIC50 of > 5.5. The pharmaceutical composition comprising the compound I is disclosed.

IT 803737-13-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolyl amides as new P2X7 receptor antagonists)

RN 803737-13-5 CAPLUS
CN 7-Oxa-2-thia-2,4-diazanonanoic acid, 4-[(3S)-1-[6-chloro-5-[[(cyclohexylmethyl)amino]carbonyl]-2-quinolinyl]-3-pyrrolidinyl]-6-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

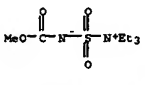
L9 ANSWER 16 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1011872 CAPLUS
DOCUMENT NUMBER: 142:134530
TITLE: New uses for the Burgess reagent in chemical synthesis: Methods for the facile and stereoselective formation of sulfamidates, glycosylamines, and sulfamides
AUTHOR(S): Nicolaou, K. C.; Snyder, Scott A.; Longbottom, Deborah A.; Nalbandian, Annie Z.; Huang, Xianhai
CORPORATE SOURCE: Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Chemistry--A European Journal (2004), 10(22), 5581-5606
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Although the Burgess reagent (methoxycarbonylsulfamoyltriethylammonium hydroxide, inner salt) has found significant use in chemical synthesis as a dehydrating agent, almost no work has been directed towards its potential in other synthetic applications. It was found that the Burgess reagent is

remarkably effective at accomplishing a number of non-dehydrative synthetic tasks when applied to appropriate substrates, such as the formation of sulfamidates from 1,2-diols or epoxy alcs., α- and β-glycosylamines from carbohydrates, and cyclic sulfamides from 1,2-amino alcs. Beyond delineating the power of these new reaction manifolds, the construction of a group of alternative Burgess-type reagents that extends the scope of these new reactions even further is also described.

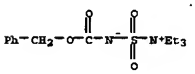
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(use of the Burgess reagent in the facile and stereoselective formation of sulfamidates, glycosylamines, and sulfamides)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

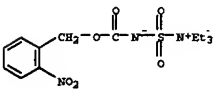


IT 439585-11-2P 439585-13-4P 439585-15-6P
439585-17-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(use of the Burgess reagent in the facile and stereoselective formation of sulfamidates, glycosylamines, and sulfamides)

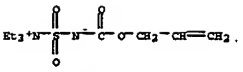
RN 439585-11-2 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



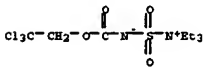
RN 439585-13-4 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[[(2-nitrophenyl)methoxy]carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



RN 439585-15-6 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[[(2-propenyloxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

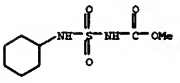


RN 439585-17-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[(2,2,2-trichloroethoxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

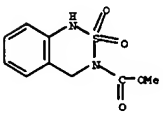


IT 90222-26-7P 503310-56-3P 503310-60-9P
503310-63-2P 503310-64-3P 503310-67-6P
503310-68-7P 503310-69-8P 503310-78-9P
721958-80-1P 721958-81-2P 721958-82-3P
721958-83-4P 721958-84-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(use of the Burgess reagent in the facile and stereoselective formation of sulfamidates, glycosylamines, and sulfamides)

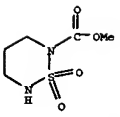
RN 90222-26-7 CAPLUS
CN Carbamic acid, [(cyclohexylamino)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



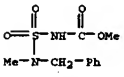
RN 503310-56-3 CAPLUS
CN 3H-2,1,3-Benzothiadiazine-3-carboxylic acid, 1,4-dihydro-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



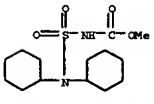
RN 503310-60-9 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, tetrahydro-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



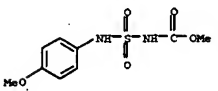
RN 503310-63-2 CAPLUS
CN Carbamic acid, [(methyl(phenylmethyl)amino)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



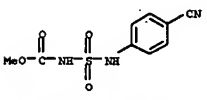
RN 503310-64-3 CAPLUS
CN Carbamic acid, [(dicyclohexylamino)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



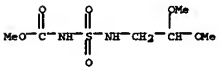
RN 503310-67-6 CAPLUS
CN Carbamic acid, [[(4-methoxyphenyl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



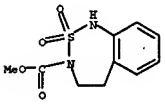
RN 503310-68-7 CAPLUS
CN Carbamic acid, [[(4-cyanophenyl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



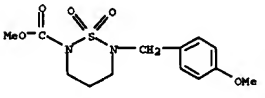
RN 503310-69-8 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 6-methoxy-, methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



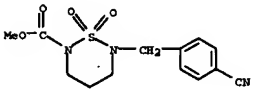
RN 503310-78-9 CAPLUS
CN 2,1,3-Benzothiadiazepine-3(1H)-carboxylic acid, 4,5-dihydro-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



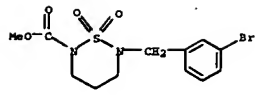
RN 721958-80-1 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, tetrahydro-6-[(4-methoxyphenyl)methyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



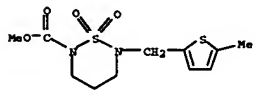
RN 721958-81-2 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, 6-[(4-cyanophenyl)methyl]tetrahydro-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



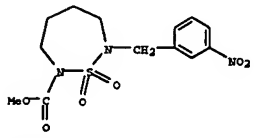
RN 721958-82-3 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, 6-[(3-bromophenyl)methyl]tetrahydro-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 721958-83-4 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, tetrahydro-6-[(5-methyl-2-thienyl)methyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 721958-84-5 CAPLUS
CN 1,2,7-Thiadiazepine-2(3H)-carboxylic acid, tetrahydro-7-[(3-nitrophenyl)methyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

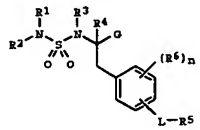


REFERENCE COUNT: 121 THERE ARE 121 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

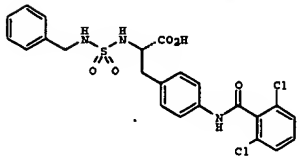
L9 ANSWER 17 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:996111 CAPLUS
DOCUMENT NUMBER: 141:410709
TITLE: Preparation of N-(2-phenylethyl)sulfamide derivatives as integrin α4 antagonists for treatment of inflammatory and immune disorders
INVENTOR(S): Jimenez, Mayorga Juan Miguel; Vidal, Gispert Laura; Warrellow, Graham
PATENT ASSIGNEE(S): Almirall Prodesfarma Sa, Spain
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099126	A1	20041118	WO 2004-EP4670	20040503
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2003-1004 A 20030505
OTHER SOURCE(S): MARPAT 141:410709
GI



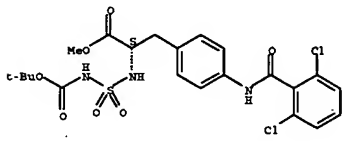
I



II

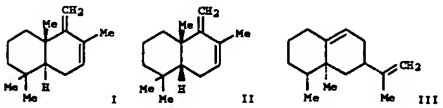
AB Title compds. L-phenylalanine derivs. I [wherein G = CO2H, tetrazolyl; L = direct bond, NRc, O, NRcCO, CONRc, OCONRc, NRcCO2; Rc = H, alkyl; R1, R2 = independently H, (un)substituted (cyclo)alkyl, alkenyl, alkynyl, heterocyclyl, (hetero)aryl, etc.; or NR1R2 = (un)substituted heterocyclyl, heteroaryl; R3, R4 = H, alkyl; R5 = (un)substituted (hetero)aryl; R6 = OH, alkoxy, NO2, halo, alkylsulfonyl, sulfamoyl, amino, acyl, carboxy, carbamoyl, CN, alkyl, alkenyl, alkynyl, etc.; n = 0-3; and pharmaceutically acceptable salts and esters thereof] were prepared as integrin α4 antagonists. For example, reaction of Me (2S)-2-[[[(tert-butoxycarbonyl)amino]sulfonyl]amino]-3-[4-[(2,6-dichlorobenzoyl)amino]phenyl]propionate (preparation given) with benzyl alc. in the presence of PBu3 and ADDP in THF, followed by saponification with LiOH •H2O in THF gave (S)-II (43%). In α4β1 adhesion assays, the latter inhibited U-937 cell adhesion to recombinant human soluble VCAM-1 with IC50 values < 100 nM. Thus, I and compns. comprising them are useful for the treatment of inflammatory and immune disorders (no data).
IT 793725-13-0P, Methyl (2S)-2-[[[(tert-butoxycarbonyl)amino]sulfonyl]amino]-3-[4-[(2,6-dichlorobenzoyl)amino]phenyl]propionate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-(phenylethyl)sulfamides as integrin α4 antagonists for treatment of inflammatory and immune disorders)
RN 793725-13-0 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



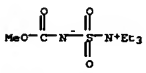
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 18 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:978755 CAPLUS
DOCUMENT NUMBER: 142:114285
TITLE: Correction of the Structure of a New Sesquiterpene from Cistus creticus ssp. creticus
AUTHOR(S): Hatzellis, Konstantinos; Pagona, Georgia; Spyros, Apostolos; Demetzos, Costas; Katerinopoulos, Haralambos E.
CORPORATE SOURCE: Department of Chemistry, University of Crete, Heraklion, Crete, 71409, Greece
SOURCE: Journal of Natural Products (2004), 67(12), 1996-2001
CODEN: JNPRDF; ISSN: 0163-3864
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



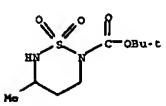
I II III

AB In an attempt to identify the structure of a sesquiterpene from Cistus creticus ssp. creticus proposed in the literature as 1,1,4a,6-tetramethyl-5-methylene-1,2,3,4,4 α,5,8,8α-octahydronaphthalene (I), the synthesis of its cis isomer II was carried out in 11 steps and 9.5% yield. Comparison of the spectra of II and those reported earlier for the synthetic trans isomer I with the spectral profile of the isolated natural product indicated that the latter was not compatible with either I or II. The correct structure was assigned, by detailed spectroscopic anal. of the natural product, as 6-isopropenyl-4,4a-dimethyl-1,2,3,4,4a,5,6,7-octahydronaphthalene (III).
IT 29684-56-8, Burgess reagent
RL: RGT (Reagent); RACT (Reactant or reagent)
(structural update for a drimane-type sesquiterpene isolated from Cistus creticus ssp. creticus to a eremophilane-type sesquiterpene via synthesis and spectroscopic anal.)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 19 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:944084 CAPLUS
DOCUMENT NUMBER: 142:93239
TITLE: Expanding the Scope of C-H Amination through Catalyst Design
AUTHOR(S): Espino, Christine G.; Fiori, Kristin Williams; Kim, Mihyong; Du Bois, J.
CORPORATE SOURCE: Department of Chemistry, Stanford University, Stanford, CA, 94305-5080, USA
SOURCE: Journal of the American Chemical Society (2004), 126(47), 15378-15379
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Anal. of the mechanism for Rh-mediated C-H amination has led to the development of a remarkably effective dinuclear Rh catalyst derived from 1,3-benzenedipropionic acid. This unique complex, Rh2(esp)2, is capable of promoting both intra- and intermol. C-H oxidation reactions, and in all cases is superior to Rh2(O2CtBu)4. For the first time, C-H insertion is described with urea and sulfamide substrates to give 1,2- and 1,3-diamine derivs., resp. In addition, intermol. amination of benzylic and secondary C-H bonds is shown to proceed efficiently even under conditions in which the starting alkane is employed as the limiting reagent.
IT 813440-63-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(remarkably effective dinuclear Rh catalyst derived from 1,3-benzenedipropionic acid)
RN 813440-63-0 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, tetrahydro-5-methyl-, 1,1-dimethylethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



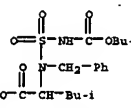
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:916842 CAPLUS
DOCUMENT NUMBER: 142:85849
TITLE: Serendipitous discovery of an unexpected rearrangement leads to two new classes of potential protease inhibitors
AUTHOR(S): Zhang, Jiaying; Lai, Zhong; Groutas, Christopher S.; Wong, Tzutshin; Gan, Xiangdong; Allston, Kevin R.; Eichhorn, David; Hoidal, John R.; Groutas, William C.
CORPORATE SOURCE: Department of Chemistry, Wichita State University, Wichita, KS, 67260, USA
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(23), 6249-6254
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The pathogenesis of a range of human diseases arises from the aberrant activity of proteolytic enzymes. Agents capable of selectively modulating the activity of these enzymes are of potential therapeutic value. Thus, there is a continuing need for the design of scaffolds that can be used in the development of new classes of protease inhibitors. The authors describe herein the serendipitous discovery of an unexpected rearrangement that leads to the formation of two novel templates that can be used in the design of protease inhibitors.

IT 808752-08-1P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(unexpected rearrangement leads to two new classes of potential protease inhibitors)
RN 808752-08-1 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-2-(2-methylpropyl)-6-oxo-3-(phenylmethyl)-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)

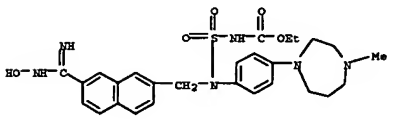


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 21 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:791711 CAPLUS
DOCUMENT NUMBER: 141:337439
TITLE: Orally active factor Xa inhibitor: synthesis and biological activity of masked amidines as prodrugs of novel 1,4-diazepane derivatives
AUTHOR(S): Koshio, Hiroyuki; Hirayama, Fukushi; Ishihara, Tsukasa; Kaizawa, Hiroyuki; Shigenaga, Takeshi; Taniuchi, Yuta; Sato, Kazuo; Moritani, Yumiko; Iwatsuki, Yoshiyuki; Uemura, Toshio; Kaku, Seiji; Kawasaki, Tomihisa; Matsumoto, Yuzo; Sakamoto, Shuichi; Tsukamoto, Shin-ichi
CORPORATE SOURCE: Institute for Drug Discovery Research, Chemistry Laboratories, Yamanouchi Pharmaceutical Co., Ltd, Tsukuba, Ibaraki, 305-8585, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(20), 5415-5426
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:337439

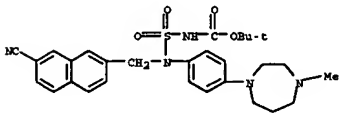
AB Factor Xa (fXa) is a serine protease, which plays a pivotal role in the coagulation cascade. To improve the oral anticoagulant activity of fXa inhibitors containing a 1,4-diazepane moiety as the P4 part, a prodrug strategy was examined. Among the compds. evaluated in this study, amidoxime prodrugs bearing an ester moiety showed effective oral anticoagulant activity in mice.

IT 220219-97-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(masked amidines as prodrugs of diazepane derivs. with anticoagulant activity)
RN 220219-97-6 CAPLUS
CN Carbamic acid, [[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)phenyl][[7-[(hydroxyamino)iminomethyl]-2-naphthalenyl]methyl]amino]sulfonyl]-, ethyl ester, trihydrochloride (9CI) (CA INDEX NAME)

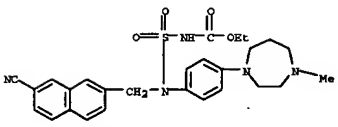


●3 HCl

IT 771584-75-9P 771584-78-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(masked amidines as prodrugs of diazepane derivs. with anticoagulant activity)
RN 771584-75-9 CAPLUS
CN Carbamic acid, [[[(7-cyano-2-naphthalenyl)methyl][4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)phenyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 771584-78-2 CAPLUS
CN Carbamic acid, [[[(7-cyano-2-naphthalenyl)methyl][4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

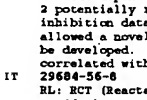


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 22 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:710484 CAPLUS
DOCUMENT NUMBER: 141:407679
TITLE: Design, synthesis, and evaluation of aza inhibitors of chorismate mutase
AUTHOR(S): Hediger, Mark E.
CORPORATE SOURCE: College of Chemistry, The University of California, Berkeley, CA, 94720-1460, USA
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(18), 4995-5010
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:407679

AB A series of bicyclic aza compound inhibitors of chorismate mutase (EC 5.4.99.5) of Escherichia coli was designed, prepared, and evaluated against the enzyme by monitoring the direct inhibition of the chorismate-to-prephenate conversion. None of these aza inhibitors displayed tighter binding to the enzyme than the native substrate, chorismate, or greater inhibitory action than a previously reported ether analog. Furthermore, no time-dependent loss of enzyme activity was observed in the presence of the 2 potentially reactive aza inhibitors. These results in conjunction with inhibition data from a broader series of chorismate mutase inhibitors allowed a novel proposal for the mechanistic role of chorismate mutase to be developed. This proposed mechanism was computationally verified and correlated with crystallog. studies of various chorismate mutases.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(design, synthesis, and evaluation of aza inhibitors of chorismate mutase)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 23 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:703121 CAPLUS
DOCUMENT NUMBER: 141:207236
TITLE: Preparation of 1,1-dioxido-4H-1,2,4-benzothiadiazines as hepatitis C polymerase inhibitors and anti-infective agents
INVENTOR(S): Pratt, John K.; Betebenner, David A.; Donner, Pamela L.; Green, Brian E.; Kempf, Dale J.; McDaniel, Keith F.; Maring, Clarence J.; Stoll, Vincent S.; Zhang, Rong
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 278 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004167123	A1	20040826	US 2003-699513		20031031
PRIORITY APPLN. INFO.:			US 2002-423209P	P	20021101
			US 2003-461784P	P	20030410
			US 2003-489448P	P	20030723
			US 2003-509107P	P	20031006

OTHER SOURCE(S): MARPAT 141:207236
GI

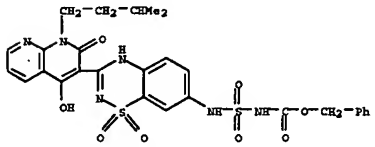
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = monocyclic or bicyclic ring selected from hetero/aryl, cycloalkyl, cycloalkenyl, heterocyclyl; R1 = H, (un)substituted cycloalkyl/cyclo/alkenyl, alkoxycarbonyl/alkoxy/aryl/aryloxysulfonyl/arylsulfanyl/carboxy/cyano/heteroaryl/alkyl, heterocyclyl, etc.; R2, R3 = independently H, cyano, halo, (un)substituted alkenyl, alkoxycarbonyl, alkyl, heteroaryl, etc.; CR2R3C = 5- or 6-membered ring selected from Ph, pyridinyl, pyrimidinyl, pyridazinyl, thienyl, furanyl, pyrazolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, triazolyl, thiadiazolyl, tetrazolyl, cyclopentyl, and cyclohexyl; R4 = OH and derivs., halo, NH2 and derivs., etc.; R5 = independently CN, NO2, (un)substituted alk(en/yn)yl, hetero/aryl, aryl sulfonyl, heterocyclyl etc.; n = 0-4; their pharmaceutically acceptable salts, stereoisomers, or tautomers] were prepared as hepatitis C (HCV) polymerase inhibitors for treating related infections. Thus II was prepared by alkylation of III (preparation given) with tris(methylthio)methyl Me sulfate in AcOH, cyclization with 2-amino-4-[(4-methoxymethoxy)methyl]thiophene-3-sulfonamide, deprotection, condensation with cyclopropanecarboxaldehyde, reduction with LiBH4. I inhibited HCV polymerase with IC50's in the range of 0.002 μM to 500 μM. I inhibited RNA replication with EC50 in the range of 0.002 μM to > 100 μM. I exhibited a cytopathic effect reduction with TC50's in the range of 6.6 μM to > 100 μM.

IT 691361-95-3P, Benzyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide 691361-99-7P, Methyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide triethylamine salt 691362-03-5P, 2-Aminoethyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide trifluoroacetic acid salt 691362-20-6P 691362-31-9P 691362-46-6P, Methyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl]-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide 691362-47-7P, Allyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-

diazathiane-1-carboxylate 2,2-dioxide 691362-49-9P, 2-Cyanoethyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide 691362-50-2P, 2-(Trimethylsilyl)ethyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide 691362-56-8P, 2-Aminoethyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anti-infective agent; preparation of 1,1-dioxidobenzothiadiazines as hepatitis C polymerase inhibitors and anti-infective agents)

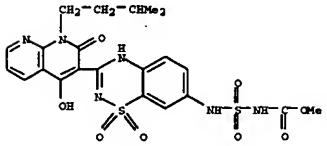
RN 691361-96-3 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-1,8-naphthyridin-3-yl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 691361-99-6 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-1,8-naphthyridin-3-yl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, methyl ester, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

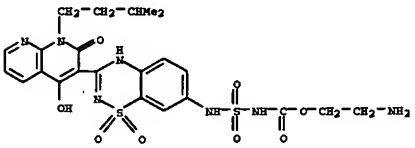
CRN 691361-98-5
CMF C22 H24 N6 O8 S2



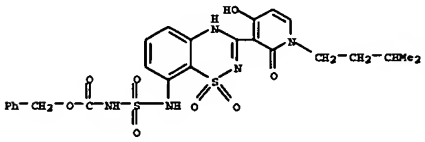
CM 2

CRN 121-44-8
CMF C6 H15 N

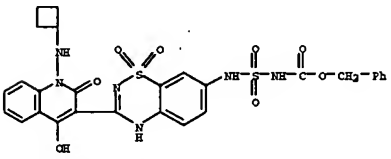
RN 691362-03-5 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-1,8-naphthyridin-3-yl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-aminoethyl ester (9CI) (CA INDEX NAME)



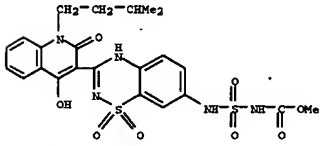
RN 691362-20-6 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-pyridinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-8-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



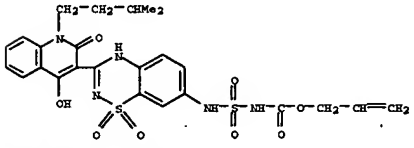
RN 691362-31-9 CAPLUS
CN Carbamic acid, [[[3-[1-(cyclobutylamino)-1,2-dihydro-4-hydroxy-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



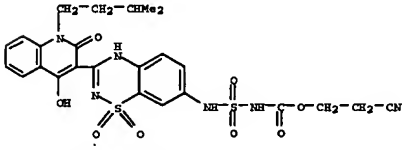
RN 691362-46-6 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



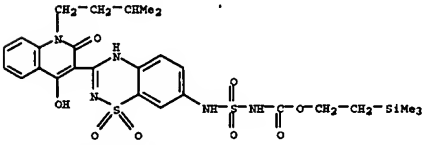
RN 691362-47-7 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)



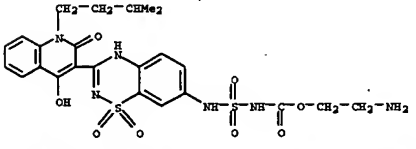
RN 691362-49-9 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)



RN 691362-50-2 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

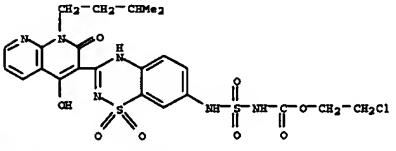


RN 691362-56-8 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-aminoethyl ester (9CI) (CA INDEX NAME)

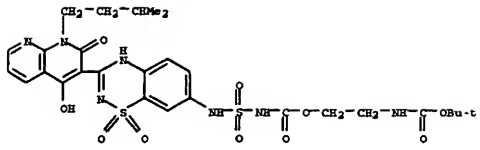


IT 691361-93-0P, 2-Chloroethyl [[3-[4-hydroxy-1-(3-methylbutyl)-2-oxo-1,2-dihydro-1,8-naphthyridin-3-yl]-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]amino]sulfonylcarbamate 691362-02-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 1,1-dioxidobenzothiadiazines as hepatitis C polymerase inhibitors and anti-infective agents)

RN 691361-93-0 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-1,8-naphthyridin-3-yl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



RN 691362-02-4 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-1,8-naphthyridin-3-yl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 24 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:681398 CAPLUS
DOCUMENT NUMBER: 141:207235
TITLE: Preparation of 1,1-dioxido-4H-1,2,4-benzothiadiazines as hepatitis C polymerase inhibitors and anti-infective agents
INVENTOR(S): Pratt, John K.; Betebenner, David A.; Donner, Pamela L.; Green, Brian E.; Kempf, Dale J.; Mcdaniel, Keith F.; Maring, Clarence J.; Stoll, Vincent S.; Zhang, Rong
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 205 pp., Cont.-in-part of U.S. Ser. No. 410,853.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004162285	A1	20040819	US 2003-625121	20030723
US 2004097492	A1	20040520	US 2002-285714	20021101
US 2004087577	A1	20040506	US 2003-410853	20030410
WO 2004041818	A1	20040521	WO 2003-US34707	20031031

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-285714 A2 20021101
US 2003-410853 A2 20030410
US 2003-625121 A 20030723
US 2003-679881 A 20031006

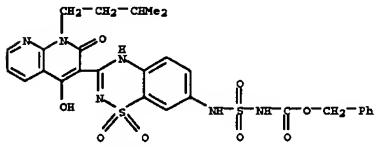
OTHER SOURCE(S): MARPAT 141:207235
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

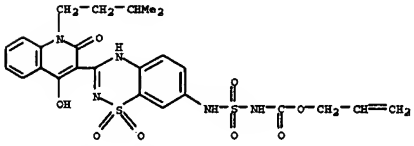
AB Title compds. I [wherein A = monocyclic or bicyclic ring selected from hetero/aryl, cycloalkyl, cycloalkenyl, heterocyclyl; R1 = H, (un)substituted cycloalkyl/cyclo/alkenyl, alkoxycarbonyl/alkoxy/aryl/aryls ulfonyl/arylsulfanyl/carboxy/cyano/heteroaryl/alkyl, heterocyclyl, etc.; R2, R3 = independently H, cyano, halo, (un)substituted alkenyl, alkoxycarbonyl, alkyl, heteroaryl, etc.; CR2R3C = 5- or 6-membered ring selected from Ph, pyridinyl, pyrimidinyl, pyridazinyl, thienyl, furanyl, pyrazolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, triazolyl, thiadiazolyl, tetrazolyl, cyclopentyl, and cyclohexyl; R4 = OH and derivs., halo, NH2 and derivs., etc.; R5 = independently CN, NO2, (un)substituted alk(en/yn)yl, hetero/aryl, arylsulfonyl, heterocyclyl etc.; n = 0-4; their pharmaceutically acceptable salts, stereoisomers, or tautomers] were prepared as hepatitis C (HCV) polymerase inhibitors for treating related infections. Thus II was prepared by alkylation of III (preparation given) with tris(methylthio)methyl Me sulfate in AcOH, cyclization with 2-amino-4[(4-methoxymethoxy)methyl]thiophene-3-sulfonamide, deprotection, condensation with cyclopropanecarboxaldehyde, reduction with LiBH4. I inhibited HCV polymerase with IC50's in the range of 0.002 μM to 500 μM. I inhibited RNA replication with EC50 in the range of 0.002 μM to > 100 μM. I exhibited a cytopathic effect reduction with TC50's in the range of 6.6 μM to > 100 μM.

IT **691361-96-3P**, Benzyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide **691362-47-7P**, Allyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide **691362-49-9P**, 2-Cyanoethyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide **691362-50-2P**, 2-(Trimethylsilyl)ethyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anti-infective agent; preparation of 1,1-dioxidobenzothiadiazines as hepatitis C polymerase inhibitors and anti-infective agents)

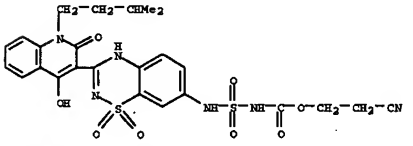
RN 691361-96-3 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-1,8-naphthyridin-3-yl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



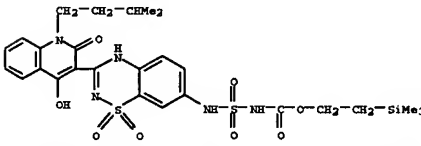
RN 691362-47-7 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)



RN 691362-49-9 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)

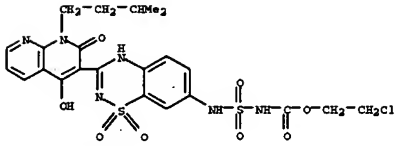


RN 691362-50-2 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)



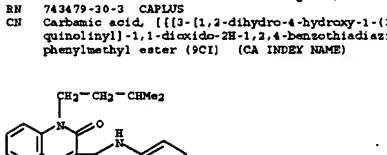
IT **691361-93-0P**, 2-Chloroethyl [[3-[4-hydroxy-1-(3-methylbutyl)-2-oxo-1,2-dihydro-1,8-naphthyridin-3-yl]-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]amino]sulfonylcarbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 1,1-dioxidobenzothiadiazines as hepatitis C polymerase inhibitors and anti-infective agents)

RN 691361-93-0 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-1,8-naphthyridin-3-yl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



IT **743479-30-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,1-dioxidobenzothiadiazines as hepatitis C polymerase inhibitors and anti-infective agents)

RN 743479-30-3 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



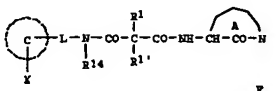
L9 ANSWER 25 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:675740 CAPLUS
DOCUMENT NUMBER: 141:206827
TITLE: Preparation of malonamides and related compounds as γ-secretase inhibitors for the treatment of Alzheimer's disease.
INVENTOR(S): Galley, Guido; Goergler, Annick; Jacobsen, Helmut; Kitas, Eric Argirios; Peters, Jens-Uwe
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004069826	A1	20040819	WO 2004-EP674	20040127

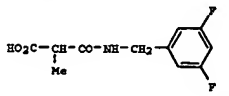
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

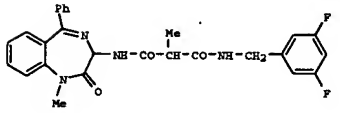
US 20040220222 A1 20041104 US 2004-767784 20040129
PRIORITY APPLN. INFO.: EP 2003-2190 A 20030204
OTHER SOURCE(S): MARPAT 141:206827
GI



I



II

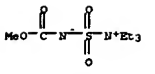


III

AB Title compds. I [L = bond, (CH2)1-2, CH(CH3), etc.; C = cyclic ring, e.g., Ph, pyridinyl, furanyl, etc.; X = (R2)1,2,3; (R2)1,2,3 = H, OH, halo, etc.; R1, R1' = H, alkyl, halo, etc.; R14 = H, alkyl, [CH2]2OH, etc.; A = substituted 5,7-dihydro-6H-dibenz[b,d]azepin-6-ones, 1,3-dihydro-5-phenyl-1,4-benzodiazepin-2-ones, 3,4-dihydro-2-quinolinones, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, coupling of 3-amino-1,3-dihydro-1-methyl-5-phenyl-2H-1,4-benzodiazepin-2-one and malonamic acid II, e.g., prepared from di-Et Me malonate in 3-steps, afforded malonamide III in 67% yield. In γ-secretase inhibition assays, 37-examples of compds. I exhibited IC50 values ranging from 0.003-0.11 μM, the IC50 value of malonamide III was 0.03 μM. Compds. I are claimed useful for the treatment of Alzheimer's disease.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of malonamides and related compds. as γ-secretase inhibitors for the treatment of Alzheimer's disease.)

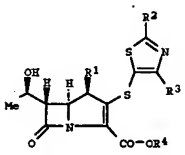
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 26 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:648525 CAPLUS
DOCUMENT NUMBER: 141:190628
TITLE: Preparation of carbapenem derivatives as antimicrobial agents
INVENTOR(S): Kano, Yuko; Kanada, Kaori; Sawabe, Takehiko; Tanabe, Kiyoshi; Maruyama, Takahisa; Kurazono, Mizuyo; Takata, Hiromi; Aihara, Kazuhiro; Atsumi, Kunio
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067532	A1	20040812	WO 2004-JP990	20040202
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
PRIORITY APPLN. INFO.:			JP 2003-23945	A 20030131
			JP 2003-169928	A 20030613
			JP 2003-194688	A 20030710

OTHER SOURCE(S): MARPAT 141:190628
GI



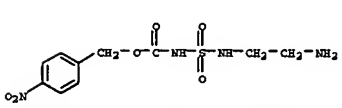
I

AB The title compds. I [R1 = H, methyl; R2, R3 = H, halo, etc.; a proviso is given; R4 = H, or moiety which can be hydrolyzed in vivo] are prepared Compds. of this invention in vitro showed IC50 values of 0.016 μg/mL to 0.063 μg/mL against S. aureus 209P JC-1.

IT 738620-38-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carbapenem derivs. as antimicrobial agents)

RN 738620-38-7 CAPLUS
CN Carbamic acid, [[(2-aminoethyl)amino]sulfonyl]-, (4-nitrophenyl)methyl

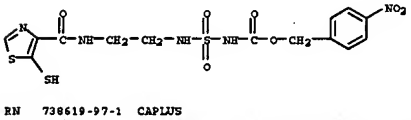
ester (9CI) (CA INDEX NAME)

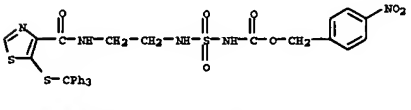


IT 738618-87-6P 738619-96-0P 738619-97-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbapenem derivs. as antimicrobial agents)

RN 738618-87-6 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-[(1R)-1-hydroxyethyl]-4-methyl-3-[[4-[10-(4-nitrophenyl)-6,6-dioxido-1,8-dioxo-9-oxa-6-thia-2,5,7-triazadec-1-yl]-5-thiazolyl]thio]-7-oxo-, (4-nitrophenyl)methyl ester, (4R,5S,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

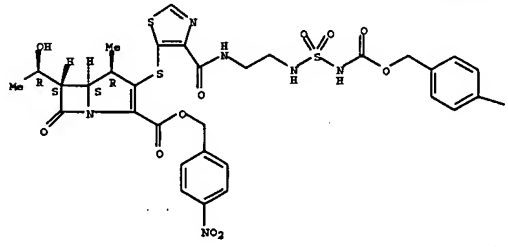




L9 ANSWER 27 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:589375 CAPLUS
DOCUMENT NUMBER: 141:140459
TITLE: Preparation of sulfamides as anti-cancer agents
INVENTOR(S): Flynn, Daniel L.; Petrillo, Peter A.
PATENT ASSIGNEE(S): Deciphera Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

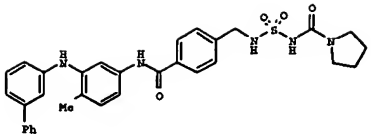
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004060305	A2	20040722	WO 2003-US41425	20031226
WO 2004060305	A3	20050210		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004171075	A1	20040902	US 2003-746545	20031224
US 2004176395	A1	20040909	US 2003-746607	20031224
PRIORITY APPLN. INFO.:			US 2002-437304P	P 20021231
			US 2002-437403P	P 20021231
			US 2002-437415P	P 20021231
			US 2002-437487P	P 20021231
			US 2003-463804P	P 20030418

OTHER SOURCE(S): MARPAT 141:140459
GI



RN 738619-96-0 CAPLUS
CN 3-Thia-2,4,7-triazaoctanoic acid, 8-(5-mercapto-4-thiazolyl)-8-oxo-, (4-nitrophenyl)methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

RN 738619-97-1 CAPLUS
CN 3-Thia-2,4,7-triazaoctanoic acid, 8-oxo-8-[5-[(triphenylmethyl)thio]-4-thiazolyl]-, (4-nitrophenyl)methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



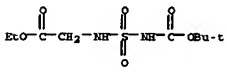
I

AB Sulfamides, such as I, were prepared for use as anticancer agents which act by modulating the activation states of abl or bcr-abl α-kinase proteins. Thus, 4-HO2CC6H4CH2NHSO2NHCOR [R = pyrrolidino], prepared from 4-MeO2CC6H4CH2NH2 and pyrrolidine, was treated with the pyrimidinylaminoaniline fragment to give I, which showed 10% inhibition of non-phosphorylated abl kinase at 10 μM.

IT 726192-92-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of sulfamides as anti-cancer agents)

RN 726192-92-3 CAPLUS

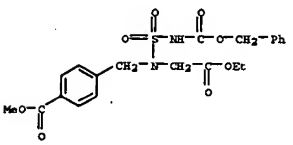
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 6-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



IT 726192-80-9P 726192-83-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfamides as anti-cancer agents)

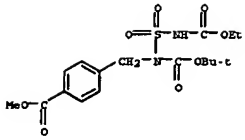
RN 726192-80-9 CAPLUS

CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 4-[[4-(methoxycarbonyl)phenyl]methyl]-6-oxo-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 726192-83-2 CAPLUS

CN 6-Oxa-3-thia-2,4-diazaoctanoic acid, 4-[[4-(methoxycarbonyl)phenyl]methyl]-7,7-dimethyl-5-oxo-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 28 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:570518 CAPLUS

DOCUMENT NUMBER: 141:123636

TITLE: Synthesis of non-symmetrical sulfamides using Burgess-type reagents

INVENTOR(S): Nicolaou, Kyriacos C.; Longbottom, Deborah; Snyder, Scott A.; Huang, Xianhai

PATENT ASSIGNEE(S): The Scripps Research Institute, USA

SOURCE: U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

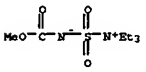
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004138448	A1	20040715	US 2003-685658		20031014
PRIORITY APPLN. INFO.:			US 2002-417936P	P	20021012
OTHER SOURCE(S):		MARPAT 141:123636			

AB A practical and high-yielding method for the efficient, one-step synthesis of diverse classes of N,N'-differentiated sulfamides employs a wide range of amino alcs. and simple amines using Burgess-type reagents. This methodol. extends the application and availability of sulfamides within the fields of chemical biol., medicinal chemical, asym. synthesis, and supramol. chemical

IT 29684-56-8 439585-15-6 721958-97-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(in the synthesis of non-sym. sulfamides using Burgess-type reagents)

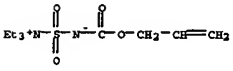
RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



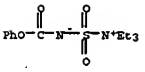
RN 439585-15-6 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[[(2-propenyloxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



RN 721958-97-0 CAPLUS

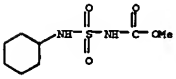
CN Ethanaminium, N,N-diethyl-N-[[(phenoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



IT 90222-26-7P 503310-56-3P 503310-59-6P
503310-60-9P 503310-63-2P 503310-64-3P
503310-67-6P 503310-68-7P 503310-69-8P
503310-78-9P 721958-76-5P 721958-77-6P
721958-80-1P 721958-81-2P 721958-82-3P
721958-83-4P 721958-84-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of non-sym. sulfamides using Burgess-type reagents)

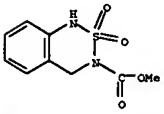
RN 90222-26-7 CAPLUS

CN Carbamic acid, [(cyclohexylamino)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



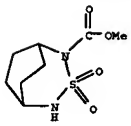
RN 503310-56-3 CAPLUS

CN 3H-2,1,3-Benzothiadiazine-3-carboxylic acid, 1,4-dihydro-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



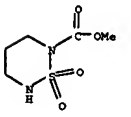
RN 503310-59-6 CAPLUS

CN 3-Thia-2,4-diazabicyclo[3.2.2]nonane-2-carboxylic acid, methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



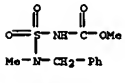
RN 503310-60-9 CAPLUS

CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, tetrahydro-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



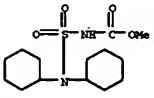
RN 503310-63-2 CAPLUS

CN Carbamic acid, [(methyl(phenylmethyl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



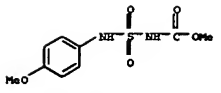
RN 503310-64-3 CAPLUS

CN Carbamic acid, [(dicyclohexylamino)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

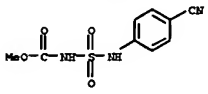


RN 503310-67-6 CAPLUS

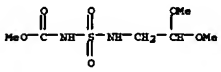
CN Carbamic acid, [[(4-methoxyphenyl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



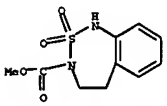
RN 503310-68-7 CAPLUS
CN Carbamic acid, [[[4-cyanophenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



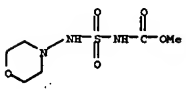
RN 503310-69-8 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 6-methoxy-, methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



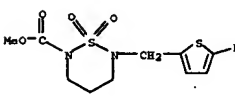
RN 503310-78-9 CAPLUS
CN 2,1,3-Benzothiadiazepine-3(1H)-carboxylic acid, 4,5-dihydro-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



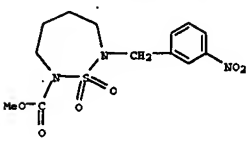
RN 721958-76-5 CAPLUS
CN Carbamic acid, [(4-morpholinylamino)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 721958-77-6 CAPLUS
CN Carbamic acid, [(3-thiazolidinylamino)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



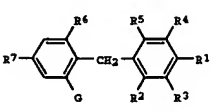
RN 721958-84-5 CAPLUS
CN 1,2,7-Thiadiazepine-2(3H)-carboxylic acid, tetrahydro-7-[(3-nitrophenyl)methyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



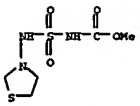
L9 ANSWER 29 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:568609 CAPLUS
DOCUMENT NUMBER: 141:117169
TITLE: Human SGLT1 inhibitors containing benzylphenyl glucopyranoside or galactopyranoside derivatives
INVENTOR(S): Yonekubo, Shigeru; Shimizu, Kazuo; Shibazaki, Toshihide; Tomas, Masaki; Isaji, Masayuki
PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 90 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004196788	A2	20040715	JP 2003-404247	20031203
PRIORITY APPLN. INFO.:			JP 2002-352251 A	20021204

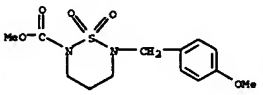
OTHER SOURCE(S): MARPAT 141:117169
GI



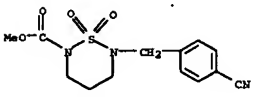
AB The invention provides human glucose-sodium cotransporter (SGLT1) inhibitors containing benzylphenol derivative represented by the following general



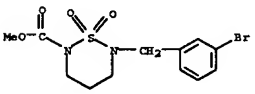
RN 721958-80-1 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, tetrahydro-6-[(4-methoxyphenyl)methyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 721958-81-2 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, 6-[(4-cyanophenyl)methyl]tetrahydro-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 721958-82-3 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, 6-[(3-bromophenyl)methyl]tetrahydro-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

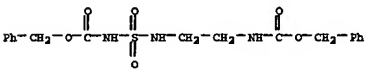


RN 721958-83-4 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, tetrahydro-6-[(5-methyl-2-thienyl)methyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

formula I [R1 = OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, hydroxy(C1-6 alkyl), etc., R2 = H, C1-6 alkyl, C1-6 alkoxy, phenoxy, phenylthio, phenylamino, halogen; R3, R4, R5 = H, C1-6 alkyl, C1-6 alkoxy, halogen; R6 = H, C1-6 alkyl; R7 = H, OH, amino, mono/di(C1-6 alkyl)amino, C1-6 alkyl, C1-6 alkoxy, hydroxy(C1-6 alkyl), carbamoyl(C1-6 alkyl); G = β-D-glucopyranosyl, β-D-galactopyranosyl] and pharmacol. acceptable salts or prodrugs thereof. A compound 5-hydroxy-3-methyl-2-[4-[(E)-2-[2-(sulfamoylamino)ethylcarbamoyl]vinyl]benzyl]phenyl β-D-glucopyranoside was prepared, and tested for its effect on human SGLT1 activity in vitro, and on blood glucose level in rats.

IT 721969-40-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of human SGLT1 inhibitors containing benzylphenyl glucopyranoside
or galactopyranoside derivs.)

RN 721969-40-0 CAPLUS
CN 3-Thia-2,4,7-triazaoctanedioic acid, bis(phenylmethyl) ester, 3,3-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 30 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:513506 CAPLUS
DOCUMENT NUMBER: 141:76732
TITLE: Tyrosine kinase inhibitors for modulation of tyrosine kinase signal transduction and therapy of tyrosine kinase-dependent diseases
INVENTOR(S): Fraley, Mark E.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052315	A2	20040624	WO 2003-US40139	20031205
WO 2004052315	A3	20041014		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2002-432445P P	20021211

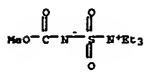
OTHER SOURCE(S): MARPAT 141:76732
AB The present invention relates to compds. which inhibit, regulate and/or modulate tyrosine kinase signal transduction, compns. which contain these compds., and methods of using them to treat tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth,

atherosclerosis, age related macular degeneration, diabetic retinopathy, macular edema, retinal ischemia, inflammatory diseases, and the like in mammals.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(tyrosine kinase inhibitors for modulation of tyrosine kinase signal transduction and therapy of tyrosine kinase-dependent diseases)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 31 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:493693 CAPLUS

DOCUMENT NUMBER: 141:54348

TITLE: Preparation of 1,2,5-thiadiazolidin-3-one 1,1-dioxide derivatives as inhibitors of protein tyrosine phosphatase 1B

INVENTOR(S): Kenny, Peter Wedderburn; Morley, Andrew David; Russell, Daniel John; Toader, Dorin

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050646	A1	20040617	WO 2003-GB5120	20031126

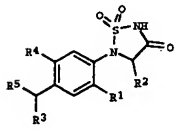
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-27813 A 20021129

OTHER SOURCE(S): MARPAT 141:54348

GI



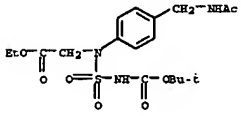
I

AB Title compds. I [wherein R1 = H, (halogeno)alkyl, (hydroxy)alkoxy, alkylamino, etc.; R2 = H, (halogeno)alkyl, halogeno, alkoxy; R3 = alkylamido or (un)substituted alkyl; R4 = H, alkyl, (hetero)aryl; R5 = H or alkyl; and pharmaceutically acceptable salts thereof] were prepared as inhibitors of protein tyrosine phosphatase 1B (PTB1B). For example, 5-[4-(acetamidomethyl)-2-methoxyphenyl]-1,2,5-thiadiazolidin-3-one 1,1-dioxide (II) was given in multi-step synthesis starting from 3-methoxy-4-nitrobenzyl alc. II showed inhibition of human PTB1B with IC50 value of 44 μM. Thus, I and their pharmaceutical compns. are useful as inhibitors of protein tyrosine phosphatase 1B for the treatment of diabetes mellitus.

IT 705256-53-7P 705256-60-6P 705256-65-1P
705256-71-9P 705256-76-4P 705256-81-1P
705256-85-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-phenyl-1,2,5-thiadiazolidin-3-one 1,1-dioxide derivs. as inhibitors of protein tyrosine phosphatase 1B)

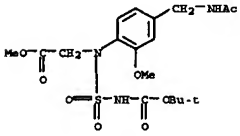
RN 705256-53-7 CAPLUS

CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 4-[4-[(acetylamino)methyl]phenyl]-6-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



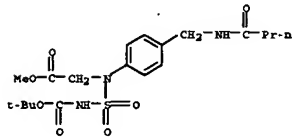
RN 705256-60-6 CAPLUS

CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 3-[4-[(acetylamino)methyl]-2-methoxyphenyl]-8,8-dimethyl-6-oxo-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



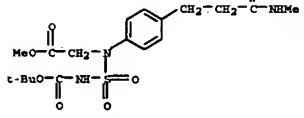
RN 705256-65-1 CAPLUS

CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-3-[4-[[(1-oxobutyl)amino]methyl]phenyl]-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



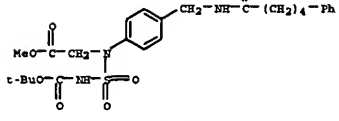
RN 705256-71-9 CAPLUS

CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-3-[4-[3-(methylamino)-3-oxopropyl]phenyl]-6-oxo-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



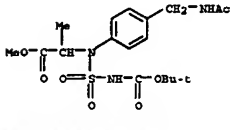
RN 705256-76-4 CAPLUS

CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-3-[4-[[(1-oxo-5-phenylpentyl)amino]methyl]phenyl]-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



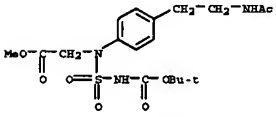
RN 705256-81-1 CAPLUS

CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 3-[4-[(acetylamino)methyl]phenyl]-2,8,8-trimethyl-6-oxo-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



RN 705256-85-5 CAPLUS

CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 3-[4-[2-(acetylamino)ethyl]phenyl]-8,8-dimethyl-6-oxo-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 32 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:467763 CAPLUS

DOCUMENT NUMBER: 141:17655

TITLE: Dendrimer conjugates for selective solubilization of protein aggregates

INVENTOR(S): Hesgaard, Peter; Boas, Ulrik

PATENT ASSIGNEE(S): Danmarks Fodevare- og Veterinaerforskning, Den.

SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004047869	A1	20040610	WO 2003-DK812	20031126

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

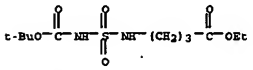
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DK 2002-1828 A 20021126

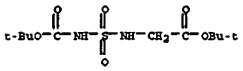
OTHER SOURCE(S): MARPAT 141:17655

AB Dendrimer conjugates are presented, which are formed between a dendrimer and a protein solubilising substance, i.e., a protein denaturant selected from ureas, thioureas, sulfonylureas, semicarbazides, hydrazides, thiosemicarbazides, guanidines and chaotropes. Such dendrimer conjugates are effective in the treatment of protein aggregate-related diseases (e.g., prion-related diseases). The protein solubilizing substance and the dendrimer together show a protein aggregate solubilising effect higher than a phys. mixture of the dendrimer and the protein solubilising substance (i.e., a synergistic effect). Such dendrimer conjugates are useful in the treatment or prevention of protein aggregate-relates diseases, in disinfection/decontamination processes and in classifying or identifying protein aggregates. The synthesis of such dendrimer conjugates from readily-available starting materials is described. For example, hamster's brain homogenates containing susceptible prion protein aggregates and treated by dendrimer conjugates were dramatically more susceptible to proteinase K degradation than non-treated homogenates. A typical EC50 (50% efficient concentration) for the conjugated dendrimers towards susceptible prion protein aggregates was 50 pg/mL or below.

IT 700836-65-3DP, dendrimer conjugates 700836-66-4DP, dendrimer conjugates
RL: DGN (Diagnostic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dendrimer conjugates with protein solubilising agents for diagnosis and treatment of protein aggregates-related diseases)
RN 700836-65-3 CAPLUS
CN 9-Oxa-3-thia-2,4-diazaundecanoic acid, 8-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 700836-66-4 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 8,8-dimethyl-6-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 33 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:467697 CAPLUS
DOCUMENT NUMBER: 141:38623
TITLE: A preparation of fused bicyclic nitrogen-containing heterocycles, useful in the treatment or prevention of metabolic and cell proliferative diseases
INVENTOR(S): Fox, Brian M.; Furukawa, Noboru; Hao, Xiaolin; Iio, Kiyosei; Inaba, Takashi; Jackson, Simon M.; Kayser, Frank; Labelle, Marc; Li, Exxue; Matsui, Takuya; McMinn, Dustin L.; Ogawa, Nobuya; Rubenstein, Steven M.; Sagawa, Shoichi; Sugimoto, Kazuyuki; Suzuki, Masahiro; Tanaka, Masahiro; Ye, Guosen; Yoshida, Atsuhito; Zhang, Jian
PATENT ASSIGNEE(S): Tularik Inc., USA; Japan Tobacco, Inc.
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

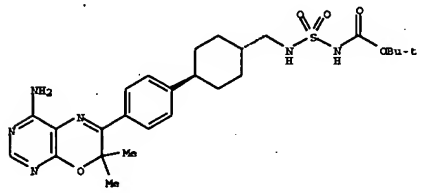
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004047755	A2	20040610	WO 2003-US37574	20031121
WO 2004047755	A3	20041125		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2004209871 A1 20041021 US 2003-720844 20031121
PRIORITY APPLN. INFO.: US 2002-428600P P 20021122
OTHER SOURCE(S): MARPAT 141:38623
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to fused bicyclic nitrogen-containing heterocycles of formula I [wherein: X is C(R6) or N; Y is C(R6)1-2, N(R6)0-1; Z is O or S; W1 and W2 are independently selected from (un)substituted (hetero)cycloalkyl or (hetero)aryl; L1 and L2 are independently selected from bond, alkylene, or alkenylene, etc.; R1, R2, R3, and R4 are independently selected from H, alk(en/yn)yl, CHO, or C(O)-alkyl, etc.; R3 and R4 may be combined with the nitrogen to form a 5-, 6-, or 7-membered ring; R5 is H, (halo)alkyl, alk(en/yn)yl, OH, or alkoxy, etc.; R6 is H, alk(en/yn)yl, fluoroalkyl, or aryl, etc.], useful in the treatment or prevention of metabolic and cell proliferative diseases. The invention provides compds. which modulate the activity of proteins involved in lipid metabolism and cell proliferation. For instance, pyrimidine derivative II (hDGAT1 IC50 < 0.01 μM) was prepared via heterocyclization of 4,5-diamino-6-hydroxypyrimidine and bromoketone III (example 2, no yield data).
IT 701234-57-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused bicyclic nitrogen-containing heterocycles, useful in the treatment or prevention of metabolic and cell proliferative diseases)
RN 701234-57-3 CAPLUS
CN Carbamic acid, [[[[trans-4-[4-(4-amino-7,7-dimethyl-7H-pyrimido[4,5-b][1,4]oxazin-6-yl)phenyl]cyclohexyl]methyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

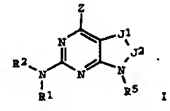
Relative stereochemistry.



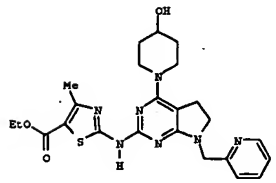
L9 ANSWER 34 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:430699 CAPLUS
DOCUMENT NUMBER: 141:7128
TITLE: Preparation of fused heterocycles, in particular fused pyrimidines, for use in treatment of leukocyte activation-associated disorders
INVENTOR(S): Barbosa, Joseph; Pitts, William J.; Guo, Junqing
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043367	A2	20040527	WO 2003-US35321	20031106
WO 2004043367	A3	20041014		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2004142945 A1 20040722 US 2003-702295 20031106
PRIORITY APPLN. INFO.: US 2002-424250P P 20021106
OTHER SOURCE(S): MARPAT 141:7128
GI

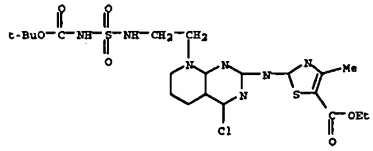


I



II

AB The title compds. [I; R1 = H, alkyl; R2 = (un)substituted heteroaryl, heterocycle, aryl, aryl fused to heteroaryl or heterocycle with provisо; R5 = H, CN, (un)substituted alk(en/yn)yl , cycloalkyl, heterocyclyl, CO2H and derivs., etc.; Z = NH2 and derivs., OH and derivs., SH and derivs., haloalkyl, halo; J1 = O, S, SO, SO2, (un)substituted C1-3 alkylene; J2 = CO, (un)substituted C1-3 alkylene; provided that J1 and J2 taken together are not > C4; their enantiomers, diastereomers, and pharmaceutically acceptable salts, prodrugs, and solvates] were prepared as inhibitors of T-cell proliferation for treating leukocyte activation-associated disorders. E.g., a multi-step synthesis of II is given. Pharmaceutical composition comprising the compound I is claimed.
IT 695182-34-4P, 2-[[8-[2-[(tert-Butoxycarbonylsulfamoyl)amino]ethyl]-4-chloro-5,6,7,8-tetrahydropyrido[2,3-d]pyrimidin-2-yl]amino]-4-methylthiazole-5-carboxylic acid ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of fused heterocycles, in particular fused pyrimidines, for use in treatment of leukocyte activation-associated disorders)
RN 695182-34-4 CAPLUS
CN 5-Thiazolecarboxylic acid, 2-[[4-chloro-8-(8,8-dimethyl-4,4-dioxido-6-oxo-7-oxa-4-thia-3,5-diazanon-1-yl)-5,6,7,8-tetrahydropyrido[2,3-d]pyrimidin-2-yl]amino]-4-methyl-, ethyl ester (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L9 ANSWER 35 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:412943 CAPLUS
DOCUMENT NUMBER: 140:423711
TITLE: Preparation of 1,1-dioxido-4H-1,2,4-benzothiadiazines as hepatitis C polymerase inhibitors and anti-infective agents
INVENTOR(S): Pratt, John K.; Betebenner, David A.; Donner, Pamela L.; Green, Brian E.; Kempf, Dale J.; McDaniel, Keith F.; Maring, Clarence J.; Stoll, Vincent S.; Zhang, Rong
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 514 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041818	A1	20040521	WO 2003-US34707	20031031
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,				

TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

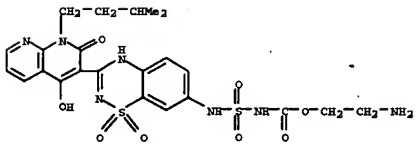
US 2004097492	A1	20040520	US 2002-285714		20021101
US 2004087577	A1	20040506	US 2003-410853		20030410
US 2004162285	A1	20040819	US 2003-625121		20030723
US 2005075331	A1	20050407	US 2003-679881		20031006
PRIORITY APPLN. INFO.:			US 2002-285714	A	20021101
			US 2003-410853	A	20030410
			US 2003-625121	A	20030723
			US 2003-679881	A	20031006

OTHER SOURCE(S): MARPAT 140:423711
GI

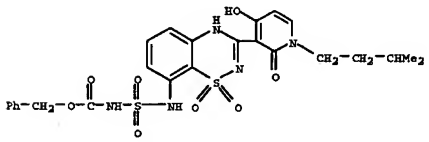
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = monocyclic or bicyclic ring selected from hetero/aryl, cycloalkyl, cycloalkenyl, heterocyclyl; R1 = H, (un)substituted cycloalkyl/cyclo/alkenyl, alkoxycarbonyl/alkoxy/aryl/arylsulfonyl/arylsulfanyl/carboxy/cyano/heteroaryl/alkyl, heterocyclyl, etc.; R2, R3 = independently H, cyano, halo, (un)substituted alkenyl, alkoxycarbonyl, alkyl, heteroaryl, etc.; CR2R3C = 5- or 6-membered ring selected from Ph, pyridinyl, pyrimidinyl, pyridazinyl, thienyl, furanyl, pyrazolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, triazolyl, thiadiazolyl, tetrazolyl, cyclopentyl, and cyclohexyl; R4 = OH and derivs., halo, NH2 and derivs., etc.; R5 = independently CN, NO2, (un)substituted alk(en/yn)yl, hetero/aryl, arylsulfonyl, heterocyclyl etc.; n = 0-4; their pharmaceutically acceptable salts, stereoisomers, or tautomers] were prepared as hepatitis C (HCV) polymerase inhibitors for treating related infections. Thus II was prepared by alkylation of III (preparation given) with tris(methylthio)methyl Me sulfate in AcOH, cyclization with 2-amino-4-[(4-methoxymethoxy)methyl]thiphene-3-sulfonamide, deprotection, condensation with cyclopropanecarboxaldehyde, reduction with LiBH4. I inhibited HCV polymerase with IC50's in the range of 0.002 μM to 500 μM. I inhibited RNA replication with EC50 in the range of 0.002 μM to > 100 μM. I exhibited a cytopathic effect reduction with TC50's in the range of 5.6 μM to > 100 μM.

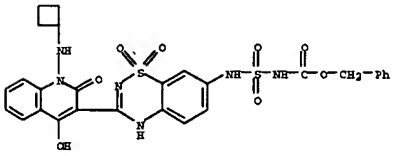
IT **691361-96-3P**, Benzyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide **691361-99-6P**, Methyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide triethylamine salt **691362-03-5P**, 2-Aminoethyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide trifluoroacetic acid salt **691362-20-6P** **691362-31-9P** **691362-46-6P**, Methyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide **691362-47-7P**, Allyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide **691362-49-9P**, 2-Cyanoethyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide **691362-50-2P**, 2-(Trimethylsilyl)ethyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide **691362-56-8P**, 2-Aminoethyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anti-infective agent; preparation of 1,1-dioxidobenzothiadiazines as hepatitis C polymerase inhibitors and anti-infective agents)



RN 691362-20-6 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-pyridinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-8-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



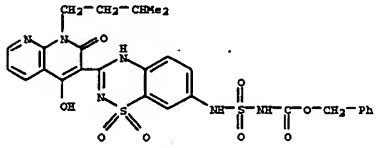
RN 691362-31-9 CAPLUS
CN Carbamic acid, [[[3-[1-(cyclobutylamino)-1,2-dihydro-4-hydroxy-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 691362-46-6 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

benzothiadiazin-7-yl]-1,3,2-diazathiane-1-carboxylate 2,2-dioxide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anti-infective agent; preparation of 1,1-dioxidobenzothiadiazines as hepatitis C polymerase inhibitors and anti-infective agents)

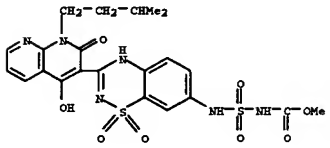
RN 691361-96-3 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-1,8-naphthyridin-3-yl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 691361-99-6 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-1,8-naphthyridin-3-yl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, methyl ester, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

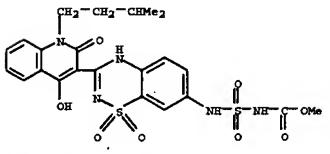
CRN 691361-98-5
CMF C22 H24 N6 O8 S2



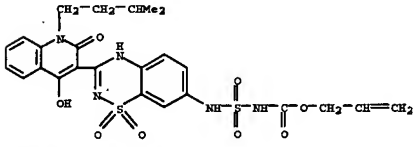
CM 2

CRN 121-44-8
CMF C6 H15 N

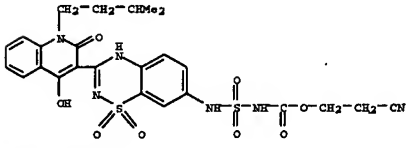
RN 691362-03-5 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-1,8-naphthyridin-3-yl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-aminoethyl ester (9CI) (CA INDEX NAME)



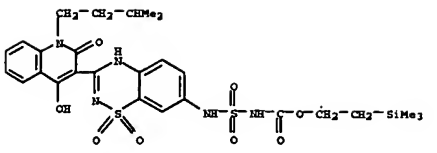
RN 691362-47-7 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)



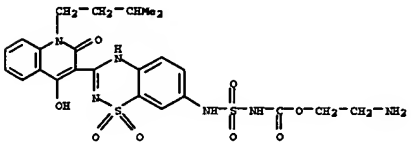
RN 691362-49-9 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)



RN 691362-50-2 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

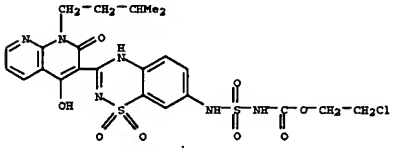


RN 691362-56-0 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-3-quinolinyl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-aminoethyl ester (9CI) (CA INDEX NAME)

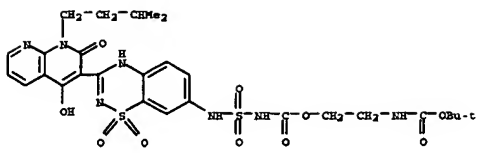


IT 691361-93-0P, 2-Chloroethyl [[3-[4-hydroxy-1-(3-methylbutyl)-2-oxo-1,2-dihydro-1,8-naphthyridin-3-yl]-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]amino]sulfonylcarbamate 691362-02-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 1,1-dioxidobenzothiadiazines as hepatitis C polymerase inhibitors and anti-infective agents)

RN 691361-93-0 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-1,8-naphthyridin-3-yl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



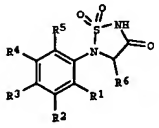
RN 691362-02-4 CAPLUS
CN Carbamic acid, [[[3-[1,2-dihydro-4-hydroxy-1-(3-methylbutyl)-2-oxo-1,8-naphthyridin-3-yl]-1,1-dioxido-2H-1,2,4-benzothiadiazin-7-yl]amino]sulfonyl]-, 2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 36 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:413929 CAPLUS
DOCUMENT NUMBER: 140:423678
TITLE: Preparation of 5-(substituted phenyl)thiadiazolidin-3-ones as inhibitors of protein tyrosine phosphatase 1B
INVENTOR(S): Birch, Alan Martin; Kenny, Peter Wedderburn; Morley, Andrew David; Russell, Daniel John; Toader, Dorin
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041799	A1	20040521	WO 2003-GB4721	20031103
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2002-25986	A 20021107

OTHER SOURCE(S): MARPAT 140:423678
GI

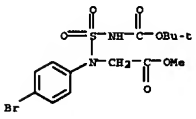


I

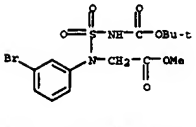
AB The title compds. (I) or pharmaceutically acceptable salts thereof [R1 = H, halo, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, halo-C1-6 alkylthio, hydroxy-C1-6 alkoxy, dihydroxy-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, aryloxy, aryl-C1-6 alkoxy, aryloxy-C1-6 alkoxy, heteroaryl-C1-6 alkoxy, heteroaryloxy, heteroaryloxy-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkylthio, C1-6 alkylthio-C1-6 alkoxy, C1-6 alkylsulfinyl-C1-6 alkoxy, C1-6 alkylsulfonyl-C1-6 alkoxy, aryl-C1-6 alkylthio, aryloxy-C1-6 alkylthio, etc.; R2 = H, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo; or R1 and R2 together with the carbon atoms to which they are attached form a 5-7 membered carbocyclic or heterocyclic ring; R3 and R4 are selected such that (i) R3 = hydrogen, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio or halo and R4 = aryl, biaryl, heteroaryl, C2-6 alkynyl, C3-7 cycloalkyl, arylcarbonyl, heteroarylcarbonyl, aryl-C2-6 alkenyl, aryl-C2-6 alkynyl or heteroaryl-C2-6 alkenyl; or (ii) R4 = H, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, or halo and R3 = aryl, biaryl, heteroaryl, C2-6 alkynyl, C3-7 cycloalkyl, arylcarbonyl, heteroarylcarbonyl, aryl-C2-6 alkenyl, aryl-C2-6 alkynyl or heteroaryl-C2-6 alkenyl; R5 = H, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo; R6 = H, C1-6 alkyl; wherein any aryl, biaryl or heteroaryl group is optionally substituted] are prepared These compds. are useful as inhibitors of protein tyrosine phosphatase PTP1B for the treatment of diabetes mellitus. Thus, 4-tolylboronic acid was coupled with 5-(4-bromophenyl)-1,2,5-thiadiazolidin-3-one in the presence of tetrakis(triphenylphosphine)palladium(0) [Pd(PPh3)4] and cesium carbonate in a mixture of DMF, DME, EtOH, and H2O at 170° for 600 s to give 5-(4'-Methyl-1,1'-biphenyl-4-yl)-1,2,5-thiadiazolidin-3-one 1,1-dioxide.

IT 692765-77-8P 692765-78-9P 692765-82-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylthiadiazolidinones as inhibitors of protein tyrosine phosphatase 1B (PTP1B) for treatment of diabetes mellitus)

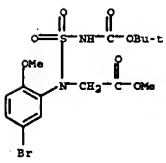
RN 692765-77-8 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 3-(4-bromophenyl)-8,8-dimethyl-6-oxo-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



RN 692765-78-9 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 3-(3-bromophenyl)-8,8-dimethyl-6-oxo-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



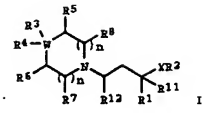
RN 692765-82-5 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 3-(5-bromo-2-methoxyphenyl)-8,8-dimethyl-6-oxo-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



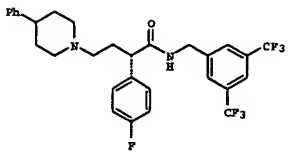
L9 ANSWER 37 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:412814 CAPLUS
DOCUMENT NUMBER: 140:423589
TITLE: Preparation of piperidinylbutyramides and related compounds as modulators of CCR-2 chemokine receptor activity
INVENTOR(S): Butora, Gabor; Pasternak, Alexander; Yang, Lihu; Zhou, Changyou
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 239 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041279	A1	20040521	WO 2003-US34009	20031024
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2002-422268P	P 20021030

OTHER SOURCE(S): MARPAT 140:423589
GI



I



II

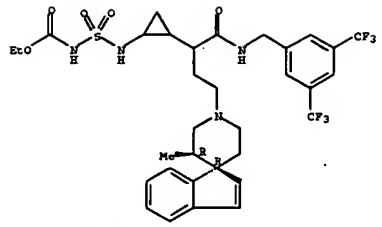
AB Title compds. [I; W = C, N, O; X = NR10, O, CH2O, CONR10, CO2, etc.; R10 = H, (substituted) alkyl, Ph, PhCH2, alkyl, cycloalkyl; R1 = H, (substituted) alkyl-Y-Ph, alkyl-Y-heterocyclyl, etc.; Y = bond, O, S, SO, SO2, NR10; R2 = (substituted) alkylphenyl, alkylheterocyclyl; R3 = H, (substituted) alkylphenyl, alkylheterocyclyl, CF3, cycloalkyl, etc.; R4 = H, OH, alkyl, alkoxy, cyano, etc.; R3R4 = atoms to form (substituted) indene, benzofuran, isobenzofuran, benzothiofuran, isobenzofuran rings; R5-R8 = H, OH, alkyl, alkoxy, O, halo, CF3, CO2R9, etc.; R9 = H, (substituted) alkyl, cycloalkyl, Ph, PhCH2; R3R5, R4R6, R5R6, R7R8 = atoms to form (substituted) rings; R11 = H, halo, alkyl, OH, alkoxy, NR9R10, etc.; R12 = H, alkyl, CO2R9; n = 0-3], were prepared Thus, title compound (II) was prepared by reaction of 4-phenylpiperidine with the corresponding aldehyde in the presence of Na(OAc)3BH. I bound to CCR-2 receptor with IC50 ≤1 μM.

IT 691888-57-0P 691888-86-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(reparation of piperidinylbutyramides and related compds. as modulators of CCR-2 chemokine receptor activity)

RN 691888-57-0 CAPLUS

CN Carbamic acid, [[[2-[1-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]propyl]cyclopropyl]methyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

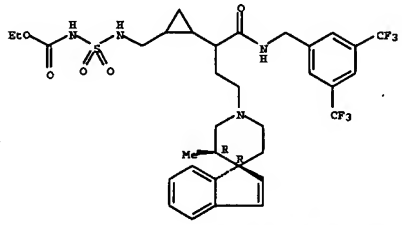
Absolute stereochemistry.



RN 691888-86-5 CAPLUS

CN Carbamic acid, [[[2-[1-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]propyl]cyclopropyl]methyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 38 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:392321 CAPLUS

DOCUMENT NUMBER: 140:406826

TITLE: Preparation of N-benzylpiperazine derivatives as chemokine receptor CCR1 antagonists useful as immunomodulatory agents

INVENTOR(S): Blumberg, Laura C.; Brown, Matthew F.; Gaweco, Anderson S.; Gladue, Ronald P.; Hayward, Matthew M.; Lundquist, Gregory D.; Poss, Christopher S.; Shavnya, Andrei

PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 58 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

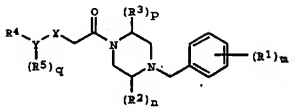
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004092529	A1	20040513	US 2003-686993		20031016
PRIORITY APPLN. INFO.:			US 2002-422590P	P	20021030
OTHER SOURCE(S):	MARPAT 140:406826				

GI



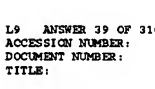
I

AB The present invention relates to compds. of the formula (I) and the pharmaceutically acceptable forms thereof [m = 0-5; n, p = 0-2; q = 0-4; X = O, S, CH2, (un)substituted NH; Y = C6-10 aryl, C2-9 heteroaryl; R1 = H, HO, halo, C1-8 alkyl, C1-8 alkoxy, HO-C1-8 alkyl, cyano, NH2, H2N-C1-8 alkyl, CO2H, C1-8 alkyl-CO, C1-8 alkyl-CO-C1-8 alkyl, CONH2, or H2NCO-C1-8 alkyl; R2, R3 = H, oxo, C1-8 alkyl, C3-8 cycloalkyl-C1-8 alkyl, C6-10 aryl, C6-10 aryl-C1-8 alkyl, HO-C1-8 alkyl, C1-8 alkyl-O-C1-8 alkyl, H2N-C1-8 alkyl, C1-8 alkyl-NH-C1-8 alkyl, (C1-8 alkyl)2N-C1-8 alkyl, C2-9 heterocyclyl-C1-8 alkyl, C3-8 cycloalkyl-NH-C1-8 alkyl, C1-8 alkyl-CO-NH-C1-8 alkyl-O-CO-NH-C1-8 alkyl, H2NCO-NH-C1-8 alkyl, C1-8 alkyl-SO2NH-C1-8 alkyl, C2-9 heteroaryl-C1-8 alkyl, H2NCO, H2NCO-C1-8 alkyl; R4 = (HO2C)(H2N)-C1-8 alkyl, (HO2C)[(C1-8 alkyl)NH]-C1-8 alkyl, (HO2C)[(C1-8 alkyl)2N]-C1-8 alkyl, (HO2C-C1-8 alkyl)(C1-8 alkyl)N, (HO2C-C1-8 alkyl)(C1-8 alkyl)N-C1-8 alkyl, (HO2C-C1-8 alkyl)(C1-8 alkyl-SO2)N, (HO2C-C1-8 alkyl)(C1-8 alkyl-SO2)N-C1-8 alkyl, (HO2C-C1-8 alkyl)(C1-8 alkyl-CO)N, etc.; R5 = H, HO, halo, cyano, CO2H, H2N, C1-8 alkyl-NH, (C1-8 alkyl)2N, C1-8 alkyl, C1-8 alkyl-O, HO-C1-8 alkyl, C1-8 alkyl-NH-C1-8 alkyl, (C1-8 alkyl)2N-C1-8 alkyl, etc.]. Moreover, the present invention is also directed at pharmaceutical compns. comprising the compound I and a pharmaceutically acceptable carrier. Furthermore, the present invention is directed at methods of using the herein described compds. and compns. for treating or preventing a disorder or condition that can be treated or prevented by antagonizing the CCR1 receptor in a mammal. Particularly, disclosed is a method of treating or preventing a disorder or condition selected from the group consisting of fibrosis, Alzheimer's disease, conditions associated with leptin production, sequelae associated with cancer, cancer metastasis, diseases or conditions related to production of cytokines at inflammatory sites, and tissue damage caused by inflammation induced by infectious agents, wherein the method comprises administering to a mammal in need of such treatment or prevention a pharmaceutically effective amount of the compound I or a pharmaceutically acceptable form thereof. The compds. I are potent and selective inhibitors of MIP-1 α (CCL3) binding to its receptor CCR1 found on inflammatory and immunomodulatory cells (preferably leukocytes and lymphocytes). {2-[3-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]-5-methylphenoxy}acetic acid was condensed with methanesulfonamide in CH2Cl2 at room temperature for 10 h using 4-dimethylaminopyridine and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride to give N-{[2-[3-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxo-propyl]-5-methylphenoxy]acetyl}methanesulfonamide. All the compds. I inhibited MIP-1 α (and the related chemokines shown to interact with CCR1) induced chemotaxis of THP-1 cells and human leukocytes with IC50 of <10 μM.

IT 148017-28-1, tert-Butoxycarbonylsulfamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of N-benzylpiperazine derivs. as chemokine receptor CCR1 antagonists useful as immunomodulatory agents)

RN 148017-28-1 CAPLUS

CN Carbamic acid, (aminosulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 39 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:387265 CAPLUS

DOCUMENT NUMBER: 140:391297

TITLE: Preparation of piperazine derivatives as CCR1 antagonists

INVENTOR(S): Blumberg, Laura Cook; Brown, Matthew Frank; Gaweco, Anderson See; Gladue, Ronald Paul; Hayward, Matthew Merrill; Lundquist, Gregory Dean; Poss, Christopher Stanley; Shavnya, Andre

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

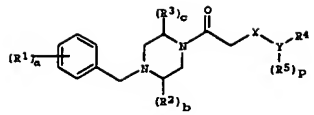
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

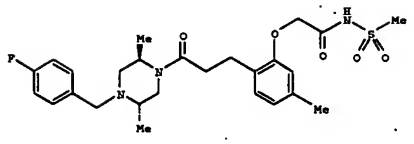
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004039376	A1	20040513	WO 2003-IB4612		20031020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2498261	AA	20040513	CA 2003-2498261		20031020
PRIORITY APPLN. INFO.:			US 2002-422590P	P	20021030
			WO 2003-IB4612	W	20031020
OTHER SOURCE(S):	MARPAT 140:391297				

GI



I



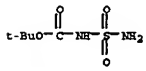
II

AB Title compds. I [a = 0-5; b,c = 0-2; p = 0-4; X = O, S, CH2, (un)substituted amino; Y = (hetero)aryl; R1 = H, OH, halo, alkyl, alkoxy, etc.; R2-3 = H, oxo, (cyclo)alkyl, aryl, etc.; R4 = alkyl, etc.; R5 = H, OH, halo, CN, etc.] are prepared. For instance, (2R,5S)-1-(4-fluorobenzyl)-2,5-dimethylpiperazine (preparation given) is reacted with 7-methylchroman-2-one (PhMe, reflux 48 h), the resulting propanone treated with bromoacetic acid Me ester (THF, NaH) and the ester saponified to give II. All example compds. have IC50 < 10 μM in the chemotaxis assay. I are useful for treating or preventing a disorder or condition that can be treated or prevented by antagonizing the CCR1 receptor in a mammal.

IT 148017-28-1, N-(tert-Butoxycarbonyl)sulfamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted N-acylpiperazine derivs. as CCR1 antagonists)

RN 148017-28-1 CAPLUS

CN Carbamic acid, (aminosulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

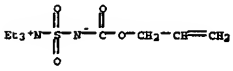


IT 688031-97-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted N-acylpiperazine derivs. as CCR1 antagonists)

RN 688031-97-2 CAPLUS

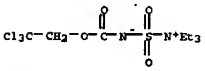
CN Carbamic acid, [[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439585-17-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[[(2,2,2-trichloroethoxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 41 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:344186 CAPLUS

DOCUMENT NUMBER: 141:49497

TITLE: Potential Protease Inhibitors Based on a Functionalized Cyclic Sulfamide Scaffold

AUTHOR(S): Zhong, Jiaying; Gan, Xiangdong; Alliston, Kevin R.; Lai, Zhong; Yu, Hongyi; Groutas, Christopher S.; Wong, Tzutshin; Groutas, William C.

CORPORATE SOURCE: Department of Chemistry, Wichita State University, Wichita, KS, 67260, USA

SOURCE: Journal of Combinatorial Chemistry (2004), 6(4), 556-563
CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

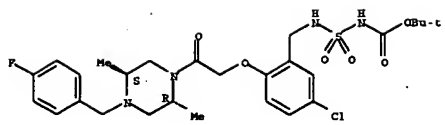
OTHER SOURCE(S): CASREACT 141:49497

AB Exploratory studies related to the design and synthesis of functionalized cyclic sulfamides (I) as potential inhibitors of proteolytic enzymes were carried out. The structural motif and three diversity sites embodied in the scaffold render it amenable to combinatorial parallel synthesis and the facile generation of lead discovery prospecting libraries. The scaffold was readily assembled starting with (DL) serine Me ester, and a series of compds. was generated and screened against human leukocyte elastase. Modification of the P1 recognition element, believed to be accommodated at the primary specificity site (S1 subsite) of the enzyme, yielded compds. that inhibited the enzyme by an apparent hyperbolic partial mixed-type inhibition.

IT 409108-06-1P 705964-09-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(potential protease inhibitors based on functionalized cyclic sulfamide scaffold)

RN 409108-06-1 CAPLUS

CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 2-(hydroxymethyl)-8,8-dimethyl-6-oxo-3-(phenylmethyl)-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 40 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:347233 CAPLUS

DOCUMENT NUMBER: 141:54549

TITLE: A New Method for the Stereoselective Synthesis of α- and β-Glycosylamines Using the Burgess Reagent

AUTHOR(S): Nicolaou, K. C.; Snyder, Scott A.; Nalbandian, Annie Z.; Longbottom, Deborah A.

CORPORATE SOURCE: Department of Chemistry, The Scripps Research Institute, The Skaggs Institute for Chemical Biology, La Jolla, CA, 92037, USA

SOURCE: Journal of the American Chemical Society (2004), 126(20), 6234-6235
CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

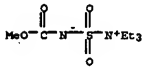
OTHER SOURCE(S): CASREACT 141:54549

AB Although glycosylamines constitute an important group of carbohydrates from the standpoint of biol. and medicine, methods for their synthesis typically lack substrate generality and/or result in variable stereoselectivity, especially in complex contexts. In this communication, the authors report an operationally simple method for the synthesis of both α- and β-glycosylamines using the Burgess reagent that overcomes many of these limitations in a bare min. of synthetic steps.

IT 29684-56-8P 439585-15-6P 439585-17-8P
RL: RGT (Reagent); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective synthesis of α- and β-glycosylamines using Burgess reagent)

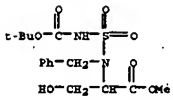
RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



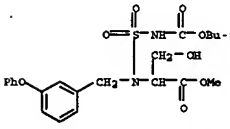
RN 439585-15-6 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[[(2-propenyloxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



RN 705964-09-6 CAPLUS

CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 2-(hydroxymethyl)-8,8-dimethyl-6-oxo-3-[(3-phenoxyphenyl)methyl]-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 42 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:307000 CAPLUS

DOCUMENT NUMBER: 141:102054

TITLE: Sulfamide derivatives as transition state analogue inhibitors for carboxypeptidase A

AUTHOR(S): Park, Jung Dae; Kim, Dong H.

CORPORATE SOURCE: Center for Integrated Molecular System and Division of Molecular and Life Sciences, Pohang University of Science and Technology, Pohang, 790-784, S. Korea

SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(9), 2349-2356
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:102054

AB 3-Phenyl-2-sulfamoyloxypropionic acid, 2-benzyl-3-sulfamoylpropionic acid, and N-(N-hydroxysulfamoyl)phenylalanine have been synthesized and evaluated as inhibitors for carboxypeptidase A (CPA) to find that they inhibit the enzyme competitively with the Ki values in the μM range, suggesting that their binding modes to CPA are analogous to each other, and resemble the binding mode of N-sulfamoylphenylalanine that has been established by the x-ray crystallog. method to form a complex with CPA in a manner reminiscent of the binding of a transition state in the catalytic pathway. It was concluded thus that they are a new type of transition state analog inhibitors for CPA. (R)-N-Hydroxy-N-sulfamoyl- β-phenylalanine was shown to be also a potent CPA inhibitor (Ki=39 μM), the high potency of which may be ascribed to the involvement of the hydroxyl in the binding of CPA, most likely forming bidentate coordinative bonds to the zinc ion in CPA together with the sulfamoyl oxygen atom.

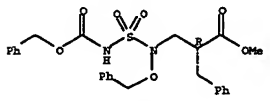
IT 478182-58-0P 478404-14-7P 719296-35-2P 719296-36-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(phenylalanine sulfamide derivs. as transition state analog inhibitors for carboxypeptidase A)

RN 478182-58-0 CAPLUS

CN 8-Oxa-3-thia-2,4-diazanonanoic acid, 7-oxo-4-(phenylmethoxy)-6-(phenylmethyl)-, phenylmethyl ester, 3,3-dioxide, (6R)- (9CI) (CA INDEX NAME)

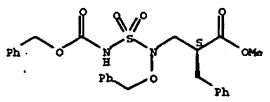
Absolute stereochemistry.



RN 478404-14-7 CAPLUS

CN 8-Oxa-3-thia-2,4-diazanonanoic acid, 7-oxo-4-(phenylmethoxy)-6-(phenylmethyl)-, phenylmethyl ester, 3,3-dioxide, (6S)- (9CI) (CA INDEX NAME)

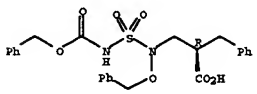
Absolute stereochemistry.



RN 719296-35-2 CAPLUS

CN 2-Oxa-5-thia-4,6-diazanonan-9-oic acid, 3-oxo-1-phenyl-6-(phenylmethoxy)-8-(phenylmethyl)-, 5,5-dioxide, (8R)- (9CI) (CA INDEX NAME)

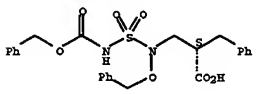
Absolute stereochemistry.



RN 719296-36-3 CAPLUS

CN 2-Oxa-5-thia-4,6-diazanonan-9-oic acid, 3-oxo-1-phenyl-6-(phenylmethoxy)-8-(phenylmethyl)-, 5,5-dioxide, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 43 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:252486 CAPLUS

DOCUMENT NUMBER: 140:287278

TITLE: Preparation of quinoline and naphthyridine derivatives as HIV integrase inhibitors

INVENTOR(S): Murai, Hitoshi; Endo, Takeshi; Kurose, Noriyuki; Taishi, Teruhiko; Yoshida, Hiroshi

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 396 pp.
CODEN: PIXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

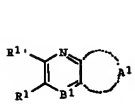
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024693	A1	20040325	WO 2003-JP10212	20030811
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1541558	A1	20050615	EP 2003-795216	20030811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: JP 2002-235582 A 20020813
JP 2002-245772 A 20020826
JP 2003-121726 A 20030425
JP 2003-270863 A 20030704
WO 2003-JP10212 W 20030811

OTHER SOURCE(S): MARPAT 140:287278

GI



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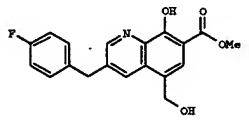


II

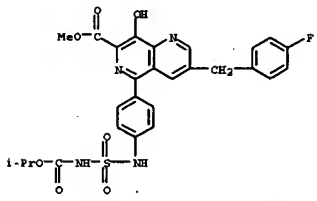
AB The title compds. I (wherein B1 = N or (un)substituted CH; R1 = H, (un)substituted alkyl, alkenyl, etc.; R1' = H, halo, NO2, OH, CO2H, (un)substituted alkoxycarbonyl, alkyl, alkoxy, etc.; A1 = (un)substituted -CH=CH-CH=CH-, -CH=CH-CH=N-, -CH=CH-N=CH-, -CH=CH-O-CH2-, -CH=CH-CH2-O-, or -CH=CH-O-] or prodrugs, solvates, or pharmaceutically acceptable salts thereof are prepared as HIV integrase inhibitors. For example, the compound II was prepared in a multi-step synthesis. II showed inhibitory activity with IC50 of 0.071 μg/mL against integrase. Formulations containing I as an active ingredient were also described.

IT 675614-14-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline and naphthyridine derivs. as HIV integrase inhibitors)

RN 675614-14-9 CAPLUS

CN 1,6-Naphthyridine-7-carboxylic acid, 3-[(4-fluorophenyl)methyl]-8-hydroxy-5-[4-[[[[(1-methylethoxy)carbonyl]amino]sulfonyl]amino]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 44 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:189028 CAPLUS

DOCUMENT NUMBER: 140:338957

TITLE: Practical One-Pot Synthesis of N-(tert-Butoxycarbonyl)sulfamide from Chlorosulfonyl Isocyanate via N-(tert-Butoxycarbonyl)aminosulfonylpyridinium Salt

AUTHOR(S): Masui, Toshiaki; Kabaki, Mikio; Watanabe, Hideaki; Kobayashi, Tatsuya; Masui, Yoshiyuki

CORPORATE SOURCE: Bulk Chemicals Process RD Department, Manufacturing Technology RD Laboratories, Shionogi Co. Ltd., Amagasaki, Hyogo, 660-0813, Japan

SOURCE: Organic Process Research & Development (2004), 8(3), 408-410
CODEN: OPRDFK; ISSN: 1083-6160

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:338957

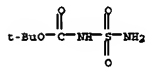
AB An efficient and practical process for the one-pot synthesis of N-(tert-butoxycarbonyl)sulfamide, a raw material for the synthesis of the novel carbepenem antibiotic doripenem hydrate (S-4661), is described. In the previous process, chlorosulfonyl isocyanate was converted to active N-(tert-butoxycarbonyl)aminosulfonyl chloride, an extremely unstable intermediate against moisture, followed by treatment with liquid ammonia at cryogenic temps. to afford the aforementioned sulfonamide in 90% isolated yield. The use of liquid ammonia required cryogenic reaction temps. because of much heat generated from the highly exothermic reaction and the low b.p. of ammonia. In the improved process, N-(tert-butoxycarbonyl)aminosulfonyl chloride was deactivated by the addition of pyridine at 0 °C to produce water-resistant N-(tert-butoxycarbonyl)aminosulfonyl-pyridinium salt, which was further converted in situ to N-(tert-butoxycarbonyl)sulfamide in the presence of aqueous ammonia at 0 °C in 90-96% isolated yields. Neither liquid ammonia nor cryogenic temps. are necessary for this new one-pot process.

IT 148017-28-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(practical one-pot synthesis of butoxycarbonylsulfamide from chlorosulfonyl isocyanate)

RN 148017-28-1 CAPLUS

CN Carbamic acid, (aminosulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 45 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:166427 CAPLUS

DOCUMENT NUMBER: 140:357306

TITLE: One-pot ring-closing metathesis-alkene cross metathesis reactions of sulfamide-linked enynes

AUTHOR(S): Salim, Sofia S.; Bellingham, Richard K.; Brown, Richard C. D.

CORPORATE SOURCE: Department of Chemistry, University of Southampton, Southampton, SO17 1BJ, UK

SOURCE: European Journal of Organic Chemistry (2004), (4), 800-806
CODEN: EJOCFK; ISSN: 1434-193X

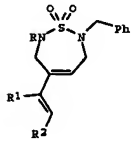
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:357306

GI



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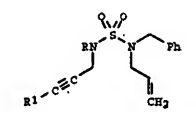


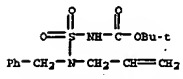
II

AB (ethenyl)thiadiazepinediones I (R = Me, PhCH2, Boc; R1 = H, Me; R2 = H, Ph, MeO2C; Boc = tert-butoxycarbonyl) are prepared in up to 83% yields by ring-closing enyne metathesis and ring-closing enyne cross metathesis reactions of the sulfamide-derived enynes II (R = Me, PhCH2, Boc; R1 = H, Me) either alone or with alkenes R2CH:CH2 (R2 = Ph, MeO2C) in the presence of Grubbs' second-generation imidazolidinylidenearuthenium metathesis catalyst (III). II (R = Me, PhCH2, Boc; R1 = H, Me) are prepared by addition of N-allyl-N-benzylamine and tert-butanol to chlorosulfonyl isocyanate, N-alkylation with either propargyl bromide or 1-bromo-2-butyne, cleavage of the Boc group with trifluoroacetic acid, and either methylation with Me

iodide or benzylation with benzyl bromide. II (R = Me, PhCH2, Boc; R1 = Me) undergo selective ring-closing enyne metathesis under microwave irradiation to give I (R = Me, PhCH2, Boc; R1 = Me; R2 = H) in 69-82% yields. II (R = Me, PhCH2, Boc; R1 = H) undergo enyne metathesis reactions in the presence of III to give I (R = Me, PhCH2, Boc; R1 = R2 = H), I (R = Me, PhCH2, Boc; R1 = H; R2 = Ph) (derived from III) and a product derived from ring-closing enyne metathesis of substrate followed by cross-metathesis of the starting material with the diene product; the ratio of methylene and benzylidene products depends on the amount of III used. In the presence of styrene or Me acrylate, II (R = Me, PhCH2, Boc; R1 = H) undergo chemoselective ring-closing enyne metathesis reactions to give I (R = Me, PhCH2, Boc; R1 = H; R2 = Ph, MeO2C) stereoselectively in 54-83% yields. Crystal structures of a product derived from ring-closing enyne metathesis and cross-metathesis reactions and I (R = Me, PhCH2; R1 = H; R2 = Ph, MeO2C) are determined (no data in document; data available from Cambridge Crystallog. Data Center).

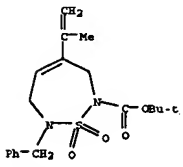
IT 606926-51-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfamide-derived enynes and their stereoselective and chemoselective ring-closing enyne metathesis and ring-closing enyne cross-metathesis reactions to yield (ethenyl)thiadiazepinedioxes)

RN 606926-51-6 CAPLUS
CN Carbamic acid, [[(phenylmethyl)-2-propenylamino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



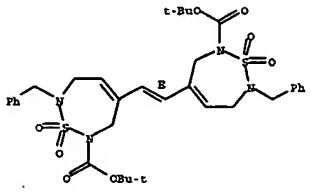
IT 682349-67-3P 682349-70-8P 682349-73-1P
682349-76-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective and chemoselective preparation of (ethenyl)thiadiazepinedioxes by ring-closing enyne metathesis and ring-closing enyne cross-metathesis reactions of sulfamide-derived enynes)

RN 682349-67-3 CAPLUS
CN 1,2,7-Thiadiazepine-2(3H)-carboxylic acid, 6,7-dihydro-4-(1-methylethenyl)-7-(phenylmethyl)-, 1,1-dimethylethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



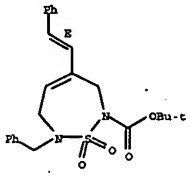
RN 682349-70-8 CAPLUS
CN 1,2,7-Thiadiazepine-2-carboxylic acid, 4,4'-(1E)-1,2-ethenediylbis[6,7-dihydro-7-(phenylmethyl)-, bis(1,1-dimethylethyl) ester, 1,1,1',1'-tetraoxide (9CI) (CA INDEX NAME)

Double bond geometry as shown.

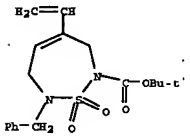


RN 682349-73-1 CAPLUS
CN 1,2,7-Thiadiazepine-2(3H)-carboxylic acid, 6,7-dihydro-4-[(1E)-2-phenylethenyl]-7-(phenylmethyl)-, 1,1-dimethylethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

Double bond geometry as shown.



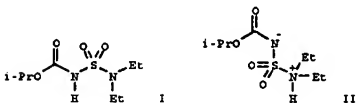
RN 682349-76-4 CAPLUS
CN 1,2,7-Thiadiazepine-2(3H)-carboxylic acid, 4-ethenyl-6,7-dihydro-7-(phenylmethyl)-, 1,1-dimethylethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 46 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:106080 CAPLUS
DOCUMENT NUMBER: 140:339044

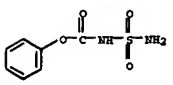
TITLE: One-pot synthesis of N-acyl-substituted sulfamides from chlorosulfonyl isocyanate via the Burgess-type intermediates
AUTHOR(S): Masui, Yoshiyuki; Watanabe, Hideaki; Masui, Toshiaki
CORPORATE SOURCE: Bulk Chemicals Process R&D Department, Manufacturing Technology R&D Laboratories, Shionogi & Co., Ltd, Amagasaki, Hyogo, 660-0813, Japan
SOURCE: Tetrahedron Letters (2004), 45(9), 1853-1856
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:339044
GI



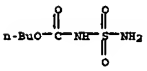
AB N-Alkoxycarbonyl- or N-aryloxycarbonyl-substituted sulfamides, e.g., I, were synthesized, in one-pot, from chlorosulfonyl isocyanate, alcs. and amines in excellent yields. The reaction proceeded by water-resistant intermediates, carboxysulfamoylammonium salts (Burgess-type reagents), e.g., II, which were generated in situ by the deactivation of the corresponding water-sensitive N-(chlorosulfonyl)carbamates with tertiary amines.

IT 89694-29-1P 90324-88-2P 90874-22-9P
125987-94-2P 148017-28-1P 680860-54-2P
680860-55-3P 680860-56-4P 680860-57-5P
680860-58-6P 680860-59-7P 680860-60-0P
680860-61-1P 680860-62-2P 680860-63-3P
680860-64-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of aminosulfonyl carbamates via addition of alcs. to chlorosulfonyl isocyanate followed by amidation with amines)

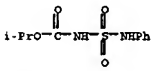
RN 89694-29-1 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, phenyl ester (9CI) (CA INDEX NAME)



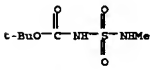
RN 90324-88-2 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, butyl ester (9CI) (CA INDEX NAME)



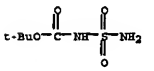
RN 90874-22-9 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



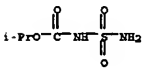
RN 125987-94-2 CAPLUS
CN Carbamic acid, [(methylamino)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



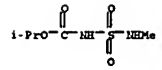
RN 148017-28-1 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



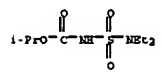
RN 680860-54-2 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)



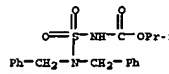
RN 680860-55-3 CAPLUS
CN Carbamic acid, [(methylamino)sulfonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



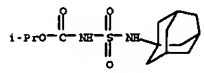
RN 680860-56-4 CAPLUS
CN Carbamic acid, [(diethylamino)sulfonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



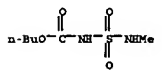
RN 680860-57-5 CAPLUS
CN Carbamic acid, [[bis(phenylmethyl)amino]sulfonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



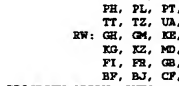
RN 680860-58-6 CAPLUS
CN Carbamic acid, [(tricyclo[3.3.1.13,7]dec-1-ylamino)sulfonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



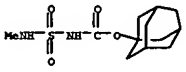
RN 680860-59-7 CAPLUS
CN Carbamic acid, [(methylamino)sulfonyl]-, butyl ester (9CI) (CA INDEX NAME)



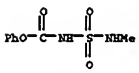
RN 680860-60-0 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, tricyclo[3.3.1.13,7]dec-1-yl ester (9CI) (CA INDEX NAME)



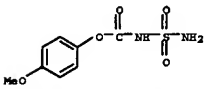
RN 680860-61-1 CAPLUS
CN Carbamic acid, [(methylamino)sulfonyl]-, tricyclo[3.3.1.13,7]dec-1-yl ester (9CI) (CA INDEX NAME)



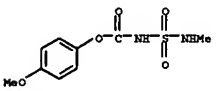
RN 680860-62-2 CAPLUS
CN Carbamic acid, [(methylamino)sulfonyl]-, phenyl ester (9CI) (CA INDEX NAME)



RN 680860-63-3 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, 4-methoxyphenyl ester (9CI) (CA INDEX NAME)



RN 680860-64-4 CAPLUS
CN Carbamic acid, [(methylamino)sulfonyl]-, 4-methoxyphenyl ester (9CI) (CA INDEX NAME)



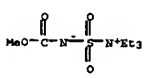
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 47 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:60536 CAPLUS
DOCUMENT NUMBER: 140:107787

TITLE: IAP binding compounds
INVENTOR(S): Mclendon, George; Kipp, Rachel A.; Case, Martin; Shi, Yigong; Semmelhack, Martin F.; Albiniak, Philip A.; Wist, Aislyn D.
PATENT ASSIGNEE(S): The Trustees of Princeton University, USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007529	A2	20040122	WO 2003-US22071	20030715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2002-395918P	P 20020715

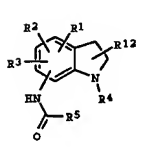
OTHER SOURCE(S): MARPAT 140:107787
AB Compds. that bind cellular IAPs (inhibitor of apoptosis proteins) are disclosed. The compds. are mimetics of the N-terminal tetrapeptide of IAP-binding proteins, such as Smac/DIABOLO, Hid, Grim and Reaper, which interact with a sp. surface groove of IAP. Also disclosed are methods of using these compds. for therapeutic, diagnostic and assay purposes.
IT 29684-56-8, Burgess' reagent
RL: RCT (Reactant); RACT (Reactant or reagent)
(IAP binding compds.)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



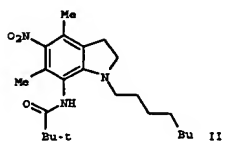
L9 ANSWER 48 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:60468 CAPLUS
DOCUMENT NUMBER: 140:111275
TITLE: Preparation of indoline derivatives as ACAT or lipid peroxidation inhibitors
INVENTOR(S): Kamiya, Shouji; Ikai, Miho; Takahashi, Kenji; Tarumi, Tadatsugu; Kasai, Masayasu; Yoshimi, Akihisa; Shirahase, Hiroaki
PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007450	A1	20040122	WO 2003-JP9012	20030716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2492669	AA	20040122	CA 2003-2492669	20030716
BR 2003012734	A	20050426	BR 2003-12734	20030716
EP 1541553	A1	20050615	EP 2003-764206	20030716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			JP 2002-208878	A 20020717
			WO 2003-JP9012	W 20030716

OTHER SOURCE(S): MARPAT 140:111275
GI

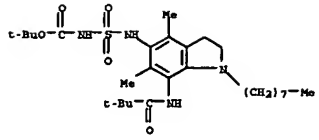


I

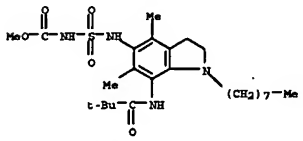


II

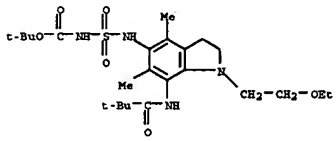
AB The title indoline compds. with general formula of I [wherein R1 and R3 = independently H, alkyl, or alkoxy; R2 = NO2, NHCONH2, (un)substituted NHSO2H, or alkyl; R4 = H, alkenyl, alkoxyalkoxy, alkylthioalkyl, cycloalkyl, cycloalkylalkyl, (un)substituted alkyl, or CCH; R5 = alkyl, cycloalkyl, or aryl; R12 = H, alkyl, alkoxyalkoxy, or alkylthioalkyl] or pharmaceutically acceptable salts thereof are prepared as acyl coA cholesterol acyltransferase (ACAT) or lipid peroxidn. inhibitors. For example, the compound II was prepared in a multi-step synthesis. I showed 71.9 to 98.1% inhibitory activity at the concentration of 1.0 μM against liver ACAT in rabbit.
IT 647008-50-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of indoline derivs. as ACAT or lipid peroxidn. inhibitors)
RN 647008-50-2 CAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



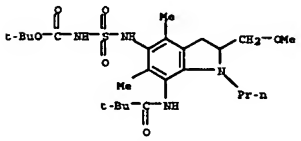
IT 647008-49-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indoline derivs. as ACAT or lipid peroxidn. inhibitors)
RN 647008-49-9 CAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-1H-indol-5-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



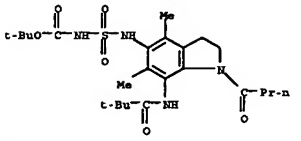
IT 647009-28-7P 647009-41-4P 647009-44-7P
647009-53-8P 647009-65-2P 647009-76-5P
647009-80-1P 647009-85-6P 647009-87-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indoline derivs. as ACAT or lipid peroxidn. inhibitors)
RN 647009-28-7 CAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(2-ethoxyethyl)-2,3-dihydro-4,6-dimethyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



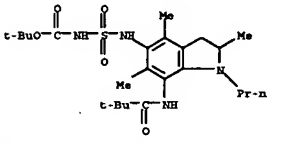
RN 647009-41-4 CAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-2-(methoxymethyl)-4,6-dimethyl-1-propyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



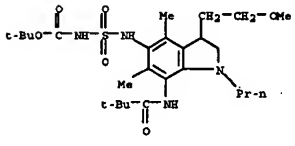
RN 647009-44-7 CAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(1-oxobutyl)-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



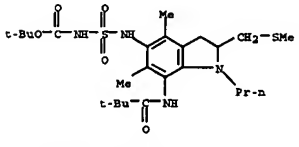
RN 647009-53-8 CAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-2,4,6-trimethyl-1-propyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



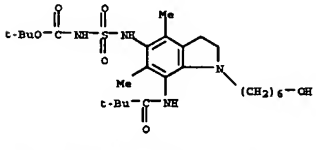
RN 647009-65-2 CAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-3-(2-methoxyethyl)-4,6-dimethyl-1-propyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



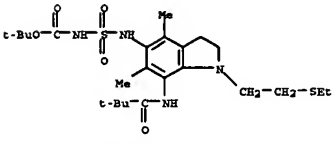
RN 647009-76-5 CAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-2-[(methylthio)methyl]-1-propyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



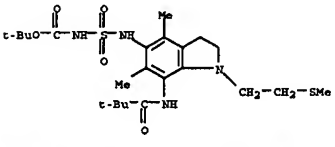
RN 647009-80-1 CAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-1-(6-hydroxyhexyl)-4,6-dimethyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 647009-85-6 CAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-1-[2-(ethylthio)ethyl]-2,3-dihydro-4,6-dimethyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 647009-87-8 CAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-[2-(methylthio)ethyl]-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

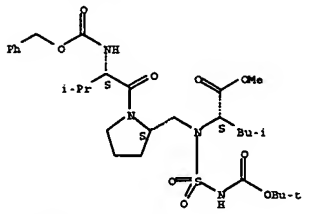


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 49 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:50462 CAPLUS
DOCUMENT NUMBER: 140:387697
TITLE: Design, synthesis, and in vitro evaluation of inhibitors of human leukocyte elastase based on a functionalized cyclic sulfamide scaffold
AUTHOR(S): Zhong, Jiaying; Gan, Xiangdong; Allisten, Kevin R.; Groutas, William C.
CORPORATE SOURCE: Department of Chemistry, Wichita State University, Wichita, KS, 67260, USA
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(3), 589-593
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The design of novel functionalized templates capable of binding to the active site of serine proteases could potentially lead to the development of potent and highly selective non-covalent inhibitors of these enzymes. Using the elastase-turkey ovomucoid inhibitor complex and insights gained from earlier work based on the 1,2,5-thiadiazolidin-3-one 1,1 dioxide scaffold (I), a surrogate cyclosulfamide scaffold (II) was used for the first time in the design of reversible inhibitors of human leukocyte elastase. Compds. 7 and 8 were found to be micromolar reversible inhibitors of the enzyme.
IT 686781-13-5P 686781-14-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(design, synthesis and evaluation of inhibitors of human leukocyte elastase based on functionalized cyclic sulfamide scaffold)

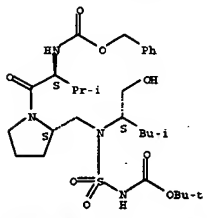
RN 686781-13-5 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-3-[[(2S)-1-[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]-2-pyrrolidinyl]methyl]-2-(2-methylpropyl)-6-oxo-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



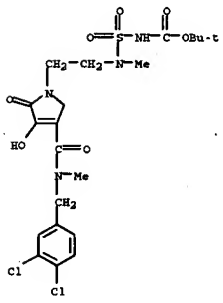
RN 686781-14-6 CAPLUS
CN Carbamic acid, [(1S)-1-[[(2S)-2-[(1S)-1-(hydroxymethyl)-3-methylbutyl]-7,7-dimethyl-3,3-dioxido-5-oxo-6-oxa-3-thia-2,4-diazaoct-1-yl]-1-pyrrolidinyl]carbonyl]-2-methylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 50 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:41225 CAPLUS
DOCUMENT NUMBER: 140:111271
TITLE: Preparation of pyrrolecarboxamides as HIV integrase inhibitors
INVENTOR(S): Walker, Michael A.; Ma, Zhuping; Naidu, B. Narasimhulu; Sorenson, Margaret E.; Pendri, Annapurna; Banville, Jacques; Plamondon, Serge; Remillard, Roger
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 331 pp.
CODEN: PIXXD2



L9 ANSWER 51 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:950997 CAPLUS
DOCUMENT NUMBER: 140:16648
TITLE: Preparation of N-(arylmethoxycarbonyl)- and N-(arylmethylaminocarbonyl)piperidines as substance P receptor antagonists
INVENTOR(S): Takahashi, Masami; Miyake, Tsutomu; Moritani, Yasunori; Asai, Hidetoshi; Ishii, Taketoshi; Kono, Rikako
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 307 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

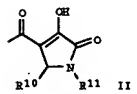
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099787	A1	20031204	WO 2003-JP6720	20030529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004143139	A2	20040520	JP 2003-148644	20030527
CA 2487306	AA	20031204	CA 2003-2487306	20030529
BR 2003011410	A	20050315	BR 2003-11410	20030529
EP 1513814	A1	20050316	EP 2003-733139	20030529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: JP 2002-155744 A 20020529
US 2002-395342P P 20020712

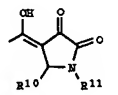
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004657	A2	20040115	WO 2003-US21371	20030709
WO 2004004657	A3	20041104		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004110804	A1	20040610	US 2003-616031	20030709
PRIORITY APPLN. INFO.:			US 2002-394548P	P 20020709
			US 2002-399248P	P 20020729

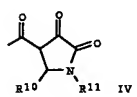
OTHER SOURCE(S): MARPAT 140:111271
GI



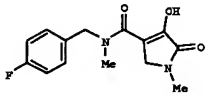
II



III



IV

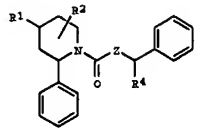


V

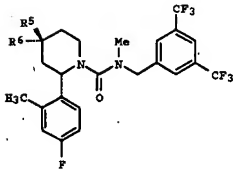
AB The title compds. R1CHR2NR3B1 [I; R1 = (un)substituted Ph, naphthyl, furyl, etc.; R2 = H, alkyl, (un)substituted aryl, alkylaryl; R3 = H, alkyl, alkylaryl, (un)substituted OH; B1 = II-IV (wherein R10 = H, alkyl, cycloalkyl, etc.; R11 = alkyl, cycloalkyl, aryl, etc.)] which inhibit HIV integrase, and are useful for treatment of AIDS or ARC, were prepared E.g., a multi-step synthesis of V which showed 99.9% inhibition of HIV integrase at 20 μM, was given. Pharmaceutical composition comprising the compds. I is claimed.
IT 646050-86-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolecarboxamides as HIV integrase inhibitors)
RN 646050-86-4 CAPLUS
CN Carbamic acid, [[[2-[4-[[[(3,4-dichlorophenyl)methyl]methylamino]carbonyl]-2,5-dihydro-3-hydroxy-2-oxo-1H-pyrrol-1-yl]ethyl]methylamino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

JP 2002-248755 A 20020828
US 2002-409595P P 20020911
WO 2003-JP6720 W 20030529

OTHER SOURCE(S): MARPAT 140:16648
GI



I



II

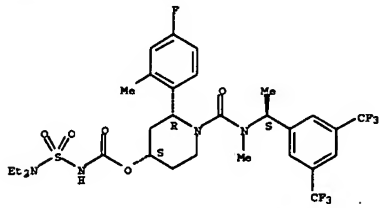
AB N-(arylmethoxycarbonyl)- and N-(arylmethylaminocarbonyl)piperidines I [R1 = alkyl, (un)substituted hydroxy, mercapto, carbonyl, sulfinyl, sulfonyl, R11R12N; R2 = H, halogen, (un)substituted hydroxy, amino, alkyl, or carbonyl group; R3, R4 = H, (un)substituted alkyl; R11, R12 = H, (un)substituted carbonyl, sulfonyl, alkyl, heterocyclyl (containing 1-4 nitrogen, oxygen, or sulfur atoms); R11R12N may form an (un)substituted heterocyclyl moiety from the list of piperidinyl, hexahydroazepinyl, pyrrolidinyl, imidazolidinyl, hexahydropyrimidinyl, thiazolidinyl, morpholinyl, triazolyl, tetrazolyl, purinyl; Z = O, NR3; both of the explicit Ph rings may be substituted] such as II are prepared as tachykinin receptor antagonists (and particularly substance P receptor antagonists) for the treatment of inflammation, allergies, pain, nausea, central nervous system and digestive diseases, and urinary and immune disorders. Addition of 4-fluoro-2-methylphenylmagnesium bromide to 4-methoxypyridine followed by acylation with benzyloxycarbonyl chloride, reduction of the dihydropiperidone with zinc and acetic acid, protection of the ketone as the di-Me acetal, reduction of the benzyloxycarbonyl group with hydrogen in the presence of palladium on carbon, addition of 3,5-(F3C)2C6H3CH2NHMe to 1,1'-carbonylimidazole followed by addition of the piperidine, acid cleavage of the acetal, and reduction of the ketone, gives a mixture of the racemic piperidinols II (R5 = H, HO; R6 = HO, H). Approx. 500 example compds. are prepared (no biol. data).
IT 629939-40-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(title compound; preparation of N-(arylmethoxycarbonyl)- and

N-(arylmethylaminocarbonyl)piperidines as substance P receptor antagonists for the treatment of inflammation and conditions such as urinary disorders)

RN 639939-40-8 CAPLUS

CN Carbamic acid, [(diethylamino)sulfonyl]-, (2R,4S)-1-[[[(1S)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]methylamino]carbonyl]-2-(4-fluoro-2-methylphenyl)-4-piperidinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 52 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:912978 CAPLUS

DOCUMENT NUMBER: 139:369768

TITLE: Lyophilization products containing amidino compounds

INVENTOR(S): Fujii, Yoshimine; Suzuki, Norio

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003094889	A1	20031120	WO 2003-JP5940	20030513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1504755	A1	20050209	EP 2003-721101	20030513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: JP 2002-136881 A 20020513
WO 2003-JP5940 W 20030513

OTHER SOURCE(S): MARPAT 139:369768

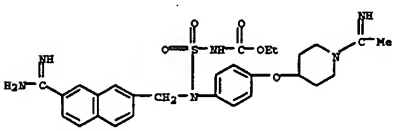
AB Disclosed are an aqueous solution with a pH value of higher than 2 but not higher than 4, comprising a substituted or unsubstituted amidino group having physiol. active substance; a lyophilization product obtained by lyophilising the aqueous solution; an injection comprising the aqueous solution or the lyophilization product; and an injection kit. A freeze-dried composition for injection was prepared from a solution containing (2S)-2-[4-[[(3S)-1-acetoimidoyl-3-pyrrolidinyl]oxy]phenyl]-3-[7-amidino-2-naphthyl]propionic acid hydrochloride pentahydrate 19.275 mg, 0.1 N HCl q.s. to pH 2.5, and water balance to 2 mL to examine its storage stability.

IT 201933-39-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lyophilization products containing amidino compds.)

RN 201933-39-3 CAPLUS

CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 53 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:827750 CAPLUS

DOCUMENT NUMBER: 140:59620

TITLE: New strategies to symmetric and unsymmetric cyclic sulfamide analogs of DMP 323: a sulfur linchpin/RCM. approach

AUTHOR(S): Jun, Jung Ho; Dougherty, Joseph M.; Jimenez, Maria del Sol; Hansen, Paul R.

CORPORATE SOURCE: Department of Chemistry, University of Kansas, Lawrence, KS, 66045-7582, USA

SOURCE: Tetrahedron (2003), 59(45), 8901-8912
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:59620

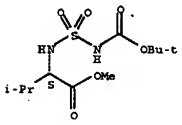
AB The synthesis of 7-membered cyclic sulfamides utilizing the ring closing metathesis reaction is described herein. Suitable sulfur linchpins were N,N'-sulfonylbis[L-leucine] di-Me ester and (2S)-8,8-dimethyl-2-(1-methylethyl)-6-oxo-7-oxa-4-thia-3,5-diazanonanoic acid Me ester, 4,4-dioxide. Two major synthetic strategies that expand the scope and utility of our previously reported sulfamide and sulfamoyl carbamate chemical are employed. Both Mitsunobu alkylation and simple alkylation of core sulfamides and sulfamoyl carbamates coupled with ring closing metathesis are used to efficiently install lipophilic groups into the P1/P1' and P2/P2' periphery of the cyclic sulfamides. Overall, the routes described are applicable to the synthesis of a variety of cyclic 7-membered sulfamides. An example compound thus prepared was (-)-(3R,4R,5S,6S)-2-[(4-methoxyphenyl)methyl]-3-methyl-6-(1-methylethyl)-7-(phenylmethyl)-1,2,7-thiadiazepine-4,5-diol 1,1-dioxide.

IT 139059-71-5, (2S)-8,8-Dimethyl-2-(1-methylethyl)-6-oxo-7-oxa-4-thia-3,5-diazanonanoic acid methyl ester, 4,4-dioxide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of sym. and unsym. cyclic sulfamide analogs of DMP 323 via sulfur linchpin/ring closing metathesis)

RN 139059-71-5 CAPLUS

CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-2-(1-methylethyl)-6-oxo-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

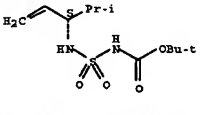


IT 638165-60-3P 638165-81-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sym. and unsym. cyclic sulfamide analogs of DMP 323 via sulfur linchpin/ring closing metathesis)

RN 638165-60-3 CAPLUS

CN Carbamic acid, [[[(1S)-1-(1-methylethyl)-2-propenyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

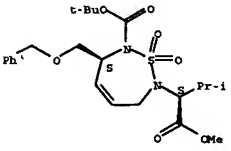
Absolute stereochemistry. Rotation (-).



RN 638165-81-8 CAPLUS

CN 1,2,7-Thiadiazepine-2(3H)-acetic acid, 7-[(1,1-dimethylethoxy)carbonyl]-6,7-dihydro-α-(1-methylethyl)-6-[(phenylmethoxy)methyl]-, methyl ester, 1,1-dioxide, (αS,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 54 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:796679 CAPLUS

DOCUMENT NUMBER: 139:307766

TITLE: Preparation of substituted 1,1-dioxo-1,2,5-thiazolidine-3-ones as protein tyrosine phosphatase 1b and T-cell protein tyrosine phosphatase inhibitors to mitigate insulin resistance in the treatment of diabetes or atherosclerosis

INVENTOR(S): Coppola, Gary Mark; Davies, John William; Jewell, Charles Francis, Jr.; Li, Yu-Chin; Wareing, James Richard; Sperbeck, Donald Mark; Stams, Travis Mathew; Topiol, Sidney Wolf; Vlattas, Isidoros

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

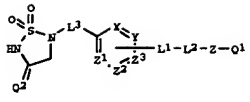
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082841	A1	20031009	WO 2003-EP3466	20030402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2480562	AA	20031009	CA 2003-2480562	20030402
US 2004023974	A1	20040205	US 2003-405728	20030402
EP 1492780	A1	20050105	EP 2003-720412	20030402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008974	A	20050215	BR 2003-8974	20030402
US 2005090502	A1	20050428	US 2003-510026	20030402

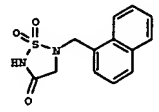
PRIORITY APPLN. INFO.: US 2002-369779P P 20020403
US 2002-369930P P 20020403
WO 2003-EP3466 W 20030402

OTHER SOURCE(S): MARPAT 139:307766

GI



I

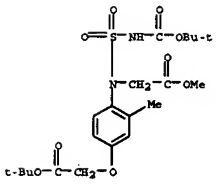


II

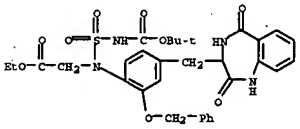
AB Substituted thiazolidinetriones I [L1 = L2 = single bond; Q1 = single bond, H, (un)substituted alkyl, cycloalkyl, or aminocarbonyl, carboxy, R10C(:O), R10OC(:O), R10S(:O)q; Q2 = O, S, R2N; E, R2 = (un)substituted alkyl, alkynyl, heteroalkyl, aryl, heteroaryl, aralkyl, alkoxy, aralkoxy, or aralkylthio, amino, halogen, nitro, carboxy, trifluoromethyl, etc.; R1 = (un)substituted alkyl, alkynyl, heteroalkyl, aryl, heteroaryl, aralkyl, alkoxy, aralkoxy, aralkylthio; R3 = H, HO, alkyl; R10 = (un)substituted alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; R14 = H, (un)substituted alkyl, alkoxycarbonyl, acyl, aryloxycarbonyl, heteroarylcarbonyl, carbamoyl, or sulfonyl; X, Y = CH, N, O, S, R14N; Z = (un)substituted alkyl, alkoxyalkyl, alkylthioalkyl, alkylaminoalkyl; Z1, Z2, Z3 = CH, N, N(:O), CR1, CR2; R1 and R2 can form an (un)substituted 5- or 6-membered aromatic or heteroarom. ring; R1 and L1 can form an (un)substituted 5-, 6- or 7-membered ring interrupted by nitrogen, oxygen or sulfur atoms] such as II are prepared as inhibitors of protein tyrosine phosphatase 1b and T-cell protein tyrosine phosphatase for overcoming insulin resistance and modulating glucose levels in the treatment or prevention of metabolic diseases, such as diabetes, or atherosclerosis. II is prepared by treatment of Et bromoacetate with 1-naphthalenemethanamine, N-sulfamoylation with sulfamoyl chloride, and base-mediated cyclocondensation. No biol. data is provided.

IT 612531-07-4P 612531-39-2P 612531-42-7P
612531-45-0P 612531-59-6P 612531-62-1P
612531-74-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of thiazolidinetriones as protein tyrosine phosphatase 1b and T-cell protein tyrosine phosphatase inhibitors to mitigate insulin resistance in the treatment of diabetes or atherosclerosis)

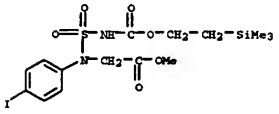
RN 612531-07-4 CAPLUS
CN 5-Oxa-8-thia-7,9-diaza-2-silaundecan-11-oic acid, 9-(1H-indol-5-ylmethyl)-2,2-dimethyl-6-oxo-, methyl ester, 8,8-dioxide (9CI) (CA INDEX NAME)



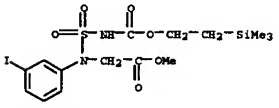
RN 612531-59-6 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 6-oxo-4-[2-(phenylmethoxy)-4-[(2,3,4,5-tetrahydro-2,5-dioxo-1H-1,4-benzodiazepin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



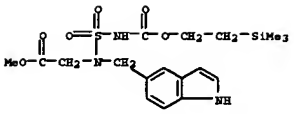
RN 612531-62-1 CAPLUS
CN 5-Oxa-8-thia-7,9-diaza-2-silaundecan-11-oic acid, 9-(4-iodophenyl)-2,2-dimethyl-6-oxo-, methyl ester, 8,8-dioxide (9CI) (CA INDEX NAME)



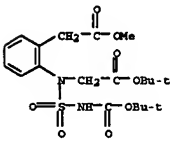
RN 612531-74-5 CAPLUS
CN 5-Oxa-8-thia-7,9-diaza-2-silaundecan-11-oic acid, 9-(3-iodophenyl)-2,2-dimethyl-6-oxo-, methyl ester, 8,8-dioxide (9CI) (CA INDEX NAME)



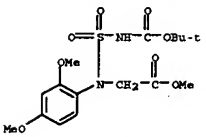
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS



RN 612531-39-2 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 4-[2-(2-methoxy-2-oxoethyl)phenyl]-8,8-dimethyl-6-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



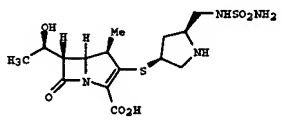
RN 612531-42-7 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 3-(2,4-dimethoxyphenyl)-8,8-dimethyl-6-oxo-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



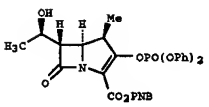
RN 612531-45-0 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 3-[4-[2-(1,1-dimethylethoxy)-2-oxoethoxy]-2-methylphenyl]-8,8-dimethyl-6-oxo-, methyl ester, 4,4-dioxide. (9CI) (CA INDEX NAME)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

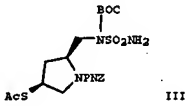
L9 ANSWER 55 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:747163 CAPLUS
DOCUMENT NUMBER: 139:395721
TITLE: Practical Large-Scale Synthesis of Doripenem: A Novel 1 β-Methylcarbapenem Antibiotic
AUTHOR(S): Nishino, Yutaka; Kobayashi, Makoto; Shinno, Taneyoshi; Izumi, Kenji; Yonezawa, Hiroshi; Masui, Yoshiyuki; Takahira, Masayuki
CORPORATE SOURCE: Bulk Chemicals Process R&D Department, Manufacturing Technology R&D Laboratories, Shionogi Co., Ltd., Amagasaki, Hyogo, 660-0813, Japan
SOURCE: Organic Process Research & Development (2003), 7(6), 846-850
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:395721
GI



I



II



III

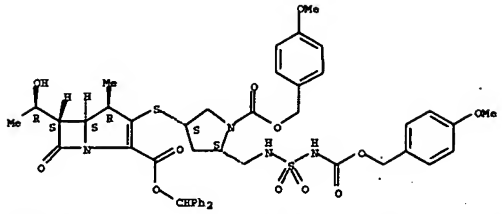
AB A practical large-scale process for the synthesis of doripenem hydrate [I.H2O (II)], a novel parenteral 1 β-methylcarbapenem antibiotic, from p-nitrobenzyl-protected enolphosphate II and N-(p-nitrobenzyloxycarbonyl)-protected aminomethylpyrrolidine III is described. We found effective extraction conditions to remove p-toluidine and most other organic impurities using a THF/water system containing an inorg. salt. Significant improvements have been made to the previous synthesis using a medicinal chemical procedure. The new process requires no chromatog. purification and affords the target compound II as a sterile crystalline powder. Several kilograms of II were successfully prepared by this process.

IT 625384-76-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(large-scale synthesis of doripenem hydrate from p-nitrobenzyl-protected enolphosphate and N-(p-nitrobenzyloxycarbonyl)-protected aminomethylpyrrolidine)

RN 625384-76-1 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-[(1R)-1-hydroxyethyl]-3-[[(3S,5S)-5-[7-(4-methoxyphenyl)-3,3-dioxido-5-oxo-6-oxa-3-thia-2,4-diazahept-1-yl]-1-[[(4-methoxyphenyl)methoxy]carbonyl]-3-

pyrrolidinyl]thio]-4-methyl-7-oxo-, diphenylmethyl ester, (4R,5S,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

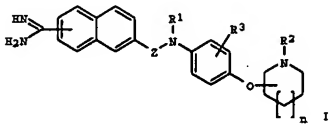


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 56 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:710780 CAPLUS
DOCUMENT NUMBER: 139:224446
TITLE: Amidinonaphthyl derivs. as airway specific trypsin-like protease inhibitor
INVENTOR(S): Mitsuyama, Etsuko; Takenouchi, Kazuya; Eguchi, Hiroshi
PATENT ASSIGNEE(S): Teijin Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003252761	A2	20030910	JP 2002-49564	20020226
PRIORITY APPLN. INFO.:			JP 2002-49564	20020226

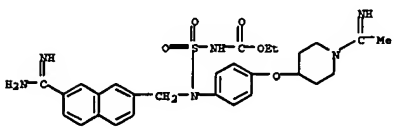
OTHER SOURCE(S): MARPAT 139:224446
GI



AB The inhibitors of human airway specific trypsin-like protease (AST) in the treatment and prevention of chronic bronchitis were offered by providing amidinonaphthyl derivs. or their pharmaceutically acceptable salts as the active components represented by the following general structure I (R1, R2, R3 = H, halogen, carboxyl, amino, cyano, nitro, hydroxyl, alkoxy, substituted alkyl or alkoxy-carbonyl; Z = alkylene, carbonyl; n = 0 or 1).

IT 201933-39-3
RL: BMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amidinonaphthyl derivs. as airway specific trypsin-like protease inhibitor)

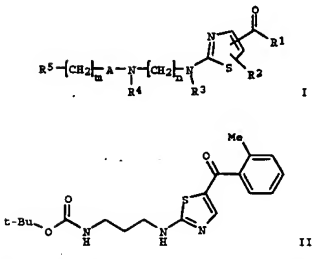
RN 201933-39-3 CAPLUS
CN Carbamic acid, [[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 57 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:696896 CAPLUS
DOCUMENT NUMBER: 139:230771
TITLE: Preparation of thiazoles as NPY receptor antagonists
INVENTOR(S): Mattei, Patrizio; Neidhart, Werner; Nettekoven, Matthias Heinrich; Pflieger, Philippe; Taylor, Sven
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072577	A1	20030904	WO 2003-EP1667	20030219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2475299	AA	20030904	CA 2003-2475299	20030219
EP 1480976	A1	20041201	EP 2003-742945	20030219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008108	A	20041207	BR 2003-8108	20030219
US 2003225141	A1	20031204	US 2003-374573	20030226
US 6686381	B2	20040203		
PRIORITY APPLN. INFO.:			EP 2002-4296 A	20020228
			WO 2003-EP1667 W	20030219

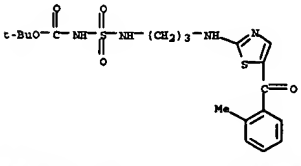
OTHER SOURCE(S): MARPAT 139:230771
GI



AB The title compds. [I; R1 = aryl, heteroaryl; R2-R4 = H, alkyl, cycloalkyl; R5 = alkyl, cycloalkyl, aryl, heteroaryl; R6 = H, alkyl, cycloalkyl; A = CO, SO2, NR6CO, OCO; n = 2-6; m = 0-2] which can be used in the form of pharmaceutical preps. for the treatment or prevention of arthritis, cardiovascular diseases, diabetes, renal failure, eating disorders and obesity, were prepared and formulated. Thus, reacting 2-methylphenacyl bromide with tert-Bu [3-(3-dimethylaminomethylenethioureido)propyl]carbamate (preparation given) in the presence of Et3N in EtOH afforded 77% II. Compds. I have IC50 values below 1000 nM against mNPY5. Most preferred compds. I have IC50 values below 10 nM (two examples given).

IT 593270-68-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazoles as NPY receptor antagonists)

RN 593270-68-9 CAPLUS
CN Carbamic acid, [[[3-[[5-(2-methylbenzoyl)-2-thiazolyl]amino]propyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

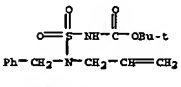
L9 ANSWER 58 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:664022 CAPLUS
DOCUMENT NUMBER: 139:276469
TITLE: Synthesis of Heterocyclic and Carbocyclic Fluoro-olefins by Ring-Closing Metathesis

AUTHOR(S): Salim, Sofia S.; Bellingham, Richard K.; Satcharoen, Vachiraporn; Brown, Richard C. D.
CORPORATE SOURCE: Department of Chemistry, University of Southampton, Highfield /Southampton, SO17 1BJ, UK
SOURCE: Organic Letters (2003), 5(19), 3403-3406
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:276469

AB Ring-closing metathesis (RCM) of vinyl fluoride-containing dienes in the presence of ruthenium alkylidene carbene complex proceeded efficiently to give six- and seven-membered cyclic vinyl fluorides. The RCM reaction was used to prepare amine- and sulfamide-linked cyclo-olefins, as well as carbocyclic systems, from a simple com. fluoro-olefin.

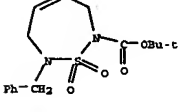
IT 606926-51-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic and carbocyclic fluoro olefins by ring-closing metathesis of fluorinated dienes)

RN 606926-51-6 CAPLUS
CN Carbamic acid, [[(phenylmethyl)-2-propenylamino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 606926-57-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of heterocyclic and carbocyclic fluoro olefins by ring-closing metathesis of fluorinated dienes)

RN 606926-57-2 CAPLUS
CN 1,2,7-Thiadiazepine-2(3H)-carboxylic acid, 4-fluoro-6,7-dihydro-7-(phenylmethyl)-, 1,1-dimethylethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



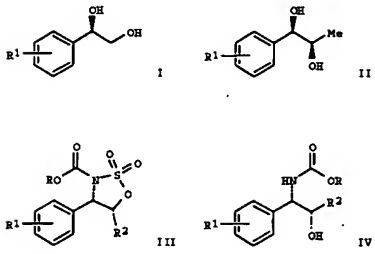
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 59 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:633616 CAPLUS
DOCUMENT NUMBER: 139:197488
TITLE: Regio- and stereoselective synthesis of sulfamidates from 1,2-diols using Burgess-type reagents and their conversion to β-amino alcohols
INVENTOR(S): Nicolaou, Kyriacos C.; Snyder, Scott A.; Huang,

Xianhai
PATENT ASSIGNEE(S): The Scripps Research Institute, USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066549	A2	20030814	WO 2003-US3788	20030207
WO 2003066549	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2002-355066P P	20020207
OTHER SOURCE(S):			CASREACT 139:197488; MARPAT 139:197488	

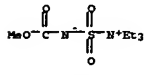
GI



AB The invention provides a regio- and stereoselective two-step synthesis of aminoalcs. via cyclic sulfamidates, which are obtained from 1,2- diols by cyclocondensation with Burgess-type reagents. This method provides facile access to compds. for use in myriad applications, whether as chiral ligands to perform asym. synthesis or as mol. probes to explore problems in chemical biol. Regio- and stereoselective cyclocondensation of Burgess-type reagents RO2CN-SO2N+Et3 (R = Me, Cl3CCH2, allyl, PhCH2, 2-O2NC6H4) with diols, e.g. I (R1 = 4-MeO, 4-AcO, 3-O2N, etc.) and II (R1 = H, 3-O2N), in THF at reflux for 1 h gave cyclic sulfamidates III (R2 = H, Me) in 41-94% yields. Subsequent HCl-catalyzed hydrolysis of III in dioxane afforded a variety of β-amino alcs. IV in 90-95% yields. Inversion of configuration at the amino-bearing carbon was confirmed by an X-ray crystal structure of one sulfamidate. Patent claims cover the Burgess-type reagents, processes of their reaction to form the cyclic sulfamidates, processes for reactions of the sulfamidates, and a sulfamidate intermediate for diazonamide A.

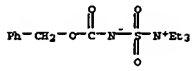
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(Burgess-type reagent; regio- and stereoselective preparation of cyclic sulfamidates and β-amino alcs. from 1,2-diols using Burgess-type reagents)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

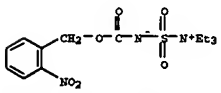


IT 439585-11-2P 439585-13-4P 439585-15-6P
439585-17-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Burgess-type reagent; regio- and stereoselective preparation of cyclic sulfamidates from 1,2-diols using Burgess-type reagents prepared from primary alcs. and chlorosulfonylisocyanate)

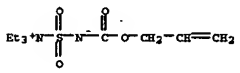
RN 439585-11-2 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



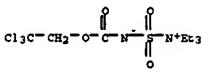
RN 439585-13-4 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[[(2-nitrophenyl)methoxy]carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



RN 439585-15-6 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[(2-propenyloxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



RN 439585-17-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[(2,2,2-trichloroethoxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



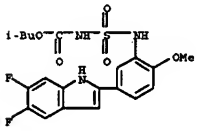
L9 ANSWER 60 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:633464 CAPLUS
DOCUMENT NUMBER: 139:173838
TITLE: Method of treating and preventing bone loss with inhibitors of 15-lipoxygenase
INVENTOR(S): Allard, John David; Klein, Robert Frederick; Peltz, Gary Allen
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Swits.; The Government of the United States; Oregon Health & Science University
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066048	A2	20030814	WO 2003-EP1033	20030203
WO 2003066048	A3	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2474431	AA	20030814	CA 2003-2474431	20030203
EP 1476153	A2	20041117	EP 2003-704519	20030203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007522	A	20041207	BR 2003-7522	20030203
US 2003175680	A1	20030918	US 2003-361093	20030207
PRIORITY APPLN. INFO.:			US 2002-355255P P	20020208
			WO 2003-EP1033 W	20030203

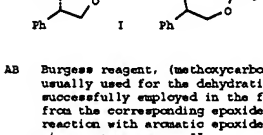
AB Methods of treating and preventing bone loss and/or enhancing bone formation are disclosed. The methods utilize 15-lipoxygenase inhibitors. These mols. can be delivered alone or in combination with agents which inhibit bone resorption or addnl. agents that regulate calcium resorption from bone or enhances bone accumulation. The invention addnl. provides methods of diagnosing a predisposition to bone loss.

IT 380884-72-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treating and preventing bone loss with inhibitors of 15-lipoxygenase and diagnosing a predisposition to bone loss)

RN 380884-72-0 CAPLUS
CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



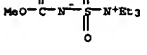
L9 ANSWER 61 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:590187 CAPLUS
DOCUMENT NUMBER: 140:77120
TITLE: New application of Burgess reagent in its reaction with epoxides
AUTHOR(S): Rinner, Uwe; Adams, David R.; dos Santos, Maria L.; Abboud, Khalil A.; Hudlicky, Tomas
CORPORATE SOURCE: Department of Chemistry, University of Florida, Gainesville, FL, 32611-7200, USA
SOURCE: Synlett (2003), (9), 1247-1252
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:77120
GI



AB Burgess reagent, (methoxycarbonylsulfamoyl)triethylammonium hydroxide, usually used for the dehydration of secondary or tertiary alcs., was successfully employed in the formation of cyclic sulfamidates, e.g., I, from the corresponding epoxides. It was further shown that the same reaction with aromatic epoxides results in the formation of seven-membered ring systems, e.g., II.

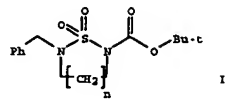
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cyclic sulfamidates via heterocyclization of epoxides with Burgess reagent)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

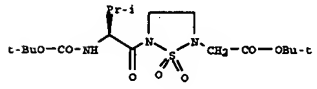


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 62 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:581330 CAPLUS
DOCUMENT NUMBER: 139:261276
TITLE: General synthesis of n-membered cyclic sulfamides
AUTHOR(S): Regainia, Zine; Wimmm, Jean-Yves; Smaine, Fatma-Zohra; Toupet, Loic; Aouf, Nour-Eddine; Montero, Jean-Louis
CORPORATE SOURCE: ENSCM, UMR 5032, Laboratoire de Chimie Biomoleculaire, Universite Montpellier II, Montpellier, 34296, Fr.
SOURCE: Tetrahedron (2003), 59(32), 6051-6056
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:261276
GI



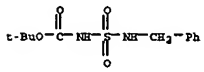
I



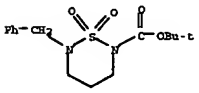
II

AB A general method for the synthesis of n-membered cyclic sulfamides (cyclosulfamides) is described. Thus, alkylation of PhCH2NHSO2NHCO2CMe3 with Br(CH2)nBr (n = 0-10, with K2CO3, acetone for n>3 or bromo alc., PPh3, DIAD, THF) afforded PhCH2NHSO2N(CO2CMe3)(CH2)nBr which was cyclized (NaOH, DMSO) to cyclosulfamides I (same n). The x-ray crystal structure of I (n = 1) was determined. An application of I (n = 0) to the synthesis of constrained peptidal cyclic sulfamide II is illustrated.

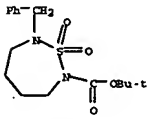
IT 147000-78-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation with α,ω-dibromoalkanes for subsequent cyclization to give cyclosulfamides)
RN 147000-78-0 CAPLUS
CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 603132-80-5P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystal structure of)
RN 603132-80-5 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, tetrahydro-6-(phenylmethyl)-, 1,1-dimethylethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

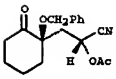


IT 603132-81-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of n-membered cyclic sulfamide via cyclization of N'-bromoalkylated N-benzyl-N'-tert-butoxycarbonylsulfamide)
RN 603132-81-6 CAPLUS
CN 1,2,7-Thiadiazepine-2(3H)-carboxylic acid, tetrahydro-7-(phenylmethyl)-, 1,1-dimethylethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

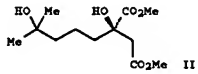


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 63 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:533629 CAPLUS
DOCUMENT NUMBER: 139:245661
TITLE: Enantioselective synthesis of the ester side chain of homoharringtonine
AUTHOR(S): Keller, Laurent; Dumas, Francoise; d'Angelo, Jean
CORPORATE SOURCE: Unite Associee au CNRS, Centre d'Etudes Pharmaceutiques, Universite de Paris Sud, Chatenay-Malabry, 92296, Fr.
SOURCE: European Journal of Organic Chemistry (2003), (13), 2488-2497
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:245661
GI



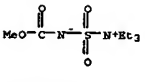
I



II

AB Details of the synthesis of the Me ester of the side chain of homoharringtonine, a natural product with antileukemic properties, are reported below. The key tactical element involved a Michael addition between the known chiral 2-benzyloxycyclohexanone N-[(R)-1-phenylethyl]imine and 2-acetoxyacrylonitrile, furnishing the adduct I with a high degree of regio- and stereoselectivity. This adduct was then converted into the target compound (R)-II by a linear sequence of ten chemical operations, in 6.0% overall yield.

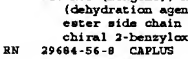
IT 29684-56-8, Burgess' reagent
RL: RGT (Reagent); RACT (Reactant or reagent)
(dehydration agent; enantioselective synthesis of homoharringtonine ester side chain via regio- and stereoselective Michael addition between chiral 2-benzyloxycyclohexanone imine and 2-acetoxyacrylonitrile)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 64 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:435945 CAPLUS
DOCUMENT NUMBER: 139:181923
TITLE: Practical Large-Scale Synthesis of the 2-Aminomethylpyrrolidin-4-ylthio-Containing Side Chain of the Novel Carbapenem Antibiotic Doripenem
AUTHOR(S): Nishino, Yutaka; Komurasaki, Tadafumi; Yuasa, Tetsuya; Kakimuma, Makoto; Izumi, Kenji; Kobayashi, Makoto; Fujii, Shinichiro; Gotoh, Teruhiro; Masui, Yoshiyuki; Hajima, Makoto; Takahira, Masayuki; Okuyama, Akira; Kataoka, Takahiro
CORPORATE SOURCE: Bulk Chemicals Process RD Department Manufacturing Technology RD Laboratories, Shionogi Co. Ltd., Hyogo, 660-0813, Japan
SOURCE: Organic Process Research & Development (2003), 7(5), 649-654
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:181923
AB The first synthesis using an original procedure and a practical large-scale process using an improved procedure for the synthesis of the N-PNZ-protected 2-aminomethylpyrrolidin-4-ylthio-containing side chain of doripenem hydrate (S-4661), a novel parenteral 1 β-methylcarbapenem antibiotic, are described. Trans-4-Hydroxy-L-proline (4) was converted in an efficient process to (2S,4S)-4-acetylthio-2-(N-sulfamoyl-tert-butoxycarbonylaminomethyl)-1-(4-nitrobenzyloxycarbonyl)pyrrolidine (3) in 55-56% overall yield via a six-step sequence, which includes the two alternative routes to intermediate 13. This process requires no chromatog. purifications, no cryogenic temps., no haloalkane solvents, and short operating times and is amenable to a multikilogram-scale preparation. Several kilograms of the side chain 3 were successfully prepared by this process.

IT 148017-28-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(large-scale synthesis of the 2-aminomethylpyrrolidin-4-ylthio-containing side chain of the novel carbapenem antibiotic doripenem)
RN 148017-28-1 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

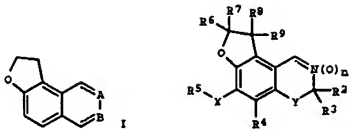


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 65 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:335106 CAPLUS
DOCUMENT NUMBER: 138:368913
TITLE: Preparation of furo[2,3-h]isoquinoline derivatives as viral entry inhibitors against HIV
INVENTOR(S): Kawano, Yasuhiko; Fujii, Nobuhiro; Kanzaki, Naoyuki; Iizawa, Yuji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 677 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035650	A1	20030501	WO 2002-JP9760	20020924
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003171381	A2	20030620	JP 2002-278590	20020925
PRIORITY APPLN. INFO.:			JP 2001-290675 A	20010925

OTHER SOURCE(S): MARPAT 138:368913
GI



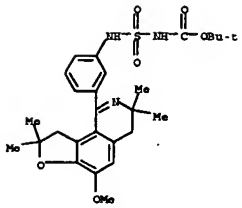
I II

AB Disclosed is a HIV-entry inhibitor which comprises either a compound having a partial structure represented by the formula [I; wherein one of A and B represents nitrogen and the other represents carbon and a solid line accompanied by a dotted line indicates a single bond or double bond) or a salt of I, more specifically a compound represented by a general formula [II; R1 = H, each (un)substituted hydrocarbyl, heterocyclyl, or NH2; R2, R3 = H, (un)substituted hydrocarbyl, acyl; or R2 and R3 together with the adjacent C atom form an (un)substituted 3- to 8-membered ring; R4 = H, cyano, (un)substituted hydrocarbyl, acyl, (un)substituted HO; R5 = H, each (un)substituted hydrocarbyl or heterocyclyl, halo; R6, R7 = H, (un)substituted hydrocarbyl; or R6 and R7 together with the adjacent C atom form a 3- to 8-membered ring; R8, R9 = H, (un)substituted hydrocarbyl; X = a bond, O, optionally oxidized S, (un)substituted NH; Y = (un)substituted CH2; n = 0,1; a solid line accompanied by a dotted line represents a single or double bond] or a salt or prodrug of II. These compds. act on HIV envelope protein (Env), inhibit the fusion of Env with cell membrane, exhibit excellent oral absorbability, and are useful for the prevention and/or treatment of HIV infection, in particular AIDS. Thus, to a suspension of 365 mg 3'-(3,4,8,9-tetrahydro-6-methoxy-3,3,8,8-tetramethylfuro[2,3-h]isoquinolin-1-yl)-1,1-biphenyl-4-carboxylic acid, 75 mg 40% methylamine/MeOH solution, and 135 mg 1-hydroxy-1H-benzotriazole in 1.5 mL DMF was added 200 mg 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and stirred at room temperature for 20 h to give N-methyl-3'-(3,4,8,9-tetrahydro-6-methoxy-3,3,8,8-tetramethylfuro[2,3-h]isoquinolin-1-yl)-1,1-biphenyl-4-carboxamide (III). III showed IC50 of 7.5 nM for inhibiting the entry infection of acute lymphoblast leukemia MOL-4 cells transfected with plasmids pLTR-Luc and pMSR α-puro-CCR5 against HEK293 cells transfected with plasmids pSG5-tat, pSG322-env, and pSG5-rev. A several formulations containing II were also described.

IT **363606-31-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of viral entry inhibitors against HIV for prevention and/or treatment of HIV infection and AIDS)

RN 363606-31-9 CAPLUS

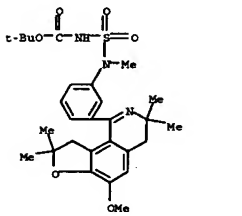
CN Carbamic acid, [[[3-(3,4,8,9-tetrahydro-6-methoxy-3,3,8,8-tetramethylfuro[2,3-h]isoquinolin-1-yl)phenyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **363606-32-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of viral entry inhibitors against HIV for prevention and/or treatment of HIV infection and AIDS)

RN 363606-32-0 CAPLUS

CN Carbamic acid, [[methyl[3-(3,4,8,9-tetrahydro-6-methoxy-3,3,8,8-tetramethylfuro[2,3-h]isoquinolin-1-yl]phenyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 66 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:335088 CAPLUS

DOCUMENT NUMBER: 138:354006

TITLE: Preparation of piperazine derivatives with CCR1 receptor antagonist activity

INVENTOR(S): Blumberg, Laura Cook; Brown, Matthew Frank; Hayward, Matthew Merrill; Poss, Christopher Stanley; Lundquist, Gregory Dean, Jr.; Shavnya, Andrei

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

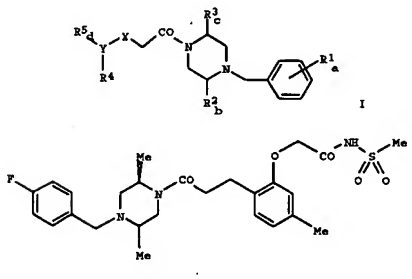
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035627	A1	20030501	WO 2002-IB3989	20020926
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2463272	AA	20030501	CA 2002-2463272	20020926
EP 1438298	A1	20040721	EP 2002-772651	20020926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
EE 200400088	A	20041015	EE 2004-88	20020926
BR 2002013452	A	20041109	BR 2002-13452	20020926
JP 2005507923	T2	20050324	JP 2003-538143	20020926
US 2004034034	A1	20040219	US 2002-273658	20021018
BG 108674	A	20050430	BG 2004-108674	20040408
PRIORITY APPLN. INFO.:			US 2001-338601P P	20011022
			WO 2002-IB3989 W	20020926

OTHER SOURCE(S): MARPAT 138:354006

GI



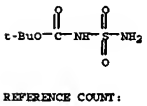
I II

AB The present invention relates to piperazine derivs. (shown as I; variables defined below; e.g. N-[[2-[3-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]-5-methylphenoxy]acetyl]methanesulfonamide (shown as II)) and the pharmaceutically acceptable forms thereof. Moreover, the present invention is also directed at pharmaceutical compns. comprising a compound I and a pharmaceutically acceptable carrier. Furthermore, the present invention is directed at methods of using the herein described compds. and compns. for treating or preventing a disorder or condition that can be treated or prevented by antagonizing the 15 CCR1 receptor in a mammal. For I: a = 0-5; b = 0-2; c = 0-2; d = 0-4; X = O, S, CH2, or NR6; Y = (C6-C10)aryl or (C2-C9)heteroaryl; each R1 = H, HO, halo, (C1-C8)alkyl, (C1-C8)alkylO, HO(C1-C8)alkyl, NC, H2N, H2N(C1-C8)alkyl, HO2C, (C1-C8)alkylC(O), (C1-C8)alkylC(O)(C1-C8)alkyl, H2NC(O), or H2NC(O)(C1-C8)alkyl. Each R2 and R3 = H, oxo, (C1-C8)alkyl, (C3-C8)cycloalkyl(C1-C8)alkyl, (C6-C10)aryl, etc. R4 = (HO2C)(H2N)(C1-C8)alkyl, (HO2C)[[(C1-C8)alkyl]NH](C1-C8)alkyl, (HO2C)[[(C1-C8)alkyl]2N](C1-C8)alkyl, etc.; R5 = H, HO, halo, NC, HO2C, H2N, (C1-C8)alkylNH, [(C1-C8)alkyl]2N, etc.; R6 = H, (C1-C8)alkyl, (C1-C8)alkylC(O), (C6-C10)arylC(O), (C2-C9)heteroarylC(O), H2NC(O), (C1-C8)alkylNHC(O), [(C1-C8)alkyl]2NC(O), (C1-C8)alkylOC(O), or (C1-C8)alkylSO2; addnl. details are given in the claims. Although the methods of preparation are not claimed, 47 example prepns. and characterization data (mass spectral parent ion mass) for 259 examples of I are included. I are potent and selective inhibitors of MIP-1 α (CCL3) binding to its receptor CCR1 found on inflammatory and immunomodulatory cells (preferably leukocytes and lymphocytes). These compds. also inhibit MIP-1α (and the related chemokines shown to interact with CCR1)-induced chemotaxis of THP-1 cells and human leukocytes. All I in the examples had IC50 of <10 μM in the MIP-1α-induced chemotaxis assay.

IT **148017-28-1**, tert-Butoxycarbonylsulfamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperazine derivs. with CCR1 receptor antagonist activity)

RN 148017-28-1 CAPLUS

CN Carbamic acid, (aminosulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 67 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:236109 CAPLUS

DOCUMENT NUMBER: 139:127408

TITLE: Synthesis and biological evaluation of Fotemustine analogues on human melanoma cell lines

AUTHOR(S): Winum, Jean-Yves; Bouissiere, Jean-Luc; Passagne, Isabelle; Evrard, Alexandre; Montero, Veronique; Cuq, Pierre; Montero, Jean-Louis

CORPORATE SOURCE: ENSCM, UMR 5032, Laboratoire de Chimie Biomoleculaire, Universite Montpellier II-CNRS-Laboratoires Mayoly Spindler, Montpellier, 34296, Fr.

SOURCE: European Journal of Medicinal Chemistry (2003), 38(3), 319-324
CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Editions Scientifiques et Medicales Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

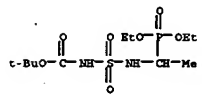
OTHER SOURCE(S): CASREACT 139:127408

AB Two new analogs of Fotemustine have been synthesized and tested on two melanoma cell lines. Both compds. proved to be more potent than the reference compound on A375 cell line which express the MGMT enzyme involved in the chemoresistance of tumoral cells.

IT **566878-01-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and structure-activity relationship studies of fotemustine analogs on human melanoma cell lines)

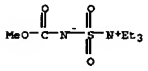
RN 566878-01-1 CAPLUS

CN 7-Oxa-3-thia-2,4-diaza-6-phosphanonanoic acid, 6-ethoxy-5-methyl-, 1,1-dimethylethyl ester, 3,3,6-trioxide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

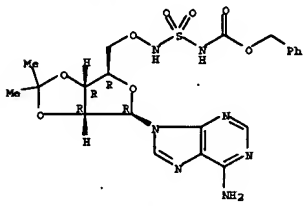
L9 ANSWER 68 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:225610 CAPLUS
DOCUMENT NUMBER: 139:84773
TITLE: Burgess reagent in organic synthesis
AUTHOR(S): Khapli, Sachin; Dey, Satyajit; Mal, Dipakranjan
CORPORATE SOURCE: Department of Chemistry, Indian Institute of Technology, Kharagpur, 721 302, India
SOURCE: Journal of the Indian Institute of Science (2001), 81(4), 461-476
CODEN: JIISAD; ISSN: 0019-4964
PUBLISHER: Indian Institute of Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review on the use of Et3N+SO2N-CO2Me, known as Burgess reagent, as a mild yet powerful dehydrating agent in various synthetic transformations and in the synthesis of heterocyclic systems.
IT 29684-56-8
RL: RGT (Reagent); RACT (Reactant or reagent)
(Burgess reagent in organic synthesis)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

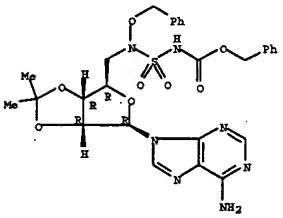
L9 ANSWER 69 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:215720 CAPLUS
DOCUMENT NUMBER: 139:94765
TITLE: N-Alkoxysulfamide, N-hydroxysulfamide, and sulfamate analogues of methionyl and isoleucyl adenylates as inhibitors of methionyl-tRNA and isoleucyl-tRNA synthetases
AUTHOR(S): Lee, Jeewoo; Kim, Sung Eun; Lee, Ji Young; Kim, Su Yeon; Kang, Sang Uk; Seo, Seung Hwan; Chun, Moon Woo; Kang, Taehee; Choi, Soo Young; Kim, Hea Ok
CORPORATE SOURCE: College of Pharmacy, RIPS, Laboratory of Medicinal Chemistry, Seoul National University, Seoul, 151-742, S. Korea
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(6), 1087-1092
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:94765
AB A series of sulfamate surrogates of methionyl and isoleucyl adenylate have been investigated as MetRS and IleRS inhibitors by modifications of the sulfamate linker and adenine moieties. The discovery of 2-iodo Ile-NHSO2-AMP (5a) as a potent Escherichia coli IleRS inhibitor revealed that a significant hydrophobic interaction between the 2-substituent of Ile-NHSO2-AMP and the adenine binding site of IleRS provided its high potency to the enzyme.
IT 560071-39-8P 560071-46-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-alkoxysulfamide, N-hydroxysulfamide, and sulfamate analogs of methionyl and isoleucyl adenylates as inhibitors of methionyl-tRNA and isoleucyl-tRNA synthetases)
RN 560071-39-8 CAPLUS
CN Adenosine, 2',3'-O-(1-methylethylidene)-5'-O-[[[[(phenylmethoxy)carbonyl]amino]sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 560071-46-7 CAPLUS
CN Adenosine, 5'-deoxy-2',3'-O-(1-methylethylidene)-5'-[(phenylmethoxy)[[[(phenylmethoxy)carbonyl]amino]sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 70 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:173586 CAPLUS
DOCUMENT NUMBER: 138:221736
TITLE: Enantioselective synthesis of intermediates of (20R)-homocamptothecins and (20R)-homocamptothecins
INVENTOR(S): Curran, Dennis P.; Gabarda, Ana E.
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003018559	A2	20030306	WO 2002-US26424	20020819
WO 2003018559	A3	20040311		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003073840	A1	20030417	US 2001-940059	20010827
US 6723853	B2	20040420		
PRIORITY APPLN. INFO.:			US 2001-940059 A	20010827
OTHER SOURCE(S):	CASREACT 138:221736; MARPAT 138:221736			

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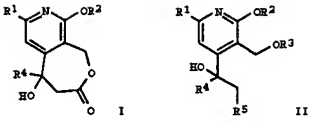
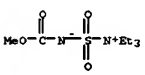


I II

AB Intermediates of (20R)-homocamptothecins of formula I [R1 = H, F, Cl, trialkylsilyl; R2, R4 = alkyl] are prepared from compds. of formula II [R3 = protecting group; R5 = carboxylic acid alkyl or aryl ester] by treatment with an organic acid or an inorg. acid.
IT 29684-56-8
RL: RGT (Reagent); RACT (Reactant or reagent)
(dehydrating agent; enantioselective synthesis of intermediates of (20R)-homocamptothecins)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

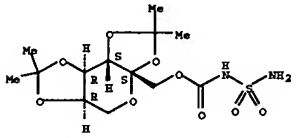


L9 ANSWER 71 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:162672 CAPLUS
DOCUMENT NUMBER: 139:78418
TITLE: Carbonic anhydrase inhibitors: SAR and X-ray crystallographic study for the interaction of sugar sulfamates/sulfamides with isozymes I, II and IV
AUTHOR(S): Casini, Angela; Antel, Jochen; Abbate, Francesco; Scozzafava, Andrea; David, Samuel; Waldeck, Harald; Schafer, Siegfried; Supuran, Claudiu T.
CORPORATE SOURCE: Dipartimento di Chimica, Universita degli Studi di Firenze, Sesto Fiorentino, I-50019, Italy
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(5), 841-845
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of sugar sulfamate/sulfamide derivs. were prepared and assayed as inhibitors of three carbonic anhydrase (CA) isoenzymes, hCA I, hCA II and hCA IV. Best inhibitory properties were observed for the clin. used antiepileptic drug topiramate, which is a low nanomolar CA II inhibitor, and possesses good inhibitory properties against the other two isoenzymes investigated here, similarly with acetazolamide, methazolamide or dichlorophenamide. The x-ray structure of the complex of topiramate with hCA II has been solved and it revealed a very tight association of the inhibitor, with a network of seven strong hydrogen bonds fixing topiramate within the active site, in addition to the Zn(II) coordination through the ionized sulfamate moiety. Structural changes in this series of sugar derivs. led to compds. with diminished CA inhibitory properties as compared to topiramate.

IT 552870-42-5 552870-44-7
RL: BMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(carbonic anhydrase inhibitors: SAR and x-ray crystallog. study for interaction of sugar sulfamates/sulfamides with isoenzymes I, II and IV)

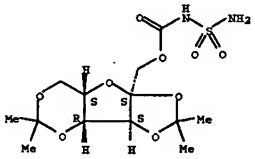
RN 552870-42-5 CAPLUS
CN β-D-arabino-2-Hexulopyranose, 2,3:4,5-bis-O-(1-methylethylidene)-, (aminosulfonyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 552870-44-7 CAPLUS
CN α-L-xylo-2-Hexulofuranose, 2,3:4,6-bis-O-(1-methylethylidene)-, (aminosulfonyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 72 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:96169 CAPLUS
DOCUMENT NUMBER: 138:131174
TITLE: Dual inhibitors of wax ester and cholesteryl ester synthesis for inhibiting sebum production
INVENTOR(S): Homan, Reynold
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

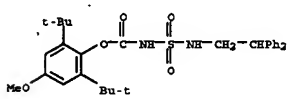
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1281399	A2	20030205	EP 2002-255156	20020723
EP 1281399	A3	20040211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CA 2395006	AA	20030201	CA 2002-2395006	20020725
ZA 2002006032	A	20040210	ZA 2002-6032	20020729
CN 1404829	A	20030326	CN 2002-127403	20020731
JP 2003104878	A2	20030409	JP 2002-222616	20020731
US 2003134898	A1	20030717	US 2002-209236	20020731
NZ 520487	A	20040326	NZ 2002-520487	20020731

PRIORITY APPLN. INFO.: US 2001-309336P P 20010801
OTHER SOURCE(S): MARPAT 138:131174

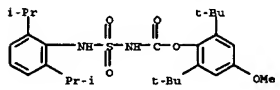
AB The invention provides a method for inhibiting sebum production and treating sebaceous gland disorders comprising administering to a patient in need of said treatment an effective amount of a compound that inhibits both acyl-CoA:cholesteryl acyltransferase (ACAT), and acyl-CoA:fatty alc. acyltransferase (AFAT), provided that the compound is not [(2,4,6-triisopropylphenyl)acetyl]sulfamic acid 2,6-diisopropylphenyl ester or a pharmaceutically acceptable salt or solvate thereof. The method of the invention is useful for the treatment of sebaceous gland disorders caused or exacerbated by the overprodn. of sebum, including oily skin, acne, seborrhea, perioral dermatitis, rosacea, and corticosteroid-induced acneiform lesions.

IT 142790-26-9 142790-27-0 142790-28-1
142790-29-2 142790-30-5 142790-31-6
142790-32-7 142790-33-8 142790-34-9
142790-35-0 142790-36-1 142790-37-2
142790-38-3 142790-39-4 142790-40-7
142790-41-8 142790-42-9 142790-43-0
142790-44-1 142790-45-2 142790-46-3
142790-47-4 142790-48-5 142790-49-6
142790-50-9 142790-51-0 142790-53-2
142790-54-3 142790-55-4 142790-56-5
142790-57-6 142790-58-7 142790-59-8
142790-67-8 143131-68-4 143131-71-9
174791-21-0 493001-64-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(wax ester-cholesteryl ester synthesis dual inhibitors for inhibiting sebum production)

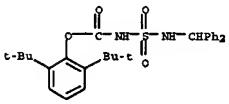
RN 142790-26-9 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methoxyphenyl ester (9CI) (CA INDEX NAME)



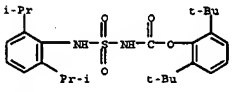
RN 142790-27-0 CAPLUS
CN Carbamic acid, [[(2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methoxyphenyl ester (9CI) (CA INDEX NAME)



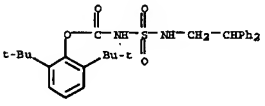
RN 142790-28-1 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



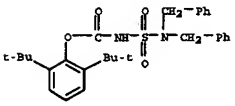
RN 142790-29-2 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



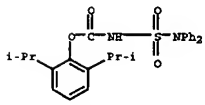
RN 142790-30-5 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



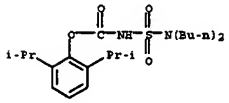
RN 142790-31-6 CAPLUS
CN Carbamic acid, [[bis(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



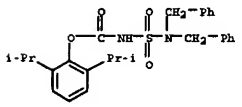
RN 142790-32-7 CAPLUS
CN Carbamic acid, [(diphenylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



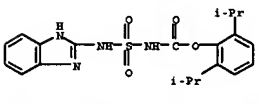
RN 142790-33-8 CAPLUS
CN Carbamic acid, [(dibutylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



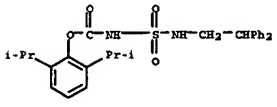
RN 142790-34-9 CAPLUS
CN Carbamic acid, [bis(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



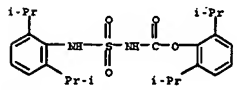
RN 142790-35-0 CAPLUS
CN Carbamic acid, [(1H-benzimidazol-2-ylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



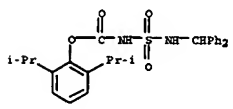
RN 142790-36-1 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



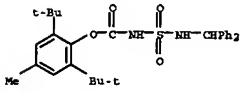
RN 142790-37-2 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



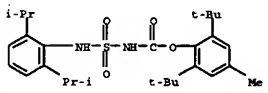
RN 142790-38-3 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



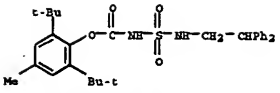
RN 142790-39-4 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



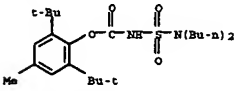
RN 142790-40-7 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



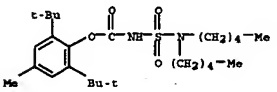
RN 142790-41-8 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



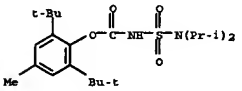
RN 142790-42-9 CAPLUS
CN Carbamic acid, [(dibutylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



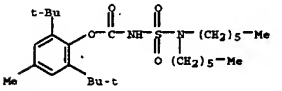
RN 142790-43-0 CAPLUS
CN Carbamic acid, [(dipentylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



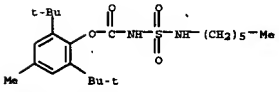
RN 142790-44-1 CAPLUS
CN Carbamic acid, [[bis(1-methylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



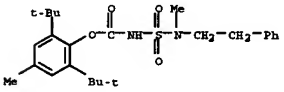
RN 142790-45-2 CAPLUS
CN Carbamic acid, [(dihexylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



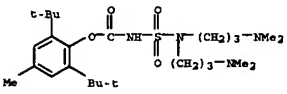
RN 142790-46-3 CAPLUS
CN Carbamic acid, [(hexylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



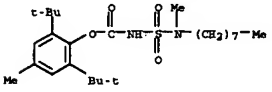
RN 142790-47-4 CAPLUS
CN Carbamic acid, [[methyl(2-phenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



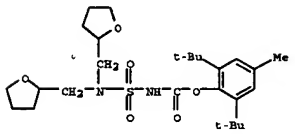
RN 142790-48-5 CAPLUS
CN 3-Thia-2,4,8-triazanonanoic acid, 4-[3-(dimethylamino)propyl]-8-methyl-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



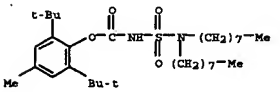
RN 142790-49-6 CAPLUS
CN Carbamic acid, [(methyloctylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



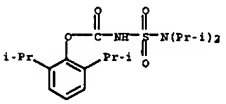
RN 142790-50-9 CAPLUS
CN Carbamic acid, [[bis[(tetrahydro-2-furanyl)methyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



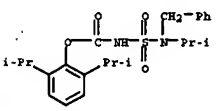
RN 142790-51-0 CAPLUS
CN Carbamic acid, [(dioctylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



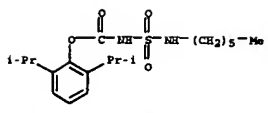
RN 142790-53-2 CAPLUS
CN Carbamic acid, [[bis(1-methylethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



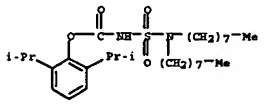
RN 142790-54-3 CAPLUS
CN Carbamic acid, [[(1-methylethyl)(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



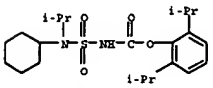
RN 142790-55-4 CAPLUS
CN Carbamic acid, [(hexylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



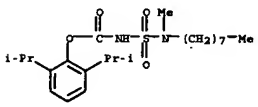
RN 142790-56-5 CAPLUS
CN Carbamic acid, [(dioctylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



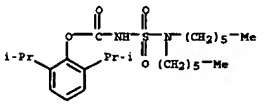
RN 142790-57-6 CAPLUS
CN Carbamic acid, [(cyclohexyl(1-methylethyl)amino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

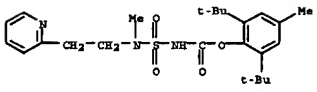


RN 142790-58-7 CAPLUS
CN Carbamic acid, [(methyloctylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



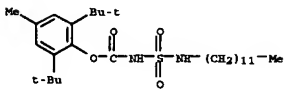
RN 142790-59-8 CAPLUS
CN Carbamic acid, [(dihexylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)





● Na

RN 493001-64-2 CAPLUS
CN Carbamic acid, [(dodecylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 73 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:68591 CAPLUS
DOCUMENT NUMBER: 138:137088
TITLE: Preparation of sulfamides and pyrrolidines as intermediates for pyrrolidylthiocarbapenem antibiotic
INVENTOR(S): Nishino, Yutaka; Yuasa, Tetsuya; Komurasaki, Tadashi; Kakimuma, Makoto; Masui, Toshiaki; Kobayashi, Makoto
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003026680	A2	20030129	JP 2002-129301	20020430
PRIORITY APPLN. INFO.:			JP 2001-140782 A	20010510

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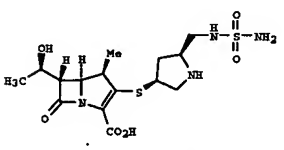
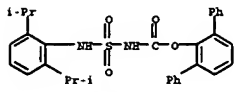


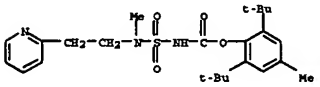
I

AB Sulfamides are manufactured by reaction of halosulfonyl isocyanates with alcs. in solvents, reaction with (substituted) pyridine or quinolines, and

RN 142790-67-8 CAPLUS
CN Carbamic acid, [[(2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, [1,1':3',1''-terphenyl]-2'-yl ester (9CI) (CA INDEX NAME)

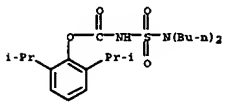


RN 143131-68-4 CAPLUS
CN Carbamic acid, [(methyl[2-(2-pyridinyl)ethyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester, monohydrochloride (9CI) (CA INDEX NAME)



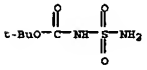
● HCl

RN 143131-71-9 CAPLUS
CN Carbamic acid, [(dibutylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 174791-21-0 CAPLUS
CN Carbamic acid, [(methyl[2-(2-pyridinyl)ethyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester, sodium salt (9CI) (CA INDEX NAME)

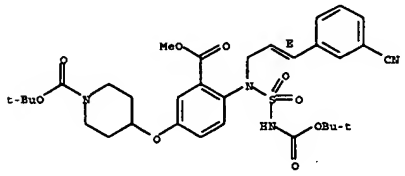


L9 ANSWER 74 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:7901 CAPLUS
DOCUMENT NUMBER: 139:30165
TITLE: Design, synthesis and biological activity of YM-60828 derivatives. Part 2: potent and orally-bioavailable factor Xa inhibitors based on benzothiadiazine-4-one template
AUTHOR(S): Hirayama, Fukushi; Koshio, Hiroyuki; Katayama, Naoko; Ishihara, Tsukasa; Kaizawa, Hiroyuki; Taniuchi, Yuta; Sato, Kazuo; Sakai-Moritani, Yumiko; Kaku, Seiji; Kurihara, Hiroyuki; Kawasaki, Tomihisa; Matsumoto, Yuzo; Sakamoto, Shuichi; Tsukamoto, Shin-ichi
CORPORATE SOURCE: Yamanouchi Pharmaceutical Co., Ltd., Institute for Drug Discovery Research, Tsukuba, Ibaraki, 305-8585, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(3), 367-381
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:30165
AB Compound YM-60828 was previously characterized in our laboratory as a potent, selective and orally-bioavailable Factor Xa (FXa) inhibitor. The L-shape conformation of this compound in the active site of FXa was recognized as an important factor in displaying its FXa inhibitory activity. This led to the exploration of conformationally restricted cyclic scaffolds bearing a similar active conformation. The current study investigated a novel series of benzothiadiazine-4-one based compds. as FXa inhibitors. Structure-activity relationship (SAR) investigations revealed some potent FXa inhibitors that were selected for further in vitro and ex vivo anticoagulant studies. Among them YM-169920 was proved to be most effective anticoagulant in this series. The synthesis and SAR in addition to docking studies of this class of inhibitors are described.
IT 233281-63-5P 233281-67-9P 233282-02-5P
540765-38-6P 540765-40-0P 540765-43-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and structure-activity of YM-60828 derivs. as factor Xa inhibitors and anticoagulants)

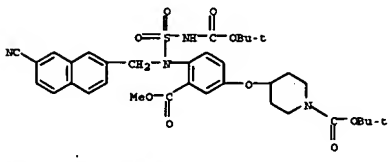
treatment with aqueous NH3. The sulfamides are useful for preparation of carbapenem I. 4-Nitrobenzyl (2S,4S)-4-acetylthio-2-hydroxymethylpyrrolidine-1-carboxylate (prepared L-hydroxyproline) was treated with PPh3, tert-BuO2CNHSO2NH2, and diisopropylazodicarboxylic acid in AcOEt at 18-21° for 2 h to give 81.0% (pyrrolidylmethyl)sulfamide, which was converted into I in 3 steps.
IT 148017-28-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfamides and pyrrolidines as intermediates for pyrrolidylthiocarbapenem antibiotic)
RN 148017-28-1 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 233281-63-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[(2E)-3-(3-cyanophenyl)-2-propenyl][[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-3-(methoxycarbonyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

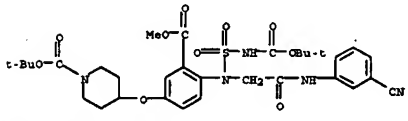
Double bond geometry as shown.



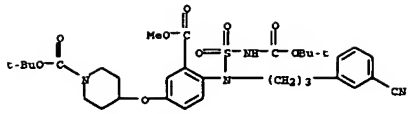
RN 233281-67-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[(7-cyano-2-naphthalenyl)methyl][[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-3-(methoxycarbonyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



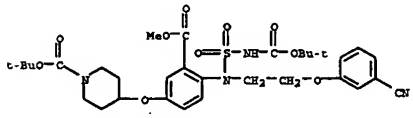
RN 233282-02-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[2-[(3-cyanophenyl)amino]-2-oxoethyl][[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-3-(methoxycarbonyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



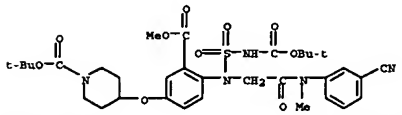
RN 540765-38-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[3-(3-cyanophenyl)propyl][[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-3-(methoxycarbonyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 540765-40-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[2-(3-cyanophenoxy)ethyl][[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-3-(methoxycarbonyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

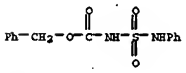


RN 540765-43-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[2-[(3-cyanophenyl)methylamino]-2-oxoethyl][[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-3-(methoxycarbonyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

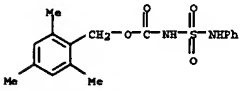


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

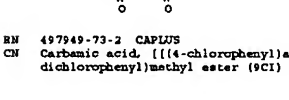
L9 ANSWER 75 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:959684 CAPLUS
DOCUMENT NUMBER: 138:187433
TITLE: Uncatalyzed Friedel-Crafts Alkylation of Aromatic Compounds through Reactive Benzyl Cations Generated from N-Sulfamoylcarbamates
AUTHOR(S): Sefkow, Michael; Buchs, Jens
CORPORATE SOURCE: Institut fuer Chemie, Universitaet Potsdam, Golm, D-14476, Germany
SOURCE: Organic Letters (2003), 5(2), 193-196
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:187433
AB A new method for the generation of highly reactive benzyl cations by thermal decomposition of benzyl (arylsulfamoyl)carbamates, obtained in a one-pot reaction from chlorosulfonyl isocyanate, is described. The generated cations alkylate aromatic compds. efficiently in the absence of catalysts.
IT 497949-70-9P 497949-71-0P 497949-72-1P
497949-73-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(uncatalyzed Friedel-Crafts alkylation of aromatic compds. through reactive benzyl cations generated from N-sulfamoylcarbamates)
RN 497949-70-9 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



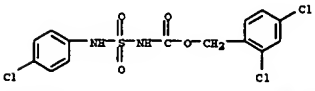
RN 497949-71-0 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, (2,4,6-trimethylphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 497949-72-1 CAPLUS
CN Acetic acid, [2-[[[[[[(4-chlorophenyl)amino]sulfonyl]amino]carbonyl]oxy]methyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 497949-73-2 CAPLUS
CN Carbamic acid, [[(4-chlorophenyl)amino]sulfonyl]-, (2,4-dichlorophenyl)methyl ester (9CI) (CA INDEX NAME)



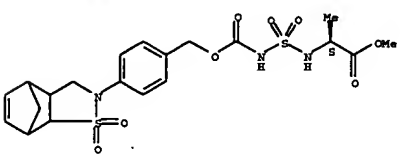
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 76 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:943344 CAPLUS
DOCUMENT NUMBER: 138:187750
TITLE: Ring-Opening Metathesis Phase-Trafficking (ROMpt) Synthesis: Multistep Synthesis on Soluble ROM Supports
AUTHOR(S): Harned, Andrew M.; Mukherjee, Shubhasish; Flynn, Daniel L.; Hansen, Paul R.
CORPORATE SOURCE: Department of Chemistry, University of Kansas, Lawrence, KS, 66045-7582, USA
SOURCE: Organic Letters (2003), 5(1), 15-18
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:187750
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Ring-opening metathesis (ROM) oligomers are prepared as high-loading soluble supports for multistep organic synthesis. Methanobenzoisothiazolylphenylmethoxycarbonyl sulfamides I (R = Me, Me2CH, Me2CHCH2, PhCH2) and norbornanemethoxyphenylmethoxycarbonyl sulfamides II (R1 = H, PhCH2; R2 = Me2CH, H) are prepared in six- and three-step sequences, resp. Mitsunobu reactions of I and II with cinnamyl alc. followed by ring-opening metathesis polymerization provide soluble polymer-supported sulfamides; N-alkylation of the soluble polymer-supported sulfamides with allyl bromide, ring-closing metathesis, and carbamate cleavage with trifluoroacetic acid in methylene chloride provides nonracemic dioxothiadiazepineacetic acids III (R3 = H, Me, Me2CH, Me2CHCH2, PhCH2; R4 = PhCH2, H) in 45-53% yields from I and II. The polymer-supported intermediates are isolated and purified by precipitation from either methanol or water.
IT 497249-55-5P 497249-56-6P 497249-57-7P
497249-58-8P 497249-59-9P 497249-60-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of soluble supports for multistep organic synthesis using ring-opening metathesis polymerization and their use in the synthesis of nonracemic dioxothiadiazepineacetates)
RN 497249-55-5 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 5-methyl-6-oxo-, [4-(3a,4,7,7a-tetrahydro-1,1-dioxido-4,7-methano-1,2-benzisothiazol-2(3H)-yl)phenyl]methyl ester, 3,3-dioxide, (5S)- (9CI) (CA INDEX NAME)

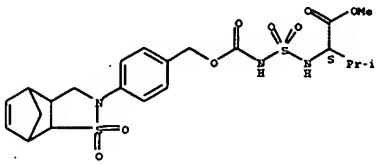
Absolute stereochemistry.



RN 497249-56-6 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 5-(1-methylethyl)-6-oxo-,

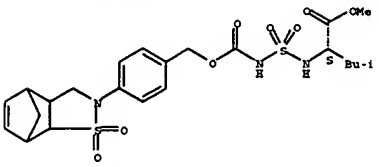
{4-(3a,4,7,7a-tetrahydro-1,1-dioxido-4,7-methano-1,2-benzisothiazol-2(3H)-yl]phenyl]methyl ester, 3,3-dioxide, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



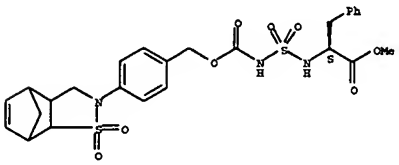
RN 497249-57-7 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 5-(2-methylpropyl)-6-oxo-, {4-(3a,4,7,7a-tetrahydro-1,1-dioxido-4,7-methano-1,2-benzisothiazol-2(3H)-yl]phenyl]methyl ester, 3,3-dioxide, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



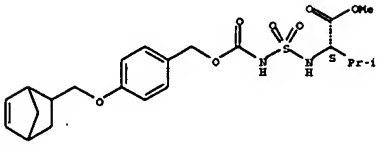
RN 497249-58-8 CAPLUS
CN L-Phenylalanine, N-[[[[(4-(3a,4,7,7a-tetrahydro-1,1-dioxido-4,7-methano-1,2-benzisothiazol-2(3H)-yl]phenyl]methoxy]carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



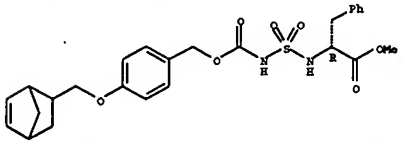
RN 497249-59-9 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 5-(1-methylethyl)-6-oxo-, [4-(bicyclo[2.2.1]hept-5-en-2-ylmethoxy)phenyl]methyl ester, 3,3-dioxide, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



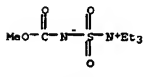
RN 497249-60-2 CAPLUS
CN D-Phenylalanine, N-[[[[(4-(bicyclo[2.2.1]hept-5-en-2-ylmethoxy)phenyl]methoxy]carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

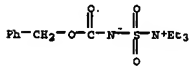


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

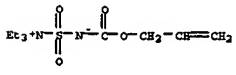
L9 ANSWER 77 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:859313 CAPLUS
DOCUMENT NUMBER: 138:271601
TITLE: A new method for the synthesis of nonsymmetrical sulfamides using Burgess-type reagents
AUTHOR(S): Nicolaou, K. C.; Longbottom, Deborah A.; Snyder, Scott A.; Nalbandian, Annie Z.; Huang, Xianhai
CORPORATE SOURCE: Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Angewandte Chemie, International Edition (2002), 41(20), 3866-3870
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:271601
AB The reaction of com. available β-amino alcs. with Burgess reagent gave cyclic sulfamides in high yield. For example, the reaction of N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]ethanaminium inner salt (Burgess reagent) with 2-aminoethanol 5-Methyl-1,2,5-Thiadiazolidine-2-carboxylic acid Me ester 1,1-dioxide in 75% yield. Other Burgess-type reagents included N,N-diethyl-N-[[[(2-propenyloxy)carbonyl]amino]sulfonyl]ethanaminium inner salt and N,N-diethyl-N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]ethanaminium inner salt.
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(Burgess reagent; preparation of nonsym. sulfamides from amino alcs. and Burgess-type reagents)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



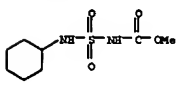
IT 439585-11-2, N,N-Diethyl-N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]ethanaminium inner salt 439585-15-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of nonsym. sulfamides from amino alcs. and Burgess-type reagents)
RN 439585-11-2 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



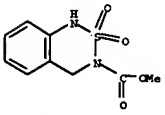
RN 439585-15-6 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[(2-propenyloxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



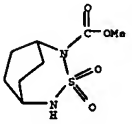
IT 90222-26-7P 503310-56-3P 503310-59-6P 503310-60-9P 503310-63-2P 503310-64-3P 503310-67-6P 503310-68-7P 503310-69-8P 503310-78-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of nonsym. sulfamides from amino alcs. and Burgess-type reagents)
RN 90222-26-7 CAPLUS
CN Carbamic acid, [(cyclohexylamino)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



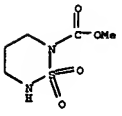
RN 503310-56-3 CAPLUS
CN 3H-2,1,3-Benzothiadiazine-3-carboxylic acid, 1,4-dihydro-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



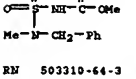
RN 503310-59-6 CAPLUS
CN 3-Thia-2,4-diazabicyclo[3.2.2]nonane-2-carboxylic acid, methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



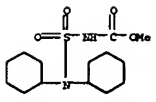
RN 503310-60-9 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, tetrahydro-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



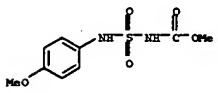
RN 503310-63-2 CAPLUS
CN Carbamic acid, [(methyl(phenylmethyl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



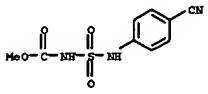
RN 503310-64-3 CAPLUS
CN Carbamic acid, [(dicyclohexylamino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



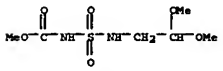
RN 503310-67-6 CAPLUS
CN Carbamic acid, [[(4-methoxyphenyl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



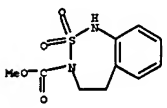
RN 503310-68-7 CAPLUS
CN Carbamic acid, [[(4-cyanophenyl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 503310-69-8 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 6-methoxy-, methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 503310-70-9 CAPLUS
CN 2,1,3-Benzothiadiazepine-3(1H)-carboxylic acid, 4,5-dihydro-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

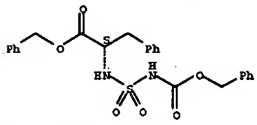
L9 ANSWER 78 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:808529 CAPLUS
DOCUMENT NUMBER: 138:39510
TITLE: Sulfamide-Based Inhibitors for Carboxypeptidase A. Novel Type Transition State Analogue Inhibitors for Zinc Proteases
AUTHOR(S): Park, Jung Dae; Kim, Dong H.; Kim, Seung-Jun; Woo, Joo-Rang; Ryu, Seung Ecn
CORPORATE SOURCE: Center for Integrated Molecular Systems Division of Molecular and Life Sciences, Pohang University of Science and Technology, Pohang, 790-784, S. Korea
SOURCE: Journal of Medicinal Chemistry (2002), 45(24), 5295-5302
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:39510

AB N-Sulfamoylphenylalanine and its derivs. having varied alkyl groups on the terminal amino group were designed as transition state analog inhibitors for carboxypeptidase A (CPA) and synthesized. In CPA inhibitory assays the parent compound, H2NSO2-L-Phe-OH (I), showed potent inhibitory activity with Ki = 0.64 μM. Its D-enantiomer was much less potent (Ki = 470 μM). Introduction of an alkyl group to the terminal amino group such as RNHSO2-L-Phe-OH (R = Me, iso-Pr) lowered the inhibitory potency dramatically. Introduction of a Me group on the internal amino group such as H2NSO2N(Me)CH(CH2Ph)CO2H also caused a dramatic reduction of the inhibitory activity. The structure of the CPA·I complex determined by single-crystal x-ray diffraction revealed that the sulfamoyl moiety interacts with the zinc ion and functional groups at the active site of CPA, which is reminiscent of the postulated stabilization mode of a tetrahedral transition state in the CPA-catalyzed hydrolysis of a peptide substrate. On the basis of the design rationale and the binding mode of I to CPA shown by x-ray crystallog. anal., the present inhibitors are inferred to be a novel type of transition state analog inhibitor for CPA.

IT 478182-49-9P 478182-50-2P 478182-55-7P
478182-58-0P 478404-14-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and biol. activity of N-sulfamoylphenylalanine derivs. as inhibitors for carboxypeptidase A)

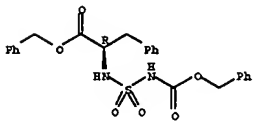
RN 478182-49-9 CAPLUS
CN L-Phenylalanine, N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



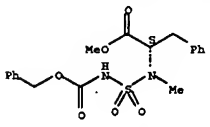
RN 478182-50-2 CAPLUS
CN D-Phenylalanine, N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



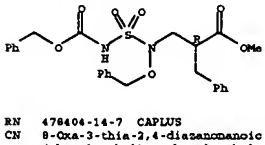
RN 478182-55-7 CAPLUS
CN L-Phenylalanine, N-methyl-N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



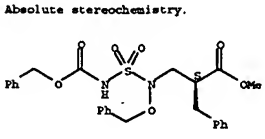
RN 478182-58-0 CAPLUS
CN 8-Oxa-3-thia-2,4-diazanonanoic acid, 7-oxo-4-(phenylmethoxy)-6-(phenylmethyl)-, phenylmethyl ester, 3,3-dioxide, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478404-14-7 CAPLUS
CN 8-Oxa-3-thia-2,4-diazanonanoic acid, 7-oxo-4-(phenylmethoxy)-6-(phenylmethyl)-, phenylmethyl ester, 3,3-dioxide, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 79 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:777661 CAPLUS
DOCUMENT NUMBER: 137:273186
TITLE: A method of treating proliferative diseases using Eg5 inhibitors
INVENTOR(S): Kimball, Spencer David; Lombardo, Louis J.; Rawlins, David B.; Xiao, Hai-Yun; Roussell, Deborah L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002078639	A2	20021010	WO 2002-US9817	20020328
WO 2002078639	A3	20030410		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2442482	AA	20021010	CA 2002-2442482	20020326
CA 2442484	AA	20021010	CA 2002-2442484	20020326
EE 200300474	A	20031215	EE 2003-474	20020326
EP 1373221	A2	20040102	EP 2002-728592	20020326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008405	A	20040330	BR 2002-8405	20020326
JP 2005504725	T2	20050217	JP 2002-577776	20020326
CA 2442455	AA	20021010	CA 2002-2442455	20020328
US 2002165240	A1	20021107	US 2002-108403	20020328
EP 1372657	A2	20040102	EP 2002-717741	20020328
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005506298	T2	20050303	JP 2002-576907	20020328
BG 108180	A	20040930	BG 2003-108180	20030917
NO 2003004300	A	20031107	NO 2003-4300	20030926

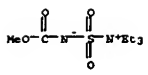
PRIORITY APPLN. INFO.:

US 2001-279956P	P	20010329
US 2001-280366P	P	20010330
WO 2002-US9404	W	20020326
WO 2002-US9497	W	20020326
WO 2002-US9817	W	20020328

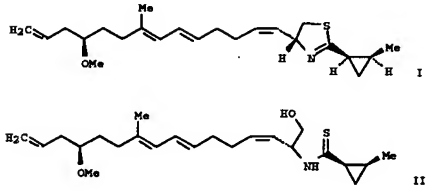
AB The invention provides a method for treating a condition via modulation of the Eg5 protein activity comprising administering to a mammalian species in need of such treatment an effective amount of at least one small mol. Eg5 protein inhibitor. The invention also provides a method for treating a condition via modulation of the Eg5 protein activity comprising administering to a mammalian species in need of such treatment an effective amount of at least one small mol. Eg5 protein inhibitor in combination with at least one other anti-cancer agent.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(treating proliferative diseases using Eg5 inhibitors)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 80 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:758715 CAPLUS
DOCUMENT NUMBER: 138:39115
TITLE: Total synthesis of (+)-curacin A, a novel antimitotic metabolite from a cyanobacterium
AUTHOR(S): Muir, James C.; Pattenden, Gerald; Ye, Tao
CORPORATE SOURCE: School of Chemistry, The University of Nottingham, Nottingham, NG7 2RD, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1 (2002), (20), 2243-2250
CODEN: JCSPCE; ISSN: 1472-7781
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:39115
GI



AB A concise total synthesis of (+)-curacin A (I), a potent antimitotic agent isolated from the cyanobacterium Lyngbya majuscula, is described. The synthesis features a new strategy to the 2-cyclopropyl-4-alkenyl substituted thiazoline unit in the natural product involving facile and selective thioacylation of the amino-alc. with the benzotriazole derived thioamide, leading to II, as a key step. Cyclodehydration of II using Burgess' reagent then completed the synthesis of I.

IT 29684-56-8, Burgess' reagent
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of (+)-curacin A via cyclodehydration of polyene- substituted thioamide using Burgess' reagent)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

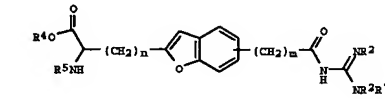
REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 81 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:748791 CAPLUS
DOCUMENT NUMBER: 137:263051
TITLE: Preparation of tetrahydropyrimidinylaminooxopropylbenzofurans as vitronectin receptor antagonists.
INVENTOR(S): Carniato, Denis; Gadek, Thomas R.; Gourvest, Jean-Francois; Knolle, Jochen; Peyman, Anuschirwan; Bodary, Sarah C.
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.; Genentech, Inc.
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6458801	B1	20021001	US 2001-856542	20010629
WO 2000031070	A1	20000602	WO 1999-FR2879	19991123
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 2002187976	A1	20021212	US 2002-180253	20020626
US 6586442	B2	20030701		

PRIORITY APPLN. INFO.: FR 1999-14779 A 19991123
WO 1999-FR2879 W 19991123
FR 1998-14779 A 19981124
US 2001-856542 A3 20010629

OTHER SOURCE(S): MARPAT 137:263051
GI

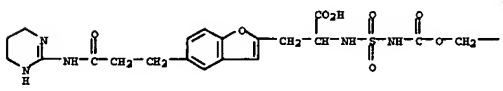


AB Title compds. [I; R1, R2 = H, R3-(substituted) A; A = alkyl; R1R2 = alkylene containing 2-9 C atoms, saturated or unsatd., such as (CH2)p in which p = 2-9, non-substituted or substituted by ≥1 halo, alkyl, alkoxy, aryl, aralkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, oxo, said divalent alkylene radical being able to be attached at the level of the C-C bond to a carbocycle or heterocycle with 5-7 members, containing 1-2 N, saturated or unsatd., non-substituted or substituted by 1-2 R3 radicals; R3 = A, alkoxy, aryl, aralkyl, halo, CF3, OH, NO2, amino, NHCOA, COA; R4 = H, ACO2A, A, unsubstituted or substituted by OH, alkoxy, ASO2, NR9R9', etc.; R9, R9' = H, alkyl, aryl, aralkyl; R5 = H, COR6, CO2R6, SO2R6, SO2NHR6, SO2NHCOR6, SO2NHCO2R6, CONH2, CONHR6; R6 = A, aryl, aralkyl, heteroaryl, heteroarylalkyl, (mono, bi- or tri)cycloalkyl(alkyl), the aryl or heteroaryl radical being unsubstituted or substituted by 1-3 R3; R7 = H, AO2C, OH, AO2CO, NO2; m = 0-3; n = 1-3], were prepared Thus, 1,4,5,6-tetrahydro-2-pyrimidinamine and 1,1-dimethylethyl 5-(3-methoxy-3-oxopropyl)-α[[(phenylmethoxy)carbonyl]amino]-2-benzofuranepropanoate (preparation given) were agitated 4.5 h in THF to give the amide derivative, which was hydrolyzed to give 5-[3-oxo-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propyl]-α-[[(phenylmethoxy)carbonyl]amino]-2-benzofuranepropanoic acid. The latter in ELISA test showed IC50 = 0.009 μM for kistrin/vitronectin.

IT 271770-63-9P 271770-64-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydropyrimidinylaminooxopropylbenzofurans as vitronectin receptor antagonists)

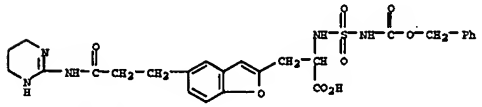
RN 271770-63-9 CAPLUS
CN 2-Oxa-5-thia-4,6-diazaoctan-8-oic acid, 3-oxo-7-[[5-[3-oxo-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propyl]-2-benzofuranyl]methyl]-1-tricyclo[3.3.1.13,7]dec-1-yl-, 5,5-dioxide (9CI) (CA INDEX NAME)

PAGE 1-A



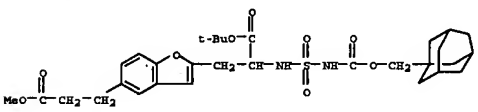
PAGE 1-B

RN 271770-64-0 CAPLUS
CN 2-Oxa-5-thia-4,6-diazaoctan-8-oic acid, 3-oxo-7-[[5-[3-oxo-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propyl]-2-benzofuranyl]methyl]-1-phenyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



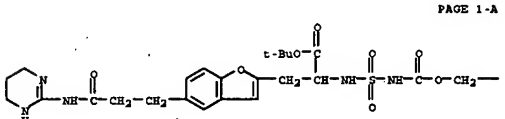
IT 271770-82-2P 271770-83-3P 271770-84-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydropyrimidinylaminooxopropylbenzofurans as vitronectin receptor antagonists)

RN 271770-82-2 CAPLUS
CN 2,5-Benzofurandipropanoic acid, α2-[[[[[(tricyclo[3.3.1.13,7]dec-1-ylmethoxy)carbonyl]amino]sulfonyl]amino]-, α2-(1,1-dimethylethyl) α5-methyl ester (9CI) (CA INDEX NAME)



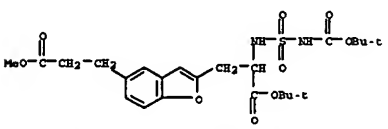
RN 271770-83-3 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 8,8-dimethyl-6-oxo-5-[[5-[3-oxo-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propyl]-2-benzofuranyl]methyl]-, tricyclo[3.3.1.13,7]dec-1-ylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

RN 271770-84-4 CAPLUS
CN 2,5-Benzofurandipropanoic acid, α2-[[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-, α2-(1,1-dimethylethyl) α5-methyl ester (9CI) (CA INDEX NAME)



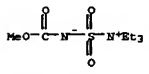
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 82 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:675033 CAPLUS
DOCUMENT NUMBER: 138:187695
TITLE: Solid-phase synthesis of 2,3,5-trisubstituted 4H-imidazolones
AUTHOR(S): Lange, Udo E. W.
CORPORATE SOURCE: Combinatorial Chemistry, Agrochemicals Research, Ludwigshafen, D-67056, Germany
SOURCE: Tetrahedron Letters (2002), 43(38), 6857-6860
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:187695

AB A solid-phase synthesis of 2,3,5-trisubstituted 4H-imidazolones suitable for automation, using the dehydration of a urea as the key-step, is described. The novel method is compared with other reported procedures. Furthermore, the formation of imidazolone diastereoisomers containing a chiral C,N-axis is discussed.

IT 29684-56-8
RL: RGT (Reagent); RACT (Reactant or reagent)
(solid-phase synthesis of 2,3,5-trisubstituted 4H-imidazolones)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 83 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:671831 CAPLUS
DOCUMENT NUMBER: 137:210982
TITLE: Sulfonylaminocarbonyl derivatives for the treatment of nuclear factor-kappa B mediated diseases and disorders
INVENTOR(S): Cornicelli, Joseph Anthony; Karathanasis, Sotirios K.
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1236468	A1	20020904	EP 2002-2612	20020205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2369967	AA	20020812	CA 2002-2369967	20020201
AU 2002015394	A5	20020815	AU 2002-15394	20020204
NZ 517021	A	20030926	NZ 2002-517021	20020204
JP 2002275062	A2	20020925	JP 2002-32755	20020208
US 2002183384	A1	20021205	US 2002-71034	20020208
CN 1370526	A	20020925	CN 2002-104763	20020210
ZA 2002001161	A	20030811	ZA 2002-1161	20020211
PRIORITY APPLN. INFO.:			US 2001-268203P	P 20010212

OTHER SOURCE(S): MARPAT 137:210982

AB The present invention provides a method of treating a disease or a disorder responsive to inhibition of nuclear factor- κB transcription factors comprising administering to a patient in need thereof a sulfonylaminocarbonyl derivative, or a pharmaceutically acceptable salt thereof. The methods of the present invention are useful for treating, for example, rheumatoid arthritis, osteoarthritis, an autoimmune disease, psoriasis, asthma, a cardiovascular disease, an acute coronary syndrome, congestive heart failure, Alzheimer's disease, multiple sclerosis, cancer, type II diabetes, metabolic syndrome X, or inflammatory bowel disease.

IT 92049-97-3 92049-98-4 142790-24-7
142790-25-8 142790-26-9 142790-27-0
142790-28-1 142790-29-2 142790-30-5
142790-31-6 142790-32-7 142790-33-8
142790-34-9 142790-35-0 142790-36-1
142790-37-2 142790-38-3 142790-39-4
142790-40-7 142790-41-8 142790-42-9
142790-43-0 142790-44-1 142790-45-2
142790-46-3 142790-47-4 142790-48-5
142790-49-6 142790-51-0 142790-52-1
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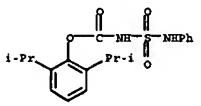
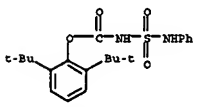
142790-56-5 142790-57-6 142790-58-7
142790-59-8 142790-60-1 142790-61-2
143131-68-4 454201-40-2 454203-79-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sulfonylaminocarbonyl derivs. for treatment of nuclear factor-kappa B mediated diseases and disorders)

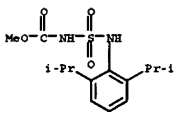
RN 92049-97-3 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



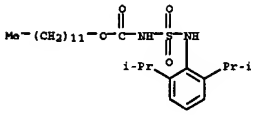
RN 92049-98-4 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



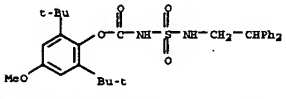
RN 142790-24-7 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



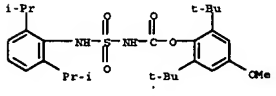
RN 142790-25-8 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, dodecyl ester (9CI) (CA INDEX NAME)



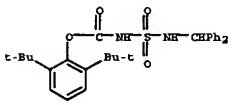
RN 142790-26-9 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methoxyphenyl ester (9CI) (CA INDEX NAME)



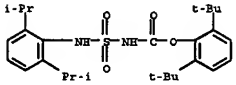
RN 142790-27-0 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methoxyphenyl ester (9CI) (CA INDEX NAME)



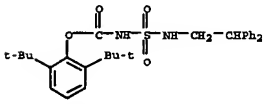
RN 142790-28-1 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



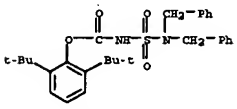
RN 142790-29-2 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



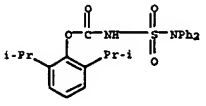
RN 142790-30-5 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



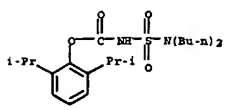
RN 142790-31-6 CAPLUS
CN Carbamic acid, [(bis(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



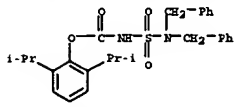
RN 142790-32-7 CAPLUS
CN Carbamic acid, [(diphenylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



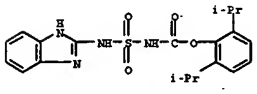
RN 142790-33-8 CAPLUS
CN Carbamic acid, [(dibutylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



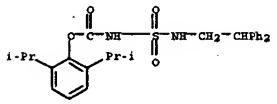
RN 142790-34-9 CAPLUS
CN Carbamic acid, [[bis(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



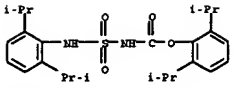
RN 142790-35-0 CAPLUS
CN Carbamic acid, [(1H-benzimidazol-2-ylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



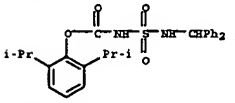
RN 142790-36-1 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



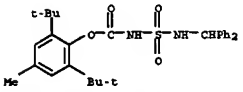
RN 142790-37-2 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



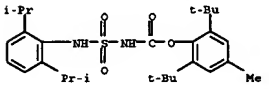
RN 142790-38-3 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



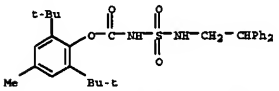
RN 142790-39-4 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



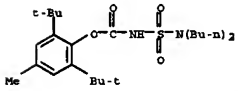
RN 142790-40-7 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



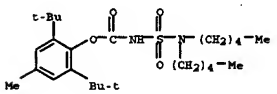
RN 142790-41-8 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



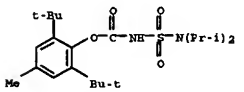
RN 142790-42-9 CAPLUS
CN Carbamic acid, [(dibutylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



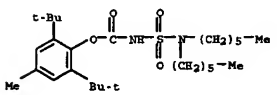
RN 142790-43-0 CAPLUS
CN Carbamic acid, [(dipentylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



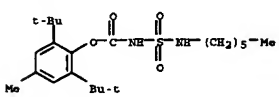
RN 142790-44-1 CAPLUS
CN Carbamic acid, [[bis(1-methylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



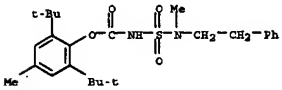
RN 142790-45-2 CAPLUS
CN Carbamic acid, [(dihexylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



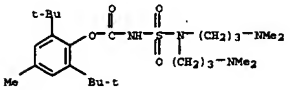
RN 142790-46-3 CAPLUS
CN Carbamic acid, [(hexylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



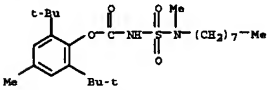
RN 142790-47-4 CAPLUS
CN Carbamic acid, [(methyl(2-phenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



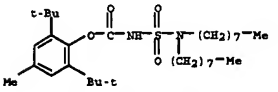
RN 142790-48-5 CAPLUS
CN 3-Thia-2,4,8-triazanonanoic acid, 4-[3-(dimethylamino)propyl]-8-methyl-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



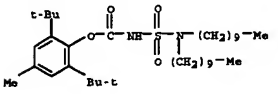
RN 142790-49-6 CAPLUS
CN Carbamic acid, [(methyloctylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



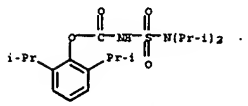
RN 142790-51-0 CAPLUS
CN Carbamic acid, [(dioctylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



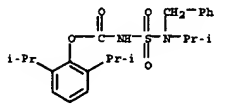
RN 142790-52-1 CAPLUS
CN Carbamic acid, [(didecylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



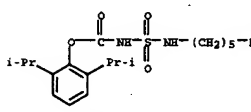
RN 142790-53-2 CAPLUS
CN Carbamic acid, [[bis(1-methylethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



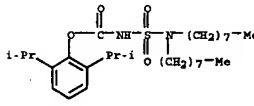
RN 142790-54-3 CAPLUS
CN Carbamic acid, [[(1-methylethyl)(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



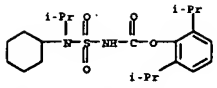
RN 142790-55-4 CAPLUS
CN Carbamic acid, [(hexylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



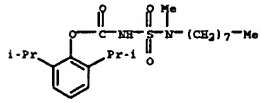
RN 142790-56-5 CAPLUS
CN Carbamic acid, [(dioctylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



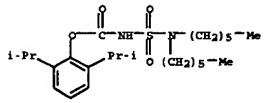
RN 142790-57-6 CAPLUS
CN Carbamic acid, [(cyclohexyl(1-methylethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



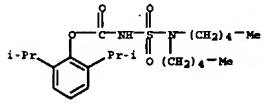
RN 142790-58-7 CAPLUS
CN Carbamic acid, [(methyloctylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



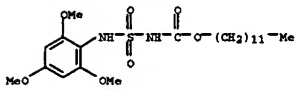
RN 142790-59-8 CAPLUS
CN Carbamic acid, [(dihexylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



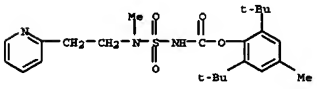
RN 142790-60-1 CAPLUS
CN Carbamic acid, [(dipentylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



RN 142790-61-2 CAPLUS
CN Carbamic acid, [[(2,4,6-trimethoxyphenyl)amino]sulfonyl]-, dodecyl ester (9CI) (CA INDEX NAME)

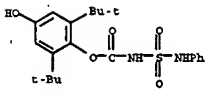


RN 143131-68-4 CAPLUS
CN Carbamic acid, [[methyl[2-(2-pyridinyl)ethyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

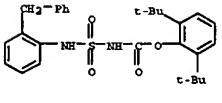


● HCl

RN 454201-40-2 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-hydroxyphenyl ester (9CI) (CA INDEX NAME)

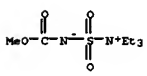


RN 454203-79-3 CAPLUS
CN Carbamic acid, [[[2-(phenylmethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 84 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:619876 CAPLUS
DOCUMENT NUMBER: 138:39070
TITLE: Oxidation of benzoin to benzil using Burgess Reagent
AUTHOR(S): Jose, Bincy; Unni, M. V. Vishnu; Prathapan, Sreedharan; Vadakkan, Jean John
CORPORATE SOURCE: Department of Applied Chemistry, Cochin University of Science and Technology, Kochi, 682 022, India
SOURCE: Synthetic Communications (2002), 32(16), 2495-2498
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:39070
AB Synthetic utility of Burgess Reagent for the mild and efficient oxidation of benzoins to benzils is discussed.
IT 29684-56-8
RL: RGT (Reagent); RACT (Reactant or reagent)
(oxidation of benzoins to benzils using)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 85 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:574920 CAPLUS
DOCUMENT NUMBER: 137:140337
TITLE: Preparation of hydroxyhexafluoropropylarenes as malonyl-CoA decarboxylase inhibitors.
INVENTOR(S): Arrhenius, Thomas; Chen, Mi; Cheng, Jie Fei; Haramura, Masayuki; Huang, Yujin; Nadzan, Alex; Tith, Sovouthy; Wallace, David; Zhang, Lin; Brown, Steve; Harmon, Charles
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

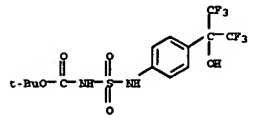
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002058690	A2	20020801	WO 2002-US1814	20020122
WO 2002058690	A3	20030424		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1353662	A2	20031022	EP 2002-703196	20020122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004521113	T2	20040715	JP 2002-559024	20020122
US 2004087627	A1	20040506	US 2003-466856	20030721
PRIORITY APPLN. INFO.:			US 2001-264552P	P 20010126
			US 2001-265380P	P 20010126
			WO 2002-US1814	W 20020122

OTHER SOURCE(S): CASREACT 137:140337; MARPAT 137:140337
AB A method for the inhibition of malonyl-CoA decarboxylase (MCD) comprises administration of W[C(OH)(CF3)2] [W = (substituted) Ph, pyridinyl, pyrazolyl, furyl, thienyl, pyrrolyl]. Thus, 4-(EtNH)C6H4[C(OH)(CF3)2], poly(4-vinylpyridine), and isobutyryl chloride were stirred 14 h in CH2Cl2 to give 41% 4-(Me2CHCO(Et)N]C6H4[C(OH)(CF3)2]. Tested title compds. inhibited MCD with IC50 = 0.007-0.557 μM.
IT 444621-94-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of hydroxyhexafluoropropylarenes as malonyl-CoA decarboxylase inhibitors)

RN 444621-94-7 CAPLUS

CN Carbamic acid, [[[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 86 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:521730 CAPLUS

DOCUMENT NUMBER: 137:93766

TITLE: Preparation of novel pyrimidine-sulfamides as endothelin receptor antagonists

INVENTOR(S): Bolli, Martin; Boss, Christoph; Fischli, Walter; Clozel, Martine; Weller, Thomas

PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.

SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053557	A1	20020711	WO 2001-EP14182	20011204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2431675	AA	20020711	CA 2001-2431675	20011204
EP 1345920	A1	20030924	EP 2001-989570	20011204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016237	A	20030930	BR 2001-16237	20011204
JP 2004517855	T2	20040617	JP 2002-554676	20011204
NZ 525614	A	20050324	NZ 2001-525614	20011204
ZA 2003003695	A	20040813	ZA 2003-3695	20030513
US 2004077670	A1	20040422	US 2003-433041	20030527
NO 2003002699	A	20030613	NO 2003-2699	20030613
PRIORITY APPLN. INFO.:			WO 2000-EP12890 W	20001218
			WO 2001-EP14182 W	20011204

OTHER SOURCE(S): MARPAT 137:93766

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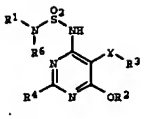


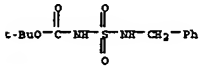
AB The title compds. [I; R1 = aryl, arylalkyl, heteroaryl, etc.; or NR1R6 = heterocyclyl; R2 = Me, CH2(tetrahydrofuran-2-yl), etc.; R3 = aryl, heteroaryl; R4 = H, CF3, alkyl, etc.; R6 = H, alkyl; X = O, S, CH2, a bond] were prepared Thus, treating 4-isopropylphenylsulfamic acid [6-chloro-5-(2-methoxyphenoxy)-2-(4-pyridyl)pyrimidin-4-yl]amide (5-step synthesis given) with NaH in MeOH and THF afforded I [R1 = 4-(iso-Pr)C6H4; R2 = Me; R3 = 2-MeOC6H4; R4 = 4-pyridyl; R6 = H; X = O] which showed IC50 of 721 nM and 8429 nM against ETA and ETB receptor binding, resp.

IT 147000-78-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidine-sulfamides as endothelin receptor antagonists)

RN 147000-78-0 CAPLUS

CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 87 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:338057 CAPLUS

DOCUMENT NUMBER: 137:262601

TITLE: A novel, one-step method for the conversion of primary alcohols into carbamate-protected amines

AUTHOR(S): Wood, Michael R.; Kim, June Y.; Hooks, Kathy M.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA

SOURCE: Tetrahedron Letters (2002), 43(21), 3887-3890
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

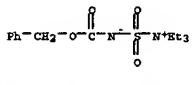
OTHER SOURCE(S): CASREACT 137:262601

AB A novel process for the 1-step conversion of primary alcs. into carbamate-protected amines was developed using a modified Burgess reagent. Although this letter mainly focuses on the conversion of alcs. into the corresponding Cbz-protected amines, the potential for extending this process to a wide range of carbamates also was demonstrated. A detailed catalytic cycle is proposed. While exploring the scope of this new reagent, an N-arylpiperidine to an N-arylpyrrolidine rearrangement was observed and rationalized.

IT 439585-11-2, Ethanaminium, N,N-diethyl-N-[[(phenylmethoxycarbonyl)amino]sulfonyl]-, inner salt 462650-40-4
RL: RGT (Reagent); RACT (Reactant or reagent)
(conversion of primary alcs. into carbamate-protected amines)

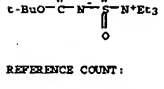
RN 439585-11-2 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



RN 462650-40-4 CAPLUS

CN Ethanaminium, N-[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]-N,N-diethyl-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 88 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:332160 CAPLUS

DOCUMENT NUMBER: 136:355152

TITLE: Preparation of pyrrolidine modulators of CCR5 chemokine receptor activity

INVENTOR(S): Hale, Jeffrey J.; Lynch, Christopher L.; Caldwell, Charles G.; Willoughby, Christopher A.; Kim, Dooseop; Shen, Dong-Ming; Mills, Sander G.; Chapman, Kevin T.; Chen, Liya; Gentry, Amy; MacCoss, Malcolm

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 203 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034716	A2	20020502	WO 2001-US42562	20011009
WO 2002034716	A3	20020808		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2425288	AA	20020502	CA 2001-2425288	20011009
AU 2002030394	A5	20020506	AU 2002-30394	20011009
EP 1326619	A2	20030716	EP 2001-988709	20011009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004512323	T2	20040422	JP 2002-537709	20011009
US 2004087552	A1	20040506	US 2003-399084	20030717
PRIORITY APPLN. INFO.:			US 2000-239481P P	20001011
			WO 2001-US42562 W	20011009

OTHER SOURCE(S): MARPAT 136:355152

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

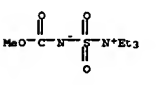
AB Title compds. I [R1 = CO2H, NO2 tetrazolyl, hydroxyisoxazole, SO2NHCO-alkyl, P(O)(OH)(ORa); Ra is independently selected from = H, alkyl, cycloalkyl, benzyl, phenyl; R2 = piperidinyl, pyrrolidinyl, etc.; R3 = (un)substituted Ph, naphthyl, heterocycle; R4 = H, alkyl, cycloalkyl, etc.; R5 = H, alkyl or R4-5 together with the carbon atom to which they are attached form a 3-8-membered (un)substituted cycloalkyl ring; R6a-6b = alk(en/yn)yl, cycloalkyl, Ph, naphthyl, heterocycle or R6a-6b together with the carbon atom to which they are attached form 3-8-membered (un)substituted saturated carbocyclic ring, etc.; R7 = H, alkyl; R8 = H, alkyl] were prepared Examples include data for over 100 synthesized compds. For instance, (3R,4S)-3-(tert-butyldimethylsilyloxymethyl)-4-(3-fluorophenyl)pyrrolidine (prepared in 5 steps from trans-(3-fluoro)cinnamic acid and (S)-4-benzyloxazolidin-2-one) was used to reductively alkylate 1-formylcyclohexanecarboxylic acid benzyl ester (preparation given; CH2Cl2, NaBH(OAc)3). This intermediate was desilylated (THF, TBAF, 0°C), the resulting alc. oxidized (CH2Cl2, DMSO, ClCOCOCl, -60°C) and the aldehyde alkylated as above with 4-(2-ethyl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyridin-3-yl)piperidine hydrochloride (preparation given). Debenzylation of the ester intermediate provided example compound II. Example compds. had IC50 < 5μM for the CCR5 receptor. I are useful in the prevention or treatment of infection by HIV and the treatment of AIDS or as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of treating AIDS and methods of preventing or treating infection by HIV are also described.

IT 29684-56-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of pyrrolidine modulators of CCR5 chemokine receptor activity)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 89 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:275977 CAPLUS

DOCUMENT NUMBER: 136:309923

TITLE: Preparation of cyclic sulfones as inhibitors of metalloproteases.

INVENTOR(S): Chermey, Robert J.; King, Bryan W.

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

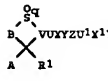
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028846	A1	20020411	WO 2001-US30890	20011003
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002086853	A1	20020704	US 2001-954379	20010917
CA 2424243	AA	20020411	CA 2001-2424243	20011003
AU 2001096515	A5	20020415	AU 2001-96515	20011003
EP 1322627	A1	20030702	EP 2001-977390	20011003
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-237607P P 20001003
WO 2001-US30890 W 20011003

OTHER SOURCE(S): MARPAT 136:309923
GI

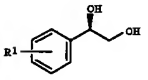


I

AB Title compds. [I; A = COR5, CO2H, CO2R6, CONHOH, CONHOR6, N(OH)COR5, SH, SONHRa, PO(OH)2, PO(OH)NHRa, etc.; V = CR2b, N; B = atoms to form a 4-8 membered nonarom. heterocycle; U, U1 = null, O, NRa1, CO, CO2, CONRa1, OCO2, etc.; X, X1 = null, alkylene, alkenylene, alkynylene; Y, Y1 = null, O, NRa1, CO, CO2, CONRa1, NRa1CO, OCO2, SOp, SOpNRa1, etc.; Z = null, (substituted) (hetero)cyclyl; Z1 = (substituted) (hetero)cyclyl; R1 = H, alkyl, ORa, NRaRa1, CN, CF3, SOpRa, Ph, PhCH2; R2 = Q, (substituted) A1Q, etc.; Ra1, R2b, R8 = H, alkyl; Q = H, (substituted) (hetero)cyclyl; R3 = Q1, A1Q1, etc.; A1 = alkylene, alkenylene, alkynylene; R2R3 = atoms to form 5-7 membered carbocyclyl, heterocyclyl; Q1, Q2 = H, (substituted) Ph, naphthyl, heteroaryl; R4 = Q2, A1Q2, A2Q2, A3Q2, etc.; Ra = H, alkyl, Ph, PhCH2; RaRa1N = 5-6 membered ring; Ra2 = alkyl, Ph, PhCH2; Rb = alkyl, ORa, halo, CN, NO2, CORa, CO2Ra, SO2NRaRa1, CF3, CF2CF3, etc.; Rb1 = ORa, halo, O, CN, NO2, NRaRa1; Rc, Rd = Rb, (hetero)cyclyl; R5 = (substituted) alkyl; Re = (substituted) Ph, biphenyl; R6 = naphthyl, alkylphenylalkyl, cycloalkyl, alkylcarbonyloxyalkyl, alkoxycarbonyl, cycloalkoxycarbonyl, PhO2C, etc.; R7 = H, alkyl, alkenyl, cycloalkylalkyl, phenylalkyl; R7a = H, alkyl, alkenyl, cycloalkylalkyl, phenylalkyl; R9 = H, (substituted) (cyclo)alkyl, Ph; Rf = alkyl, cycloalkyl, alkoxy, (substituted) Ph; p, q = 0-2; r, r1 = 0-4], were prepared Thus, homocystine disulfide in EtOH/CHCl3 at 0° was treated with Cl2 over 10 min. The mixture was concentrated in vacuo overnight the residue in CHCl3 at -5° was treated with Et3N followed by warming to room temperature to give a sultam. The sultam in DMF was kept with 4-benzyloxybenzyl chloride, K2CO3, and Bu4NI for 5 h to give the alkylated sultam, which was stirred 1 h with NH2OH in MeOH to give 2-[1,1'-biphenyl-4-ylmethyl]-N-OH-3-isothiazolidinecarboxamide 1,1-dioxide. Several I inhibited matrix metalloproteinases with Ki≤10 μM.

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 91 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:242227 CAPLUS
DOCUMENT NUMBER: 137:63015
TITLE: A novel regio- and stereoselective synthesis of sulfamidates from 1,2-diols using Burgess and related reagents: a facile entry into β-amino alcohols
AUTHOR(S): Nicolaou, K. C.; Huang, Xianhai; Snyder, Scott A.; Rao, Paraselli Bheema; Bella, Marco; Reddy, Mali V.
CORPORATE SOURCE: Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Angewandte Chemie, International Edition (2002), 41(5), 834-838
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:63015
GI



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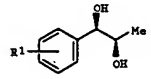
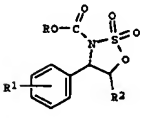
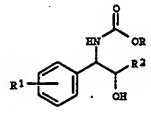


II



III

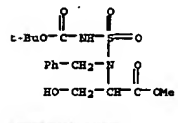


IV

AB Regio- and stereoselective cyclization of Burgess-type reagents RO2CN-SO2N+Et3 (R = Me, Cl3CCH2, allyl, PhCH2, 2-O2NC6H4) with diols, e.g. I (R1 = 4-MeO, 4-AcO, 3-O2N, etc.) and II (R1 = H, 3-O2N), gave cyclic sulfamidates III (R2 = H, Me) in 41-94% yields. Subsequent acid-catalyzed hydrolysis of III afforded a variety of β-amino alcs. IV in 90-95% yields.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(regio- and stereoselective preparation of cyclic sulfamidates and β-amino alcs. from 1,2-diols using Burgess-type reagents)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

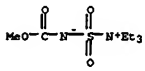
IT 409108-06-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic sulfones as inhibitors of metalloproteases)
RN 409108-06-1 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 2-(hydroxymethyl)-8,8-dimethyl-6-oxo-3-(phenylmethyl)-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)

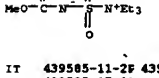


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

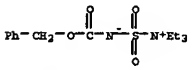
L9 ANSWER 90 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:242910 CAPLUS
DOCUMENT NUMBER: 136:402118
TITLE: Preparation of new microgel polymers and their application as supports in organic synthesis
AUTHOR(S): Spanka, Carsten; Clapham, Bruce; Janda, Kim D.
CORPORATE SOURCE: Department of Chemistry, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Journal of Organic Chemistry (2002), 67(9), 3045-3050
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of soluble microgel polymers have been synthesized using solution-phase polymerization reactions. In a systematic manner, several variables such as monomer concentration, cross-linker content, reaction solvent and reaction time were examined, and this provided an optimal polymer with both solubility and precipitation characteristics suitable for synthetic applications. Thus, a chemical functionalized microgel polymer was synthesized, and the utility of this polymer in the synthesis of a small array of oxazole compds. has been demonstrated. The advantage of the microgel polymers produced was that they exhibited solution viscosities lower than those of conventional linear polymers even at higher concns., and this was found to be beneficial for their precipitation properties. Compds. prepared using the described microgel polymer supports were obtained in similar yields and purity when compared with insol. resins, and more importantly, the soluble polymer bound intermediates could be analyzed at each step using standard NMR techniques.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(microgel polymers and their application as supports in organic synthesis)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

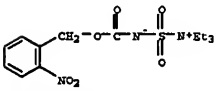




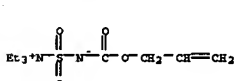
IT 439585-11-2P 439585-13-4P 439585-15-6P
439585-17-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(regio- and stereoselective preparation of cyclic sulfamidates from 1,2-diols using Burgess-type reagents prepared from primary alcs. and chlorosulfonylisocyanate)
RN 439585-11-2 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



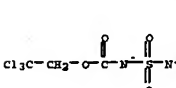
RN 439585-13-4 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[[[(2-nitrophenyl)methoxy]carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



RN 439585-15-6 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[(2-propenyloxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

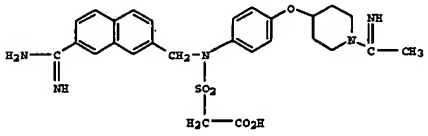


RN 439585-17-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[[(2,2,2-trichloroethoxy)carbonyl]amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



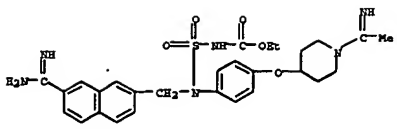
REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 92 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:170731 CAPLUS
DOCUMENT NUMBER: 137:226173
TITLE: The Discovery of YM-60828: A Potent, Selective and Orally-Bioavailable Factor Xa Inhibitor
AUTHOR(S): Hirayama, Fukushi; Koshio, Hiroyuki; Katayama, Naoko; Kurihara, Hiroyuki; Taniuchi, Yuta; Sato, Kazuo; Hisamichi, Nemi; Sakai-Moritani, Yumiko; Kawasaki, Tomihisa; Matsumoto, Yuzo; Yanagisawa, Isao
CORPORATE SOURCE: Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, Ibaraki, 305-8585, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(5), 1509-1523
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:226173
GI



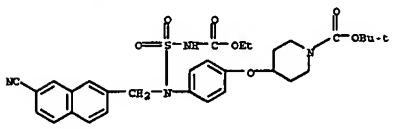
I

AB Since Factor Xa (FXa) is well known to play a central role in thrombosis and hemostasis, inhibition of FXa is an attractive target for antithrombotic strategies. As a part of our investigation of a non-peptide, orally available FXa inhibitor, we found that a series of N-[(7-amidino-2-naphthyl)methyl]aniline derivs. possessed potent and selective inhibitory activities. Structure-activity relation (SAR) of the substituent (R1) on the central aniline moiety suggested that increasing lipophilicity caused a detrimental effect on anticoagulant activity (prothrombin time assay) in plasma. Several compds. bearing a hydrophilic substituent in R1 showed not only potent FXa inhibitory activities but also high anticoagulant activities. The best compound in this series was sulfamoylacetic acid derivative YM-60828 (I) which was a potent, selective and orally bioavailable FXa inhibitor and was chosen for clin. development.
IT 179755-56-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure activity of N-[(7-amidino-2-naphthyl)methyl]aniline derivs. as potent, selective and orally-bioavailable factor Xa inhibitor)
RN 179755-56-7 CAPLUS
CN Carbamic acid, [[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

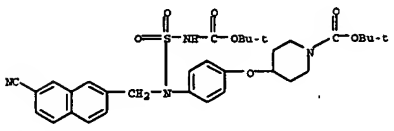


●2 HCl

IT 179756-26-4P 179756-31-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure activity of N-[(7-amidino-2-naphthyl)methyl]aniline derivs. as potent, selective and orally-bioavailable factor Xa inhibitor)
RN 179756-26-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[[7-cyano-2-naphthalenyl]methyl][[(ethoxycarbonyl)amino]sulfonyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 179756-31-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[[7-cyano-2-naphthalenyl]methyl][[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

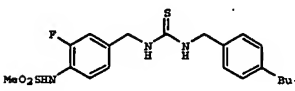


REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 93 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:157733 CAPLUS
DOCUMENT NUMBER: 136:216541
TITLE: Preparation of novel thioureas as modulators for vanilloid receptor (VR)
INVENTOR(S): Suh, Young Ger; Oh, Uh Taek; Kim, Hee Doo; Lee, Jee Woo; Park, Hyeung Geun; Park, Ok Hui; Lee, Yong Sil; Park, Young Ho; Joo, Yung Hyup; Choi, Jin Eyu; Lim, Kyung Min; Kim, Sun Young; Kim, Jin Kwan; Koh, Hyun Ju; Moh, Joo Hyun; Jeong, Yeon Su; Yi, Jung Bum; Oh, Young Im
PATENT ASSIGNEE(S): Pacific Corporation, S. Korea
SOURCE: PCT Int. Appl., 245 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

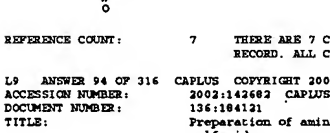
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016318	A1	20020228	WO 2001-KR1407	20010820
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2417507	AA	20020228	CA 2001-2417507	20010820
AU 2001080229	A5	20020304	AU 2001-80229	20010820
KR 2002039226	A	20020525	KR 2001-50092	20010820
EP 1303483	A1	20030423	EP 2001-958602	20010820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004506713	T2	20040304	JP 2002-521194	20010820
NZ 523882	A	20041126	NZ 2001-523882	20010820
US 2003153596	A1	20030814	US 2002-169805	20020709
PRIORITY APPLN. INFO.:			KR 2000-48385 A	20000821
			KR 2000-48388 A	20000821
			KR 2000-85126 A	20001229
			WO 2001-KR1407 W	20010820

OTHER SOURCE(S): MARPAT 136:216541
GI



I

AB The title compds. R2YC(:X)NHR1 [X = S, O, NCN; Y = a bond, NR3, O, S; R1 = (un)substituted benzyl, phenethyl;, pyridinylmethyl, pyrrolylmethyl, etc.; R2 = (CH2)nR8 (wherein n = 0-4; R8 = COPh, imidazolyl, indolyl, etc.)], useful as modulators for vanilloid receptor (VR), were prepared E.g., a 4-step synthesis of I which showed antagonistic potency 10 times higher than capsazepine in patchclamp test for vanilloid receptor, was given. As diseases associated with the activity of vanilloid receptor, pain acute pain, chronic pain, neuropathic pain, post-operative pain, migraine, arthralgia, neuropathies, nerve injury, diabetic neuropathy, neurodegeneration, neurotic skin disorder, stroke, urinary bladder hypersensitiveness, irritable bowel syndrome, a respiratory disorder such as asthma or chronic obstructive pulmonary disease, irritation of skin, eye or mucous membrane, fervescence, stomach-duodenal ulcer, inflammatory bowel disease and inflammatory diseases can be enumerated. The present invention provides a pharmaceutical composition for prevention or treatment of these diseases.
IT 401909-78-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel thioureas as modulators for vanilloid receptor (VR))
RN 401909-78-2 CAPLUS
CN Carbamic acid, [[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

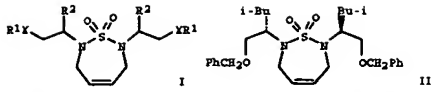


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 94 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:142682 CAPLUS
DOCUMENT NUMBER: 136:184121
TITLE: Preparation of amino acid-derived 7-membered cyclic sulfamides
INVENTOR(S): Hanson, Paul R.; Dougherty, Joseph M.; Probst, Donald A.
PATENT ASSIGNEE(S): The University of Kansas, USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014287	A1	20020221	WO 2001-US41604	20010806
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6359129	B1	20020319	US 2000-639023	20000815
CA 2419768	AA	20020221	CA 2001-2419768	20010806
AU 2001083540	A5	20020225	AU 2001-83540	20010806
EP 1311490	A1	20030521	EP 2001-962348	20010806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004506623	T2	20040304	JP 2002-519430	20010806
PRIORITY APPLN. INFO.:			US 2000-639023 A	20000815
			WO 2001-US41604 W	20010806

OTHER SOURCE(S): CASREACT 136:184121; MARPAT 136:184121
GI



I II

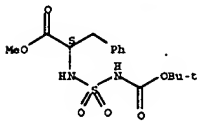
AB Heterocyclic sulfamides, e.g., I [X = O, NH, NCR1; R1 = H, alkyl, alkenyl, alkynyl, allyl, aryl, acyl or benzyl groups, 2-15 mer peptides; R2 = H, amino acid side chains, 2-15 mer peptides], were prepared by subjecting a template opened-ring sulfamide compound to a ring-closing metathesis reaction in the presence of a Grubbe catalyst. The sulfamides have a number of uses, including as inhibitors of enzymes such as HIV proteases. Thus, sulfamide II was prepared from N,N'-sulfonylbis-L-leucine di-Me ester by allylation, cyclization using Grubbe catalyst RuCl2(:CHPh)(PCy3)2 (Cy = cyclohexyl), lithium aluminum hydride reduction, and benzylation. II showed 96% inhibition of HIV protease at 99 μM and 20% inhibition of human cathepsin K at 106 μM.

IT **139059-69-1 139059-71-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino acid-derived 7-membered cyclic sulfamides)

RN 139059-69-1 CAPLUS

CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-2-(phenylmethyl)-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

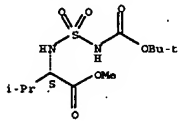
Absolute stereochemistry.



RN 139059-71-5 CAPLUS

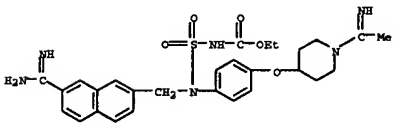
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-2-(1-methylethyl)-6-oxo-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT **323178-29-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid-derived 7-membered cyclic sulfamides)

RN 323178-29-6 CAPLUS



L9 ANSWER 96 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:923760 CAPLUS

DOCUMENT NUMBER: 136:37507

TITLE: Preparation of [(indolylanilino)sulfonyl]carbamates and analogs as 15-lipoxygenase inhibitors

INVENTOR(S): Barvian, Nicole Chantel; O'Brian, Patrick Michael; Patt, William Chester; Picard, Joseph Armand; Sliskovic, Drago Robert

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096298	A2	20011220	WO 2001-US14795	20010508
WO 2001096298	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2411495	AA	20011220	CA 2001-2411495	20010508
AU 2001061269	A5	20011224	AU 2001-61269	20010508
EP 1294687	A2	20030326	EP 2001-935151	20010508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011383	A	20030513	BR 2001-11383	20010508
JP 2004503534	T2	20040205	JP 2002-510442	20010508
US 2004053983	A1	20040318	US 2003-362104	20030221
US 6906094	B2	20050614		

PRIORITY APPLN. INFO.: US 2000-211498P P 20000614
WO 2001-US14795 W 20010508

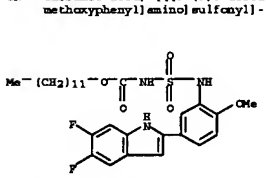
OTHER SOURCE(S): MARPAT 136:37507

AB Title compds. [I; R = OH, halo, alkoxy; R3 = Z1Z2NR5Z3R6; R4 = CONHPh, indolyl, benzimidazolyl, etc.; R5 = H, alkyl, CH2Ph, etc.; R6 = R1, OR1, SR1, NHR2, NR1R2; R1,R2 = alkyl, Ph, heteroaryl, etc.; Z1 = O or (alkyl)imino; Z2,Z3 = CO or SO2; Z2 = SO2 and Z3 may = bond and R6 may = H] were prepared Thus, dodecanol was treated with ClSO2NCO and the product condensed with I (R = OMe,R4 = 5,6-difluoro-2-indolyl)(II; R3 = NH2) to give II (R3 = NHSO2NHCO2R6, R6 = dodecyl). Data for biol. activity of I were given.

IT **380884-50-4P 380884-51-5P 380884-52-6P**
380884-53-7P 380884-54-8P 380884-55-9P
380884-56-0P 380884-57-1P 380884-58-2P
380884-59-3P 380884-60-6P 380884-61-7P
380884-64-0P 380884-65-1P 380884-68-4P
380884-71-9P 380884-72-0P 380884-73-1P
380884-75-3P 380884-76-4P 380884-79-7P
380884-80-0P 380884-81-1P 380884-82-2P
380884-83-3P 380884-84-4P 380884-85-5P
380884-86-6P 380884-89-9P 380884-90-2P
380884-91-3P 380884-92-4P 380884-93-5P
380884-94-6P 380884-95-7P 380884-96-8P
380884-97-9P 380884-98-0P 380884-99-1P
380885-00-7P 380885-01-8P 380885-02-9P
380885-03-0P 380885-06-3P 380885-08-5P
380885-21-2P 380885-22-3P 380885-23-4P
380885-24-5P 380885-25-6P 380885-26-7P
380885-27-8P 380885-28-9P 380885-29-0P
380885-30-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [(indolylanilino)sulfonyl]carbamates and analogs as 15-lipoxygenase inhibitors)

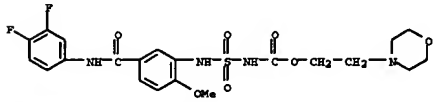
RN 380884-50-4 CAPLUS

CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, dodecyl ester (9CI) (CA INDEX NAME)



RN 380884-51-5 CAPLUS

CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

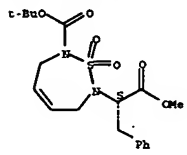


RN 380884-52-6 CAPLUS

CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 3-(dimethylamino)propyl ester (9CI) (CA INDEX NAME)

CN 1,2,7-Thiadiazepine-2(1H)-acetic acid, 7-[(1,1-dimethylethoxy)carbonyl]-6,7-dihydro-α-(phenylmethyl)-, methyl ester, 1,1-dioxide, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 95 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:21648 CAPLUS

DOCUMENT NUMBER: 136:107506

TITLE: Transdermal or transmucosal drug delivery device containing aromatic amidine derivatives

INVENTOR(S): Kawamura, Naohisa; Sugisaki, Yoshiki; Mizuarai, Hideo; Korenaga, Kazuko

PATENT ASSIGNEE(S): Saitama Daiichi Pharmaceutical Co., Ltd., Japan; Daiichi Seiyaku Co., Ltd.

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002003368	A2	20020109	JP 2000-188784	20000623

PRIORITY APPLN. INFO.: JP 2000-188784 20000623

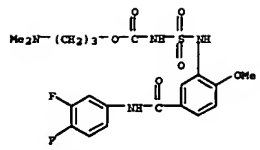
OTHER SOURCE(S): MARPAT 136:107506

AB The invention provides an improved reservoir-type transdermal or transmucosal drug delivery device for an anticoagulant solution containing an aromatic amidine derivative, e.g. (2S)-2-[4-[[(3S)-1-acetoimidoyl-3-pyrrolidinyl]oxy]phenyl]-3-(7-amidino-2-naphthyl)propionic acid hydrochloride pentahydrate, wherein the delivery device has a base film, a drug release-controlling film, a heat adhesion layer between the base film and drug release-controlling film, a drug storage layer, a leakage-preventing lid, a pressure-bonding portion between the drug storage layer and leakage-preventing lid in peelable condition, a pressure-sensitive adhesive layer, and a peeling film formed by covering the pressure-sensitive adhesive layer, wherein the pressure-bonding portion is broken by removing the peeling film.

IT **201933-39-3**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(reservoir-type transdermal or transmucosal drug delivery device for aromatic amidine derivs.)

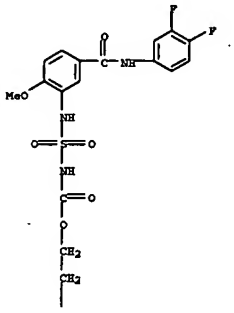
RN 201933-39-3 CAPLUS

CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



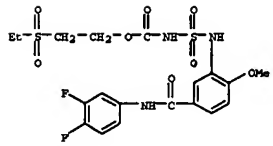
RN 380884-53-7 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 2-(1-pyrrolidinyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

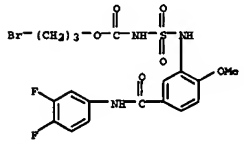


PAGE 2-A

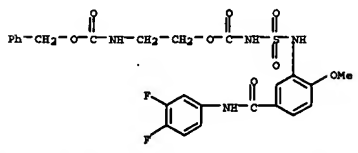
RN 380884-54-8 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 2-(dimethylamino)ethyl ester (9CI) (CA INDEX NAME)



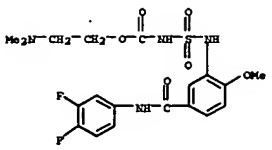
RN 380884-58-2 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 3-bromopropyl ester (9CI) (CA INDEX NAME)



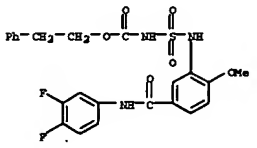
RN 380884-59-3 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 2-[[(phenylmethoxy)carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)



RN 380884-60-6 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 2-(3-thienyl)ethyl ester (9CI) (CA INDEX NAME)

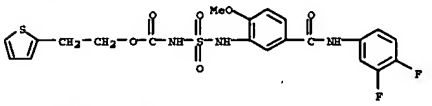


RN 380884-55-9 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 2-phenylethyl ester, monopotassium salt (9CI) (CA INDEX NAME)



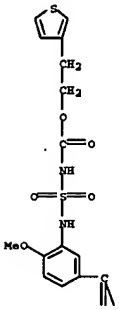
● K

RN 380884-56-0 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 2-(2-thienyl)ethyl ester (9CI) (CA INDEX NAME)

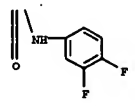


RN 380884-57-1 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 2-(ethylsulfonyl)ethyl ester (9CI) (CA INDEX NAME)

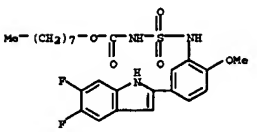
PAGE 1-A



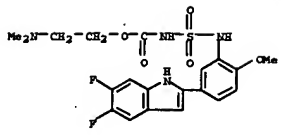
PAGE 2-A



RN 380884-61-7 CAPLUS
CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, octyl ester (9CI) (CA INDEX NAME)

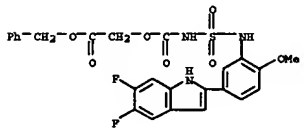


RN 380884-64-0 CAPLUS
CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, 2-(dimethylamino)ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

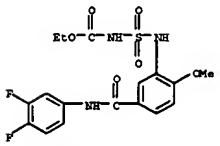


● HCl

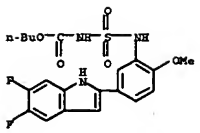
RN 380884-65-1 CAPLUS
CN Acetic acid, [[[[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]amino]carbonyl]oxy]-, phenylmethyl ester (9CI) (CA INDEX NAME)



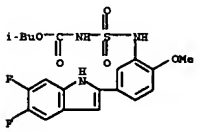
RN 380884-68-4 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



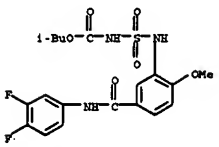
RN 380884-71-9 CAPLUS
CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, butyl ester (9CI) (CA INDEX NAME)



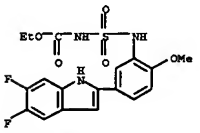
RN 380884-72-0 CAPLUS
CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



RN 380884-73-1 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

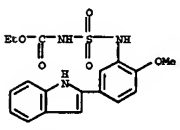


RN 380884-75-3 CAPLUS
CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

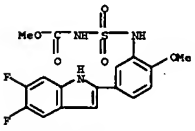


RN 380884-76-4 CAPLUS

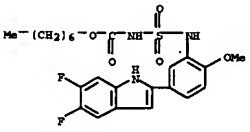
CN Carbamic acid, [[[5-(1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



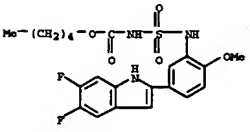
RN 380884-79-7 CAPLUS
CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 380884-80-0 CAPLUS
CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, heptyl ester (9CI) (CA INDEX NAME)



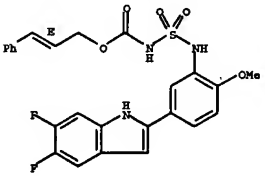
RN 380884-81-1 CAPLUS
CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, pentyl ester (9CI) (CA INDEX NAME)



RN 380884-82-2 CAPLUS

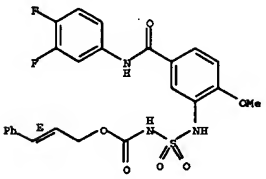
CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, (2E)-3-phenyl-2-propenyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

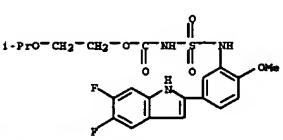


RN 380884-83-3 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, (2E)-3-phenyl-2-propenyl ester (9CI) (CA INDEX NAME)

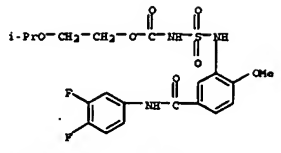
Double bond geometry as shown.



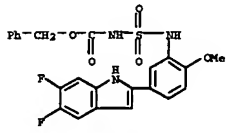
RN 380884-84-4 CAPLUS
CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, 2-(1-methylethoxy)ethyl ester (9CI) (CA INDEX NAME)



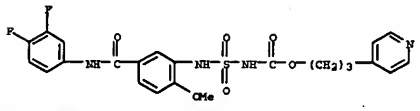
RN 380884-85-5 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 2-(1-methylethoxy)ethyl ester (9CI) (CA INDEX NAME)



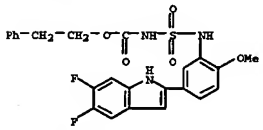
RN 380884-86-6 CAPLUS
CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



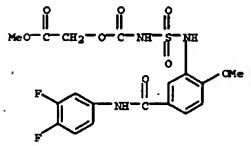
RN 380884-89-9 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 3-(4-pyridinyl)propyl ester (9CI) (CA INDEX NAME)



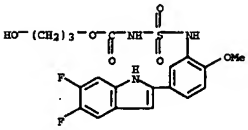
RN 380884-90-2 CAPLUS
CN Carbamic acid, [[(5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)



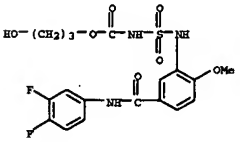
RN 380884-91-3 CAPLUS
CN Carbamic acid, [[(5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)



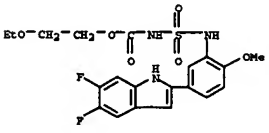
RN 380884-95-7 CAPLUS
CN Carbamic acid, [[(5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, 3-hydroxypropyl ester (9CI) (CA INDEX NAME)

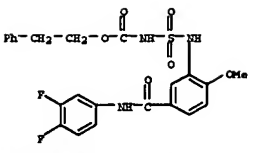


RN 380884-96-8 CAPLUS
CN Carbamic acid, [[(5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 3-hydroxypropyl ester (9CI) (CA INDEX NAME)

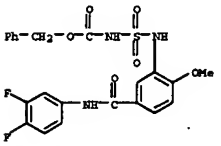


RN 380884-97-9 CAPLUS
CN Carbamic acid, [[(5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, 2-ethoxyethyl ester (9CI) (CA INDEX NAME)

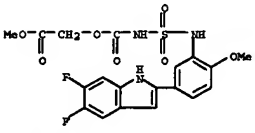




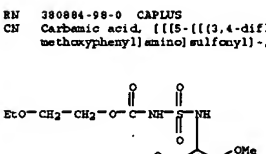
RN 380884-92-4 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



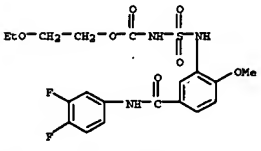
RN 380884-93-5 CAPLUS
CN Acetic acid, [[[[[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]amino]carbonyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



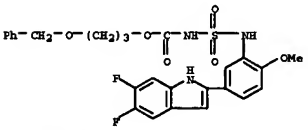
RN 380884-94-6 CAPLUS
CN Acetic acid, [[[[[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]amino]carbonyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



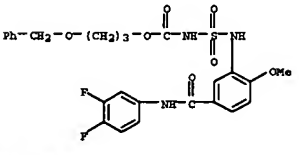
RN 380884-98-0 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 2-ethoxyethyl ester (9CI) (CA INDEX NAME)



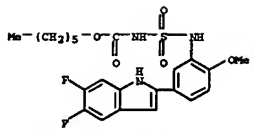
RN 380884-99-1 CAPLUS
CN Carbamic acid, [[(5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, 3-(phenylmethoxy)propyl ester (9CI) (CA INDEX NAME)



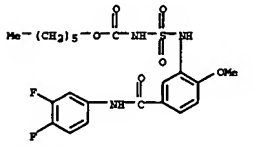
RN 380885-00-7 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 3-(phenylmethoxy)propyl ester (9CI) (CA INDEX NAME)



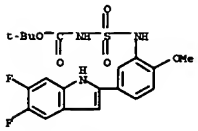
RN 380885-01-8 CAPLUS
CN Carbamic acid, [[(5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, hexyl ester (9CI) (CA INDEX NAME)



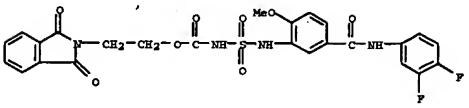
RN 380885-02-9 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, hexyl ester (9CI) (CA INDEX NAME)



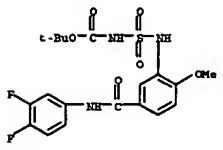
RN 380885-03-0 CAPLUS
CN Carbamic acid, [[[5-(5,6-difluoro-1H-indol-2-yl)-2-methoxyphenyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



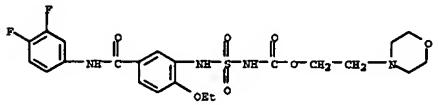
RN 380885-06-3 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl ester (9CI) (CA INDEX NAME)



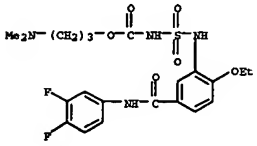
RN 380885-08-5 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-methoxyphenyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380885-21-2 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-ethoxyphenyl]amino]sulfonyl]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

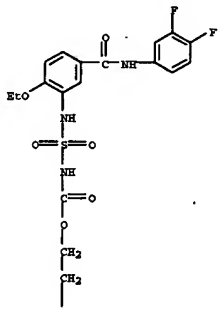


RN 380885-22-3 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-ethoxyphenyl]amino]sulfonyl]-, 3-(dimethylamino)propyl ester (9CI) (CA INDEX NAME)



RN 380885-23-4 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-ethoxyphenyl]amino]sulfonyl]-, 2-(1-pyrrolidinyl)ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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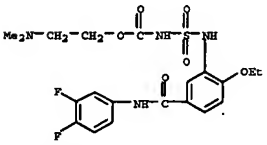
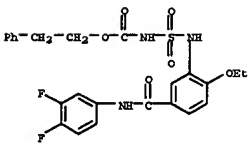
RN 380885-24-5 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-ethoxyphenyl]amino]sulfonyl]-, 2-(dimethylamino)ethyl ester (9CI) (CA INDEX NAME)

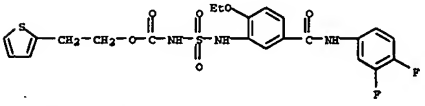


RN 380885-25-6 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-ethoxyphenyl]amino]sulfonyl]-, 2-phenylethyl ester, monopotassium salt (9CI) (CA INDEX NAME)

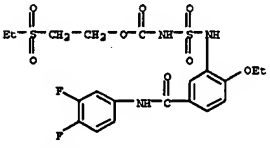


● K

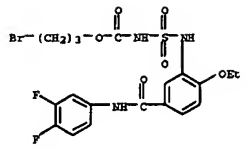
RN 380885-26-7 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-ethoxyphenyl]amino]sulfonyl]-, 2-(2-thienyl)ethyl ester (9CI) (CA INDEX NAME)



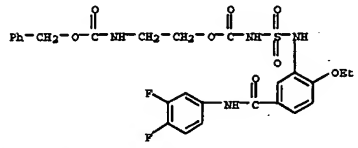
RN 380885-27-8 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-ethoxyphenyl]amino]sulfonyl]-, 2-(ethylsulfonyl)ethyl ester (9CI) (CA INDEX NAME)



RN 380885-28-9 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-ethoxyphenyl]amino]sulfonyl]-, 3-bromopropyl ester (9CI) (CA INDEX NAME)



RN 380885-29-0 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-ethoxyphenyl]amino]sulfonyl]-, 2-[[(phenylmethoxy)carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)



RN 380885-30-3 CAPLUS
CN Carbamic acid, [[[5-[[(3,4-difluorophenyl)amino]carbonyl]-2-ethoxyphenyl]amino]sulfonyl]-, 2-(3-thienyl)ethyl ester (9CI) (CA INDEX NAME)

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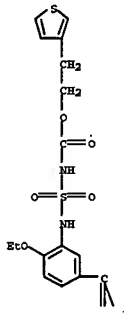
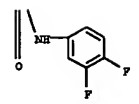
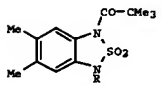


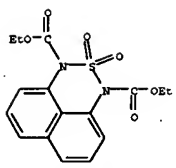


L9 ANSWER 97 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:874824 CAPLUS
DOCUMENT NUMBER: 136:151113
TITLE: Highly selective synthesis of heterosubstituted aromatic sulfamides
AUTHOR(S): Hof, Fraser; Iovine, Peter M.; Johnson, Darren W.; Rebek, Julius, Jr.
CORPORATE SOURCE: The Skaggs Institute for Chemical Biology and Department of Chemistry, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Organic Letters (2001), 3(26), 4247-4249
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:151113
GI



I

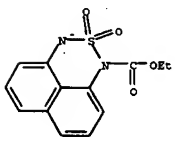
AB The sulfamide functional group is increasingly relevant in both medicinal and supramol. chemical, yet few selective synthetic steps are available for its elaboration. The authors report a mild, general, and efficient method for the selective differentiation of N-atom substituents of aromatic sulfamides. Thus, treating N,N'diacylated sulfamides, e.g. I (R = COCMe3), with TBAF/THF gives the monoanionic species, which can then be functionalized at the anionic center with halides, e.g. Me iodide, to give the monoprotected sulfamides, e.g. I (R = Me). The anion can be generated using either TBAF or CsF; however, reaction times with CsF are slower. Yields of the monoanionic species were above 80%. The crystal structure of two starting sulfamides as well as one anionic species were determined
IT 394654-76-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(monodeprotection of diacylated aromatic sulfamides with fluoride)
RN 394654-76-3 CAPLUS
CN 1H,3H-Naphtho[1,8-cd][1,2,6]thiadiazine-1,3-dicarboxylic acid, diethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



IT 394654-78-5P 394655-07-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(monodeprotection of diacylated aromatic sulfamides with fluoride)
RN 394654-78-5 CAPLUS
CN 1-Butanaminium, N,N,N-tributyl-, salt with ethyl 1H,3H-naphtho[1,8-cd][1,2,6]thiadiazine-1-carboxylate 2,2-dioxide (1:1) (9CI) (CA INDEX NAME)

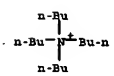
CM 1

CRN 394654-77-4
CMF C13 H11 N2 O4 S

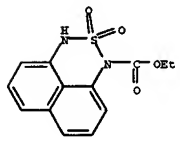


CM 2

CRN 10549-76-5
CMF C16 H36 N



RN 394655-07-3 CAPLUS
CN 1H,3H-Naphtho[1,8-cd][1,2,6]thiadiazine-1-carboxylic acid, ethyl ester, 2,2-dioxide, cesium salt (9CI) (CA INDEX NAME)



● Cs

REFERENCE COUNT: 0 THERE ARE 0 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 98 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:763001 CAPLUS
DOCUMENT NUMBER: 135:318715
TITLE: Preparation of macrocyclic NS3-serine protease inhibitors of hepatitis C virus comprising n-cyclic p2 moieties
INVENTOR(S): Chen, Kevin X.; Arasappan, Ashok; Venkatraman, Srikanth; Parekh, Tejal N.; Gu, Haining; Njoroge, F. George; Girijavallabhan, Vijyoor M.; Ganguly, Ashit; Saksena, Anil; Jao, Edwin; Yao, Nanhua H.; Prongay, Andrew J.; Madison, Vincent S.; Vibulbhan, Bancha
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 402 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077113	A2	20011018	WO 2001-US10869	20010403
WO 2001077113	A3	20020620		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2405521	AA	20011018	CA 2001-2405521	20010403
AU 2001053124	A5	20011023	AU 2001-53124	20010403
US 2002107181	A1	20020808	US 2001-825399	20010403
US 6846802	B2	20050125		
EP 1268525	A2	20030102	EP 2001-926601	20010403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009861	A	20030610	BR 2001-9861	20010403
JP 2003530401	T2	20031014	JP 2001-575586	20010403
NZ 521455	A	20040625	NZ 2001-521455	20010403
ZA 2002007845	A	20040211	ZA 2002-7845	20020930
NO 2002004797	A	20021204	NO 2002-4797	20021004

PRIORITY APPLN. INFO.: US 2000-194607P P 20000405
WO 2001-US10869 W 20010403
OTHER SOURCE(S): MARPAT 135:318715
GI

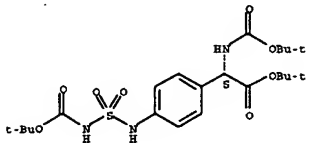
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein X and Y = independently (cyclo)alkyl, heteroalkyl, (aryl)heteroaryl, alkyl(hetero)aryl, substituted ether, sulfide, sulfone, amide, sulfonamide, urea, carbamate, hydrazide, carbonyl, etc.; R1 = CHO, acyl, or (un)substituted carboxy, carbamoyl, boryl, etc.; Z = O, N, or CH; W = null or CO, CS, or SO2; Q = null or CH, N, P, (CH2)p, (CHR)p, (CRR')p, O, NR, S, or SO2; A = O, CH2, (CHR)p, (CHRCHR')p, (CRR')p, NR, S, SO2, or a bond; E = CH, N, CR, or a double bond toward A, L, or G; G = null or (CH2)p, (CHR)p, or (CRR')p; J = null or CH, CR, O, S, or NR; M = null or O, NR, S, SO2, =(CH2)p, (CHR)p, (CRCHR')p, or (CRR')p; p = 0-6; R, R', R2, R3, and R4 = independently H, (cyclo)alkyl, alkenyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, CHO, CN, NO2, O, N, S, P, etc.] were prepared as hepatitis C virus (HCV) protease inhibitors. For example, II (multi-step preparation given) was cyclized, desterified, and coupled with III *HCl (preparation given) to give the macrocyclic hydroxyamide intermediate. Oxidation using Des-Martin reagent followed by flash chromatog. afforded two diastereomers IV in 82% combined yield. The (S)-isomer inhibited NS3-serine protease HeLa/Huh7 co-transfected cells with a Ki of 2 μM. The invention also discloses pharmaceutical compns. comprising I as well as methods of using them to treat disorders associated with the HCV protease.

IT **367259-67-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of macrocyclic NS3-serine protease inhibitors of hepatitis C virus comprising cyclic p2 moieties)

RN 367259-67-4 CAPLUS
CN Benzeneacetic acid, α-[[[(1,1-dimethylethoxy)carbonyl]amino]-4-[[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-, 1,1-dimethylethyl ester, (αS)- (9CI) (CA INDEX NAME)

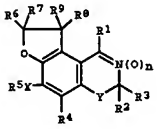
Absolute stereochemistry.



L9 ANSWER 99 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:713354 CAPLUS
DOCUMENT NUMBER: 135:272895
TITLE: Preparation of Furanoisoquinoline derivatives as phosphodiesterase IV inhibitors
INVENTOR(S): Kawano, Yasuhiko; Matsumoto, Tatsumi; Uchikawa, Osamu; Fujii, Nobuhiro; Tarui, Naoki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., USA
SOURCE: PCT Int. Appl., 620 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070746	A1	20010927	WO 2001-JP2277	20010322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2404226	AA	20010927	CA 2001-2404226	20010322
AU 2001039550	A5	20011003	AU 2001-39550	20010322
EP 1270577	A1	20030102	EP 2001-914191	20010322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2001335579	A2	20011204	JP 2001-84210	20010323
US 2004092582	A1	20040513	US 2002-239439	20020920
PRIORITY APPLN. INFO.:			JP 2000-87121 A	20000323
			WO 2001-JP2277 W	20010322

OTHER SOURCE(S): CASREACT 135:272895; MARPAT 135:272895
GI

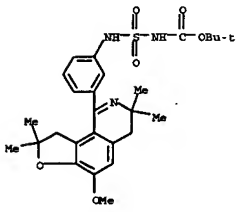


I

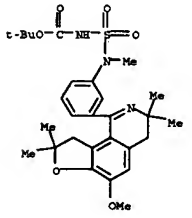
AB Title compds. [I; R1 = C6H5, 4-HOC6H4, 1-naphthyl, 4-CH3OC6H4, 2-CH3OC6H4, 4-NH2C6H4, 4-C6H5C6H4, 4-BrC6H4, CH3, C6H5CO, 3-CH3SCH2CONHC6H4, 3-CH3OCOC6H4, 3-NH2C(CH3)2CONHC6H4, 3-furyl, 3-HOOCC6H4, 2-chloro-4-pyridyl, 3-CH3CH2OCOC6H4, 4-pyridylethylaminocarbonyl; R2 = CH3, CH2Br, CH3CH2, H, CH3COO; R3 = CH3, H; R2R3 = (CH2)5; R4 = H, CH2N(CH3)2, CH2SC6H5, CH2C(:CH2)CH3, CH2NHCOCH3, CH3OCH2, CH2OH, CH2F, CH2COOH, CH2CN; R5 = Cl, OCH3, CON(CH3)2, CH3O, H, CH3CH2O, NH2, CHONH, CH3SO2NH, NH2CONH, CH3CH2S, CH3; R6 = CH3, H, CH3CH; R7 = CH3, H, CH3CH2; R6R7 = (CH2)5; R8 = H, CH3; R9 = H, CH3; Y = CH2, CHOH, C:O, C(CH3)2; X = electron pair, O, S; n = 0, 1] and salts are prepared as phosphodiesterase IV inhibitors. Title compds. are useful as preventives and remedies for diseases caused by inflammation, for example, bronchial asthma, chronic obstructive pulmonary disease (COPD), rheumatoid arthritis, autoimmune disease and diabetes. Thus, the title compound I (R6 = CH3; R7 = CH3; R2 = CH3; R3 = CH3; X = O; R5 = CH3; n = 0; R9 = H; R8 = H; R1 = 3-CH3S:OCH2CONHC6H4) was prepared and biol. tested.

IT **363606-31-9P 363606-32-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of furano-isoquinoline derivs. as phosphodiesterase IV inhibitors)

RN 363606-31-9 CAPLUS
CN Carbamic acid, [[[3-(3,4,8,9-tetrahydro-6-methoxy-3,3,8,8-tetramethylfuro[2,3-h]isoquinolin-1-yl]phenyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 363606-32-0 CAPLUS
CN Carbamic acid, [[methyl[3-(3,4,8,9-tetrahydro-6-methoxy-3,3,8,8-tetramethylfuro[2,3-h]isoquinolin-1-yl]phenyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



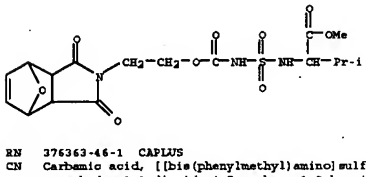
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 100 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:661972 CAPLUS
DOCUMENT NUMBER: 136:6451
TITLE: Ring-opening metathesis polymerization strategies to chemical and biological delivery agents
AUTHOR(S): Harned, Andrew M.; Probst, Donald A.; Sheriff, Bonnie A.; Poon, Kevin W. C.; Hanson, Paul R.; Wiethoff, Chris; Middaugh, C. Russell
CORPORATE SOURCE: Department of Chemistry, University of Kansas, Lawrence, KS, 66045-7582, USA
SOURCE: Polymer Preprints (American Chemical Society, Division of Polymer Chemistry) (2001), 42(2), 143-144
CODEN: ACPPAY; ISSN: 0032-3934
PUBLISHER: American Chemical Society, Division of Polymer Chemistry
DOCUMENT TYPE: Journal; (computer optical disk)
LANGUAGE: English

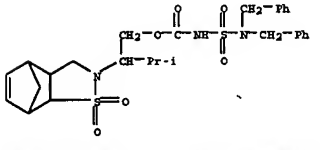
AB The ring-opening metathesis polymerization (ROMP) reaction was implemented to synthesize cationic polymers as delivery agents. Maleimide derived monomers and sulfonamide based monomer were subjected to ROMP conditions and subsequently deprotected to produce cationic polymers of varying length. The polymers were bound to the DNA sequence for green fluorescent protein (GFP) and then exposing cells to the complex to test the ability of the polymers to transport DNA across the cell membrane. Initial results of the maleimide derived monomers' ability to bind DNA were promising but upon incubation, the exposed cells did not express GFP. By changing the amino acids used in the construction, as well as functionalizing them through further reactions, discrete oligomeric libraries were constructed. Copolymg. the sulfonamide based monomer as well as monomers with relatively nonpolar moieties, tailored the phys. and chemical properties of the oligomers for a potential use in gene delivery.

IT **376363-43-8 376363-46-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(monomers; sulfonamide- and sulfamoyl carbamate-based monomers for ring-opening metathesis polymerization to chemical and biol. delivery agents)

RN 376363-43-8 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 9-(1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-epoxy-2H-isoindol-2-yl)-2-(1-methylethyl)-6-oxo-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



RN 376363-46-1 CAPLUS
CN Carbamic acid, [[bis(phenylmethyl)amino]sulfonyl]-, 3-methyl-2-(3a,4,7,7a-tetrahydro-1,1-dioxido-4,7-methano-1,2-benzisothiazol-2(3H)-yl)butyl ester (9CI) (CA INDEX NAME)



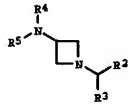
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 101 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:661383 CAPLUS
DOCUMENT NUMBER: 135:226875
TITLE: Preparation and formulation of 3-aminoazetidines for pharmaceutical use
INVENTOR(S): Achard, Daniel; Bouchard, Herve; Bouquerel, Jean; Filoche, Bruno; Grisoni, Serge; Hittinger, Augustin; Myers, Michael
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064634	A1	20010907	WO 2001-FR602	20010301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2805817	A1	20010907	FR 2000-2776	20000303
FR 2805817	B1	20020426		
CA 2400141	AA	20010907	CA 2001-2400141	20010301
BR 2001008893	A	20021105	BR 2001-8893	20010301
EP 1263722	A1	20021211	EP 2001-909939	20010301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003525270	T2	20030826	JP 2001-563477	20010301
EE 200200485	A	20040216	EE 2002-485	20010301
NZ 521077	A	20040924	NZ 2001-521077	20010301
AU 780880	B2	20050421	AU 2001-37527	20010301
US 6355631	B1	20020312	US 2001-798452	20010302
ZA 2002006912	A	20031103	ZA 2002-6912	20020828
NO 2002004177	A	20021029	NO 2002-4177	20020902
BG 107058	A	20030731	BG 2002-107058	20020903
PRIORITY APPLN. INFO.:			FR 2000-2776 A	20000303
			US 2000-200659P P	20000427
			WO 2001-FR602 W	20010301

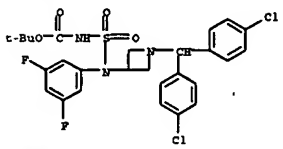
OTHER SOURCE(S): MARPAT 135:226875
GI



AB 3-Aminoazetidines, such as I [R1, R2 = aryl, heteroaryl; R4 = alkyl, arylalkyl, cycloalkyl, heteroaryl, heteroarylalkyl, etc.; R5 = H, acyl, alkylsulfonyl, etc.], were prepared for use as pharmaceuticals with potential usefulness in treating conditions such as neurol. disorders, cancer, immunol. disorders, and substance abuse. Thus, I (R2 = R3 = C6H4-4-Cl, R4 = SO2Me, R5 = 6-chloropyridin-2-yl) was prepared via a multistep synthetic sequence starting from epichlorohydrin, HNCH(C6H4-4-Cl)2.HCl, 2-amino-6-chloropyridine, and MeSO2Cl. Data for specific biol. activities were not given, however, pharmaceutical formulations for various means of delivery were presented.

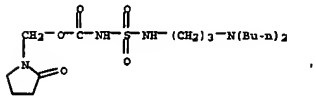
IT 358971-27-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and formulation of 3-aminoazetidines for pharmaceutical use)

RN 358971-27-4 CAPLUS
CN Carbamic acid, [[[1-[bis(4-chlorophenyl)methyl]-3-azetidinyl](3,5-difluorophenyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

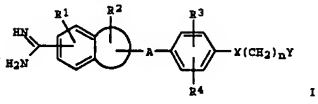
RN 357960-13-5 CAPLUS
CN 3-Thia-2,4,8-triazadodecanoic acid, 8-butyl-, (2-oxo-1-pyrrolidinyl)methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 103 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:654898 CAPLUS
DOCUMENT NUMBER: 135:223787
TITLE: Reagent for blood-sampling
INVENTOR(S): Tatsumi, Noriyuki; Hisamura, Takeo
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001242165	A2	20010907	JP 2000-50117	20000225
PRIORITY APPLN. INFO.:			JP 2000-50117	20000225

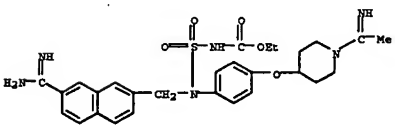
OTHER SOURCE(S): MARPAT 135:223787
GI



AB An universal blood-sampling reagent used for all clin. tests (e.g., blood cell number counting, blood biochem. test, blood coagulation test) is provided, which is able to lighten the wasteful blood-sampling quantity and ease the blood-sampling burden for patients. The reagent contains an aromatic amidine derivative or its salt, or their solvates expressed by the general formula (I). In I, R1, R2, R3 or R4= a hydrogen atom or else; n= 0-4; A= a carboxyalkylene group or else; X= an oxygen atom, a sulfur atom or a carbonyl group; Y= a pyrrolidinyl group, a piperidyl group or else; a benzo-fusion ring is benzothiophene, naphthalene, or else.

IT 201933-39-3
RL: ARU (Analytical role, unclassified); ANST (Analytical study)
(reagent for blood-sampling)

RN 201933-39-3 CAPLUS
CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 102 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:654930 CAPLUS
DOCUMENT NUMBER: 135:218653
TITLE: Silver halide photographic material for exposing laser exposure
INVENTOR(S): Sakurai, Yasuaki; Baba, Susumu
PATENT ASSIGNEE(S): Mitsubishi Paper Mills, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001242579	A2	20010907	JP 2000-54627	20000229
PRIORITY APPLN. INFO.:			JP 2000-54627	20000229

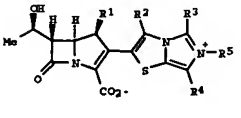
OTHER SOURCE(S): MARPAT 135:218653
AB The material comprises a support having thereon at least Ag halide emulsion layer containing sensitizing dye with sensitivity maximum in the region ≥600 nm, in which R1R2NL1ER3 (R1-3 = H, alkyl, aryl, heterocycle; R1 and R2 may form a ring; L1 = bivalent linkage; E = SO2, CONR9SO2, SO2NR10CO2, SO2NR11CONR12; R9-12 = H, alkyl) is contained in the emulsion or other hydrophilic layer. Residual color stain is prevented even on rapid development.

IT 357960-13-5
RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)
(laser-sensitive photog. film containing sensitizing dye and amine compound for residual color stain prevention)

L9 ANSWER 104 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:565047 CAPLUS
DOCUMENT NUMBER: 135:152661
TITLE: Preparation of novel carbapenem derivatives of quaternary salt type as antimicrobial agents
INVENTOR(S): Kano, Yuko; Maruyama, Takahisa; Yamamoto, Yasuo; Shitara, Eiji; Sasaki, Toshiro; Aihara, Kazuhiro; Atsumi, Kunio; Iwamatsu, Katsuyoshi; Ida, Takashi
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 329 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055155	A1	20010802	WO 2001-JP529	20010126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2398478	AA	20010802	CA 2001-2398478	20010126
AU 2001028833	A5	20010807	AU 2001-28833	20010126
EP 1251134	A1	20021023	EP 2001-946865	20010126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003022881	A1	20030130	US 2002-182180	20020725
US 6825187	B2	20041130		
PRIORITY APPLN. INFO.:			JP 2000-17418 A	20000126
			WO 2001-JP529 W	20010126

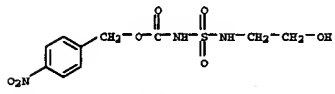
OTHER SOURCE(S): MARPAT 135:152661
GI



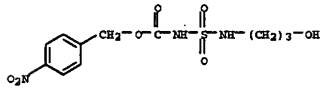
AB Carbapenem derivs. represented by the general formula [I; R1 = H, Me; R2, R3 = H, halo, lower alkyl optionally substituted by HO or NH2, lower alkylcarbonyl, CONH2, aryl, lower alkylthio; R4 = (un)substituted lower alkylthio, lower cycloalkylthio, C2-4 alkenylthio, C2-4 alkynylthio, mono- or bicyclic heterocyclylthio containing ≥1 of same or different heteroatoms, lower alkylsulfinyl, (un)substituted lower alkylsulfonyl, lower alkylcarbonyl, arylcarbonyl; or R4 and R5 are linked to each other to represent S(CH2)n (n = 2-4); R5 = (un)substituted lower alkyl, lower cycloalkyl, C2-4 alkenyl, C2-4 alkynyl, (un)substituted 4- to 7-membered aliphatic heterocyclyl optionally containing ≥1 of O or S atoms] are prepared These compds. have potent antibacterial activities on methicillin-resistant Staphylococcus aureus (MRSA), penicillin-resistant Streptococcus pneumoniae (PRSP), Haemophilus influenzae, and β-lactamase-producing bacteria and a high stability to renal dehydropeptidase enzyme (DHP-1). Thus, (1S,5R,6S)-6-[(1R)-1-hydroxyethyl]-1-methyl-2-(7-methylthioimidazo[5,1-b]thiazol-2-yl)-1-carbapen-2-em-3-carboxylic acid p-nitrobenzyl ester (preparation given) was dissolved in CH2Cl2, cooled in an ice bath, treated with 0.022 mL Me trifluoromethanesulfonate, and stirred at the same temperature for 30 min to give (1S,5R,6S)-6-[(1R)-1-hydroxyethyl]-1-methyl-2-(6-methyl-7-methylthioimidazo[5,1-b]thiazolium-2-yl)-1-carbapen-2-em-3-carboxylic acid p-nitrobenzyl ester trifluoromethanesulfonate which was hydrogenolyzed over 10% Pd-C in a mixture of 1 N phosphate buffer (pH 6.8) and THF under hydrogen atmospheric for 1.5 h to give (1S,5R,6S)-6-[(1R)-1-hydroxyethyl]-1-methyl-2-(6-methyl-7-methylthioimidazo[5,1-b]thiazolium-2-yl)-1-carbapen-2-em-3-carboxylate (inner salt) (II). II in vitro showed min. inhibitory concentration of 1.56 and 0.025 μg/mL against highly methicillin-resistant Staphylococcus aureus M126 and highly penicillin-resistant Streptococcus pneumoniae, resp.

IT **352308-26-0 352308-43-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel carbapenem derivs. quaternary salts as antimicrobial agents)

RN 352308-26-0 CAPLUS
CN Carbamic acid, [[(2-hydroxyethyl)amino]sulfonyl]-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)



RN 352308-43-1 CAPLUS
CN Carbamic acid, [[(3-hydroxypropyl)amino]sulfonyl]-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)



IT **352308-25-9P 352308-42-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel carbapenem derivs. quaternary salts as antimicrobial agents)

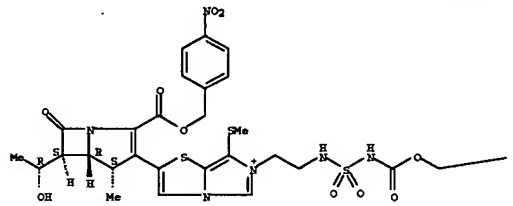
RN 352308-25-9 CAPLUS
CN Imidazo[5,1-b]thiazolium, 2-[(4S,5R,6S)-6-[(1R)-1-hydroxyethyl]-4-methyl-2-[[(4-nitrophenyl)methoxy]carbonyl]-7-oxo-1-azabicyclo[3.2.0]hept-2-en-3-yl]-7-(methylthio)-6-[8-(4-nitrophenyl)-4,4-dioxido-6-oxo-7-oxa-4-thia-3,5-diazaoct-1-yl]-, salt with trifluoromethanesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

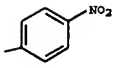
CRN 352308-24-8
CMF C33 H34 N7 O12 S3

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



CM 2

CRN 37181-39-8
CMF C F3 O3 S

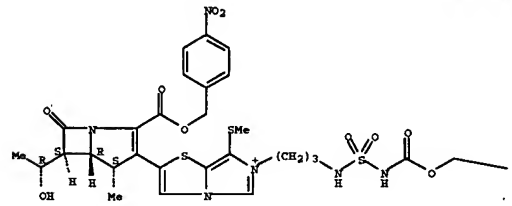
RN 352308-42-0 CAPLUS
CN Imidazo[5,1-b]thiazolium, 2-[(4S,5R,6S)-6-[(1R)-1-hydroxyethyl]-4-methyl-2-[[(4-nitrophenyl)methoxy]carbonyl]-7-oxo-1-azabicyclo[3.2.0]hept-2-en-3-yl]-7-(methylthio)-6-[9-(4-nitrophenyl)-5,5-dioxido-7-oxo-8-oxa-5-thia-4,6-diazanon-1-yl]-, salt with trifluoromethanesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

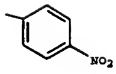
CRN 352308-41-9
CMF C34 H36 N7 O12 S3

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



CM 2

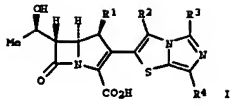
CRN 37181-39-8
CMF C F3 O3 S

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 105 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:565046 CAPLUS
DOCUMENT NUMBER: 135:152660
TITLE: Preparation of novel carbapenem derivatives as antimicrobial agents
INVENTOR(S): Kano, Yuko; Maruyama, Takahisa; Sambongi, Yumiko; Aihara, Kazuhiro; Atsumi, Kunio; Iwamatsu, Katsuyoshi; Ida, Takashi
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055154	A1	20010802	WO 2001-JP528	20010126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2398481	AA	20010802	CA 2001-2398481	20010126
AU 2001028832	A5	20010807	AU 2001-28832	20010126
EP 1251133	A1	20021023	EP 2001-946864	20010126
EP 1251133	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 279417	E	20041015	AT 2001-946864	20010126
ES 2228896	T3	20050416	ES 2001-946864	20010126
US 20030027809	A1	20030206	US 2002-182179	20020725
US 6680313	B2	20040120		
PRIORITY APPLN. INFO.:			JP 2000-17290	A 20000126
			WO 2001-JP528	W 20010126
OTHER SOURCE(S):	MARPAT 135:152660			

GI



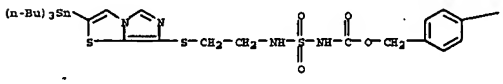
I

AB Namely, compds. represented by the following general formula [I; R1 = H, Me; R2, R3 = H, halo, optionally ≥1 hydroxy- or amino-substituted lower alkyl, lower alkylcarbonyl, CONH2, aryl, lower alkylthio; R4 = (un)substituted lower alkylthio or lower cycloalkylthio, C2-4 alkenylthio, C2-4 alkynylthio, substituted arylthio, lower alkylsulfinyl, or lower alkylsulfonyl, mono- or bicyclic heterocyclylthio containing ≥1 same or different heteroatoms where the ring contains a nitrogen atom, optionally carbamoyl-substituted lower alkyl is linked to the nitrogen atom and furthermore the nitrogen atom is optionally quaternized] or pharmaceutically acceptable salts thereof are prepared These carbapenem derivs. have potent antimicrobial activities on methicillin-resistant Staphylococcus aureus (MRSA), penicillin-resistant Streptococcus pneumoniae (PRSP), Haemophilus influenzae, and β-lactamase-producing bacteria and a high stability to renal dehydropeptidase enzyme (DHP-1). Thus, a solution of (1R,3R,5R,6S)-6-[(1R)-1-hydroxyethyl]-1-methyl-2-oxo-1-carbapenam-3-carboxylic acid p-nitrobenzyl ester in MeCN was treated with N,N-diisopropylethylamine at -30° and then dropwise with triflic anhydride, stirred at the same temperature for 30 min to give an enol triflate which was dissolved in N-methylpyrrolidinone and treated with tri(2-furyl)phosphine, ZnCl2, and 7-[(2-fluoroethyl)thio]-2-(tributylstannyl)imidazo[5,1-b]thiazole and stirred at 50° for 1.5 h under argon to give (1S,5R,6S)-2-[7-(2-fluoroethylthio)imidazo[5,1-b]thiazol-2-yl]-6-[(1R)-1-hydroxyethyl]-1-methyl-1-carbapen-2-em-3-carboxylic acid p-nitrobenzyl ester. Hydrogenolysis of the latter compound over 10% Pd-C in a mixture of THF and sodium phosphate buffer under hydrogen atmospheric at room temperature for 2 h gave (1S,5R,6S)-2-[7-(2-fluoroethylthio)imidazo[5,1-b]thiazol-2-yl]-6-[(1R)-1-hydroxyethyl)-1-methyl-1-carbapen-2-em-3-carboxylic acid sodium salt (II). II showed min. inhibitory concentration of 0.78 and 0.05 μg/mL against highly methicillin-resistant S. aureus M126 and highly penicillin-resistant S. pneumoniae PRC9, resp. An injection vial and a rectal capsule formulation containing (1S,5R,6S)-2-[7-(2-hydroxyethylsulfonyl)imidazo[5,1-b]thiazol-2-yl]-6-[(1R)-1-hydroxyethyl)-1-methyl-1-carbapen-2-em-3-carboxylic acid sodium salt were described.

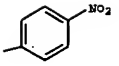
IT 352469-97-7P 352469-98-8P 352470-47-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbapenem derivs. as antimicrobial agents)

RN 352469-97-7 CAPLUS
CN Carbamic acid, [[[2-[(2-(tributylstannyl)imidazo[5,1-b]thiazol-7-yl]thio]ethyl]amino]sulfonyl]-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

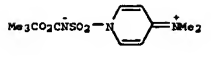


PAGE 1-B



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 106 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:417556 CAPLUS
DOCUMENT NUMBER: 135:152363
TITLE: N-(tert-Butoxycarbonyl)-N-[4-(dimethylazaniumylidene)-1,4-dihydropyridin-1-ylsulfonyl]azanide: A New Sulfamoylating Agent. Structure and Reactivity toward Amines
AUTHOR(S): Winum, Jean-Yves; Toupet, Loic; Barragan, Veronique; Dewynter, Georges; Montero, Jean-Louis
CORPORATE SOURCE: Laboratoire de Chimie Bimoleculaire UMR 5032, Universite Montpellier II Ecole Nationale Superieure de Chimie de Montpellier, Montpellier, 34296, Fr.
SOURCE: Organic Letters (2001), 3(14), 2241-2243
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:152363
GI



I

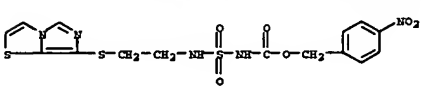
AB Synthesis, structure, and reactivity toward amines of the new sulfamoylating reagent I are described. I allowed sulfamoylation of amines under very mild conditions to give sulfamide derivs. in good yields.

IT 147000-78-0P 153028-13-8P 182925-51-5P
182925-53-7P 352275-01-5P 352275-02-6P
352275-03-7P 352275-04-8P 352275-05-9P
352275-06-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(sulfamoylation of amines by N-(tert-butoxycarbonyl)-N-[4-(dimethylazaniumylidene)-1,4-dihydropyridin-1-ylsulfonyl]azanide)

RN 147000-78-0 CAPLUS
CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

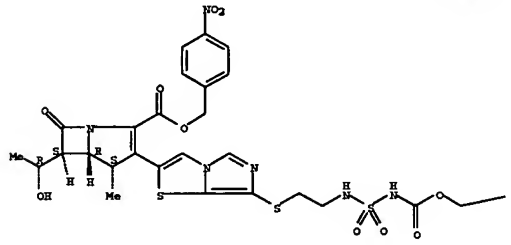
RN 352469-98-8 CAPLUS
CN Carbamic acid, [[[2-(imidazo[5,1-b]thiazol-7-ylthio)ethyl]amino]sulfonyl]-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)

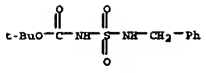


RN 352470-47-4 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-[(1R)-1-hydroxyethyl]-4-methyl-3-[7-[[8-(4-nitrophenyl)-4,4-dioxido-6-oxo-7-oxa-4-thia-3,5-diazaoct-1-yl]thio]imidazo[5,1-b]thiazol-2-yl]-7-oxo-, (4-nitrophenyl)methyl ester, (4S,5R,6S)- (9CI) (CA INDEX NAME)

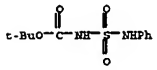
Absolute stereochemistry.

PAGE 1-A





RN 153028-13-8 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 182925-51-5 CAPLUS
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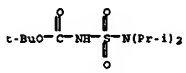
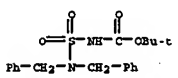


RN 182925-53-7 CAPLUS
CN Carbamic acid, [(bis(phenylmethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 352275-01-5 CAPLUS
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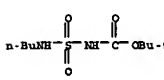

RN 352275-02-6 CAPLUS
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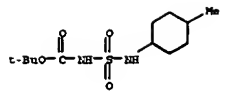
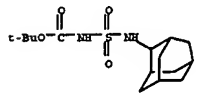
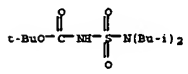


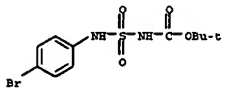
RN 352275-03-7 CAPLUS
CN Carbamic acid, [(tricyclo[3.3.1.13,7]dec-2-ylamino)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



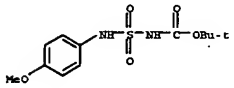
RN 352275-04-8 CAPLUS
CN Carbamic acid, [[bis(2-methylpropyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 352275-05-9 CAPLUS
CN Carbamic acid, [[(4-bromophenyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

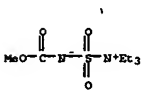


RN 352275-06-0 CAPLUS
CN Carbamic acid, [[(4-methoxyphenyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 107 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:370676 CAPLUS
DOCUMENT NUMBER: 135:227029
TITLE: A new approach for the synthesis of isonitrile carborane derivatives. Ligands for metal based boron neutron capture therapy (BNCT) and boron neutron capture synovectomy (BNCS) agents
AUTHOR(S): Valliant, J. F.; Schaffer, P.
CORPORATE SOURCE: Department of Chemistry and Physics and Astronomy, McMaster University, Hamilton, ON, L8S 4M1, Can.
SOURCE: Journal of Inorganic Biochemistry (2001), 85(1), 43-51
CODEN: JIBIDJ; ISSN: 0162-0134
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:227029
AB A new approach for the synthesis of carborane isonitrile derivs. was developed. This approach involved the dehydration of both boron- and carbon-derived formamides using the Burgess reagent. The products, some of which were characterized by x-ray crystallog., can now be used as ligands for the synthesis of transition metal based B neutron capture therapy and synovectomy agents and targeted radiopharmaceuticals.
IT 29684-56-8, Burgess' reagent
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydration reaction of carborane formamides by)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

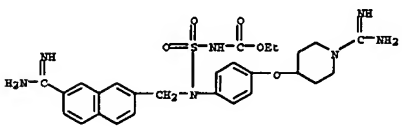


REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 108 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:366081 CAPLUS
DOCUMENT NUMBER: 134:371783
TITLE: Enteric-soluble pharmaceutical preparations
INVENTOR(S): Kikuchi, Hiroshi; Takahashi, Masayuki; Sakuma, Shinji; Fujii, Yoshimine; Kanamaru, Taro
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001139462	A2	20010522	JP 1999-320344	19991110
PRIORITY APPLN. INFO.:			JP 1999-320344	19991110

OTHER SOURCE(S): MARPAT 134:371783
AB Enteric-soluble prepns. contain pharmaceuticals, highly soluble excipients, disintegrants, and enteric coating agents. Tablets containing (2S)-2-[4-[[(3S)-1-acetimidoyl-3-pyrrolidinyl]oxy]phenyl]-3-(7-amidino-2-naphthyl)propionic acid-HCl.5H2O 128.5, erythritol 95.25, crospovidone 25, and Mg stearate 1.25 mg showed T75% (time required for 75% dissoln.) 2.8 min.
IT 340130-74-7
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(enteric-coated pharmaceutical prepns.)
RN 340130-74-7 CAPLUS
CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(aminoiminomethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

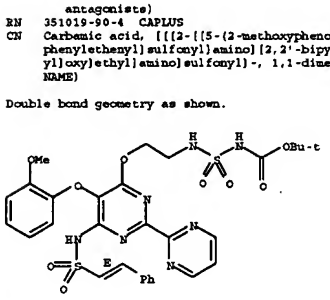


L9 ANSWER 109 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:322678 CAPLUS
DOCUMENT NUMBER: 135:122462
TITLE: Ethenesulfonamide derivatives, a novel class of orally active endothelin-A receptor antagonists
AUTHOR(S): Harada, Hironori; Kazami, Jun-Ichi; Watanuki, Susumu; Tsuzuki, Ryuji; Sudoh, Katsumi; Fujimori, Akira; Tanaka, Akihiro; Tsukamoto, Shin-Ichi; Yanagisawa, Isao
CORPORATE SOURCE: Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, 305-8585, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2001), 49(5), 606-612
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:122462
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

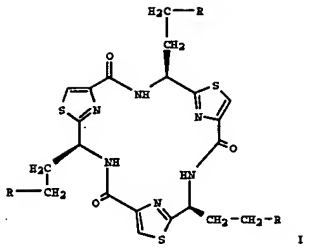
AB We report the discovery of a novel class of ETA-selective endothelin (ET) receptor antagonists through the modification of the ETA/ETB non-selective antagonist, R047-0203 (Bosentan, I). Replacement of the benzenesulfonamide group of I with a 2-phenylethenesulfonamide group gave compound II and resulted in improvement in ETA-selectivity. Optimization of the alkoxy side chain attached to the core pyrimidine ring yielded the 2-fluoroethoxy derivative (III) with further improvement of ETA-selectivity [IC50 = 2.1 nM for ETA receptor, ETB/ETA ratio = 1200]. After oral administration, III inhibited the big ET-1 induced pressor response in pithed rats with a DR2 value of 2.6 mg/kg and also exhibited a potent antagonistic activity in conscious rats.
IT 351019-90-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(ethenesulfonamide derivs. as orally active endothelin-A receptor antagonists)
RN 351019-90-4 CAPLUS
CN Carbamic acid, [[[2-[[5-(2-methoxyphenoxy)-6-[[[(1E)-2-phenylethenyl]sulfonyl]amino][2,2'-bipyrimidin]-4-yl]oxy]ethyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 110 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:295320 CAPLUS
DOCUMENT NUMBER: 135:77083
TITLE: Design and synthesis of novel tubular and cage structures based on thiazole-containing macrolactams related to marine cyclopeptides
AUTHOR(S): Pattenden, Gerald; Thompson, Toby
CORPORATE SOURCE: School of Chemistry, The University of Nottingham, University Park, Nottingham, NG7 2RD, UK
SOURCE: Chemical Communications (Cambridge, United Kingdom) (2001), (8), 717-718
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:77083
GI



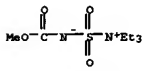
I

AB Tubular and cage structures have been synthesized from modified cyclic peptides following selective cyclotrimerizations of L-ornithine and L-glutamic acid thiazole amino acids under high dilution conditions. Cyclotrimers I (R = CH2NH2.HBr; R = CO2H) were prepared in nine and eleven steps resp., starting from L-ornithine or L-glutamic acid 5-Me ester. Coupling of I (R = CH2NH2) with I (R = CO2H) gave a tubular structure in 30% yield, whose structure was supported by NMR data consistent for a C3-sym. poly-macrocycle, and showed two singlet peaks for the two sets of thiazole protons. Coupling I (R = CO2H) with N(CH2CH2NH2)3 led to isolation of a cage structure in 40% yield; this structure was also supported by NMR data.

IT **29684-56-8**
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 111 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:211676 CAPLUS

DOCUMENT NUMBER: 135:19386

TITLE: (Alkenyl)(amino)carbene complexes: potential starting materials for the synthesis of cyclopropylacetic acid derivatives

AUTHOR(S): Papagni, Antonio; Maiorana, Stefano; Licandro, Emanuela; Manzotti, Raffaella; Baldoli, Clara

CORPORATE SOURCE: Dipartimento di Scienza dei Materiali, Universita degli Studi di Milano "Bicocca", Milan, 20125, Italy

SOURCE: European Journal of Organic Chemistry (2001), (6), 1149-1155
CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

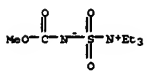
OTHER SOURCE(S): CASREACT 135:19386

AB The (δ-hydroxyalkenyl)(pyrrolidino)carbene Cr complexes, prepared by aldol addns. of the (propenyl)(pyrrolidino)carbene complex to p-nitrobenzaldehyde and 4-pyridinecarbaldehyde, were treated with Me N-(triethylammoniosulfonyl)carbamate inner salt (Burgess reagent) to afford the corresponding adducts in almost quant. yields. Treatment of these adducts with NaOH in MeOH gave the corresponding conjugated polyunsatd. (amino)carbene complexes (e.g. (OC)5Cr(:C(pyrrolidino)CH:CHCH:CHC6H4NO2-p)) in fair yields. Alternatively, heating the adducts to 55-60° gave cyclopropylacetic acid derivs. as the main reaction products, in yields of 20-40% depending on the polarity of the solvent. The adduct of the aldol addition product of the (propenyl)(pyrrolidino)carbene complex and p-O2NC6H4CH:CHCHO with the Burgess reagent could not be isolated, but directly afforded the cyclopropane derivative (Me ((trans-2-((E)-2-(4-nitrophenyl)vinyl)cyclopropyl)acetyl)carbamate) as well as the polyunsatd. (amino)carbene complex. The authors present herein a brief discussion of the key steps of the mechanism of this cyclopropane ring formation.

IT **29684-56-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactions with (δ-hydroxyalkenyl)(pyrrolidino)carbene chromium complexes leading to polyunsatd. (amino)carbene complexes and cyclopropylacetic acid derivs.)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 112 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:176767 CAPLUS

DOCUMENT NUMBER: 134:227379

TITLE: Pharmaceutical compositions having improved transport properties

INVENTOR(S): Kawamura, Naohisa; Sugisaki, Yoshiki; Sui, Hideo; Shinkai, Norihiro

PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan; Saitama Daiichi Seiyaku K. K.

SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

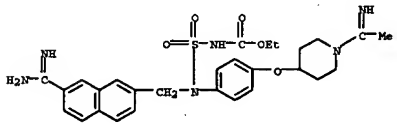
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001064205	A2	20010313	JP 2000-188883	20000623
PRIORITY APPLN. INFO.:			JP 1999-180549 A	19990625
OTHER SOURCE(S):	MARPAT 134:227379			

AB The invention relates to a pharmaceutical composition for transdermal, transmucosal, intradermal, s.c., or i.m. administration, wherein the composition contains (1) an active component and (2) at least one component selected from a group consisting of amantadine, betahistine, eperisone and their acid salts for improving the transportation of the active component to blood vessels. A compound A (2S)-2-{4-[((3S)-1-acetoimidoyl-3-pyrrolidinyl)oxy]phenyl}-3-(7-amidino-2-naphthyl)-propionic acid hydrochloride pentahydrate was intradermally injected to rats with amantadine hydrochloride to examine the blood concentration of the compound A.

IT **201933-39-3**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. having improved transport properties containing)

RN 201933-39-3 CAPLUS

CN Carbamic acid, [[[(7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 113 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:174056 CAPLUS

DOCUMENT NUMBER: 134:227371

TITLE: Transdermal pharmaceutical tapes

INVENTOR(S): Kawamura, Naohisa; Sugisaki, Yoshiki; Mizu, Hideo

PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan; Saitama Daiichi Seiyaku K. K.

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

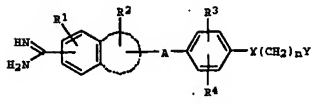
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001064166	A2	20010313	JP 2000-188866	20000623
PRIORITY APPLN. INFO.:			JP 1999-180548 A	19990625
OTHER SOURCE(S):	MARPAT 134:227371			

GI



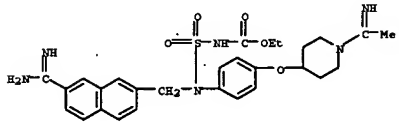
I

AB Transdermal pharmaceutical tapes comprise a drug storage layer containing antithrombotic aromatic amidine derivs. (I) [R1 = H, lower alkoxy; R2 = H, lower alkyl, lower alkoxy, etc.; R3 = H, carboxy, alkoxycarbonyl, etc.; R4 = H halo, amino, etc.; n = 0-4; A = hydroxyalkyl, carbonyl, alkoxycarbonyl, etc.; Y = [un]substituted C5-6 cyclic, [un]substituted amino, [un]substituted aminoalkyl, etc.] and transdermal absorption promoters. I are e.g. 2-[4-[[[3S]-1-acetoimidoyl-3-pyrrolidinyl]oxy]phenyl]-3-[7-amidino-2-naphthyl]propionic acid and [+]-2-[4-[[[3S]-1-acetoimidoyl-3-pyrrolidinyl]oxy]phenyl]-3-[7-amidino-2-naphthyl]propionic acid and transdermal absorption promoters are e.g. ethanol, benzyl alc. and d-limonene.

IT **201933-39-3**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(transdermal pharmaceutical tapes)

RN 201933-39-3 CAPLUS

CN Carbamic acid, [[[(7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 114 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:143637 CAPLUS

DOCUMENT NUMBER: 134:212715

TITLE: Aromatic amidine preparations with improved percutaneous absorption for iontophoresis

INVENTOR(S): Kuwahara, Akio; Yamauchi, Hitoshi; Tojo, Kakuji

PATENT ASSIGNEE(S): Saitama Daiichi Seiyaku K. K., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

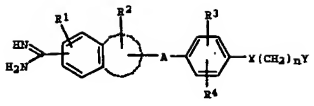
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001055332	A2	20010227	JP 2000-165352	20000602
PRIORITY APPLN. INFO.:			JP 1999-159909 A	19990607
OTHER SOURCE(S):	MARPAT 134:212715			

GI



I

II

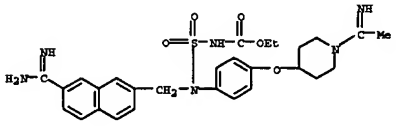
AB The prepns. contain aromatic amidines I [R1 = H, lower alkoxyl; R2 = H, lower alkyl, lower alkoxyl, carboxyl, alkoxycarbonyl, carboxyalkyl, alkoxycarbonylalkyl; R3 = H, carboxyl, alkoxycarbonyl, carboxyalkyl, alkoxycarbonylalkyl, carboxyalkoxyl, alkoxycarbonylalkoxyl; R4 = H, halo, amino, cyano, NO2, OH, lower alkyl, lower alkoxyl; n = 0-4; A = (un)substituted C1-4 alkylene, etc.; Y = single bond, O, S, CO; Y = (un)substituted (un)saturated 5- to 6-membered (hetero)cyclic group, (un)substituted amino, (un)substituted aminoalkyl, fused benzene ring, etc.], their salts, solvates, or salt solvates, useful for anticoagulants and antithrombotics. The amount of (2S)-2-[4-[[(3S)-1-acetimidoyl-3-pyrrolidinyl]oxy]phenyl]-3-(7-amidino-2-naphthyl)propionic acid hydrochloride (II) permeated from a solution containing 1 mg II/mL through mouse skin within 24 h by iontophoresis at a c.d. of 0.5 mA/cm2 was 773.77 μg/cm2, while that without iontophoresis was 0 μg/cm2.

IT 201933-39-3

RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(anticoagulant antithrombotic aromatic amidine prepns. with improved percutaneous absorption for iontophoresis)

RN 201933-39-3 CAPLUS

CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 115 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:107289 CAPLUS

DOCUMENT NUMBER: 134:310720

TITLE: Synthesis and cyclization of carboxylsulfamide derivatives of amines and α-hydroxy esters. Evaluation of bacteriostatic activity

AUTHOR(S): Berredjem, Malika; Regainia, Zine; Djahoudi, Abdelghani; Aouf, Nour-Eddine; Dewynter, Georges; Montero, Jean-Louis

CORPORATE SOURCE: Laboratoire de Chimie Bioorganique, Universite Badji Mokhtar, Annaba, Algeria

SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (2000), 165, 249-264
CODEN: PSSLEC; ISSN: 1042-6507

PUBLISHER: Gordon & Breach Science Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:310720

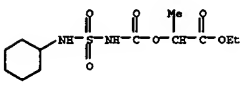
AB The synthesis of carboxylsulfamides was carried out starting from chlorosulfonyl isocyanate, primary amines, and α-hydroxy esters. After reduction, the carboxylsulfamides were cyclized under Mitsunobu conditions giving N-sulfamoyloxazolidinones in good yields.

IT 335267-90-8P 335267-91-9P 335267-92-0P
335267-93-1P 335267-94-2P 335267-95-3P
335267-98-6P 335267-99-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of carboxylsulfamide derivs. of amines and α-hydroxy esters)

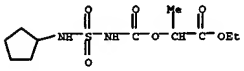
RN 335267-90-8 CAPLUS

CN Propanoic acid, 2-[[[[(cyclohexylamino)sulfonyl]amino]carbonyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



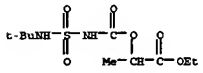
RN 335267-91-9 CAPLUS

CN Propanoic acid, 2-[[[[(cyclopentylamino)sulfonyl]amino]carbonyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



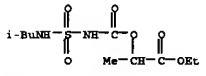
RN 335267-92-0 CAPLUS

CN 7-Oxa-4-thia-3,5-diazanonan-9-oic acid, 2,2,8-trimethyl-6-oxo-, ethyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



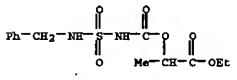
RN 335267-93-1 CAPLUS

CN 3-Oxa-6-thia-5,7-diazadecanoic acid, 2,9-dimethyl-4-oxo-, ethyl ester, 6,6-dioxide (9CI) (CA INDEX NAME)



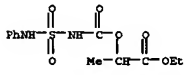
RN 335267-94-2 CAPLUS

CN 6-Oxa-3-thia-2,4-diazaoctan-8-oic acid, 7-methyl-5-oxo-1-phenyl-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



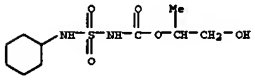
RN 335267-95-3 CAPLUS

CN Propanoic acid, 2-[[[[(phenylamino)sulfonyl]amino]carbonyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



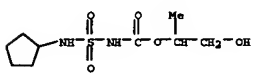
RN 335267-98-6 CAPLUS

CN Carbamic acid, [(cyclohexylamino)sulfonyl]-, 2-hydroxy-1-methylethyl ester (9CI) (CA INDEX NAME)



RN 335267-99-7 CAPLUS

CN Carbamic acid, [(cyclopentylamino)sulfonyl]-, 2-hydroxy-1-methylethyl ester (9CI) (CA INDEX NAME)

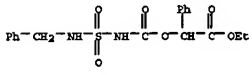


IT 335267-86-2P 335267-87-3P 335267-88-4P
335267-89-5P 335267-96-4P 335267-97-5P
335268-00-3P 335268-01-4P 335268-02-5P
335268-03-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization of carboxylsulfamide derivs. of amines and α-hydroxy esters)

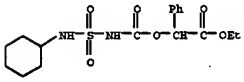
RN 335267-86-2 CAPLUS

CN 6-Oxa-3-thia-2,4-diazaoctan-8-oic acid, 5-oxo-1,7-diphenyl-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



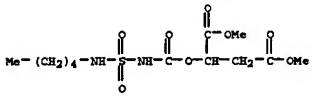
RN 335267-87-3 CAPLUS

CN Benzeneacetic acid, α-[[[[(cyclohexylamino)sulfonyl]amino]carbonyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



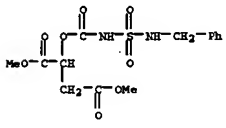
RN 335267-88-4 CAPLUS

CN Butanedioic acid, [[[[(pentylamino)sulfonyl]amino]carbonyl]oxy]-, dimethyl ester (9CI) (CA INDEX NAME)



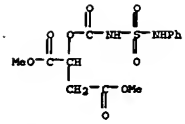
RN 335267-89-5 CAPLUS

CN Butanedioic acid, [[[[[(phenylmethyl)amino]sulfonyl]amino]carbonyl]oxy]-, dimethyl ester (9CI) (CA INDEX NAME)

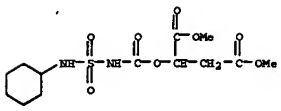


RN 335267-96-4 CAPLUS

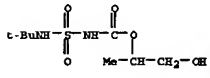
CN Butanedioic acid, [[[[(phenylamino)sulfonyl]amino]carbonyl]oxy]-, dimethyl ester (9CI) (CA INDEX NAME)



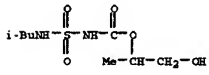
RN 335267-97-5 CAPLUS
CN Butanedioic acid, [[[[(cyclohexylamino)sulfonyl]amino]carbonyl]oxy]-, dimethyl ester (9CI) (CA INDEX NAME)



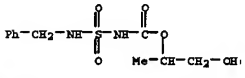
RN 335268-00-3 CAPLUS
CN Carbamic acid, [[(1,1-dimethylethyl)amino]sulfonyl]-, 2-hydroxy-1-methylethyl ester (9CI) (CA INDEX NAME)



RN 335268-01-4 CAPLUS
CN Carbamic acid, [[(2-methylpropyl)amino]sulfonyl]-, 2-hydroxy-1-methylethyl ester (9CI) (CA INDEX NAME)



RN 335268-02-5 CAPLUS
CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 2-hydroxy-1-methylethyl ester (9CI) (CA INDEX NAME)

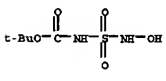


RN 335268-03-6 CAPLUS

LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:265892
AB A series of sulfa-analogs of hydroxyurea, derived from N-hydroxysulfamide (H2NSO2NHOH), were synthesized starting from chlorosulfonyl isocyanate and O-substituted hydroxylamines. Their antiproliferative, antiviral (in synergy with ddI), and antifungal activities have been evaluated. For example, the cell cytotoxicity, antiviral and antifungal activities of H2NSO2NHOH and t-BuO2CNHSO2NHOH·NEt3 were measured.
IT 331839-55-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, cell cytotoxicity, antifungal and antiviral activities of N-hydroxysulfamides)
RN 331839-55-5 CAPLUS
CN Carbamic acid, [(hydroxyamino)sulfonyl]-, 1,1-dimethylethyl ester, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

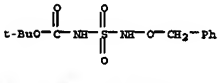
CRN 331839-54-4
CMF C5 H12 N2 O5 S



CM 2

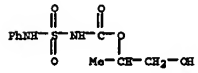
CRN 121-44-8
CMF C6 H15 N

IT 331839-52-2P 331839-53-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, cell cytotoxicity, antifungal and antiviral activities of N-hydroxysulfamides)
RN 331839-52-2 CAPLUS
CN 2-Oxa-4-thia-3,5-diazahexan-6-oic acid, 1-phenyl-, 1,1-dimethylethyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



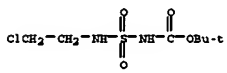
RN 331839-53-3 CAPLUS
CN 5-Oxa-3-thia-2,4-diazaheptanoic acid, 6,6-dimethyl-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

CN Carbamic acid, [(phenylamino)sulfonyl]-, 2-hydroxy-1-methylethyl ester (9CI) (CA INDEX NAME)



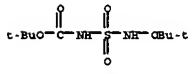
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 116 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:85127 CAPLUS
DOCUMENT NUMBER: 134:281043
TITLE: A convenient method for the alkylation of sulfamides using alkyl bromides and Mitsunobu betaine
AUTHOR(S): Wimun, J.-Y.; Barragan, V.; Montero, J.-L.
CORPORATE SOURCE: Laboratoire de Chimie Bimoleculaire UMR 5032, Universite Montpellier II, Montpellier, 34095, Fr.
SOURCE: Tetrahedron Letters (2001), 42(4), 601-603
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:281043
AB The alkylation of N-(tert-butoxycarbonyl)-N'-(2-chloroethyl)sulfamide by electron-deficient alkyl bromides using the Mitsunobu reagent as mild base is described. This method was also applied to the N-glycosylation of various carbohydrates and was anomerically selective.
IT 182925-49-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of sulfamides using alkyl bromides and Mitsunobu betaine)
RN 182925-49-1 CAPLUS
CN Carbamic acid, [[(2-chloroethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

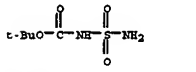


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 117 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:77895 CAPLUS
DOCUMENT NUMBER: 134:265892
TITLE: N-hydroxysulfamides as analog of N-hydroxyurea: synthesis and biological evaluation
AUTHOR(S): Hajri, A.-Houssem; Dewynter, Georges; Criton, Marc; Dilda, Pierre; Montero, Jean-Louis
CORPORATE SOURCE: UMR 5032 Synthese et Developpement de Composes d'Interet Biologique, CC 073, Universite Montpellier-II, Montpellier, 34 095, Fr.
SOURCE: Heteroatom Chemistry (2001), 12(1), 1-5
CODEN: HETCES; ISSN: 1042-7163
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal



IT 148017-28-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, cell cytotoxicity, antifungal and antiviral activities of N-hydroxysulfamides)
RN 148017-28-1 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

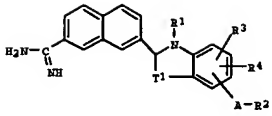


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 118 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:31461 CAPLUS
DOCUMENT NUMBER: 134:100770
TITLE: Preparation of indoline or tetrahydroquinoline derivatives as inhibitors of activated blood coagulation factor X
INVENTOR(S): Fujimoto, Koichi; Asai, Fumitoshi; Tanaka, Naoki; Matsuhashi, Hayao; Sugidachi, Atsuhiro; Tanimoto, Tatsuo
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
SOURCE: PCT Int. Appl., 431 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002356	A1	20010111	WO 2000-JP4333	20000630
W: AU, BR, CA, CN, CZ, EU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2001072662	A2	20010321	JP 2000-197444	20000630
PRIORITY APPLN. INFO.:			JP 1999-187805	A 19990701

OTHER SOURCE(S): MARPAT 134:100770
GI

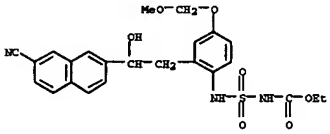


AB The title compds. I [R1 is hydrogen, optionally substituted alkyl, optionally substituted alkanoyl, optionally substituted alkylsulfonyl, optionally substituted arylsulfonyl, or optionally substituted sulfamoyl; R2 is optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted amino, or optionally substituted saturated cyclic amino; R3 and R4 are each hydrogen, halogeno, alkyl, alkoxy, cyano, nitro, hydroxyl, or alkanoyloxy; A is a single bond, alkylene, oxygen, or O(CH2)m (wherein m is 1 to 4); T1 = (CH2)n; and n is 1 or 2] are prepared 5-(1-Acetimidoylpiperidin-4-yloxy)-2-(7-amidinonaphthalen-2-yl)-1-methanesulfonylindoline dihydrochloride in vitro showed IC50 of 3.9 ng/mL against factor Xa. Formulations are given.

IT 319451-02-0P 319451-05-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indoline or tetrahydroquinoline derivs. as inhibitors of activated blood coagulation factor X)

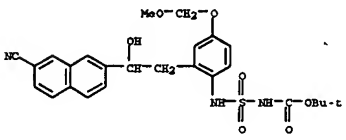
RN 319451-02-0 CAPLUS

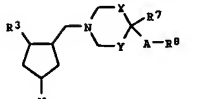
CN Carbamic acid, [[(2-[2-(7-cyano-2-naphthalenyl)-2-hydroxyethyl]-4-(methoxymethoxy)phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

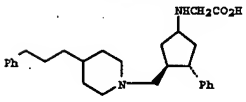


RN 319451-05-3 CAPLUS

CN Carbamic acid, [[(2-[2-(7-cyano-2-naphthalenyl)-2-hydroxyethyl]-4-(methoxymethoxy)phenyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)







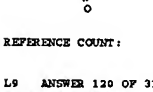
II

AB Amino acid derivs. I [A = -(C0-6alkyl-B-C0-6alkyl)-, C2-10-alkenyl or -alkynyl, where alkyl may be substituted and B = single bond, C3-8-cycloalkyl, O, SO2, (un)substituted imino or iminosulfonyl, S, or SO2; R1 = CO2H, NO2, tetrazolyl, hydroxyisoxazolyl, (un)substituted sulfonyliminocarbonyl, PO3H2; R3 = (un)substituted Ph or heterocyclyl; R4-R6 = H, (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, Ph, heterocyclyl, etc.; R7 = H, (un)substituted alkyl, OH, halo; R8 = H, (un)substituted cycloalkyl, Ph, naphthyl, biphenylyl, heterocyclyl; n = 1-4; X = (CH2)x, Y = (CH2)y, where x or y is an integer from 0-2 with the provision the sum of x and y = 2] were prepared as modulators of chemokine receptor activity. Syntheses of products and intermediates are described. Compound II is an example of >100 compds. claimed.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino acid N-cyclopentyl modulators of chemokine receptor activity)

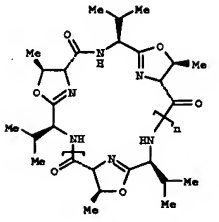
RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 120 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:895552 CAPLUS
DOCUMENT NUMBER: 134:178802
TITLE: Synthesis of Cyclopeptide Alkaloids by Cyclooligomerization of Dipeptidyl Oxazolines
AUTHOR(S): Wipf, P.; Miller, C. P.; Grant, C. M.
CORPORATE SOURCE: Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260, USA
SOURCE: Tetrahedron (2000), 56(46), 9143-9150
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:178802
GI



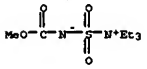
I

AB Cyclodehydration of Cbz-Val-Xaa-OMe (Xaa = L-Thr, L-allo-Thr, D-Thr) with Burgess reagent provides access to cis- and trans-oxazoline segments for cyclooligomerization reactions. The ratio of 12-, 18-, 24-, and larger-ring macrocycles obtained in this process is kinetically controlled and dependent on the relative stereochem. of the backbone α-carbons. A network of bifurcated hydrogen bonds rigidifies the peptidyl oxazoline strand and positions the valine side chains in either pseudoaxial or pseudoequatorial orientations. In the former case, transannular strain prevents the formation of 12-membered cyclopeptide alkaloids. Several x-ray structures illustrate the conformational preferences in this family of marine natural product analogs I (n = 0-2).

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxazolines via cyclodehydration of valylthreonine esters with Burgess reagent)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 121 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:861652 CAPLUS
DOCUMENT NUMBER: 134:25341
TITLE: Remedies for periodontal diseases
INVENTOR(S): Matsushita, Kenji; Imamura, Takahisa; Maruyama, Ikuro; Tomikawa, Munehiro
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 46 pp.

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 119 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:900615 CAPLUS
DOCUMENT NUMBER: 134:56959
TITLE: Preparation of amino acid N-cyclopentyl modulators of chemokine receptor activity
INVENTOR(S): Finke, Paul E.; Hilfiker, Kerry A.; Maccoss, Malcolm; Chapman, Kevin T.; Loebach, Jennifer L.; Mills, Sander G.; Guthikonda, Ravi N.; Shah, Shrenik K.; Kim, Dooseop; Shen, Dong-Ming; Oates, Bryan
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; et al.
SOURCE: PCT Int. Appl., 364 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076972	A1	20001221	WO 2000-US15736	20000608
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2382880	AA	20001221	CA 2000-2382880	20000608
US 6358979	B1	20020319	US 2000-590750	20000608
EP 1192133	A1	20020403	EP 2000-939673	20000608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003502315	T2	20030121	JP 2001-503832	20000608
AU 772321	B2	20040422	AU 2000-54726	20000608
US 2002120146	A1	20020829	US 2002-75163	20020214
US 6593346	B2	20030715		
PRIORITY APPLN. INFO.:			US 1999-138886P	P 19990611
			US 1999-330810	A 19990611
			US 2000-590750	A3 20000608
			WO 2000-US15736	W 20000608

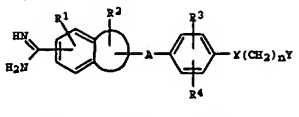
OTHER SOURCE(S): MARPAT 134:56959
GI

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073270	A1	20001207	WO 2000-JP3483	20000531

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-151721 A 19990531
GI

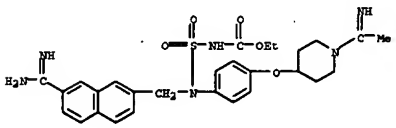


I

AB Preventive and/or therapeutic drugs for periodontal diseases containing as the active ingredient compds. of general formula (I) or salts thereof and being capable of preventing and/or treating effectively various periodontal diseases such as periodontitis, wherein R1 is hydrogen or the like; R2 is hydrogen, lower alkyl, or the like; R3 is hydrogen, carboxyl, or the like; R4 is hydrogen, halogeno, or the like; n is a number of 0 to 4; A is C1-C4 alkylene which may be substituted with one or two members selected from among hydroxyalkyl, carboxyl, and alkoxycarbonyl, or the like, X is a single bond, oxygen, or the like; and Y is an optionally substituted, saturated or unsatd., five- or six-membered heterocyclic group or the like.

IT 201933-39-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(remedies for periodontal diseases from Porphyromonas infections)

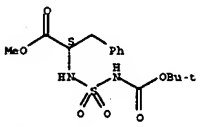
RN 201933-39-3 CAPLUS
CN Carbamic acid, [[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT 139059-69-1P 139059-71-5P 323178-29-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic sulfamide peptidomimetics via ring-closing metathesis reactions of sulfamides and sulfonyl carbamates)

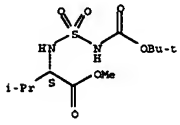
RN 139059-69-1 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-2-(phenylmethyl)-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



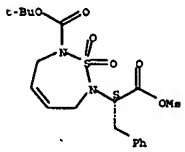
RN 139059-71-5 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-2-(1-methylethyl)-6-oxo-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 323178-29-6 CAPLUS
CN 1,2,7-Thiadiazepine-2(3H)-acetic acid, 7-[(1,1-dimethylethoxy)carbonyl]-6,7-dihydro-α-(phenylmethyl)-, methyl ester, 1,1-dioxide, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

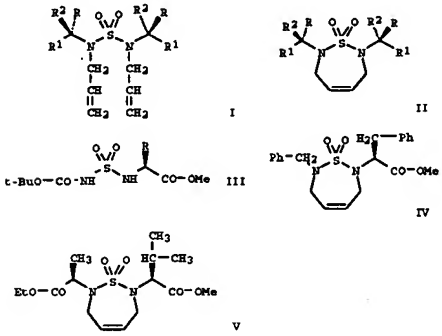


REFERENCE COUNT: 121 THERE ARE 121 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 123 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 122 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:835075 CAPLUS
DOCUMENT NUMBER: 134:147832
TITLE: Ring-Closing Metathesis Strategies to Cyclic Sulfamide Peptidomimetics
AUTHOR(S): Dougherty, Joseph M.; Probst, Donald A.; Robinson, Randall E.; Moore, Joel D.; Klein, Thomas A.; Snelgrove, Kelley A.; Hanson, Paul R.
CORPORATE SOURCE: Department of Chemistry, University of Kansas, Lawrence, KS, 66045-2506, USA
SOURCE: Tetrahedron (2000), 56(50), 9781-9790
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:147832
GI



AB Ring-closing metathesis (RCM) strategies toward the synthesis of a number of constrained sulfamides are discussed. This approach exploits the inherent chemical of sulfamides and sulfonyl carbamates to generate both sym. and unsym. cyclic sulfamides. Two strategies are revealed, one centers on the RCM reaction of allylated sulfamides (I; R = CH3, CH(CH3)2, CH2CH(CH3)2, CH2Ph, R1 = MeOC(O), R2 = H; R = CH3, R1 = Ph, R2 = H) to generate the C2-sym. cyclic sulfamides (II; R, R1, R2 as given) in high yields. A second RCM strategy utilizes known sulfonyl carbamate (III; R = CH(CH3)2, CH2Ph) to prepare unsym. cyclic sulfamides (IV) and (V) in two four-step sequences. Overall, the routes described are applicable to the synthesis of a variety of constrained dipeptidyl sulfamides representing novel peptidomimetic scaffolds.

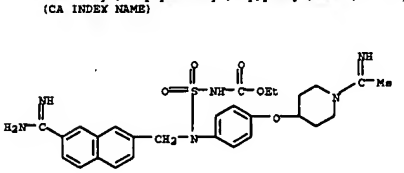
ACCESSION NUMBER: 2000:765301 CAPLUS
DOCUMENT NUMBER: 133:340222
TITLE: Oral compositions containing ion complexes of hydrophilic active agents
INVENTOR(S): Kikuchi, Hiroshi; Sakuma, Shinji; Sezaki, Hitoshi; Yamashita, Shinji
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000302671	A2	20001031	JP 1999-119541	19990427

PRIORITY APPLN. INFO.: JP 1999-119541 19990427
OTHER SOURCE(S): MARPAT 133:340222
AB The invention relates to an oral composition containing a hydrophilic active agent, wherein the composition further contain a compound which is capable of forming an ion complex with the active agent, and a solvent therefor, thereby improving intestinal uptake of the hydrophilic active agent. An ion complex was prepared from sodium 1-octanesulfonate and (2S)-2-[4-[[(3S)-1-acetoimidoyl-3-pyrrolidinyl]oxy]phenyl]-3-(7-amidino-2-naphthyl)propionic acid·HCl·5H2O, and combined with a solvent mixture containing mono-, di-, and tri-lauroyl glycerides, polyethylene glycol mono- and di-lauroyl esters, polyethylene glycol, and diethylene glycol monomethyl ether to obtain an oily composition having improved bioavailability.

IT 201933-39-3D, complexes with sulfonates or fatty acids or carboxylates or alkylsulfates
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral compns. containing ion complexes of hydrophilic active agents and solvents)

RN 201933-39-3 CAPLUS
CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



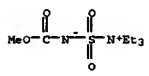
L9 ANSWER 124 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:690107 CAPLUS
DOCUMENT NUMBER: 134:4698
TITLE: Regioselective annulation of 1,5-diketones: access to functionalized Hagemann's esters
AUTHOR(S): Gassama, Abdoulaye; D'Angelo, Jean; Cave, Christian; Mahuteau, Jacqueline; Riche, Claude
CORPORATE SOURCE: Unite de Chimie Organique Associee au CNRS, Centre d'Etudes Pharmaceutiques, Universite Paris Sud, Chatenay-Malabry, 92296, Fr.

SOURCE: European Journal of Organic Chemistry (2000), (18), 3165-3169
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:4698

AB The synthesis of a functionalized Hagemann's ester was investigated. The common starting material in these approaches was an enamino ester, which was prepared through the condensation of 2-methyl-3-oxohexanedioic acid di-Me ester with (S)-1-phenylethylamine. The Michael addition reaction of the resulting product with Me vinyl ketone gave the expected adduct having (S)-configuration with an ee ≥ 95%. However, all attempts at annulation of the latter invariably afforded an unwanted cyclohexenone derivative. The addition of an enamino ester to Nazarov's reagent furnished an adduct having (S)-configuration with an ee ≥ 95%. The Triton B-induced annulation of the unexpectedly gave an aldol. Depending on the reaction conditions, annulation of this aldol afforded either a bicyclic lactone or cyclohexenone derivs. An efficient way of reversing the sense of the regiochem. of the previous annulation was found, based on the use of (2-oxo-3-butenyl)phosphonic acid di-Et ester as a Michael acceptor. Thus, the condensation of an an enamino ester with (2-oxo-3-butenyl)phosphonic acid di-Et ester gave an adduct having (S)-configuration with an ee ≥ 95%, and cyclization of the latter under Horner-Wadsworth-Emmons conditions gave the desired Hagemann's ester having (S)-configuration. The structural assignments for the latter were ascertained by chemical correlation with a known hydrindenedione.

IT **29684-56-8**
RL: RCT (Reactant), RACT (Reactant or reagent)
(preparation of functionalized Hagemann's esters by regioselective annulation of diketones)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



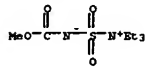
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 125 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:591957 CAPLUS
DOCUMENT NUMBER: 133:296023
TITLE: Mild and efficient dehydration of oximes to nitriles mediated by the Burgess reagent
AUTHOR(S): Miller, Chris P.; Kaufman, David H.
CORPORATE SOURCE: Chemical Sciences, Wyeth-Ayerst Research, Radnor, PA, 19087, USA
SOURCE: Synlett (2000), (8), 1169-1171
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:296023

AB Both aliphatic and aromatic aldoximes undergo dehydration to the corresponding nitriles in excellent yields by simply heating the oximes in THF with 1.5 equiv of the Burgess reagent (Me N-(triethylammonium-sulfonyl)carbamate,). The reaction also works well using the PEG-supported Burgess reagent and thus has considerable potential for the parallel synthesis of cyano-containing compound libraries.

IT **29684-56-8**
RL: NUU (Other use, unclassified); USES (Uses)
(mild and efficient dehydration of oximes to nitriles mediated by Burgess reagent with/without PEG support)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

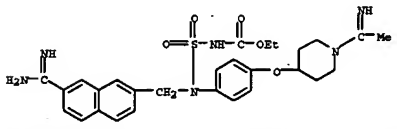
L9 ANSWER 126 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:513544 CAPLUS
DOCUMENT NUMBER: 133:125307
TITLE: Medicinal compositions with improved oral absorption
INVENTOR(S): Watanabe, Shunsuke; Sako, Kazuhiro; Takemura, Shigeo; Kondo, Hiromu; Sawada, Toychiro; Yoshihara, Keiichi; Yoshioka, Tatsunobu; Katsuma, Masataka
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000043041	A1	20000727	WO 2000-JP251	20000120
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			JP 1999-13920	A 19990122
			JP 1999-13925	A 19990122

AB The invention relates to medicinal compns. with improved absorption via digestive mucosae wherein a drug (in particular, a basic drug e.g. 2-[4-[(1-Acetimidoyl-3-pyrrolidinyl)oxy]phenyl]-3-(7-amidino-2-naphthyl)propionic acid) which is hardly absorbed via digestive mucosae, when orally administered, is blended with a substance having an effect of inhibiting the formation of a hardly absorbable complex formed by the drug with bile acid or an effect of dissociating the complex; and a method for improving the digestive absorption of a drug. Also claimed are spray-dried medicinal compns. containing an aminoalkyl methacrylate copolymer E and being handled conveniently, which are prepared by dissolving the above polymer and a surfactant in a solvent followed by spray-drying and a process for producing these compns. A powder was prepared by dissolving 10g eudragit E 100 in 190 g ethanol, mixed with 2 g N-[4-[(1-Acetimidoyl-4-piperidyl)oxy]phenyl]-N-[(7-amidino-2-naphthyl)methyl]sulfamoylacetic acid monomethanesulfonate dissolved in 100g 1N HCl, mixed with 50g NaOH and then 2g Tween 80 and finally spray-drying.

IT **201933-39-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal compns. with improved oral absorption)

RN 201933-39-3 CAPLUS
CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



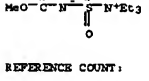
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 127 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:407725 CAPLUS
DOCUMENT NUMBER: 133:237362
TITLE: Burgess reagent ([methoxycarbonylsulfamoyl]triethylammonium hydroxide, inner salt): dehydrations and more
AUTHOR(S): Lamberth, Clemens
CORPORATE SOURCE: Research Department, Novartis Crop Protection AG, Basel, Switz.
SOURCE: Journal fuer Praktische Chemie (Weinheim, Germany) (2000), 342(5), 518-522
CODEN: JPCHF4; ISSN: 1436-9966
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB Preparation of alkenes, carbamates, nitriles, oxazolines, and thiazolines using the Burgess reagent is reviewed with 35 refs.

IT **29684-56-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydrations and other reactions using the Burgess reagent)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 128 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:368348 CAPLUS
DOCUMENT NUMBER: 133:17373
TITLE: Preparation of benzofuranalkanoates as vitronectin receptor antagonists
INVENTOR(S): Carniato, Denis; Gadek, Thomas R.; Gourvest, Jean-francois; Knolle, Jochen; Peyman, Anurschirwan; Bodary, Sarah C.
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.; Genentech Inc.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000031070	A1	20000602	WO 1999-FR2879	19991123
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2786184	A1	20000526	FR 1998-14779	19981124
FR 2786184	B1	20020920		
EP 1133491	A1	20010919	EP 1999-956121	19991123
EP 1133491	B1	20030219		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002530403	T2	20020917	JP 2000-583898	19991123
AT 232859	E	20030315	AT 1999-956121	19991123
ES 2192870	T3	20031016	ES 1999-956121	19991123
US 6458801	B1	20021001	US 2001-856542	20010629
US 2002187976	A1	20021212	US 2002-180253	20020626
US 6586442	B2	20030701		
PRIORITY APPLN. INFO.:			FR 1998-14779	A 19981124
			FR 1999-14779	A 19991123
			WO 1999-FR2879	W 19991123
			US 2001-856542	A3 20010629

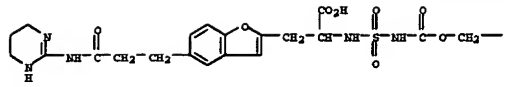
OTHER SOURCE(S): MARPAT 133:17373

AB R4O2CCH(NHR5)(CH2)nZ(CH2)mCONHC(:NR1)NR2R7 [I; R1,R2 = H or (un)substituted alkyl; R1R2 = atoms to complete a ring; R4 = H, (un)substituted alkyl, 1-methyl-4-piperidinyl, etc.; R5 = H, alkanoyl, alkoxycarbonyl, alkyl(amino)sulfonyl, etc.; R7 = H, alkoxycarbonyl(oxy), OH, NO2; Z = benzofuran-2,x-diyl; m = 0-3; n = 1-3; x = 4-7] were prepared Thus, R4O2CCH(NHCO2CH2Ph)CH2ZCH2CH2COR (Z = benzofuran-2,5-diyl)(II; R = OMe, R1 = CMe3) was amidated by 1,4,5,6-tetrahydro-2-pyrimidinamine and the product saponified to give II (R = 1,4,5,6-tetrahydro-2-pyrimidinylamino, R4 = H). Data for biol. activity of I were given.

IT **271770-63-9P 271770-64-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzofuranalkanoates as vitronectin receptor antagonists)

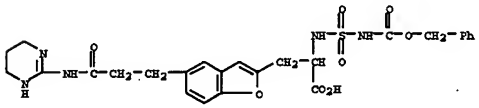
RN 271770-63-9 CAPLUS
CN 2-Oxa-5-thia-4,6-diazaoctan-8-oic acid, 3-oxo-7-[[5-[3-oxo-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propyl]-2-benzofuranyl]methyl]-1-tricyclo[3.3.1.13,7]dec-1-yl-, 5,5-dioxide (9CI) (CA INDEX NAME)

PAGE 1-A

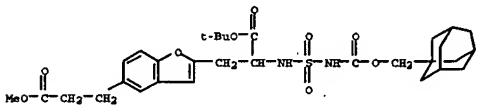


PAGE 1-B

RN 271770-64-0 CAPLUS
CN 2-Oxa-5-thia-4,6-diazaoctan-8-oic acid, 3-oxo-7-[[5-[3-oxo-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propyl]-2-benzofuranyl]methyl]-1-phenyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



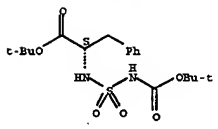
IT 271770-82-2P 271770-83-3P 271770-84-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzofuranalkanoates as vitronectin receptor antagonists)
RN 271770-82-2 CAPLUS
CN 2,5-Benzofurandipropanoic acid, α2-[[[[(tricyclo[3.3.1.13,7]dec-1-ylmethoxy)carbonyl]amino]sulfonyl]amino]-, α2-(1,1-dimethylethyl) α5-methyl ester (9CI) (CA INDEX NAME)



RN 271770-83-3 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 8,8-dimethyl-6-oxo-5-[[5-[3-oxo-3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propyl]-2-benzofuranyl]methyl]-, tricyclo[3.3.1.13,7]dec-1-ylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

(synthesis and structure of chloroethylnitrososulfamide derivs. of amino acids via carbamoylation-sulfamoylation-cyclization reactions)
RN 172945-94-7 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 8,8-dimethyl-6-oxo-5-(phenylmethyl)-, 1,1-dimethylethyl ester, 3,3-dioxide, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



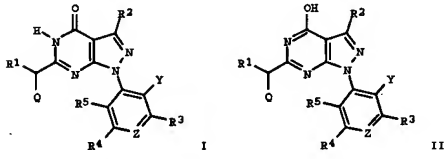
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 130 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:260231 CAPLUS
DOCUMENT NUMBER: 132:293770
TITLE: Preparation of 6-substituted pyrazolo[3,4-d]pyrimidin-4-ones as cyclin dependent kinase inhibitors
INVENTOR(S): Markwalder, Jay A.; Seitz, Steven P.; Sherk, Susan R.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021926	A2	20000420	WO 1999-US23512	19991013
WO 2000021926	A3	20000803		
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6531477	B1	20030311	US 1999-416584	19991012
CA 2345809	AA	20000420	CA 1999-2345809	19991013
EP 1121363	A2	20010808	EP 1999-951875	19991013
EP 1121363	B1	20041222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002537223	T2	20021105	JP 2000-575835	19991013
AT 285411	E	20050115	AT 1999-951875	19991013
US 2002013328	A1	20020131	US 2001-794825	20010227
US 6559152	B2	20030506		
CA 2431038	AA	20020906	CA 2002-2431038	20020227
WO 2002067654	A2	20020906	WO 2002-US6002	20020227
WO 2002067654	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1383769	A2	20040128	EP 2002-725023	20020227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520407	T2	20040708	JP 2002-567036	20020227

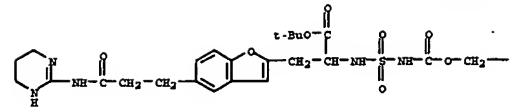
PRIORITY APPLN. INFO.:
US 1998-103957P P 19981013
US 1999-416584 A1 19991012
WO 1999-US23512 W 19991013
US 2001-794825 A 20010227
WO 2002-US6002 W 20020227

OTHER SOURCE(S): MARPAT 132:293770
GI



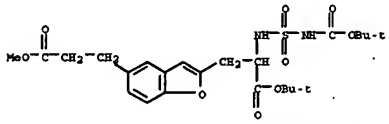
AB The title compds. [I, alternatively represented by tautomer II; Q = H, OH, Me, Et; Y = F, Cl, Br, I; Z = N, CR6; R1 = (un)substituted Ph, naphthyl, tropone, etc.; R2 = alkyl, alkenyl, alkynyl, etc.; R3 = H, F, Cl, etc.; R4 = H, F, Cl, etc.; R5 = H, alkyl, F, etc.; R6 = H, F, Cl, etc.] which are potent inhibitors of the class of enzymes known as cyclin dependent kinases (no data), which relate to the catalytic subunits cyclin dependent kinase 1-8 and their regulatory subunits known as cyclins A-H, K, N, and T, and are useful in treating cancer or other proliferative diseases, were prepared Thus, reacting 5-amino-3-methylthio-1-(2,4,6-trichlorophenyl)pyrazole-4-carboxamide with 3-methoxyphenylacetyl chloride in the presence of NaOEt in EtOH afforded 92% I [Q = H; Y = Cl; R1 = 3-MeOC6H4; R2 = MeS; R3, R4 = H; R5 = Cl; Z = CCl].
IT 264138-26-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-substituted pyrazolo[3,4-d]pyrimidin-4-ones as cyclin dependent kinase inhibitors)
RN 264138-26-3 CAPLUS
CN Carbamic acid, [[[4-[[4-[(3-dihydro-3-(1-methylethyl)-4-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]phenyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



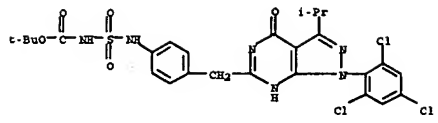
PAGE 1-B

RN 271770-84-4 CAPLUS
CN 2,5-Benzofurandipropanoic acid, α2-[[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-, α2-(1,1-dimethylethyl) α5-methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 129 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:278682 CAPLUS
DOCUMENT NUMBER: 133:105278
TITLE: Part-5: Synthesis and structure of 2-chloroethylnitrososulfamide derivatives of amino acids
AUTHOR(S): Abdaoui, Mohamed; Dewynter, Georges; Toupet, Loic; Montero, Jean-Louis
CORPORATE SOURCE: Laboratoire de Chimie Bimoleculaire, UMR 5032, Universite Montpellier-II, Montpellier, 34095, Fr.
SOURCE: Tetrahedron (2000), 56(16), 2427-2435
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 133:105278
AB 2-Chloroethylnitrososulfamide derivs. of amino acids, e.g. ClCH2CH2N(NO)SO2XOH (X = Pro, Phe, Asp) were prepared from chlorosulfonyl isocyanate via carbamoylation-sulfamoylation-cyclization reactions.
IT 172945-94-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

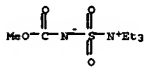


L9 ANSWER 131 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:240126 CAPLUS
DOCUMENT NUMBER: 132:347218
TITLE: A new method for the generation of nitriles from aldoximes
AUTHOR(S): Jose, Binoy; Sulatha, M. S.; Pillai, P. Madhavan; Prathapan, Sreedharan
CORPORATE SOURCE: Department of Applied Chemistry, Cochin University of Science and Technology, Kochi, 682 022, India
SOURCE: Synthetic Communications (2000), 30(8), 1509-1514
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:347218

AB A mild and efficient method for the stereoselective dehydration of α-aldoximes to the corresponding nitriles is described which utilizes MeO2CN-SO2N+Et3 (Burgess reagent) as the dehydrating agent.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of nitriles by dehydration of aldoximes)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

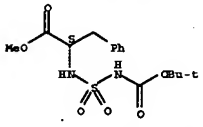
L9 ANSWER 132 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:97433 CAPLUS
DOCUMENT NUMBER: 132:279166
TITLE: Synthesis of 1,2,5-thiadiazolidine 1,1-dioxides (cyclosulfamides) starting from amino acids and chlorosulfonyl isocyanate
AUTHOR(S): Regainia, Zine; Abdaoui, Mohamed; Aouf, Nour-Eddine; Dewynter, Georges; Montero, Jean-Louis
CORPORATE SOURCE: Laboratoire de Chimie Biomoleculaire, UMR 5032, Universite Montpellier II, Montpellier, 34095, Fr.
SOURCE: Tetrahedron (2000), 56(3), 381-387
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:279166

AB A practical access to a series of 5-membered cyclosulfamides, N2-tert-butoxycarbonyl-substituted 1,2,5-thiadiazolidine 1,1-dioxides, is reported. These compds. are synthesized starting from OCNSO2Cl and nitrogen mustards or amino acids. The derivatization of amino acids can lead to an alkyl group on C(4) with a well-defined configuration; in this case the N5 position was protected by a benzyl group.

IT 139059-69-1 139059-70-4 147000-78-0
174466-48-9 174466-49-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of thiadiazolidine dioxides from amino acids and chlorosulfonyl isocyanate)

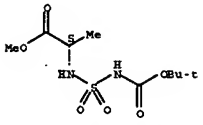
RN 139059-69-1 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-2-(phenylmethyl)-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

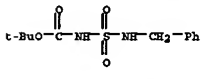


RN 139059-70-4 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 2,8,8-trimethyl-6-oxo-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

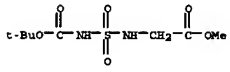
Absolute stereochemistry.



RN 147000-78-0 CAPLUS
CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

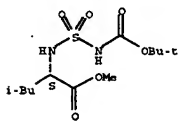


RN 174466-48-9 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



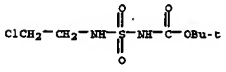
RN 174466-49-0 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-2-(2-methylpropyl)-6-oxo-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

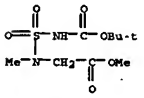


IT 182925-49-1P 263719-62-6P 263719-64-8P
263719-65-9P 263719-66-0P 263719-67-1P
263719-68-2P 263719-70-6P 263719-71-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiadiazolidine dioxides from amino acids and chlorosulfonyl isocyanate)

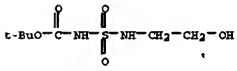
RN 182925-49-1 CAPLUS
CN Carbamic acid, [[(2-chloroethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



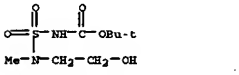
RN 263719-62-6 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 3,8,8-trimethyl-6-oxo-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



RN 263719-64-8 CAPLUS
CN Carbamic acid, [[(2-hydroxyethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

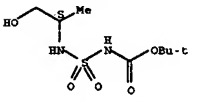


RN 263719-65-9 CAPLUS
CN Carbamic acid, [[(2-hydroxyethyl)methylamino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



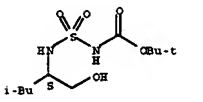
RN 263719-66-0 CAPLUS
CN Carbamic acid, [[[(1S)-2-hydroxy-1-methylethyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



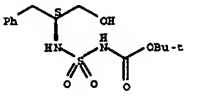
RN 263719-67-1 CAPLUS
CN Carbamic acid, [[[(1S)-1-(hydroxymethyl)-3-methylbutyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

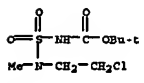


RN 263719-68-2 CAPLUS
CN Carbamic acid, [[[(1S)-1-(hydroxymethyl)-2-phenylethyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

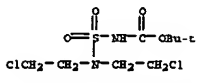
Absolute stereochemistry.



RN 263719-70-6 CAPLUS
CN Carbamic acid, [[(2-chloroethyl)methylamino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

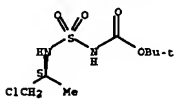


RN 263719-71-7 CAPLUS
CN Carbamic acid, [[bis(2-chloroethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



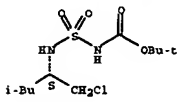
IT 263719-72-8P 263719-73-9P 263719-74-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of thiadiazolidine dioxides from amino acids and chlorosulfonyl isocyanate)
RN 263719-72-8 CAPLUS
CN Carbamic acid, [[[(1S)-2-chloro-1-methylethyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



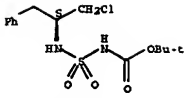
RN 263719-73-9 CAPLUS
CN Carbamic acid, [[[(1S)-1-(chloromethyl)-3-methylbutyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 263719-74-0 CAPLUS
CN Carbamic acid, [[[(1S)-1-(chloromethyl)-2-phenylethyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

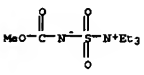


REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 133 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:68442 CAPLUS
DOCUMENT NUMBER: 132:113119
TITLE: Pharmaceutical compositions containing CCR-3 receptor antagonists
INVENTOR(S): Dhanak, Dashyant
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004003	A1	20000127	WO 1999-US15865	19990713
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1998-92819P	P 19980714
			US 1998-92820P	P 19980714

AB A CCR-3 receptor antagonist and methods for its use are provided. A solution of 0.50 g of (S)-N(1-(2-hydroxyethyl carbamoyl)-2-(4-nitrophenyl)ethyl)-1-naphthamide (preparation given) was added to a solution of 0.32 g methoxy-carbonylsulfamoyltriethylammonium hydroxide and heated to 70° for 1 h. The mixture was cooled, the solvent removed, water was added to the residue, and the pH was adjusted to 5-6 and stirred to obtain a yellow precipitate. The precipitate was filtered and purified to obtain (S)-N(1-(4,5-dihydro-oxazole-2yl)-2-(4-nitrophenyl)ethyl)-1-naphthamide (I). The IC50 of I was 0.56 μM. A tablet contained I 40, corn starch 20, alginic acid 20, sodium alginate 20, and magnesium stearate 1.3 g.
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(pharmaceutical compns. containing CCR-3 receptor antagonists)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



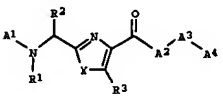
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 134 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:67486 CAPLUS
DOCUMENT NUMBER: 132:108304
TITLE: Preparation of azole peptidomimetics as thrombin receptor antagonists
INVENTOR(S): Hoekstra, William; Hulshizer, Becky L.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

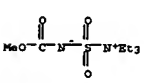
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6017890	A	20000125	US 1999-245739	19990208
US 6156732	A	20001205	US 1999-387489	19990901
PRIORITY APPLN. INFO.:			US 1998-75171P P	19980214
			US 1999-245739 A3	19990208

OTHER SOURCE(S): MARPAT 132:108304
GI



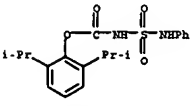
I

AB Azole derivs. I [A1 is an amino acid residue Sar, Gly, His, His(CH2Ph), Ile, Ser, Thr, β-Ala, Ala, C2-C6-acyl, C1-C8-alkyl; A2 is an alkyl amino acid residue cyclohexylalanine, Leu, Ile, Asp and Glu or an aminoalkyl amino acid residue Lys, His, Orn, homoArg and Arg; A3 is an aminoalkyl amino acid residue Lys, His, Orn, Arg, homoArg; A4 is an arylalkyl residue Phe, Tyr or aralkylamino; X = S, O, NR4; R1, R3, R4 = H, alkyl; R2 = (un)substituted aryl, heteroaryl or aralkyl] were prepared for treating platelet-mediated thrombotic disorders. Thus, compound 2-[1(S)-sarcosineamido-2-(4-fluorophenyl)ethyl]oxazole-4-carboxy-cyclohexylalanyl-arginine benzylamide was prepared via standard solution-phase peptide coupling, Burgess Reagent-mediated cyclization, saponification and deprotection and showed IC50 = 2.0 μM for binding of the thrombin receptor, IC50 = 25 μM against platelet aggregation stimulated by thrombin and IC50 = 10 μM against platelet aggregation stimulated by SFLLRN-NH2 [SEQ. ID. NO:1] (TRAP).
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azole peptidomimetics as thrombin receptor antagonists)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

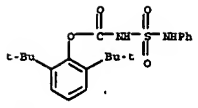


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

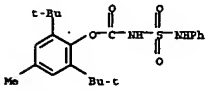
L9 ANSWER 135 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:22069 CAPLUS
DOCUMENT NUMBER: 132:273831
TITLE: Prediction of IC50 Values for ACAT Inhibitors from Molecular Structure
AUTHOR(S): Patankar, S. J.; Jurs, P. C.
CORPORATE SOURCE: Department of Chemistry, Penn State University, University Park, PA, 16802, USA
SOURCE: Journal of Chemical Information and Computer Sciences (2000), 40(3), 706-723
CODEN: JCISD8; ISSN: 0095-2338
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A quant. structure-activity study is performed on several series of compds. derived from N-chlorosulfonyl isocyanate to develop models that relate their structures to IC50 activity for inhibition of acyl-CoA:cholesterol O-acyltransferase (ACAT). Numerical descriptors are used to encode topol., electronic, and geometric information from the mol. structures of the inhibitors. A data set of 157 compds. showing triglyceride- and cholesterol-lowering activity is used to develop successful linear regression models and nonlinear computational neural network models. The models are validated using an external prediction set.
IT 92049-97-3 92049-98-4 92049-99-5
142790-24-7 142790-25-8 142790-28-1
142790-29-2 142790-30-5 142790-31-6
142790-32-7 142790-33-8 142790-34-9
142790-36-1 142790-37-2 142790-38-3
142790-39-4 142790-40-7 142790-41-8
142790-42-9 142790-43-0 142790-44-1
142790-45-2 142790-46-3 142790-48-5
142790-49-6 142790-50-9 142790-51-0
142790-53-2 142790-54-3 142790-55-4
142790-56-5 142790-57-6 142790-58-7
142790-59-8 142790-60-1 142790-61-2
142790-67-8 260794-14-7 260794-16-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prediction of IC50 values for ACAT inhibitors from mol. structure)
RN 92049-97-3 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



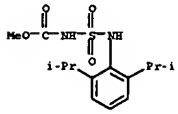
RN 92049-98-4 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



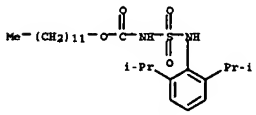
RN 92049-99-5 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



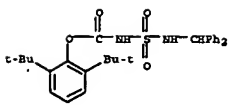
RN 142790-24-7 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



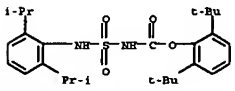
RN 142790-25-8 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, dodecyl ester (9CI) (CA INDEX NAME)



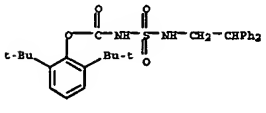
RN 142790-28-1 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



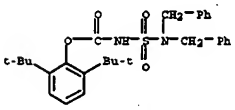
RN 142790-29-2 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



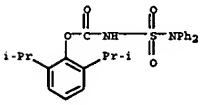
RN 142790-30-5 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



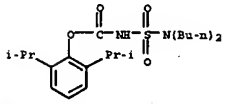
RN 142790-31-6 CAPLUS
CN Carbamic acid, [(bis(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



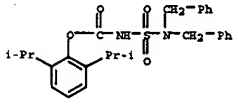
RN 142790-32-7 CAPLUS
CN Carbamic acid, [(diphenylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



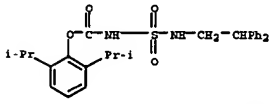
RN 142790-33-8 CAPLUS
CN Carbamic acid, [(dibutylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



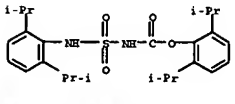
RN 142790-34-9 CAPLUS
CN Carbamic acid, [(bis(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



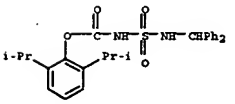
RN 142790-36-1 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



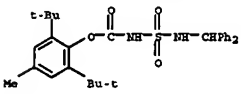
RN 142790-37-2 CAPLUS
CN Carbamic acid, [[(2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



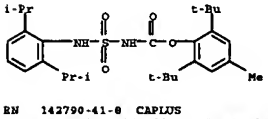
RN 142790-38-3 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



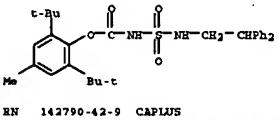
RN 142790-39-4 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



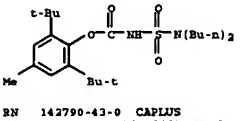
RN 142790-40-7 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



RN 142790-41-8 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)

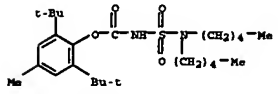


RN 142790-42-9 CAPLUS
CN Carbamic acid, [(dibutylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)

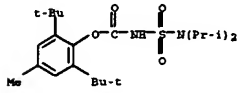


RN 142790-43-0 CAPLUS
CN Carbamic acid, [(dipentylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-

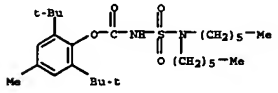
methylphenyl ester (9CI) (CA INDEX NAME)



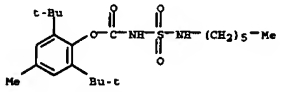
RN 142790-44-1 CAPLUS
CN Carbamic acid, [[bis(1-methylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



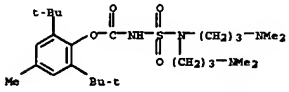
RN 142790-45-2 CAPLUS
CN Carbamic acid, [(dihexylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)

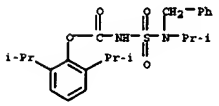


RN 142790-46-3 CAPLUS
CN Carbamic acid, [(hexylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)

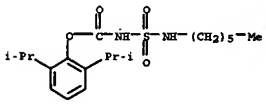


RN 142790-48-5 CAPLUS
CN 3-Thia-2,4,8-triazanonanoic acid, 4-[3-(dimethylamino)propyl]-8-methyl-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

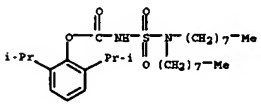




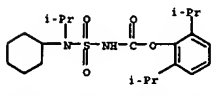
RN 142790-55-4 CAPLUS
CN Carbamic acid, [(hexylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



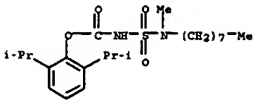
RN 142790-56-5 CAPLUS
CN Carbamic acid, [(dioctylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



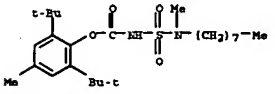
RN 142790-57-6 CAPLUS
CN Carbamic acid, [(cyclohexyl(1-methylethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



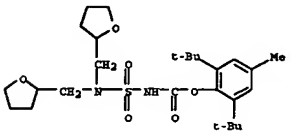
RN 142790-58-7 CAPLUS
CN Carbamic acid, [(methyloctylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



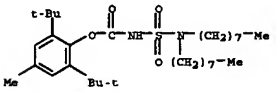
RN 142790-49-6 CAPLUS
CN Carbamic acid, [(methyloctylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



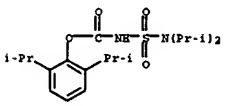
RN 142790-50-9 CAPLUS
CN Carbamic acid, [[bis[(tetrahydro-2-furanyl)methyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



RN 142790-51-0 CAPLUS
CN Carbamic acid, [(dioctylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)

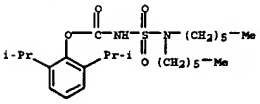


RN 142790-53-2 CAPLUS
CN Carbamic acid, [[bis(1-methylethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

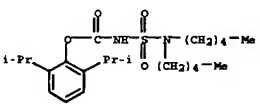


RN 142790-54-3 CAPLUS
CN Carbamic acid, [[(1-methylethyl)(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)

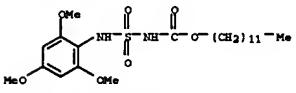
RN 142790-59-8 CAPLUS
CN Carbamic acid, [(dihexylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



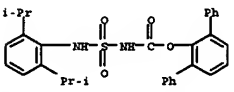
RN 142790-60-1 CAPLUS
CN Carbamic acid, [(dipentylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



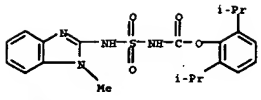
RN 142790-61-2 CAPLUS
CN Carbamic acid, [[(2,4,6-trimethoxyphenyl)amino]sulfonyl]-, dodecyl ester (9CI) (CA INDEX NAME)



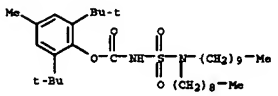
RN 142790-67-8 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, [1,1':3',1''-terphenyl]-2'-yl ester (9CI) (CA INDEX NAME)



RN 260794-14-7 CAPLUS
CN Carbamic acid, [[(1-methyl-1H-benzimidazol-2-yl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



RN 260794-16-9 CAPLUS
CN Carbamic acid, [(decylnonylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



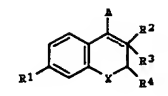
REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 136 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:811229 CAPLUS
DOCUMENT NUMBER: 132:49886
TITLE: Preparation of benzopyran and benzothiopyran derivatives with antiestrogenic activity
INVENTOR(S): Jo, Jae Chon; Lim, Hyun Suk; Kim, Jong Min; Kim, Ju Su; Morikawa, Kazumi; Kanbe, Yoshitake; Kim, Myung Hwa; Nishimoto, Masahiro
PATENT ASSIGNEE(S): C & C Research Laboratories, S. Korea
SOURCE: PCT Int. Appl., 457 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

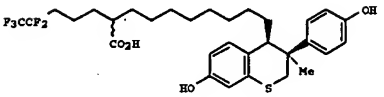
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965893	A1	19991223	WO 1999-KR300	19990614
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
KR 2000001793	A	20000115	KR 1998-22212	19980613
CA 2334634	AA	19991223	CA 1999-2334634	19990614
AU 9941719	A1	20000105	AU 1999-41719	19990614
AU 756589	B2	20030116		
EP 1087959	A1	20010404	EP 1999-925450	19990614
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002529372	T2	20020910	JP 2000-554718	19990614
NO 2000006293	A	20010213	NO 2000-6293	20001211
KR 2001052755	A	20010625	KR 2000-714048	20001211
US 6645951	B1	20031111	US 2001-719608	20010716
US 2004102479	A1	20040527	US 2003-640696	20030812

PRIORITY APPLN. INFO.: KR 1998-22212 A 19980613
WO 1999-KR300 W 19990614
US 2001-719608 A3 20010716

OTHER SOURCE(S): MARPAT 132:49886
GI



I



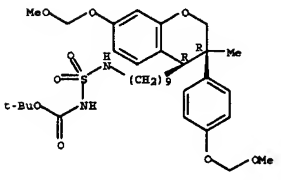
II

AB Title compds. (I) (where X = O or S; R1 = H, OH, acyloxy, or alkoxy; R2 = (un)substituted Ph, (un)substituted amino, or a 5- or 6-membered unsatd. heterocycle containing N, O, or S; R3 = null, H, or alkyl; R4 = H or alkyl, A = H, hydroxyalkyl, carboxyalkyl, carboxyvinylphenyl, pyrrole substituted by carboxyvinylbenzyl, etc.] were prepared for use in the treatment breast cancer. Examples include over 70 syntheses and 3 bioassays. For example, II was prepared by a 14-step sequence involving: (1-2) a 2-step synthesis of 8-(t-butyldimethylsilyloxy)-1-octyne, (3) 4-alkynation of 7-methoxy-3-(4-methoxyphenyl)-3-methylthiochroman-4-one with the octyne (99.3%), (4) reduction of the 4-hydroxy group by NaBH4 in the presence of ZnI2 followed by hydrogenation of the alkyne by Pd/C (50.5%), (5) desilylation (93%), (6) O-mesylation (97.7%), (7) iodation of the mesylate (93.6%), (8-10) 3-step synthesis of di-Et 2-(4,4,5,5,5-pentafluoropentyl)propane-1,3-dioate, (11) addition of the di-Et malonate derivative to the 8-iodooctylthiochroman (95.9%), (12) deesterification, (13) decarboxylation (82.1%), and (14) deprotection of the OH groups (88.7%). The MCF-7 cell growth inhibiting activities of representative invention compds. varied widely [IC50 = 54.5 nM to 4993 nM compared with IC50 = 77 nM (trans) and 9.2 nM (cis) for the known antiestrogenic compound ZM 189154]. The antiestrogenic activities of I (oral administration) in ovariectomized mice were comparable or superior to ZM 189154.

IT 252946-69-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzopyran and benzothiopyran derivs. with antiestrogenic activity for the treatment of breast cancer)

RN 252946-69-3 CAPLUS
CN Carbamic acid, [[[9-[(3R,4R)-3,4-dihydro-7-(methoxymethoxy)-3-[4-(methoxymethoxy)phenyl]-3-methyl-2H-1-benzopyran-4-yl]nonyl]amino]sulfonyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



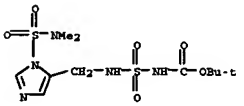
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 137 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:737587 CAPLUS
DOCUMENT NUMBER: 132:87751
TITLE: 4-Chlorobenzyl sulfonamide and sulfamide derivatives of histamine homologues: the design of potent histamine H3 receptor antagonists
AUTHOR(S): Tozer, Matthew J.; Buck, Ildiko M.; Cooke, Tracey; Kalindjian, S. Barret; McDonald, Iain M.; Pether, Michael J.; Steel, Katherine I. M.
CORPORATE SOURCE: The James Black Foundation, London, SE24 9JE, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(21), 3103-3108
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 4-Chlorophenylmethanesulfonamide and (4-chlorobenzyl)sulfamide derivs. of histamine homologues were prepared and found to be potent and selective histamine H3 receptor antagonists. High receptor affinity and low differences in the data from the bioassays were achieved with the imidazol-4-ylbutyl analogs.

IT 254732-72-4DP, homologues
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(chlorobenzyl sulfonamide and sulfamide derivs. of histamine homologues: the design of potent histamine H3 receptor antagonists)

RN 254732-72-4 CAPLUS
CN Carbamic acid, [[[[1-[(dimethylamino)sulfonyl]-1H-imidazol-5-yl]methyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

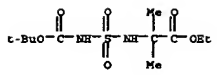
L9 ANSWER 138 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:605549 CAPLUS
DOCUMENT NUMBER: 132:49849
TITLE: Synthesis and serotonergic activity of a series of 2-(N-benzyl)carboxamido-5-substituted-N,N-dimethyltryptamine derivatives: novel antagonists for the vascular 5-HT1B-like receptors
AUTHOR(S): Moloney, Gerard P.; Martin, Graeme R.; Mathews, Neil; Hobbs, Heather; Dodsworth, Susan; Sang, Pang Yih; Knight, Cameron; Maxwell, Miles; Glen, Robert C.
CORPORATE SOURCE: Department of Medicinal Chemistry, Victorian College of Pharmacy (Monash University), Parkville, 3052, Australia
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1999), (19), 2699-2711
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The synthesis and vascular 5-HT1B-like receptor activity of a novel series of 2-(N-benzyl)carboxamido-5-substituted-N,N-dimethyltryptamine derivs. is described. Modifications to the 5-ethylene linked heterocycle are explored. Compds. such as N-benzyl-5-[2-(phthalimido)ethyl]-3-[2-(dimethylamino)ethyl]-1H-indole-2 -carboxamide (I; R1 = H) (pKB = 7.33), the 2-aminobenzyl analog I (R1 = NH2) (pKB = 7.19), and N-benzyl-5-[2-(1-benzyl-2,5-dioxoimidazolidin-4-yl)ethyl]-3-[2-(di-Me amino)ethyl]-1H-indole-2-carboxamide (II) (pKB = 7.05) have good 5-HT1B-like affinity and indicate that there may be a hydrophobic binding pocket within the vascular 5-HT1B-like receptor previously not considered. Compds. including N-benzyl-3-[2-(dimethylamino)ethyl]-5-[2-(2,4-dioxo-1,3-thiazolidinyl)ethyl]-1H-indole-2-carboxamide (III; R1 = H) (pKB = 7.35) and the di-Me analog III (R1 = Me) (pKB = 7.48) have good vascular 5-HT1B-like receptor affinity and show that the sulfur atom is well tolerated. Dioxoimidazolinyl compound IV which includes a methylsulfonyl substituent on the 1-nitrogen of the hydantoin ring system has the highest recorded 5-HT1B-like affinity for this series (pKB = 7.54) and it is proposed that this functional group can interact with a secondary hydrogen bonding region within the receptor. Compds. I-IV also exhibited good selectivity over the α1-adrenoceptors. The most selective compound from this series is III (R1 = Me) which is 66-fold selective over the α1-adrenoceptors. This finding is consistent with the previous discovery that 5,5-di-Me substitution on the hydantoin group in a related series of compds. afforded superior selectivity for 5-HT1B-like receptors over α1-adrenoceptors and other 5-HT receptors, in particular 5-HT2A receptors, relative to unsubstituted hydantoin analogs. The selectivity of these compds. for the vascular 5-HT1B-like receptor is discussed. Structure-activity relationship indicated a significant steric requirement of the 5-HT1B-like receptor subtype. Potential modes of binding for several of the compds. to a vascular 5-HT1B-like receptor pharmacophore model are also proposed.

IT 252961-00-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, 5-HT1B-like receptor antagonist activity, and structure-activity relationship of (benzylcarboxamido)tryptamine derivs.)

RN 252961-00-5 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 5,5-dimethyl-6-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



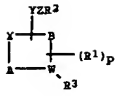
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 139 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:549267 CAPLUS
DOCUMENT NUMBER: 131:184861
TITLE: Preparation of histamine H3 receptor ligands
INVENTOR(S): Kalindjian, Sarkis Barret; Buck, Ildiko Maria; Linney, Ian Duncan; Watt, Gillian Fairfull; Harper, Elaine Anne; Shankley, Nigel Paul
PATENT ASSIGNEE(S): James Black Foundation Limited, UK
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

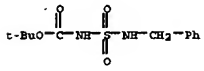
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9942458	A1	19990826	WO 1999-GB464	19990215
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318836	AA	19990826	CA 1999-2318836	19990215
AU 9925354	A1	19990906	AU 1999-25354	19990215
AU 747804	B2	20020523		
BR 9908074	A	20001024	BR 1999-8074	19990215
EP 1056733	A1	20001206	EP 1999-905049	19990215
EP 1056733	B1	20040107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002504483	T2	20020212	JP 2000-532410	19990215
NZ 506720	A	20020328	NZ 1999-506720	19990215
RU 2214406	C2	20031020	RU 2000-124100	19990215
AT 257473	E	20040115	AT 1999-905049	19990215
ES 2213353	T3	20040816	ES 1999-905049	19990215
US 6878736	B1	20050412	US 2000-622544	19990215
ZA 9901356	A	20000821	ZA 1999-1356	19990219
NO 2000003918	A	20001003	NO 2000-3918	20000802

PRIORITY APPLN. INFO.: GB 1998-3536 A 19980219
WO 1999-GB464 W 19990215

OTHER SOURCE(S): MARPAT 131:184861
GI

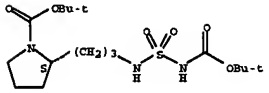


AB Title compds. [I; A represents (CH2)m, m being from 1 to 3; B is (CH2)n, n being from 1 to 3; p is from 0 to 2; R1 is C1 to C10 hydrocarbyl, in which up to 2 carbon atoms may be replaced by O, S or N; and up to 2 hydrogen atoms may be replaced by halogen; R2 is H or C1 to C15 hydrocarbyl, in which up to 3 carbon atoms may be replaced by O, S or N, and up to 3 hydrogen atoms may be replaced by halogen; R3 is absent when -Y-Z-R2 is attached to W, or is H or C1 to C7 hydrocarbyl when -Y-Z-R2 is not attached to W; W is nitrogen; X is -CH2-, -O- or -NR4-, R4 being H or C1 to C3 alkyl; Y replaces a hydrogen atom on any of A, B, W and X, and is C2 to C10 alkylene, in which one non-terminal carbon atom may be replaced by O; and Z is -N(R5)SO2-, -SO2N(R6)-, -N(R5)SO2N(R6)-, -N(R5)C(:NQ)N(R7)-, -N(R5)S(:O)-, -SO2- wherein R5, R6 and R7 are independently H or C1 to C15 hydrocarbyl, in which up to 3 carbon atoms may be replaced by O or N, and up to 3 hydrogen atoms may be replaced by halogen, and Q is H or Me, or Q is linked to R5 or R7 to form a five-membered ring or Q is linked to R2 to form a six-membered ring] and pharmaceutically acceptable salts thereof are prepared and tested as histamine H3 receptor ligands. Thus, the title compound II was prepared
IT 147000-78-0P 239483-15-9P 239483-19-3P 239483-22-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of histamine H3 receptor antagonists)
RN 147000-78-0 CAPLUS
CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



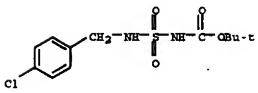
RN 239483-15-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-(9,9-dimethyl-5,5-dioxido-7-oxo-8-oxa-5-thia-4,6-diazadec-1-yl)-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



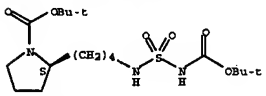
RN 239483-19-3 CAPLUS
CN Carbamic acid, [[[(4-chlorophenyl)methyl]amino]sulfonyl]-,

1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 239483-22-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-(10,10-dimethyl-6,6-dioxido-8-oxo-9-oxa-6-thia-5,7-diazaundec-1-yl)-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 140 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:487291 CAPLUS
DOCUMENT NUMBER: 131:116262
TITLE: Preparation of novel benzene-fused heterocyclic derivatives as anticoagulant
INVENTOR(S): Hirayama, Fukushi; Koshio, Hiroyuki; Ishihara, Tsukasa; Kaizawa, Hiroyuki; Katayama, Nacko; Taniuchi, Yuta; Matsumoto, Yuzo
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937643	A1	19990729	WO 1999-JP276	19990125
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9920746	A1	19990809	AU 1999-20746	19990125

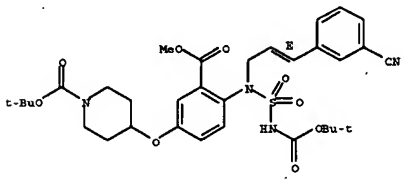
PRIORITY APPLN. INFO.: JP 1998-12970 A 19980126
WO 1999-JP276 W 19990125

OTHER SOURCE(S): MARPAT 131:116262
GI

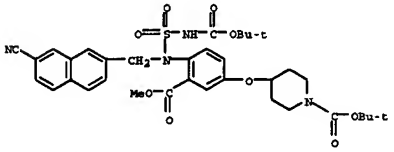
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; or salts thereof, R1 = Q1, Q2; A = -CH=CCH3-CH2-, -CH2-CH2-CH2-, -NH-CO-CH2-, -O-CH2-CH2-; Z = a bond, -CO-, -CO-O-, -SO2-; Y = lower alkylene, -NH-CO-, -CH2-NH-CO-, -NMe-CH2, -C(CO2Me)=CH-; R2 = hydrogen, lower alkyl, forming -(CH=CH)2-; R3 = H, C(:NH)CH3] are prepared via cyclization and have anticoagulant effects based on inhibition of activated blood coagulation factor X, these compds. are useful as blood anticoagulants or preventives/remedies for diseases induced by thrombosis or embolism. The title compound II was prepared
IT 233281-63-5P 233281-67-9P 233282-02-5P 233282-06-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzoheterocyclic derivs. as anticoagulant)
RN 233281-63-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[(2E)-3-(3-cyanophenyl)-2-propenyl][[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-3-(methoxycarbonyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

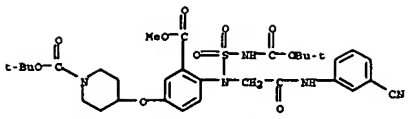
Double bond geometry as shown.



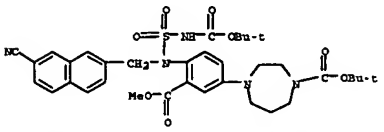
RN 233281-67-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[(7-cyano-2-naphthalenyl)methyl][[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-3-(methoxycarbonyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 233282-02-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[2-[(3-cyanophenyl)amino]-2-oxoethyl][[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-3-(methoxycarbonyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 233282-06-9 CAPLUS
CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[4-[[(7-cyano-2-naphthalenyl)methyl][[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-3-(methoxycarbonyl)phenyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

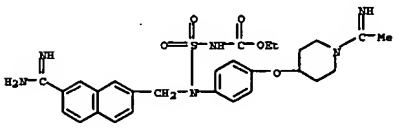


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 141 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:468413 CAPLUS
DOCUMENT NUMBER: 131:92534
TITLE: Medicinal composition for percutaneous administration
INVENTOR(S): Igarashi, Kyoko; Kawamura, Naohisa
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan; Saitama Daiichi Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

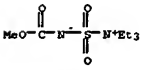
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933458	A1	19990708	WO 1998-JP5919	19981225
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9916899	A1	19990719	AU 1999-16899	19981225
EP 1043020	A1	20001011	EP 1998-961566	19981225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRIORITY APPLN. INFO.:			JP 1997-357151	A 19971225
			WO 1998-JP5919	W 19981225

OTHER SOURCE(S): MARPAT 131:92534
AB Disclosed is a percutaneously absorbable medicinal composition comprising at least one member selected from the group consisting of aromatic amidine derivs., salts and solvates thereof and a percutaneous absorption promoter. The composition has a high percutaneous absorbability, can maintain an available blood level for a long time and has antithrombotic and anticoagulant effects. (2S)-2-[4-[[(3S)-1-acetoimidoyl-3-pyrrolidinyl]oxy]phenyl]-3-(7-amidino-2-naphthyl)propionic acid·HCl·5H2O 1.2, 1,3-butylene glycol 1.2, benzalkonium chlorides 0.04, distilled water 6.4, acrylic emulsion adhesive (Nikasol TS-620) 15.8, caprylic acid 0.36 g were mixed and applied on a polyester film to give a plaster.
IT 201933-39-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(percutaneous absorption accelerators for topical administration of aromatic amidine derivs.)
RN 201933-39-3 CAPLUS
CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 142 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:264346 CAPLUS
DOCUMENT NUMBER: 130:352229
TITLE: Novel procedure for the synthesis of 1,3,4-oxadiazoles from 1,2-diacylhydrazines using polymer-supported Burgess reagent under microwave conditions
AUTHOR(S): Brain, Christopher T.; Paul, Jane M.; Loong, Yvonne; Oakley, Paul J.
CORPORATE SOURCE: Novartis Institute for Medical Sciences, London, WC1IE 6BN, UK
SOURCE: Tetrahedron Letters (1999), 40(16), 3275-3278
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:352229
AB A novel and efficient means of effecting the cyclodehydration of 1,2-diacylhydrazines to provide 1,3,4-oxadiazoles is reported. Polymer supported Burgess reagent was utilized in combination with single-mode microwave heating.
IT 29684-56-8, polymer-supported
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxadiazoles by cyclodehydration of diacylhydrazines using polymer-supported Burgess reagent under microwave conditions)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

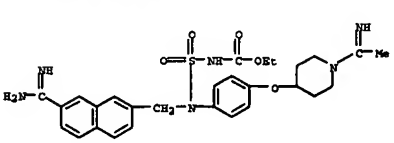


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 143 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:201931 CAPLUS
DOCUMENT NUMBER: 130:287053
TITLE: Pharmaceutical compositions containing acidic polysaccharides as carriers for basic drugs
INVENTOR(S): Yomese, Masakatsu; Sugie, Shuichi
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11080032	A2	19990323	JP 1997-249132	19970912
PRIORITY APPLN. INFO.:			JP 1997-249132	19970912

OTHER SOURCE(S): MARPAT 130:287053
AB The invention provides a pharmaceutical composition easily preparable for the application in an improved drug delivery system, wherein the composition contains a basic drug, especially aromatic amidine derivative, e.g. (2S)-2-[4-[[(3S)-1-acetoimidoyl-3-pyrrolidinyl]oxy]phenyl]-3-(7-amidino-2-naphthyl)propionic acid (I), and an acidic polysaccharide, e.g. dextran sulfate, so that the basic drug and the acidic polysaccharide form water-unsol. spheroidal particles, whose sizes are controlled by pH. A phosphate buffer solution (10 mM, pH 6) containing I 0.1, dextran sulfate 0.2 % weight/volume was formulated and the mixture was sonicated for 1 min. The formulation showed improved bioavailability as determined by Tmax and Cmax values in rats.
IT 201933-39-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing aromatic amidine basic drugs and acidic polysaccharide carriers)
RN 201933-39-3 CAPLUS
CN Carbamic acid, [[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

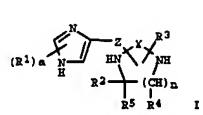


L9 ANSWER 144 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

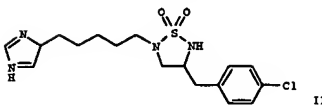
ACCESSION NUMBER: 1999:96241 CAPLUS
DOCUMENT NUMBER: 130:168372
TITLE: Preparation of imidazole derivatives as histamine H3 receptor ligands
INVENTOR(S): Mcdonald, Iain Mair; Dunstone, David John; Tozer, Matthew John
PATENT ASSIGNEE(S): James Black Foundation Limited, UK
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905141	A1	19990204	WO 1998-GB2062	19980714
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9883485	A1	19990216	AU 1998-83485	19980714
GB 2341862	A1	20000329	GB 2000-111	19980714
GB 2341862	B2	20010815		
US 6159994	A	20001212	US 2000-462910	20000313
PRIORITY APPLN. INFO.:			GB 1997-15816	A 19970725
			WO 1998-GB2062	W 19980714

OTHER SOURCE(S): MARPAT 130:168372
GI



I



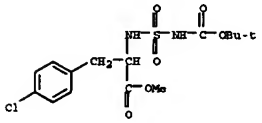
II

AB The title compds. [I; R1 = H, C1-6 alkyl(thio), C1-6 alkoxy, carboxy(C1-6 alkyl), aryl, HCO, NO2, amino, cyano, hydrocarbylene bridge-connected imidazolyl derivative which also can replace any H atom on a C or N atom in the ring comprising X, etc.; R2, R5 = H; R2R5 = O, NR6; R6 = H, nonaroma. C1-6 hydrocarbyl, etc.; R3 = H, (O-, N- or S-interrupted) C1-15 (halo)hydrocarbyl (with a proviso); R4 = H, C1-10 nonaroma. hydrocarbyl, (C1-3 alkyl)aryl; X = SO, SO2; Z = (O-, N- or S-interrupted) C1-8 (halo)hydrocarbylene, (with a proviso); a = 0-2; n = 1, 2] or their

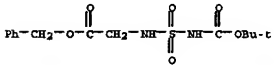
pharmaceutically acceptable salts, were prepared For example, addition reaction of Me3COH with ClSO2NCO and amidation of DL-4-chlorophenylalanine Me ester-HCl with the resulting chlorosulfonylcarbamate gave N-tert-butyloxycarbonyl-N'-(1-carboxymethyl-2-[4-chlorophenyl])ethylsulfamide. This was N-alkylated with 5-[4-(Ph-triphenylmethyl)imidazolyl]pentanol in the presence of diethylazodicarboxylate, the product reduced with NaBH4/LiCl, deprotected with HCl in dioxane, cyclized with diethylazodicarboxylate/PPh3 and deprotected with CF3CO2H to give (imidazolylpentyl)thiadiazolidine derivative II which in vitro inhibited the binding of [3H]-R- α-methylhistamine to H3-receptor sites in guinea pig ileum tissue with pKi 7.37.

IT 220406-90-6P 220407-00-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-alkylation; preparation of imidazole derivs. as histamine H3 receptor ligands)

RN 220406-90-6 CAPLUS
CN Phenylalanine, 4-chloro-N-[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 220407-00-1 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-, phenylmethyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)

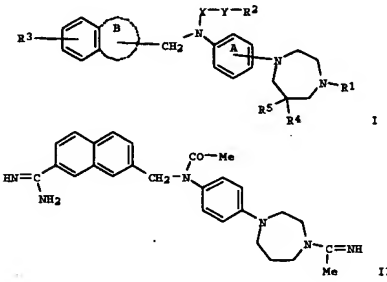


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 145 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:96228 CAPLUS
DOCUMENT NUMBER: 130:153676
TITLE: Preparation of hexahydro-1,4-diazepine derivatives as activated blood coagulation factor X inhibitors
INVENTOR(S): Koshio, Hiroyuki; Hirayama, Fukushi; Ishihara, Tsukasa; Funatsu, Masashi; Kawasaki, Tomihisa; Matsumoto, Yuzo
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905124	A1	19990204	WO 1998-JP3267	19980722
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9877301	A1	19990204	AU 1998-77301	19980717
AU 735144	B2	20010705		
ER 9802544	A	20000208	ER 1998-2544	19980721
CA 2289572	AA	19990204	CA 1998-2289572	19980722
AU 9883560	A1	19990216	AU 1998-83560	19980722
EP 1000936	A1	20000517	EP 1998-933884	19980722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1206006	A	19990127	CN 1998-116701	19980723
US 6333320	B1	20011225	US 2000-463017	20000119
PRIORITY APPLN. INFO.:			JP 1997-197587 A	19970723
			WO 1998-JP3267 W	19980722

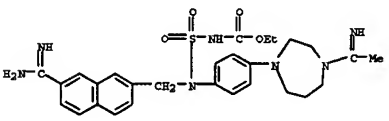
OTHER SOURCE(S): MARPAT 130:153676
GI



AB The title compds. I [ring A = phenylene, pyridylene, or the like; ring B = a 5- or 6-membered aryl or heteroaryl ring; X = CO, CONH, CSNH, SO2, SO2NH, or the like; Y = a bond or alkylene; R1 = hydrogen, alkyl, Y-(hetero)aryl, or the like; R2 = hydrogen, alkoxy, COOH, or the like; R3 = amidino or a group capable of being converted into amidino; and R4, R5 = each independently hydrogen or lower alkyl] are prepared In an in vitro test for inhibition of the activated blood coagulation factor X, the title compound II at 0.092 μM doubled the coagulation time.

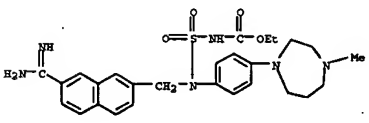
IT 220218-87-1P 220219-20-5P 220219-97-6P
220220-03-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hexahydro-1,4-diazepine derivs. as activated blood coagulation factor X inhibitors)

RN 220218-87-1 CAPLUS
CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[hexahydro-4-(1-iminoethyl)-1H-1,4-diazepin-1-yl]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



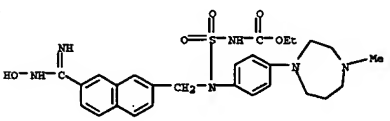
●2 HCl

RN 220219-20-5 CAPLUS
CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



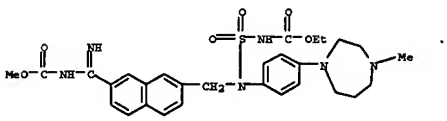
●2 HCl

RN 220219-97-6 CAPLUS
CN Carbamic acid, [[[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)phenyl][[7-[(hydroxyamino)iminomethyl]-2-naphthalenyl]methyl]amino]sulfonyl]-, ethyl ester, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 220220-03-1 CAPLUS
CN Carbamic acid, [[7-[2-[4-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)phenyl]-3,3-dioxido-5-oxo-6-oxa-3-thia-2,4-diazaoct-1-yl]-2-naphthalenyl]iminomethyl]-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)



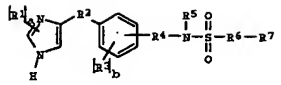
●3 HCl

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 146 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:96221 CAPLUS
DOCUMENT NUMBER: 130:153655
TITLE: Preparation of substituted imidazole derivatives as histamine H3 receptor ligands
INVENTOR(S): Kalindjian, Sarkis Barret; Buck, Ildiko Maria
PATENT ASSIGNEE(S): James Black Foundation Limited, UK
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905115	A1	19990204	WO 1998-GB2063	19980714
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9883486	A1	19990216	AU 1998-83486	19980714
GB 2341861	A1	20000329	GB 2000-109	19980714
GB 2341861	B2	20010815		
US 6407132	B1	20020618	US 2000-463445	20000313
PRIORITY APPLN. INFO.:			GB 1997-15815 A	19970725
			WO 1998-GB2063 W	19980714
			WO 1998-GB2063 W	19980714

OTHER SOURCE(S): MARPAT 130:153655
GI



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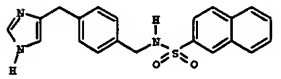


II

AB The title compds. [I;R1 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, etc.; R2 = a bond, C1-5 alkylene; R3 = R1; R4 = C1-5 alkylene; R5 = H, C1-3 alkyl, aryl, etc.; R6 = a bond, NR9 (R9 = R5); R7 = H, (un)substituted C1-15 alkyl in which up to three carbon atoms may be replaced by O, N, or S atoms, provided that R7 does not contain an -O-O- group; a = 0-2; b = 0-3] and their pharmaceutically acceptable salts, useful as histamine H3 receptor ligands, were prepared Thus, a 5-step synthesis of II which showed pKi of 6.47 in histamine H3 radioligand binding assay - guinea pig ileum, was given.

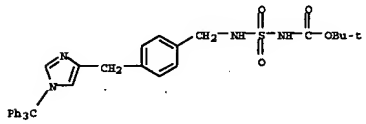
IT **220190-99-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted imidazole derivs. as histamine H3 receptor ligands)

RN 220190-99-8 CAPLUS

CN Carbamic acid, [[[[4-[[1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]phenyl]methyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 147 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:64698 CAPLUS

DOCUMENT NUMBER: 130:139655

TITLE: Oligopeptide-Vinca alkaloid conjugates useful in the treatment of prostate cancer

INVENTOR(S): Brady, Stephen F.; Garsky, Victor M.; Pawluczyk, Joseph M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

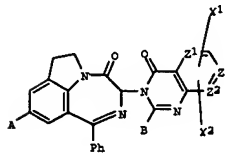
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9849169	A1	19981105	WO 1998-EP2827	19980430
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2762841	A1	19981106	FR 1997-5422	19970430
FR 2762841	B1	19990702		
HR 980231	B1	20020630	HR 1998-980231	19980429
CA 2278217	AA	19981105	CA 1998-2278217	19980430
AU 9877652	A1	19981124	AU 1998-77652	19980430
ZA 9803704	A	19991025	ZA 1998-3704	19980430
EP 980374	A1	20000223	EP 1998-925598	19980430
EP 980374	B1	20030212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9809429	A	20000613	BR 1998-9429	19980430
NZ 337589	A	20001027	NZ 1998-337589	19980430
JP 2001522367	T2	20011113	JP 1998-546624	19980430
AT 232534	E	20030215	AT 1998-925598	19980430
ES 2190083	T3	20030716	ES 1998-925598	19980430
US 6239130	B1	20010529	US 1999-380883	19991110

PRIORITY APPLN. INFO.: FR 1997-5422 A 19970430
WO 1998-EP2827 W 19980430

OTHER SOURCE(S): MARPAT 129:330743

GI



I

AB The title compds. [I; A = H, C1-4 alkyl, alkoxy, OH, NO2, (un)substituted NH2, etc.; B = alkyl, CH2OM, CH2O2C(CH2)a(CO)bY1Y2, (CH2)cCO2M; Y1 = (VCH2CH2)c, NHCHR(CO); M = alkyl, H; V = NH, O; R = residue of a natural α-amino acid with the C atom to which it is linked having a (R) or (S) configuration; Y2 = H, OH, OMe, 4-morpholinyl; a = 1, 2; b = 0, 1; c = 0-2; X1, X2 = H, alkyl, halogen , CN, (un)substituted 5-tetrazolyl, etc.; Z = CH when Z1 and Z2 are CH or N, Z = N when Z1 and Z2 are CH], useful in the treatment of phosphodiesterase 4-mediated diseases (e.g., asthma, atopic dermatitis, rheumatoid arthritis, inflammatory bowel disorders, pulmonary hypertension, liver injury, bone loss, etc. (all no data)], are prepared and I-containing formulations presented. Thus, (3R)-3-amino-1-phenyl-6,7-dihydro-3H-[1,4]diazepino[6,7,1-hi]indol-4-one was reacted with

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9902175	A1	19990121	WO 1998-US14413	19980709
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2295860	AA	19990121	CA 1998-2295860	19980709
AU 9883960	A1	19990208	AU 1998-83960	19980709
AU 740597	B2	20011108		
EP 1009420	A1	20000621	EP 1998-934444	19980709
EP 1009420	B1	20031217		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
US 6127333	A	20001003	US 1998-112656	19980709
JP 2002510325	T2	20020402	JP 1999-509003	19980709
AT 256473	E	20040115	AT 1998-934444	19980709

PRIORITY APPLN. INFO.: US 1997-52195P P 19970710
GB 1998-10183 A 19980513
WO 1998-US14413 W 19980709

OTHER SOURCE(S): MARPAT 130:139655

AB Chemical conjugates which comprise oligopeptides, having amino acid sequences that are selectively proteolytically cleaved by free prostate-specific antigen (PSA) and known cytotoxic agents are disclosed. The conjugates of the invention are characterized by a diamine linker between the oligopeptide and vinblastine. Such conjugates are useful in the treatment of prostatic cancer and benign prostatic hypertrophy (BPH).

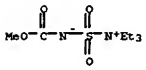
IT **29684-56-8**

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; oligopeptide-Vinca alkaloid conjugates useful in the treatment of prostate cancer)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 148 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:721702 CAPLUS

DOCUMENT NUMBER: 129:330743

TITLE: Preparation of phosphodiesterase 4-inhibiting [1,4]diazepino[6,7,1-hi]indol-4-ones

INVENTOR(S): Pascal, Yves; Burnouf, Catherine; Gaudilliere, Bernard; Jacobelli, Henry; Calvet, Alain; Payne, Adrian; Dahl, Svein Gunwald

PATENT ASSIGNEE(S): Jouveinal, Fr.

SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

2-acetamidobenzoic acid in the presence of O-[(ethoxycarbonyl)cyanomethylamino]-N,N,N',N'-tetramethyluronium tetrafluoroborate, and the intermediate reacted with 1,1,1-trimethoxyethane and cyclized, producing (3S)-3-(2-methyl-4-oxo-4H-quinazolin-3-yl)-1-phenyl-6,7-dihydro-3H-[1,4]diazepino[6,7,1-hi]indol-4-one which demonstrated a phosphodiesterase 4-inhibiting activity of 0.448 (using an enzyme preparation from the U937 cell line), vs. 0.792 for rolipram.

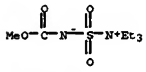
IT **29684-56-8**

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of phosphodiesterase 4-inhibiting [1,4]diazepino[6,7,1-hi]indol-4-ones)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 149 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:708810 CAPLUS

DOCUMENT NUMBER: 129:330744

TITLE: Preparation of benzazepine thermogenics

INVENTOR(S): Ishihara, Yuji; Fujisawa, Yukio; Furuyama, Naoki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 399 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

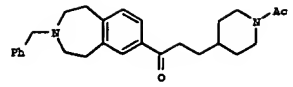
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846590	A1	19981022	WO 1998-JP1753	19980416
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2282390	AA	19981022	CA 1998-2282390	19980416
AU 9868528	A1	19981111	AU 1998-68528	19980416
EP 975624	A1	20000202	EP 1998-914055	19980416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11310532	A2	19991109	JP 1998-107257	19980417
US 6534496	B1	20030318	US 1999-402806	19991007

PRIORITY APPLN. INFO.: JP 1997-100675 A 19970417
JP 1998-41495 A 19980224
WO 1998-JP1753 W 19980416

OTHER SOURCE(S): MARPAT 129:330744

GI



II

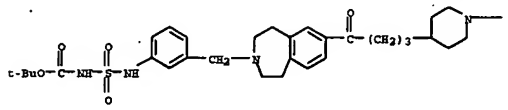
AB The title compds. ArC(O)(CHR)nY [I; Ar = Ph which may be substituted and/or condensed; n = 1-10; R = H, hydrocarbon group which may be substituted, which may not be the same in n occurrences; R may be bound to either Ar or a substituent on Ar; Y = (un)substituted NH2, (un)substituted nitrogen-containing saturated heterocyclic group] and their salts, useful as thermogenic, antiobesity, and lipolytic agents, or as prophylactic and/or treating drugs for obesity-associated diseases or diabetes with a reduced risk for central side effects and high universality in usage, were prepared and formulated. Thus, reaction of 3-(1-acetyl-4-piperidinyl)propionyl chloride with 3-formyl-2,3,4,5-tetrahydro-1H-3-benzazepine in the presence of AlCl3 in CH2Cl2 followed by treatment of the resulting 3-(1-acetyl-4-piperidinyl)-1-(3-formyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-propanone in MeOH with concentrate HCl, and reaction of 3-(1-acetyl-4-piperidinyl)-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-propanone with benzyl bromide afforded the title compound II.HCl which showed cAMP concentration of 1369.1 pmol/mL at 10-5 M in murine preadipocyte line (3T3-L1).

IT 215047-66-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzazepine thermogenics)

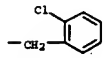
RN 215047-66-8 CAPLUS

CN Carbamic acid, [[[3-[[7-[4-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]-1-oxobutyl]-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]methyl]phenyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 150 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:635659 CAPLUS
DOCUMENT NUMBER: 129:260743
TITLE: Preparation of aminoacyl sulfamides for the treatment of hyperproliferative disorders
INVENTOR(S): Hill, Jason M.; Kluge, Arthur F.
PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9841215	A1	19980924	WO 1997-US23350	19971218
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5824657	A	19981020	US 1997-820249	19970318
AU 9858997	A1	19981012	AU 1998-58997	19971218
EP 991412	A1	20000412	EP 1997-954582	19971218
EP 991412	B1	20030312		
R: BE, DE, ES, FR, GB, IT, NL, SE, FI				
ES 2189993	T3	20030716	ES 1997-954582	19971218
PRIORITY APPLN. INFO.:			US 1997-820249 A	19970318
			WO 1997-US23350 W	19971218

OTHER SOURCE(S): MARPAT 129:260743
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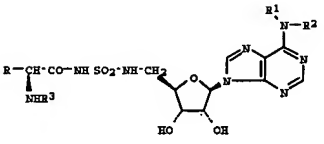


I

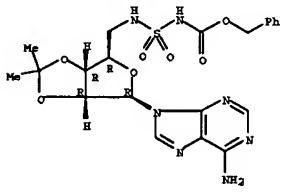
AB The title compds. I [R = alkyl, etc.; R1, R2 = alkyl, aryl, etc.; R and R3 can together form a pyrrolidine ring, alternatively, R3 is hydrido] are prepared. These compds. are effective in the treatment of hyperproliferative disorders, specifically psoriasis. Several compds. of this invention showed IC50 values of 0.9 nM to 3 nM against aminoacyl-tRNA synthetases isolated from HeLa cells.

IT 213554-34-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoacyl sulfamide nucleosides for the treatment of hyperproliferative disorders)

RN 213554-34-8 CAPLUS

CN Adenosine, 5'-deoxy-2',3'-O-(1-methylethylidene)-5'-[[[[(phenylmethoxy)carbonyl]amino]sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 151 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:424140 CAPLUS
DOCUMENT NUMBER: 129:100033
TITLE: Pharmaceutical composition for oral administration
INVENTOR(S): Takahashi, Masayuki; Morita, Hiromi; Kikuchi, Hiroshi
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9826803	A1	19980625	WO 1997-JP4650	19971217
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2275475	AA	19980625	CA 1997-2275475	19971217
AU 9877357	A1	19980715	AU 1998-77357	19971217
AU 719076	B2	20000504		
EP 953359	A1	19991103	EP 1997-949114	19971217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1240363	A	20000105	CN 1997-180799	19971217
JP 10231254	A2	19980902	JP 1997-349161	19971218
NO 9902899	A	19990818	NO 1999-2899	19990618
PRIORITY APPLN. INFO.:			JP 1996-339638 A	19961219
			WO 1997-JP4650 W	19971217

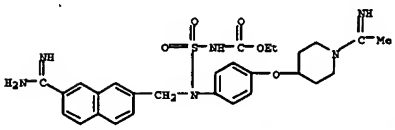
OTHER SOURCE(S): MARPAT 129:100033

AB The invention relates to a pharmaceutical composition for oral administration comprising a basic medicine and a lipophilic material and/or a cyclodextrin compound. This composition can improve peroral absorption of a basic medicine which is less likely to be absorbed by oral administration.

IT 201933-39-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical composition for oral administration comprising a basic medicine and a lipophilic material and/or a cyclodextrin compound)

RN 201933-39-3 CAPLUS

CN Carbamic acid, [[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 152 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

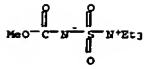
ACCESSION NUMBER: 1998:378424 CAPLUS
DOCUMENT NUMBER: 129:109051
TITLE: Synthesis of oxazines and thiazines by cyclodehydration of hydroxy amides and thioamides
AUTHOR(S): Wipf, Peter; Hayes, Gregory B.
CORPORATE SOURCE: Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260, USA
SOURCE: Tetrahedron (1998), 54(25), 6987-6998
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:109051

AB Dihydro-1,3-oxazines and -thiazines were obtained by cyclodehydration of hydroxy amides and thioamides with PEG-linked Burgess reagent or under Mitsunobu conditions. Yields were generally higher with polymer-Burgess reagent, but both conditions failed to cyclize δ- and ε-hydroxy amide precursors. In contrast, Burgess reagent was successful for the cyclodehydration of δ-hydroxy thioamide to give the expected thiazepine heterocycle, whereas the Mitsunobu reaction provided only thioacyl pyrrolidine. Both sets of reaction conditions led to thioacyl piperidine in the cyclodehydration of ε-hydroxy thioamide. Thiolysis of oxazines provided hydroxy thioamide intermediates in moderate to good yield, thus establishing a new protocol for the conversion of oxazines to thiazines.

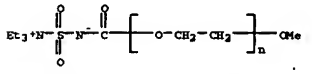
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxazines, thiazines, and related heterocycles by cyclodehydration of hydroxy amides and thioamides)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

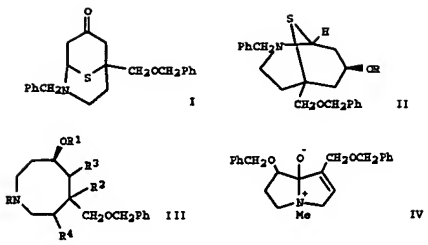


IT 178958-52-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazines, thiazines, and related heterocycles by cyclodehydration of hydroxy amides and thioamides)
RN 178958-52-6 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α-[[[(triethylammonio)sulfonyl]amino]carbonyl]-ω-methoxy-, inner salt (9CI) (CA INDEX NAME)

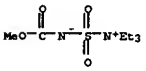


REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 153 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:257477 CAPLUS
DOCUMENT NUMBER: 129:54468
TITLE: A thio-Diels-Alder route to the azocine ring system. Total synthesis of (±)-otonecine
AUTHOR(S): Vedejs, Edwin; Galante, Rocco J.; Goekjian, Peter G.
CORPORATE SOURCE: Chemistry Department, University of Wisconsin, Madison, WI, 53706, USA
SOURCE: Journal of the American Chemical Society (1998), 120(15), 3613-3622
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:54468
GI



AB Otonecine is prepared via a sulfur-based strategy. Key steps include the thio-Diels-Alder trapping of the thioketone (PhCH2OCH2C(S)CH2CH2N(CH2Ph)CO2CMe3) followed by conversion into the cyclic thia enone and internal Michael addition to afford bicyclic thiominal I. Selective C-S bond cleavage was achieved after conversion to the alc. II (R = H) or its derivs. II (R = Ac, CH2Ph) which resulted in the azocine ring system. The successful route proceeded from III (R = CH2Ph, R1 = Ac, R2 = α-SMe, R3 = R4 = H) via III (R = Cbz, R1 = CH2Ph, R2 = α-SMe, R3 = R4 = H) and sulfoxide elimination to the alkene III (R = Cbz, R1 = CH2Ph, R2R3 = bond, R4 = H). The final conversions to otonecine were accomplished via low-temperature osmylation of III (R = Me, R1 = CH2Ph, R2R3 = bond, R4 = H), a crucial OsO4-mediated oxidation of the diol III (R = Me, R1 = CH2Ph, R2 = α-OH, R3 = α-OH, R4 = H) to the tautomeric ketols, and Burgess elimination to III (R = Me, R1 = CH2Ph, R3 = oxo, R2R4 = bond) and the bicyclic IV. Several intermediates in the later stages of the synthesis exist largely in the bicyclic valence bond tautomer form that is characteristic of the otonecine ring system.
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(total synthesis of the azocine ring (±)-otonecine via the thio-Diels-Alder trapping of a thioketone)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

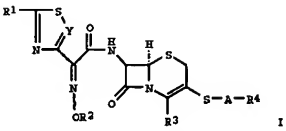


REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 154 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:239560 CAPLUS
DOCUMENT NUMBER: 129:16015
TITLE: Preparation of cephalosporins or their salts as antibacterial agents
INVENTOR(S): Takagi, Hiroyasu; Yotsuji, Minako; Uehara, Sayuri;
Todo, Keisuke; Minami, Shinsaburo; Watanabe, Yasuo
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

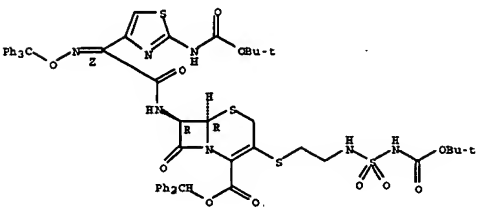
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10101680	A2	19980421	JP 1996-260675	19961001
PRIORITY APPLN. INFO.:			JP 1996-260675	19961001

OTHER SOURCE(S): MARPAT 129:16015
GI

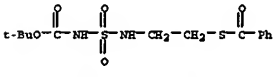


AB Cephalosporins I [R1 = (protected) NH2; R2 = H, (substituted) alkyl; R3 = (protected) CO2H, carboxylate; R4 = (substituted) alkylsulfonylamino, alkylamino, carbamoylamino, etc.; A = alkylene; Y = CH, N, CX; X = halo] or their salts, useful as antibacterial agents, are prepared 1-Benzoylthio-2-(tert-butoxycarbonylaminocarbonylamino)ethane (490 mg) was treated with 490 mg diphenylmethyl 7-[2-(2-tert-butoxycarbonylaminothiazol-4-yl)-(Z)-2-triphenylmethoxyiminoacetamido]-3-methylsulfonyloxy-3-cephem-4-carboxylate in DMF-THF mixture in the presence of MeONa/MeOH solution at -50° for 1 h to give 330 mg I (R1 = t-BuO2CNH, R2 = Ph3C, R3 = CO2CHPh2, R4 = t-BuO2CNHCONH, A = CH2CH2, Y = CH). I (R1 = NH2, R2 = H, R3 = CO2Na, R4 = NHSO2NH2, A = CH2CH2, Y = CH) in vitro showed MIC of 0.39 μg/mL against Staphylococcus aureus FDA 209P.
IT 207554-78-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cephalosporins as antibacterial agents)
RN 207554-78-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(8,8-dimethyl-4,4-dioxido-6-oxo-7-oxa-4-thia-3,5-diazanon-1-yl)thio]-7-[[(2Z)-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-thiazolyl][(triphenylmethoxy)imino]acetyl]amino]-8-oxo-, diphenylmethyl ester, (6R,7R)- (9CI) (CA INDEX NAME)

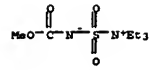
Absolute stereochemistry.
Double bond geometry as shown.



IT 207554-46-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cephalosporins as antibacterial agents)
RN 207554-46-9 CAPLUS
CN 3,7-Dithia-2,4-diazaoctanoic acid, 8-oxo-8-phenyl-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 155 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:148787 CAPLUS
DOCUMENT NUMBER: 128:243564
TITLE: Dehydration of formamides using the Burgess reagent: a new route to isocyanides
AUTHOR(S): Creedon, Siobhan M.; Crowley, H. Kevin; McCarthy, Daniel G.
CORPORATE SOURCE: Chemistry Department, University College, Cork, Ire.
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1998), (6), 1015-1018
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:243564
AB The Burgess reagent, Et3N+S(O)2N-COOMe, readily converts formamides into isocyanides in high yields and is particularly effective for substrates containing halide sensitive trimethylsilyl ether groups.
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydration of formamides to isocyanides using the Burgess reagent)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 156 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:87639 CAPLUS
DOCUMENT NUMBER: 128:132457
TITLE: Sorbefacients
INVENTOR(S): Nakagami, Hiroaki; Yamao, Tadanao; Fujii, Yoshimine
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

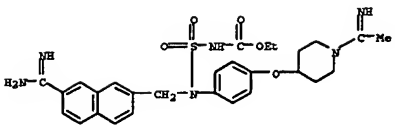
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9803202	A1	19980129	WO 1997-JP2500	19970718
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2261662	AA	19980129	CA 1997-2261662	19970718
AU 9734624	A1	19980210	AU 1997-34624	19970718
AU 725735	B2	20001019		
BR 9710383	A	19990817	BR 1997-10383	19970718
CN 1226175	A	19990818	CN 1997-196648	19970718
EP 966973	A1	19991229	EP 1997-930838	19970718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NO 9900282	A	19990122	NO 1999-282	19990122
PRIORITY APPLN. INFO.:			JP 1996-193045	A 19960723
			JP 1996-202572	A 19960731
			WO 1997-JP2500	W 19970718

OTHER SOURCE(S): MARPAT 128:132457

AB The invention relates to medicinal compns. for improving the absorbability in the digestive tract of drugs poor in the absorbability therein. The compns. contain drugs [such as 2-[4-[[(3S)-1-acetoimidoyl-3-pyrrolidinyl)oxy]phenyl]-3-(7-amidino-2-naphthyl)propionic acid] and anion exchangers [cholestyramine, colestipol hydrochloride] and show excellent absorbability in the digestive tract.

IT 201933-39-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sorbefacients for improving the absorbability in the digestive tract of drugs poor in the absorbability)

RN 201933-39-3 CAPLUS
CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



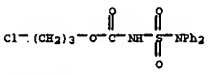
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 157 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:631807 CAPLUS
DOCUMENT NUMBER: 127:293179
TITLE: Synthesis of a 3-chloropropoxycarboxylsulfamide and a perhydro-1,3-oxazin-2-one sulfamide
AUTHOR(S): Gberry, Godefroy; Toure, Seikou Amadou; Tea, Celestin Gokou; N'Guessan, Thomas Yao
CORPORATE SOURCE: Lab. Chimie Organique Structurale, Fac. Sciences Techniques, Fr.
SOURCE: Journal de la Societe Ouest-Africaine de Chimie (1996), 1(1), 20-29
CODEN: JSOCF2
PUBLISHER: Societe Ouest-Africaine de Chimie
DOCUMENT TYPE: Journal
LANGUAGE: French

AB We describe the synthesis of 3-chloropropoxycarboxylsulfamide and its corresponding perhydro-1,3-oxazin-2-one sulfamide.

IT 197091-29-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (chloropropoxy)carboxylsulfamide and perhydrooxazinone sulfamide)

RN 197091-29-5 CAPLUS
CN Carbamic acid, [(diphenylamino)sulfonyl]-, 3-chloropropyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

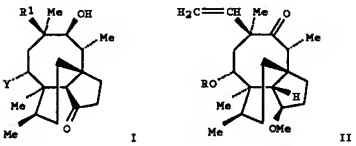
L9 ANSWER 158 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:549377 CAPLUS
DOCUMENT NUMBER: 127:161997
TITLE: Carbamoyloxy derivatives of mutilin and their use as antibacterials
INVENTOR(S): Hinks, Jeremy David; Takle, Andrew Kenneth; Hunt, Eric
PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK; Hinks, Jeremy David; Takle, Andrew Kenneth; Hunt, Eric
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9725309	A1	19970717	WO 1996-EP5874	19961219
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2240467	AA	19970717	CA 1996-2240467	19961219
AU 9713078	A1	19970801	AU 1997-13078	19961219
AU 715229	B2	20000120		
EP 874809	A1	19981104	EP 1996-944684	19961219
EP 874809	B1	20030827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
CN 1214039	A	19990414	CN 1996-180177	19961219
BR 9612426	A	19990713	BR 1996-12426	19961219
JP 2000503642	T2	20000328	JP 1997-524826	19961219
AT 248143	E	20030915	AT 1996-944684	19961219
ES 2205072	T3	20040501	ES 1996-944684	19961219
ZA 9700017	A	19980702	ZA 1997-17	19970102
AP 872	A	20000928	AP 1997-1047	19970721
W: BW, GM, GH, KE, LS, MW, SD, SZ, UG, ZM, ZW				
CA 2262460	AA	19980212	CA 1997-2262460	19970729
WO 9805659	A1	19980212	WO 1997-EP4166	19970729
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9742036	A1	19980225	AU 1997-42036	19970729
EP 934316	A1	19990811	EP 1997-940050	19970729
EP 934316	B1	20021016		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
BR 9711008	A	19990817	BR 1997-11008	19970729
CN 1231665	A	19991013	CN 1997-198347	19970729
NZ 333926	A	20000526	NZ 1997-333926	19970729
JP 2000515532	T2	20001121	JP 1998-507584	19970729
AT 226203	E	20021115	AT 1997-940050	19970729
ES 2182114	T3	20030301	ES 1997-940050	19970729
ZA 9706817	A	19990201	ZA 1997-6817	19970731
NO 9803074	A	19980831	NO 1998-3074	19980702
US 6020368	A	20000201	US 1998-101210	19981204
NO 9900463	A	19990201	NO 1999-463	19990201
KR 2000029748	A	20000525	KR 1999-700856	19990201
US 6239175	B1	20010529	US 1999-467695	19991221
PRIORITY APPLN. INFO.:			GB 1996-48	A 19960103
			GB 1996-16305	A 19960802
			WO 1996-EP5874	W 19961219
			GB 1997-12963	A 19970619
			WO 1997-EP4166	W 19970729
			US 1998-101210	A3 19981204

OTHER SOURCE(S): MARPAT 127:161997

GI

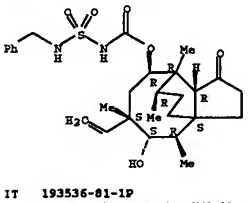


AB Derivs. of mutilin of formula [I; Y = (un)substituted carbamoyloxy; R1 = vinyl, Et] and their pharmaceutically acceptable salts, useful in the treatment of bacterial infections (no data), are prepared. Thus, (3R)-epimutilin derivative II (R = H) was treated with Ph isocyanate in CH2Cl2 containing N,N-diisopropylethylamine at room temperature for 7 days to give II (R = PhNHCO), which in dioxane was treated with a saturated solution of ZnCl2 in concentrated HCl to give the title compound mutilin 14-phenylcarbamate.

IT 193534-77-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbamoyloxymutilins as antibacterials)

RN 193534-77-9 CAPLUS
CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 6-ethenyldecahydro-5-hydroxy-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester, [3aS-(3aα,4β,5α,6α,8β,9α,9a.be ta.,10S*)]- (9CI) (CA INDEX NAME)

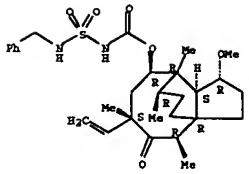
Absolute stereochemistry.



IT 193536-81-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbamoyloxymutilins as antibacterials)

RN 193536-81-1 CAPLUS
CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 6-ethenyldecahydro-1-methoxy-4,6,9,10-tetramethyl-5-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester, [1R-(1α,3aα,4β,6α,8β,9α,9a.alp ha.,10R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



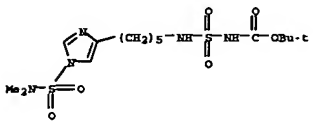
L9 ANSWER 159 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:542434 CAPLUS
DOCUMENT NUMBER: 127:220660
TITLE: Preparation of N-imidazolylalkylsulfonamides and analogs as histamine H3 ligands
INVENTOR(S): Kalindjian, Sarkis Barret; Shankley, Nigel Paul; Tozer, Matthew John; McDonald, Iain Mair; Pether, Michael John; Harper, Elaine Anne; Watt, Gillian Fairfull; Cooke, Tracey; Low, Caroline Minli Rachel; et al.
PATENT ASSIGNEE(S): James Black Foundation Ltd., UK; Kalindjian, Sarkis Barret; Shankley, Nigel Paul; Tozer, Matthew John; McDonald, Iain Mair; Pether, Michael John; Harper, Elaine Anne; Watt, Gillian Fairfull
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9729092	A1	19970814	WO 1997-GB358	19970210
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2244745	AA	19970814	CA 1997-2244745	19970210
AU 9716136	A1	19970828	AU 1997-16136	19970210
AU 709611	B2	19990902		
ZA 9701078	A	19980811	ZA 1997-1078	19970210
EP 882023	A1	19981209	EP 1997-902509	19970210
EP 882023	B1	20030604		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1215392	A	19990428	CN 1997-193599	19970210
NZ 331272	A	20000128	NZ 1997-331272	19970210
JP 2000505428	T2	20000509	JP 1997-528300	19970210
RU 2182904	C2	20020527	RU 1998-116955	19970210
AT 242219	E	20030615	AT 1997-902509	19970210
NO 9803596	A	19980916	NO 1998-3596	19980805
NO 312762	B1	20020701		
US 6080871	A	20000627	US 1998-117808	19981006

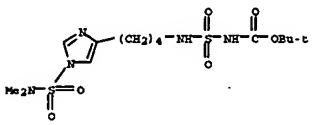
PRIORITY APPLN. INFO.:

GB 1996-2649	A	19960209
GB 1996-24215	A	19961121
WO 1997-GB358	W	19970210

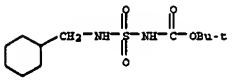
OTHER SOURCE(S): MARPAT 127:220660
AB Title compds., e.g., R2aNR2SO2R1 (I; R = (un)substituted imidazolyl; R1,R2 = (heteroatom-interrupted) (halo)hydrocarbyl; Z = CR3R4, NR4, O, S; R3 = H, alkyl, alkoxy(carbonyl), etc.; R4 = H or alkyl; n = 1-15] were prepared Thus, histamine was amidated by naphthalene-2-sulfonyl chloride to give N-[2-[4(5)-imidazolyl]ethyl]naphthalene-2-sulfonamide. Data for biol. activity of I were given.
IT 195053-86-2P 195053-99-7P 195054-03-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-imidazolylalkylsulfonamides and analogs as histamine H3 ligands)
RN 195053-86-2 CAPLUS
CN Carbamic acid, [[[5-[1-[(dimethylamino)sulfonyl]-1H-imidazol-4-yl]pentyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 195053-99-7 CAPLUS
CN Carbamic acid, [[[4-[1-[(dimethylamino)sulfonyl]-1H-imidazol-4-yl]butyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

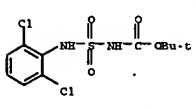


RN 195054-03-6 CAPLUS
CN Carbamic acid, [[(cyclohexylmethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

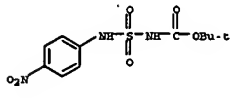


L9 ANSWER 160 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:526890 CAPLUS

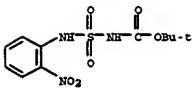
DOCUMENT NUMBER: 127:220251
TITLE: Synthesis of 2-chloroethylnitrososulfamides (CENS) via a transsulfamoylation reaction
AUTHOR(S): Abdaoui, Mohamed; Dewynter, Georges; Aouf, Noureddine; Montero, Jean-Louis
CORPORATE SOURCE: Lab. de Chim. Bimol., associe au CNRS co 073, Univ. de Montpellier-II, Montpellier, F-34095, Fr.
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1996), 118, 39-47
CODEN: PSSLEC; ISSN: 1042-6507
PUBLISHER: Gordon & Breach
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In order to synthesize 2-chloroethylnitrososulfamides (CENS), a procedure using the nucleophilic exchange of an activating group of both the sulfamoyl esters and amides by several amines was developed. The N-oxysuccinimide sulfamate ester was revealed as the most reactive sulfamoyl group donor. This transsulfamoylation procedure allows the preparation of title compds., especially the derivs. of amino acid esters in two steps in a 75-80% yield. E.g., reaction of ROSO2NHCH2CH2Cl (R = succinimido) with Me sarcosinate hydrochloride gave 84% sulfamide MeO2CCH2NMeSO2NHCH2CH2Cl, which was nitrosated to give the N-nitroso derivative
IT 195051-45-7P 195051-46-8P 195051-47-9P
195051-48-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (chloroethyl)nitrososulfamides (CENS) via a transsulfamoylation reaction)
RN 195051-45-7 CAPLUS
CN Carbamic acid, [[(2,6-dichlorophenyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



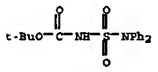
RN 195051-46-8 CAPLUS
CN Carbamic acid, [[(4-nitrophenyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 195051-47-9 CAPLUS
CN Carbamic acid, [[(2-nitrophenyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 195051-48-0 CAPLUS
CN Carbamic acid, [(diphenylamino)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

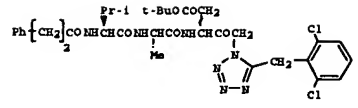
L9 ANSWER 161 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:491643 CAPLUS
DOCUMENT NUMBER: 127:109196
TITLE: Preparation of tetrazole moiety-containing peptides as interleukin 1 β converting enzyme inhibitors
INVENTOR(S): Ohmoto, Kazuyuki; Tanaka, Makoto; Miyazaki, Tohru; Ohno, Hiroyuki
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan; Ohmoto, Kazuyuki; Tanaka, Makoto; Miyazaki, Tohru; Ohno, Hiroyuki
SOURCE: PCT Int. Appl., 743 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724339	A1	19970710	WO 1996-JP3801	19961226
W: JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 889039	A1	19990107	EP 1996-942651	19961226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6136834	A	20001024	US 1998-101004	19980629
US 6376484	B1	20020423	US 2000-572569	20000516

PRIORITY APPLN. INFO.:

JP 1995-351241	A	19951227
WO 1996-JP3801	W	19961226
US 1998-101004	A3	19980629

OTHER SOURCE(S): MARPAT 127:109196
GI



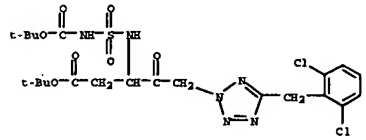
I

AB The title compds. R1COAA1AA2NHY [R1 represents H, alkyl, alkoxy, a carbocycle, a heterocycle, alkyl or alkoxy substituted by a carbocycle or a heterocycle, etc.; AA1 represents a single bond or NHCHR4CO; R4 = H, etc.; AA2 represents a single bond, etc.; further details on AA1 and AA2 are given; Y represents a group of formula CH[CH2CO2R19](CH2)nTetZE wherein Tet represents a tetrazole ring; Z represents alkylene, alkenylene, O, S, SO, SO2, etc.; E represents H, alkyl, etc.; R19 represents H, alkyl, etc.; n = 1 - 4] are prepared The title compound I in vitro showed IC50 of 0.03 μM against interleukin 1 β converting enzyme.

IT **192505-29-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrazole moiety-containing peptides as interleukin 1 β converting enzyme inhibitors)

RN 192505-29-6 CAPLUS

CN 2H-Tetrazole-2-pentanoic acid, 5-[(2,6-dichlorophenyl)methyl]- β-[[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]- γ-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

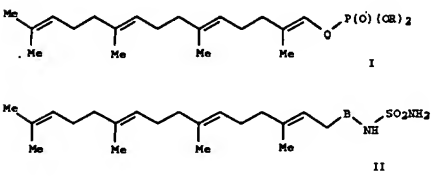


L9 ANSWER 162 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:470026 CAPLUS
DOCUMENT NUMBER: 127:81641
TITLE: Preparation and antiproliferative activity of phosphorus- and sulfur-containing geranylgeranyl derivatives
INVENTOR(S): Balsamo, Aldo; Macchia, Bruno; Macchia, Marco; Baldacci, Massimo; Danesi, Romano; Del Tacca, Mario
PATENT ASSIGNEE(S): Laboratori Baldacci S.P.A., Italy; Balsamo, Aldo; Macchia, Bruno; Macchia, Marco; Baldacci, Massimo; Danesi, Romano; Del Tacca, Mario
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719091	A1	19970529	WO 1996-EP5202	19961121
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2238389	AA	19970529	CA 1996-2238389	19961121
AU 9710316	A1	19970611	AU 1997-10316	19961121
EP 862575	A1	19980909	EP 1996-941022	19961121
EP 862575	B1	20040211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9611642	A	19990601	BR 1996-11642	19961121
JP 2000500758	T2	20000125	JP 1997-519409	19961121
AT 259369	E	20040215	AT 1996-941022	19961121
PT 862575	T	20040630	PT 1996-941022	19961121
ES 2216075	T3	20041016	ES 1996-941022	19961121
US 6242433	B1	20010605	US 1998-77196	19980915
PRIORITY APPLN. INFO.:			IT 1995-MI2431 A	19951123
			WO 1996-EP5202 W	19961121

OTHER SOURCE(S): CASREACT 127:81641; MARPAT 127:81641
GI



I

II

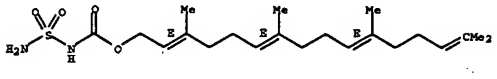
AB The present invention relates to novel geranylgeranyl-derivs. I (Q = CH2XA, CH2CH2, CHOH; X = ONH, ONHCO, OCH2CO, OCH2P(O)OH, CH2P(O)OH, NHCO, NMeCO, OSO2, NHSO2; A = R'CR'', CHR'''CH2, NH when X = OSO2, NHSO2; R, R', R'' = H, Me, Et; R''' = H, CO2H) and II (B = OCO, O, ONHCO, NHCO, NMeCO) and pharmaceutically acceptable salts thereof having antiproliferative activity in eukaryotic cells with respect to the inhibition of protein geranylgeranylation (data included). The invention also relates to the pharmaceutical comps. containing the novel derivs. and to the process for the preparation of the derivs. For example, the di-K salt of I (R = H; Q = CH2ONHC(O)CH2) was prepared in 4 steps (88, 57, 66 and 41% yields) from all-trans-geranylgeraniol (GG-OH) with intermediates GG-OZ (HOZ = N-hydroxyphthalimide), GG-ONH2, and GG-ONHC(O)CH2P(O)(OEt)2.

IT **175091-91-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antiproliferative activity of phosphorus- and sulfur-containing
geranylgeranyl derivs.)

RN 175091-91-5 CAPLUS

CN Carbamic acid, (aminosulfonyl)-, 3,7,11,15-tetramethyl-2,6,10,14-hexadecatetraenyl ester, (all-E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

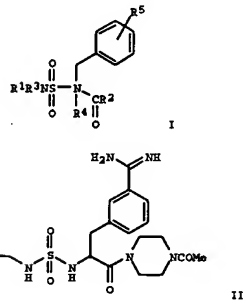


L9 ANSWER 163 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:454036 CAPLUS
DOCUMENT NUMBER: 127:95609
TITLE: Preparation of aminosulfonylphenylalanine derivatives as antithrombotics
INVENTOR(S): Haramura, Masayuki; Hanaishi, Tsuyoshi; Kuromaru, Kiyonori
PATENT ASSIGNEE(S): C and C Research Laboratories, S. Korea; Haramura, Masayuki; Hanaishi, Tsuyoshi; Kuromaru, Kiyonori
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719919	A1	19970605	WO 1996-JP3520	19961202
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9676557	A1	19970619	AU 1996-76557	19961202
PRIORITY APPLN. INFO.:			JP 1995-312407 A	19951130
			WO 1996-JP3520 W	19961202

OTHER SOURCE(S): MARPAT 127:95609
GI



I

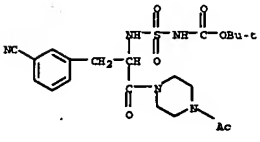
II

AB The title compds. I [R1 represents hydrogen, lower alkyl, or amino-protective group; R2 represents optionally substituted and fused nitrogenous heterocycle; R3 represents a group represented by A(CH2)m, hydrogen, or optionally substituted lower alkyl (where A represents optionally substituted aryl, optionally substituted and fused heterocycle, or optionally substituted lower cycloalkyl, m is an integer of 0 to 6, and the moiety represented by (CH2)m may have at least one substituent); R4 represents hydrogen or lower alkyl; and R5 represents a group represented by C(:NR6)NH2, NHC(:NR6)NH2, or (CH2)nNHR6 (where R6 represents hydrogen, lower alkyl, hydroxyl, acyl, acyloxy, lower alkoxy, lower alkoxycarbonyl, lower alkoxycarbonyloxy, or lower hydroxyalkylcarbonyloxy, n is an integer of 0 to 2, and the moiety represented by (CH2)n may have at least one substituent)] are prepared I have an excellent antithrombin activity and are useful as drugs for the treatment of thrombosis and can be administered orally. The title compound II in vitro showed IC50 of 7.3 x 10-9 M against thrombin.

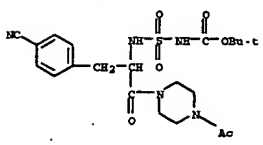
IT **192071-48-0P 192071-53-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminosulfonylphenylalanine derivs. as antithrombotics)

RN 192071-48-0 CAPLUS

CN Carbamic acid, [[[2-(4-acetyl-1-piperazinyl)-1-[(3-cyanophenyl)methyl]-2-oxoethyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



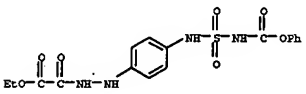
RN 192071-53-7 CAPLUS
CN Carbamic acid, [[[2-(4-acetyl-1-piperazinyl)-1-[(4-cyanophenyl)methyl]-2-oxoethyl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

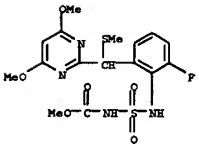


L9 ANSWER 164 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:424696 CAPLUS
DOCUMENT NUMBER: 127:57949
TITLE: Silver halide photographic material containing hydrazine derivative as nucleating agent for platemaking
INVENTOR(S): Koga, Masao; Tanaka, Akira
PATENT ASSIGNEE(S): Mitsubishi Paper Mills, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09127632	A2	19970516	JP 1995-287213	19951106
PRIORITY APPLN. INFO.:			JP 1995-287213	19951106

AB The photog. material contains ≥1 hydrazine compound R1L1C(:O)NHSO2NHL2NHNHGR2 (R1 = aliphatic group, aromatic group; L1 = NR3, O, S; L2 = divalent connecting group; G = CO, SO2, SO, COCO, PO; R2 = H, alkyl, alkoxy, arylxy, aryl, amino; R3 = H, aliphatic group, aromatic group) in a Ag halide emulsion layer or other hydrophilic colloid layers. The compds. work as nucleating agents and give images with good dot reproduction and high Dmax value.
IT 190849-76-4P
RL: PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(silver halide photog. material containing hydrazine derivative as nucleating agent for platemaking)
RN 190849-76-4 CAPLUS
CN Ethanedioic acid, monoethyl ester, 2-[4-[[[(phenoxycarbonyl)amino]sulfonyl]amino]phenyl]hydrazide (9CI) (CA INDEX NAME)





L9 ANSWER 166 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:85070 CAPLUS
DOCUMENT NUMBER: 126:103952
TITLE: Preparation of imidazo[5,1-b]thiazole derivatives as intermediates for antibacterial cephems
INVENTOR(S): Atsumi, Kunio; Umemura, Eijiro; Kano, Juko; Shiokawa, Munejiro; Endo, Toshiaki; Tsushima, Masaki; Iwamatsu, Katsuyoshi; Aihara, Kazuhiro; Amano, Kazuko; Takizawa, Hiromasa
PATENT ASSIGNEE(S): Meiji Seika Co., Japan; Meiji Seika Kaisha Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 62 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

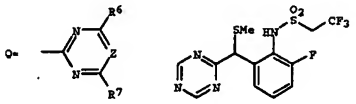
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08311071	A2	19961126	JP 1996-51280	19960308
JP 3527003	B2	20040517		
PRIORITY APPLN. INFO.:			JP 1995-51644 A	19950310

OTHER SOURCE(S): MARPAT 126:103952
GI

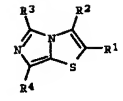
L9 ANSWER 165 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:124375 CAPLUS
DOCUMENT NUMBER: 126:144293
TITLE: Preparation of triazinylmethylsulfonanilides and analogs as herbicides and plant growth regulators
INVENTOR(S): Voss, Olaf; Dudfield, Philip John; Bauer, Klaus; Bieringer, Hermann; Rosinger, Christopher; Ford, Mark James; Green, David
PATENT ASSIGNEE(S): Hoechst Schering AgrEvo GmbH, Germany
SOURCE: Ger. Offen., 84 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

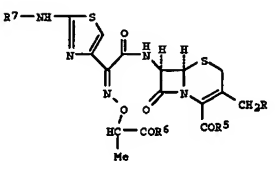
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19521355	A1	19961219	DE 1995-19521355	19950612
ZA 9604943	A	19961212	ZA 1996-4943	19960611
WO 9641799	A1	19961227	WO 1996-EP2529	19960611
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9663550	A1	19970109	AU 1996-63550	19960611
PRIORITY APPLN. INFO.:			DE 1995-19521355 A	19950612
			WO 1996-EP2529 W	19960611

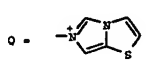
OTHER SOURCE(S): MARPAT 126:144293
GI



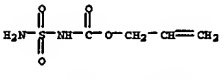
AB R1SO2NR2Z1CHR4R5 (I; R1 = hydrocarbyl, heterocyclyl, (di)(alkyl)amino, etc.; R2 = H, hydrocarbyl, acyl; R4 = OR, SO0-2R, etc.; R = H, hydrocarbyl, heterocyclyl, etc.; R5 = heterocyclyl group Q; R6,R7 = H, halo, alkyl, alkoxy, etc.; Z = CH or N; Z1 = (un)substituted 1,2-phenylene] were prepared as herbicides and plant growth regulators (no data). Thus, NaN(CN)2 was refluxed with MeOH and ZnCl2 and the product cyclocondensed with ClCH2COCl to give, after NaSMe treatment, 4,6-dimethoxy-2-methylthiomethyl-1,3,5-triazine which was arylated with 2-FC6H4NH2 and the product amidated by Cl3CCH2SO2Cl to give title compound II.
IT 186427-08-7P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazinylmethylsulfonanilides and analogs as herbicides and plant growth regulators)
RN 186427-08-7 CAPLUS
CN Carbamic acid, [[[2-[(4,6-dimethoxy-2-pyrimidinyl)(methylthio)methyl]-6-fluorophenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



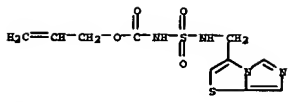




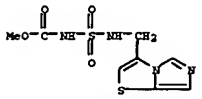
AB Title compds. I [R1-R4 = H, alkyl, alkoxy, etc.] are prepared as intermediates for antibacterial cephems. Thus, 2-(formylamino)methylthiazole in CH2Cl2 was treated with phosphorus oxychlorides at room temperature to give the title compound imidazo[5,1-b]thiazole.
Reaction of this with cephem II [R = Cl, R5 = O-CH2-C6H4-OMe-p, R6 = O-CHPh2, R7 = trityl] in acetone containing NaI followed by treatment with anisole-CF3COOH to give II [R = O-, R5 = O-, R6 = OH, R7 = H] is also demonstrated. This cephem derivative showed 6.25 μg/mL inhibition against Staphylococcus aureus.
IT 153028-12-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of imidazo[b]thiazole derivs. as intermediates for antibacterial cephems)
RN 153028-12-7 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)



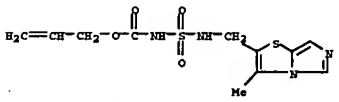
IT 183066-32-2P 183066-33-3P 185747-67-5P
185747-68-6P 185747-78-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of imidazo[b]thiazole derivs. as intermediates for antibacterial cephems)
RN 183066-32-2 CAPLUS
CN Carbamic acid, [[(imidazo[5,1-b]thiazol-3-ylmethyl]amino]sulfonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)



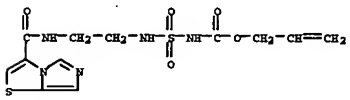
RN 103066-33-3 CAPLUS
CN Carbamic acid, [[(imidazo[5,1-b]thiazol-3-ylmethyl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



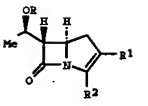
RN 185747-67-5 CAPLUS
CN Carbamic acid, [[[(3-methylimidazo[5,1-b]thiazol-2-yl)methyl]amino]sulfonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)



RN 185747-68-6 CAPLUS
CN 3-Thia-2,4,7-triazaoctanoic acid, 8-imidazo[5,1-b]thiazol-3-yl-8-oxo-, 2-propenyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 185747-70-0 CAPLUS
CN Carbamic acid, [[(imidazo[5,1-b]thiazol-3-ylmethyl)amino]sulfonyl]-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

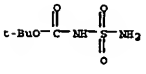


I

AB Palladium-catalyzed cross-coupling reaction of carbapenem-2-yl triflate with alkylborane gave 2-alkylcarbapenem in good yield. E.g., triflate I (R = SiEt3, R1 = OSO2CF3, R2 = CO2CH2C6H4OMe-4) was reacted with the allylborane, formed in situ from 9-BBN and H2C:CHCH2NHCO2CH2C6H4OMe-4, in the presence of PdCl2(dppf) to form silylated carbapenem II (R = SiEt3, R1 = (CH2)3NHCO2CH2C6H4OMe-4, R2 = CO2CH2C6H4OMe-4]. The silylated carbapenem was converted to imipenem analog II (R = H, R1 = (CH2)3NHCH:NH, R2 =CO2H), which showed a MIC (μg/mL) values of 0.02, 0.4, and 12.5 when tested against Staphylococcus aureus, Escherichia Coli, and Pseudomonas aeruginosa, resp., and compared with values of 0.01, 0.1 and 1.6 resp. for imipenem. The usefulness of this reaction was demonstrated by the synthesis of highly functionalized 2-alkylcarbapenems, dethiacarba analogs of panipenem, biapenem, meropenem, and S-4661, which were also tested for their antibacterial activity..

IT 148017-28-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of 2-alkylcarbapenems, dethiacarba analogs of clin. useful carbapenems, via palladium-catalyzed cross-coupling reaction)

RN 148017-28-1 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



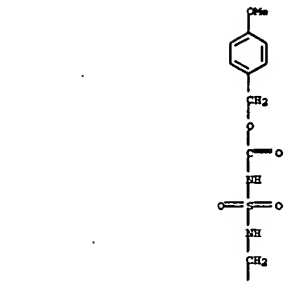
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 160 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:5844 CAPLUS
DOCUMENT NUMBER: 126:31265
TITLE: Preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl protease for treatment of HIV infection.
INVENTOR(S): Tung, Roger D.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

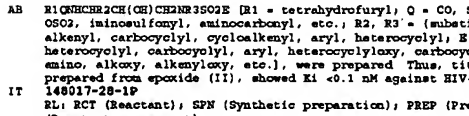
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9633184	A1	19961024	WO 1996-US5475	19960418
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5723490	A	19980303	US 1995-424819	19950419
AU 9655596	A1	19961107	AU 1996-55596	19960418
AU 706732	B2	19990624		
EP 846110	A1	19980610	EP 1996-912942	19960418
EP 846110	B1	20020828		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
JP 10509739	T2	19980922	JP 1996-531954	19960418
JP 3046357	B2	20000529		
BR 9608032	A	19990112	BR 1996-8032	19960418
NZ 306903	A	20000228	NZ 1996-306903	19960418
AT 222761	E	20020915	AT 1996-912942	19960418
EE 4307	B1	20040615	EE 1997-266	19960418
RO 119302	B1	20040730	RO 1997-1926	19960418
NO 9704722	A	19971013	NO 1997-4722	19971013
BG 63677	B1	20020930	BG 1997-102048	19971117

PRIORITY APPLN. INFO.: US 1995-424819 A 19950419
US 1992-941982 B2 19920908
US 1993-142327 A2 19931124
US 1995-393460 B2 19950223
WO 1996-US5475 W 19960418

OTHER SOURCE(S): MARPAT 126:31265
GI



L9 ANSWER 167 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:14214 CAPLUS
DOCUMENT NUMBER: 126:117806
TITLE: General and efficient synthesis of 2-alkylcarbapenems: synthesis of dethiacarba analogs of clinically useful carbapenems via palladium-catalyzed cross-coupling reaction
AUTHOR(S): Narukawa, Yukitoshi; Nishi, Eoichi; Oncue, Hiroshi
CORPORATE SOURCE: Shionogi Res. Laboratories, Shionogi & Co., Ltd., Osaka, 553, Japan
SOURCE: Tetrahedron (1997), 53(2), 539-556
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:117806
GI

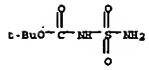


I II

AB R1QNHCHR2CH(OH)CH2NR3SO2E [R1 = tetrahydrofuryl; Q = CO, SO2, COCO, O2C, OSO2, iminosulfonyl, aminocarbonyl, etc.; R2, R3 = (substituted) alkyl, alkenyl, carbocyclyl, cycloalkenyl, aryl, heterocyclyl; E = (substituted) heterocyclyl, carbocyclyl, aryl, heterocyclyloxy, carbocyclyloxy, aryloxy, amino, alkoxy, alkenyloxy, etc.], were prepared Thus, title compound (I), prepared from epoxide (II), showed Ki <0.1 nM against HIV-1 protease.

IT 148017-28-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl protease for treatment of HIV infection)

RN 148017-28-1 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

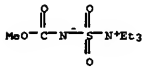


L9 ANSWER 169 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:689413 CAPLUS
DOCUMENT NUMBER: 126:47523
TITLE: Total Synthesis and Assignment of Configuration of Lissoclinamide 7
AUTHOR(S): Wipf, Peter; Fritch, Paul C.
CORPORATE SOURCE: Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260, USA
SOURCE: Journal of the American Chemical Society (1996), 118(49), 12358-12367
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:47523

AB The first total synthesis of lissoclinamide 7, a 21-membered cyclopeptide isolated from Lissoclinum bistratum, was accomplished in 23 steps and 4.4% overall yield. The extraordinary configurational lability of the thiazoline segments was overcome by a novel strategy combining the use of the Burgess reagent for multiple simultaneous oxazoline and thiazoline formations and an efficient oxazoline → thiazoline heterocycle interconversion. In addition to the total synthesis, this work highlights the scope of alternative strategies toward Lissoclinum peptides and presents the preparation of analogs for SAR studies of the cytotoxic effects of this family of marine natural products.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(total synthesis and assignment of configuration of lissoclinamide 7)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 170 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:681294 CAPLUS
DOCUMENT NUMBER: 125:312351
TITLE: Silver halide recording material for generation of negative images with ultrahigh contrast
INVENTOR(S): Rueger, Reinhold
PATENT ASSIGNEE(S): Du Pont De Nemours (Deutschland) GmbH, Germany
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

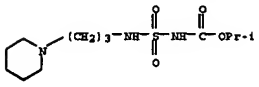
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 733939	A1	19960925	EP 1996-104328	19960319
EP 733939	B1	19990630		
R: DE, FR, GB, IT				
DE 19510614	A1	19960926	DE 1995-19510614	19950323
US 5703357	A	19980721	US 1996-616407	19960315
JP 08304947	A2	19961122	JP 1996-103097	19960322
JP 2782703	B2	19980806		

PRIORITY APPLN. INFO.: DE 1995-19510614 A 19950323
OTHER SOURCE(S): MARPAT 125:312351

AB The title material, especially for manufacturing black-and-white neg. images with ultrahigh contrast, contains a hydrazine compound and a contrast-increasing compound (so-called booster). The booster is represented by general formulas, R1R2NYSR, R1R2NYSX1NR3R4 and R1R2NYSX2SX1NR3R4 (R1-4 = C1-6 alkyl, benzyl, R1-R2 and/or R3-R4 may form 5- to 12-membered ring; Y, X1, X2 = divalent connection group; R = alkyl, aralkyl, aryl; S = SO2NR5CONR6, SO2NR7CO0, NR8SO2NR9; R5-9 = H, C1-6 alkyl, benzyl).

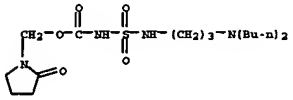
IT 183168-39-0 183168-48-1
RL: MOA (Modifier or additive use); USES (Uses)
(contrast-increasing compound (booster) in Ag halids recording material)

RN 183168-39-0 CAPLUS
CN Carbamic acid, [[[3-(1-piperidinyl)propyl]amino]sulfonyl]-, 1-methylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

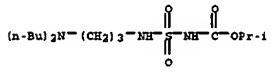
RN 183168-48-1 CAPLUS
CN 3-Thia-2,4,8-triazadodecanoic acid, 8-butyl-, (2-oxo-1-pyrrolidinyl)methyl ester, 3,3-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 183168-37-8P
RL: MOA (Modifier or additive use); PNU (Preparation, unclassified); PREP (Preparation); USES (Uses)
(contrast-increasing compound (booster) in Ag halids recording material)

RN 183168-37-8 CAPLUS
CN 3-Thia-2,4,8-triazadodecanoic acid, 8-butyl-, 1-methylethyl ester, 3,3-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



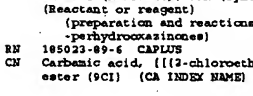
● HCl

L9 ANSWER 171 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:678056 CAPLUS
DOCUMENT NUMBER: 126:46740
TITLE: Synthesis of N-sulfamoyloxazolidinones and -perhydrooxazinones; reactivity and use as donors in the transsulfamoylation reaction: application to the preparation of 2-chloroethylnitrososulfamides. IV
AUTHOR(S): Dewynter, Georges; Abdaoui, Mohamed; Regainia, Zine; Montero, Jean-Louis
CORPORATE SOURCE: Laboratoire de Chimie Bimoleculaire, Universite Montpellier-II, Fr.
SOURCE: Tetrahedron (1996), 52(45), 14217-14224
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

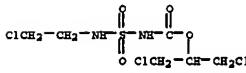
AB Starting from chlorosulfonyl isocyanate, successive addition of selected 1,2- and 1,3-halo alcs., sulfamoylation with nitrogen mustard, and cyclization in alkaline conditions gave the title compds. in good yields. These sulfamoyloxazolidinones and sulfamoylperhydrooxazinones were efficient 2-chloroethylsulfamoyl donors in synthesis of 2-chloroethylnitrososulfamides (CENS); five new CENS (derived from heterocyclic amines and amino acids) were thus synthesized. According to the exptl. conditions, N-sulfamoylcyclocarbamates can be reopened by nucleophiles giving addition products by transcarbamoylation.

IT 185023-89-6P 185023-90-9P 185023-91-0P
185023-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of N-sulfamoyloxazolidinones and -perhydrooxazinones)

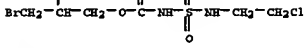
RN 185023-89-6 CAPLUS
CN Carbamic acid, [[(2-chloroethyl)amino]sulfonyl]-, 2-chloro-1-methylethyl ester (9CI) (CA INDEX NAME)



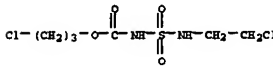
RN 185023-90-9 CAPLUS
CN Carbamic acid, [[(2-chloroethyl)amino]sulfonyl]-, 2-chloro-1-(chloromethyl)ethyl ester (9CI) (CA INDEX NAME)



RN 185023-91-0 CAPLUS
CN Carbamic acid, [[(2-chloroethyl)amino]sulfonyl]-, 2,3-dibromopropyl ester (9CI) (CA INDEX NAME)



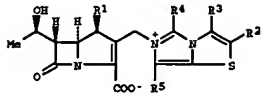
RN 185023-92-1 CAPLUS
CN Carbamic acid, [[(2-chloroethyl)amino]sulfonyl]-, 3-chloropropyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 172 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:674366 CAPLUS
DOCUMENT NUMBER: 125:328383
TITLE: Preparation of novel carbapenem derivatives as antibacterials
INVENTOR(S): Aihara, Kazuhiro; Kano, Yuko; Shiokawa, Sohjiro; Sasaki, Toshiro; Setsu, Fumihito; Toyooka, Yumiko; Ishii, Miyuki; Atsumi, Kumio; Iwamatsu, Katsuyoshi; Tamura, Atsushi
PATENT ASSIGNEE(S): Meiji Seika Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628455	A1	19960919	WO 1996-JP573	19960308
W: CA, CN, CZ, HU, JP, KR, PL, SI, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2189995	AA	19960919	CA 1996-2189995	19960308
CA 2189995	C	20010123		
EP 760370	A1	19970305	EP 1996-905036	19960308
EP 760370	B1	20020807		
R: BE, DE, ES, FR, GB, IT, NL				
CN 1148390	A	19970423	CN 1996-190177	19960308
CN 1057091	B	20001004		
ES 2179932	T3	20030201	ES 1996-905036	19960308
TW 425396	B	20010311	TW 1996-85102872	19960309

US 5990101 A 19991123 US 1997-737232 19970312
PRIORITY APPLN. INFO.: JP 1995-51616 A 19950310
WO 1996-JP573 W 19960308
OTHER SOURCE(S): MARPAT 125:328383
GI



I

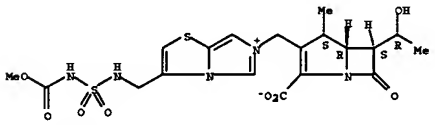
AB Title compds. I [R1 = H, alkyl; R2-R5 = H, halo, OH, nitro, cyano, COOH, formyl, alkyl, cycloalkyl, C2-4 alkenyl, C2-4 alkynyl, alkoxy, etc.] are prepared The compds. have a broad and potent antibacterial activity on Gram-pos. bacteria and Gram-neg. bacteria including Pseudomonas aeruginosa and show a potent antibacterial effect on various β-lactamase-producing bacteria and MRSA and an extremely high DHP-1 stability. Thus, allyl (1S,5R,6S)-6-[(1R)-1-(allyloxycarbonyloxy)ethyl]-2-(hydroxymethyl)-1-methyl-1-carbapen-2-em-2-carboxylate was reacted with di-Ph phosphorochloridate in CH2Cl2 containing 4-(dimethylamino)pyridine to give the corresponding phosphate, which was reacted with 3-(hydroxymethyl)imidazo[5,1-b]thiazole in DMF containing NaI, and the product treated with Ph3P, 2-ethylhexanoic acid, potassium 2-ethylhexanoate, and tetrakis(triphenylphosphine)palladium in CH2Cl2 at room temperature for 2 h to give the title compound I [R1 = Me, R2 = CH2OH, R3-R5 = H]. This had an MIC comparable to that of imipenem/cilastatin against Staphylococcus aureus. Pharmaceutical compns. containing I are described.

IT 183067-54-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel carbapenem derivs. as antibacterials)

RN 183067-54-1 CAPLUS

CN Imidazo[5,1-b]thiazolium, 6-[[2-carboxy-6-(1-hydroxyethyl)-4-methyl-7-oxo-1-azabicyclo[3.2.0]hept-2-en-3-yl]methyl]-3-(3,3-dioxido-5-oxo-6-oxa-3-thia-2,4-diazahept-1-yl)-, inner salt, [4S-[4 α,5β,6β(S*)]]- (9CI) (CA INDEX NAME)

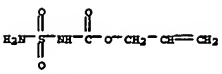
Absolute stereochemistry.



IT 153028-12-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel carbapenem derivs. as antibacterials)

RN 153028-12-7 CAPLUS

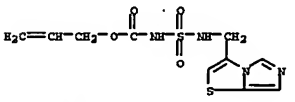
CN Carbamic acid, (aminosulfonyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)



IT 183066-32-2P 183066-33-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel carbapenem derivs. as antibacterials)

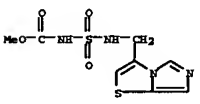
RN 183066-32-2 CAPLUS

CN Carbamic acid, [[(imidazo[5,1-b]thiazol-3-ylmethyl)amino]sulfonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)



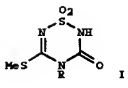
RN 183066-33-3 CAPLUS

CN Carbamic acid, [[(imidazo[5,1-b]thiazol-3-ylmethyl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 173 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:662456 CAPLUS
DOCUMENT NUMBER: 126:47188
TITLE: First example of a 4-amino-1,2,4,6-thiatriazine 1,1-dioxide derivative
AUTHOR(S): Ochoa, Carmen; Herrero, Angela; Provencio, Rafael; Balzarini, Jan; De Clercq, Erik; Gomez-Barrio, Alicia; Diaz, Rafael Martinez; Nogal, Juan Jose
CORPORATE SOURCE: Instituto Quimica Medica, CSIC, Madrid, 28006, Spain
SOURCE: Heterocycles (1996), 43(10), 2199-2204
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



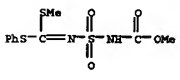
I

AB For the first time, an N(4)-amino derivative of 1,2,4,6-thiatriazine 1,1-dioxide I (R = NH2) was prepared by cyclocondensation reaction of the appropriate sulfamoylcarbamate PhSC(SMe):NSO2(NHCO2Me) (II) with hydrazine. Reaction of II with ammonia yielded the cyclic 4H-derivative I (R = H). Nucleophilic substitution reactions of I (R = H, NH2) with hydrazine, as well as condensation of I (R = NH2) with Et orthoformate were achieved. The antiprotozoal and anti-HIV properties of the new compds. were evaluated, but none of them showed significant biol. activities.

IT 184427-52-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, anti-HIV, and antiprotozoal activity of thiatriazine dioxides)

RN 184427-52-9 CAPLUS

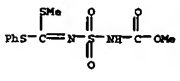
CN 3,6-Dithia-2,4-diazahept-4-enoic acid, 5-(phenylthio)-, methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



IT 184427-58-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, anti-HIV, and antiprotozoal activity of thiatriazine dioxides)

RN 184427-58-5 CAPLUS

CN 3,6-Dithia-2,4-diazahept-4-enoic acid, 5-(phenylthio)-, methyl ester, 3,3-dioxide, ammonium salt (9CI) (CA INDEX NAME)



L9 ANSWER 174 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

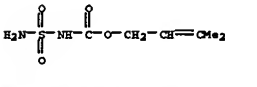
ACCESSION NUMBER: 1996:621028 CAPLUS
DOCUMENT NUMBER: 126:8313
TITLE: Synthesis and biological evaluation of nonionic prenyl, geranyl, and farnesyl diphosphate surrogates
AUTHOR(S): Castro, Alfredo; Erickson, Sandra K.; Shechter, Ishaiahu; Spencer, Thomas A.
CORPORATE SOURCE: Department Chemistry, Dartmouth College, Hannover, NH, 03755, USA
SOURCE: Bioorganic Chemistry (1996), 24(3), 242-250
CODEN: BOCMBM; ISSN: 0045-2068
PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Prenyl, geranyl, and farnesyl derivs. containing nonionic surrogates for the diphosphate moiety, including disulfones all-E-H(CH2CMe:CHCH2)nCH2SO2CH2SO2Me (I, n = 1-3) and all-E-H(CH2CMe:CHCH2)nCH(SO2Me)2 (II, n = 1-3), methylene disulfonamides all-E-H(CH2CMe:CHCH2)nNHSO2CH2SO2NH2 (III, n = 1-3), and carbamyl sulfamides all-E-H(CH2CMe:CHCH2)nO2CNHSO2NH2 (n = 1-3) (IV, n = 1-3), were synthesized and evaluated biol. in an effort to find suitable nonlabile, neutral inhibitors for enzymic reactions which use these isoprenoid diphosphates as substrates. Farnesyl derivs. were ineffective as squalene synthase inhibitors in vitro. Compds. I-IV were screened in human skin fibroblasts for their effects on fatty acid, cholesterol, and DNA synthesis. In general, compds. III and IV showed more inhibition than I and II and had a greater effect on DNA synthesis than on lipid synthesis.

IT 183996-54-5P 183996-55-6P 183996-56-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and biol. evaluation of nonionic prenyl, geranyl, and farnesyl diphosphate surrogates)

RN 183996-54-5 CAPLUS

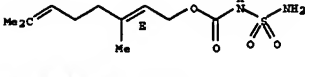
CN Carbamic acid, (aminosulfonyl)-, 3-methyl-2-butenyl ester (9CI) (CA INDEX NAME)



RN 183996-55-6 CAPLUS

CN Carbamic acid, (aminosulfonyl)-, 3,7-dimethyl-2,6-octadienyl ester, (E)- (9CI) (CA INDEX NAME)

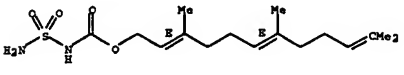
Double bond geometry as shown.



RN 183996-56-7 CAPLUS

CN Carbamic acid, (aminosulfonyl)-, 3,7,11-trimethyl-2,6,10-dodecatrienyl ester, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

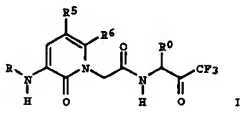


L9 ANSWER 175 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:599235 CAPLUS
DOCUMENT NUMBER: 125:247628
TITLE: 2-(2-Oxo-1,2-dihydro-1-pyridyl)-N-[3,3,3-trifluoro-1-(lower alkyl)-2-oxopropyl]acetamide derivatives as inhibitors of human leukocyte elastase
INVENTOR(S): Bernstein, Peter R.; Shaw, Andrew; Thomas, Royston M.; Warner, Peter; Wolanin, Donald J.
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: U.S., 70 pp., Cont.-in-part of U.S. Ser. No. 869,993, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5521179	A	19960528	US 1993-45009		19930408
ZA 9302697	A	19931028	ZA 1993-2697		19930416
PRIORITY APPLN. INFO.:			GB 1991-8357	A	19910418
			GB 1991-8358	A	19910418
			GB 1992-5392	A	19920312
			GB 1992-8379	A	19920416
			GB 1992-8380	A	19920416
			GB 1992-14448	A	19920708
			GB 1992-17362	A	19920814
			GB 1992-17363	A	19920814
			GB 1992-17364	A	19920814
			US 1992-869993	B2	19920416
			US 1992-869993		19920416

OTHER SOURCE(S): MARPAT 125:247628
GI

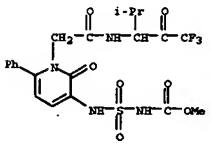


I

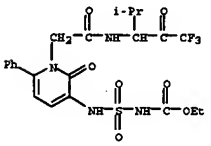
AB The present invention relates to certain novel heterocyclic amides which are 1-pyridylacetamide compds. I wherein: R0 is C1-5 alkyl; R = e.g., H, acyl, sulfonyl; R5 and R6 = e.g., H, lower alkyl; B-Y where B is aryl or heteroaryl and Y is a direct bond, methylene, ethylene, or trans-vinylene (with proviso); which are inhibitors of human leukocyte elastase (HLE), also known as human neutrophil elastase (HNE), making them useful whenever such inhibition is desired, such as for research tools in pharmacol., diagnostic and related studies and in the treatment of diseases in mammals in which HLE is implicated. The Ki values for I which were tested are generally on the order of 10-7 M or much less. The invention also includes intermediates useful in the synthesis of these heterocyclic amides, processes for preparing the heterocyclic amides, pharmaceutical compns. containing such heterocyclic amides and methods for their use. Thus, e.g., acetophenone was formylated and cyclized with cyanoacetamide to provide 6-phenylpyrid-2-one-3-carbonitrile; hydrolysis to the carboxylic acid followed by urethane formation yielded 3-benzyloxycarbonylamino-6-phenylpyrid-2-one; alkylation of the latter with N-(2-tert-butyldimethylsilyloxy-3,3,3-trifluoro-1-isopropylpropyl)-2-iodoacetamide (preparation given) followed by deprotection and oxidation afforded 2-(3-benzyloxycarbonylamino-2-oxo-6-phenyl-1,2-dihydro-1-pyridyl)-N-(3,3,3-trifluoro-1-isopropyl-2-oxopropyl]acetamide (I; R = Cbz, R5 = H, R6 = Ph, R0 = iso-Pr).

IT 159290-58-1P 159290-62-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(2-(2-oxo-1,2-dihydro-1-pyridyl)-N-[3,3,3-trifluoro-1-(lower alkyl]-2-oxopropyl]acetamide derivs. as inhibitors of human leukocyte elastase)

RN 159290-58-1 CAPLUS
CN Carbamic acid, [[[1,2-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-6-phenyl-3-pyridinyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



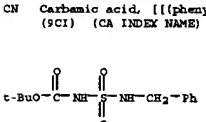
RN 159290-62-7 CAPLUS
CN Carbamic acid, [[[1,2-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-6-phenyl-3-pyridinyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



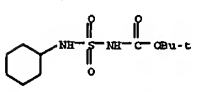
L9 ANSWER 176 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:572307 CAPLUS
DOCUMENT NUMBER: 125:300451
TITLE: A new family of potential oncostatics: 2-chloroethylnitrososulfamides (CENS)-I. Synthesis, structure, and pharmacological evaluation (preliminary results)
AUTHOR(S): Abdaoui, Mohamed; Dewynter, Georges; Acuf, Nourredine; Favre, Gilles; Morere, Alain; Montero, Jean-Louis
CORPORATE SOURCE: Lab. Chimie Biomol., Univ. Montpellier-II, Montpellier, 34095, Fr.
SOURCE: Bioorganic & Medicinal Chemistry (1996), 4(8), 1227-1235
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new series of alkylating agents, 2-chloroethylnitrososulfamides (CENS), were developed on the model of 2-chloroethylnitrosoureas. Starting from chlorosulfonyl isocyanate, a four-step synthesis (carbamoylation-sulfamoylation, Mitsunobu alkylation, deprotection, and nitrosation) gives the title compds. in a 47-58% overall yield. The selection of the nitrosation site can be directed through an alternative route. The pharmacol. evaluation shows a significant oncostatic activity towards both A549 and MCF7 cell lines.

IT 147000-78-0P 147715-84-2P 182925-47-9P
182925-48-0P 182925-49-1P 182925-50-4P
182925-51-5P 182925-52-6P 182925-53-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

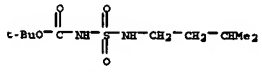
RN 147000-78-0 CAPLUS
CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



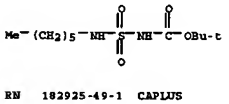
RN 147715-84-2 CAPLUS
CN Carbamic acid, [(cyclohexylamino)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



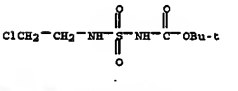
RN 182925-47-9 CAPLUS
CN Carbamic acid, [[(3-methylbutyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



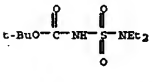
RN 182925-48-0 CAPLUS
CN Carbamic acid, [(hexylamino)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



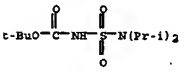
RN 182925-49-1 CAPLUS
CN Carbamic acid, [[(2-chloroethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



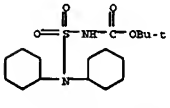
RN 182925-50-4 CAPLUS
CN Carbamic acid, [(diethylamino)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



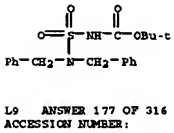
RN 182925-51-5 CAPLUS
CN Carbamic acid, [bis(1-methylethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 182925-52-6 CAPLUS
CN Carbamic acid, [(dicyclohexylamino)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 182925-53-7 CAPLUS
CN Carbamic acid, [bis(phenylmethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



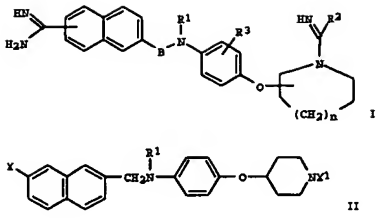
L9 ANSWER 177 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:485770 CAPLUS

DOCUMENT NUMBER: 125:142568
TITLE: . Preparation of novel N-imidoyl-(p-[(amidinonaphthylmethyl)amino]phenoxy]piperidine derivatives and analogs as blood platelet aggregation inhibitors
INVENTOR(S): Hirayama, Fukushi; Kambo, Hiroyuki; Matsumoto, Yuzo; Kawasaki, Tomihisa; Kaku, Seiji; Yanagisawa, Isao
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616940	A1	19960606	WO 1995-JP2458	19951201
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2206532	AA	19960606	CA 1995-2206532	19951201
AU 9539942	A1	19960619	AU 1995-39942	19951201
AU 688628	B2	19980312		
EP 798295	A1	19971001	EP 1995-938625	19951201
EP 798295	B1	20030226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
CN 1167404	A	19971210	CN 1995-196546	19951201
CN 1087736	B	20020717		
HU 77313	A2	19980330	HU 1997-2028	19951201
JP 3004362	B2	20000131	JP 1996-518590	19951201
RU 2154633	C2	20000820	RU 1997-108576	19951201
PL 184824	B1	20021231	PL 1995-320486	19951201
AT 233240	E	20030315	AT 1995-938625	19951201
PT 798295	T	20030731	PT 1995-938625	19951201
ES 2193202	T3	20031101	ES 1995-938625	19951201
NO 9702482	A	19970801	NO 1997-2482	19970530
NO 309566	B1	20010219		
US 5869501	A	19990209	US 1997-849391	19970530
FI 9702326	A	19970602	FI 1997-2326	19970602
FI 115051	B1	20050228		

PRIORITY APPLN. INFO.: JP 1994-299963 A 19941202
JP 1995-105205 A 19950428
JP 1995-198816 A 19950803
WO 1995-JP2458 W 19951201

OTHER SOURCE(S): MARPAT 125:142568
GI



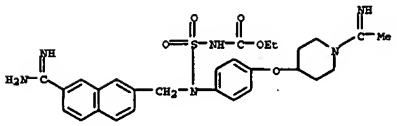
I

II

AB The title compds. [I; R1 = H or A-W-R4; wherein A = C(:X), COCO, SO2; X = O or S; W = a single bond or NR5; R4 = OH, lower alkoxy, (un)substituted lower alkyl, cycloalkyl, aryl, or heteroaryl; R5 = H, carbamoyl, lower alkoxycarbonyl, mono- or dialkylaminocarbonyl, lower alkylsulfonyl, mono- or dialkylaminothiocarbonyl, (un)substituted lower alkyl or alkanoyl; R2 = lower alkyl; R3 = H, halo, carboxy, NH2, cyano, NO2, OH, lower alkoxy, lower alkyl, lower alkoxycarbonyl; B = lower alkylene or carbonyl; n = 0 or 1], which have an antiplatelet aggregation effect on the basis of the effect of inhibiting activated blood coagulation factor X and are useful as antithrombotic agents, etc., are prepared Thus, a cyanonaphthalene derivative (II; R1 = Ac, X = cyano, X1 = Boc) (preparation given, 128 mg) was dissolved in a mixture of CH2Cl2 and EtOH , cooled to -20°, saturated with HCl(g), stirred at 5° for 4 days, treated with a saturated methanolic NH3, and stirred at 5° for 6 days to give an amidinonaphthalene derivative II.2HCl (R1 = Ac, X = amidino, X1 = H) (92 mg), which (56 mg) was dissolved in EtOH, treated with 28 mg Et acetimidate dihydrochloride and 36 mg Et3N, and stirred at room temperature for 2 days to give the title compound II [R1 = Ac, X = amidino, X1 = C(:NH)Me]. II.2HCl [R1 = SO2NHCO2Et, X = amidino, X1 = C(:NH)Me] at 0.04 μM in vitro prolonged twice the activated blood coagulation factor X-induced aggregation time of human serum as compared to 0.59 μM for a reference compound

IT 179755-56-7P 179755-78-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-imidoyl-(p-[(amidinonaphthylmethyl)amino]phenoxy]piperidine derivs. and analogs as antithrombotics and blood platelet aggregation inhibitors)

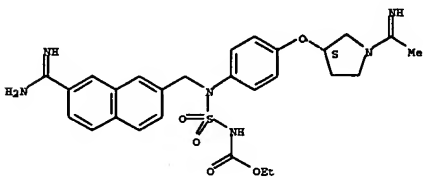
RN 179755-56-7 CAPLUS
CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 179755-78-3 CAPLUS
CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-[[1-(1-iminoethyl)-3-pyrrolidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

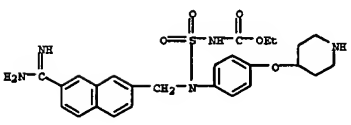
Absolute stereochemistry.



●2 HCl

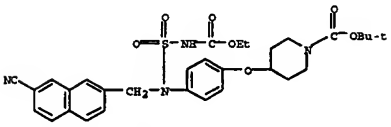
IT 179755-70-5P 179756-26-4P 179756-31-1P
179756-44-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-imidoyl-(p-[(amidinonaphthylmethyl)amino]phenoxy]piperidine derivs. and analogs as antithrombotics and blood platelet aggregation inhibitors)

RN 179755-70-5 CAPLUS
CN Carbamic acid, [[[[7-(aminoiminomethyl)-2-naphthalenyl]methyl][4-(4-piperidinyloxy)phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

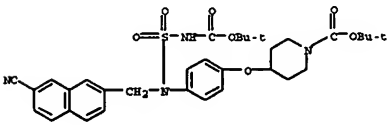


●2 HCl

RN 179756-26-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[(7-cyano-2-naphthalenyl)methyl][[(ethoxycarbonyl)amino]sulfonyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

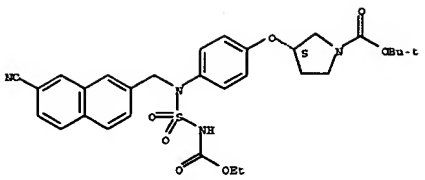


RN 179756-31-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[(7-cyano-2-naphthalenyl)methyl][[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 179756-44-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[4-[[(7-cyano-2-naphthalenyl)methyl][[(ethoxycarbonyl)amino]sulfonyl]amino]phenoxy]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

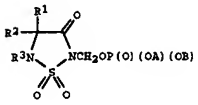
Absolute stereochemistry.



L9 ANSWER 178 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:473233 CAPLUS
DOCUMENT NUMBER: 125:143004
TITLE: Preparation of substituted 2-(phosphinylcxymethyl)-1,2,5-thiadiazolidin-3-one 1,1-dioxides for treatment of degenerative diseases
INVENTOR(S): Court, John J.; Desai, Ranjit C.
PATENT ASSIGNEE(S): Sanofi Winthrop, Inc., USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

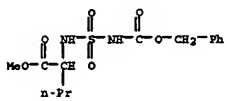
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616970	A1	19960606	WO 1995-US15565	19951130
W: AU, CA, CN, FI, HU, JP, MX, NO, NZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5541168	A	19960730	US 1994-348411	19941202
CA 2205950	AA	19960606	CA 1995-2205950	19951130
AU 9642485	A1	19960619	AU 1996-42485	19951130
AU 704233	B2	19990415		
EP 794956	A1	19970917	EP 1995-940884	19951130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1173179	A	19980211	CN 1995-197438	19951130
HU 78043	A2	19990728	HU 1999-832	19951130
JP 2001520626	T2	20011030	JP 1996-519058	19951130
NO 9702450	A	19970529	NO 1997-2450	19970529
FI 9702310	A	19970721	FI 1997-2310	19970530

PRIORITY APPLN. INFO.: US 1994-348411 A 19941202
WO 1995-US15565 W 19951130
OTHER SOURCE(S): MARPAT 125:143004
GI



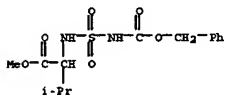
I

AB Title compds. I [R1, R2 = H, lower alkyl, phenyl-lower alkyl; R3 = H, lower alkyl, R2R3 = (CH2)n, n = 3, 4; A, B = H, lower alkyl, Ph, phenyl-lower alkyl] or their pharmaceutically acceptable acid addition salts, useful as proteolytic enzyme inhibitors in treatment of degenerative diseases, are claimed. Thus, the inhibition constant Ki for I [R1 = H, R2R3 = (CH2)4, A = B = Et; preparation given] for human leukocyte elastase is 1.5 nM.
IT 176672-70-1P 176672-75-6P 176672-96-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted (phosphinylcxymethyl)thiadiazolidinone dioxides for treatment of degenerative diseases)
RN 176672-70-1 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 6-oxo-5-propyl-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



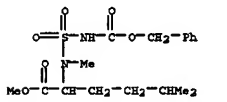
n-Pr

RN 176672-75-6 CAPLUS
CN Valine, N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



i-Pr

RN 176672-96-1 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 4-methyl-5-(3-methylbutyl)-6-oxo-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

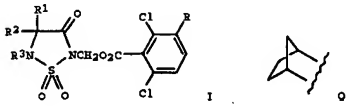


L9 ANSWER 179 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:473218 CAPLUS
DOCUMENT NUMBER: 125:142744
TITLE: Substituted 2-arylcarbonyloxymethyl-1,2,5-thiadiazolidin-3-one 1,1-dioxide derivatives and compositions and method of use thereof
INVENTOR(S): Desai, Ranjit C.

PATENT ASSIGNEE(S): Sanofi Winthrop, Inc., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616951	A1	19960606	WO 1995-US15504	19951130
W: AU, CA, CN, FI, HU, JP, MX, NO, NZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5556909	A	19960917	US 1994-349341	19941202
CA 2205837	AA	19960606	CA 1995-2205837	19951130
AU 9643710	A1	19960619	AU 1996-43710	19951130
AU 703622	B2	19990325		
EP 801648	A1	19971022	EP 1995-942505	19951130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
CN 1173175	A	19980211	CN 1995-197428	19951130
HU 77364	A2	19980330	HU 1997-1852	19951130
JP 10509979	T2	19980929	JP 1995-519027	19951130
NO 9702449	A	19970722	NO 1997-2449	19970529
FI 9702306	A	19970530	FI 1997-2306	19970530

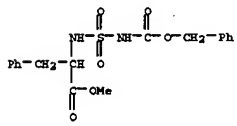
PRIORITY APPLN. INFO.: US 1994-349341 A 19941202
WO 1995-US15504 W 19951130
OTHER SOURCE(S): MARPAT 125:142744
GI



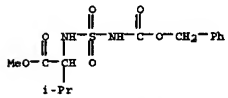
I

O

AB Title compds. I [R = H, 2-morpholinoethyl, 2-(1-pyrrolidinyl)ethyl; R1, R2 = H, alkyl, phenylalkyl, haloalkyl; R3 = H, alkyl; R2R3 = O, (CHR4)n, R4 = H, alkyl, n = 3, 4) were prepared and pharmaceutical compns. containing them and methods for the treatment of degenerative diseases utilizing them were disclosed. Of the 16 title compds. prepd and tested for human leukocyte elastase inhibitory activity, I (R = R1 = H, R2 = Pr, 3-methylbutyl, R3 = Me) were claimed.
IT 121142-90-3P 176672-75-6P 176672-96-1P 179484-96-9P 179485-06-4P 179693-01-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of protease inhibitor arylcarbonyloxymethylthiadiazolidinone dioxide derivs.)
RN 121142-90-3 CAPLUS
CN Phenylalanine, N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

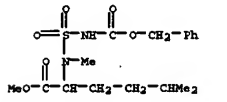


RN 176672-75-6 CAPLUS
CN Valine, N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

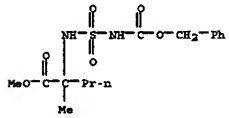


i-Pr

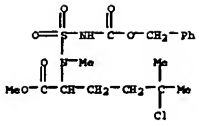
RN 176672-96-1 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 4-methyl-5-(3-methylbutyl)-6-oxo-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 179484-96-9 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 5-methyl-6-oxo-5-propyl-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

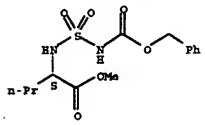


RN 179485-06-4 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 5-(3-chloro-3-methylbutyl)-4-methyl-6-oxo-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 179693-01-7 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 6-oxo-5-propyl-, phenylmethyl ester, 3,3-dioxide, (S)- (9CI) (CA INDEX NAME)

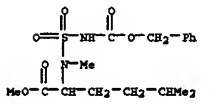
Absolute stereochemistry.



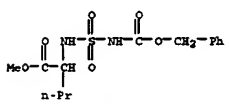
L9 ANSWER 180 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:473210 CAPLUS
DOCUMENT NUMBER: 125:132806
TITLE: 2-(2,3,5,6-Tetrafluoro-4-pyridyl)-1,2,5-thiadiazolidin-3-one 1,1-dioxides, their preparation, pharmaceutical compositions containing them, and use in the treatment of degenerative diseases
INVENTOR(S): Desai, Ranjit C.
PATENT ASSIGNEE(S): Sanofi Winthrop, Inc., USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616654	A1	19960606	WO 1995-US15562	19951130
W: AU, CA, CN, FI, HU, JP, MX, NO, NZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5750546	A	19980512	US 1994-348439	19941202
US 5602154	A	19970211	US 1995-444480	19950519
CA 2205800	AA	19960606	CA 1995-2205800	19951130
AU 9646237	A1	19960619	AU 1996-46237	19951130
AU 704858	B2	19990506		
EP 792150	A1	19970903	EP 1995-943624	19951130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1173131	A	19980211	CN 1995-197435	19951130
HU 77091	A2	19980302	HU 1997-1846	19951130
NO 9702435	A	19970528	NO 1997-2435	19970528
FI 9702307	A	19970530	FI 1997-2307	19970530
PRIORITY APPLN. INFO.:			US 1994-348439 A	19941202
			WO 1995-US15562 W	19951130

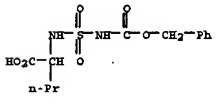
OTHER SOURCE(S): MARPAT 125:132806
AB 2-(2,3,5,6-Tetrafluoro-4-pyridyl)-1,2,5-thiadiazolidin-3-one 1,1-dioxides, pharmaceutical compns. containing them, and methods using them for the treatment of degenerative diseases (e.g. emphysema, rheumatoid arthritis, periodontal disease) are disclosed. The compds. of the invention are proteolytic enzyme inhibitors. 2-(2,3,5,6-Tetrafluoro-4-pyridyl)-5-methyl-4-propyl-1,2,5-thiadiazolidin-3-one 1,1-dioxide and 2-(2,3,5,6-Tetrafluoro-4-pyridyl)-5-methyl-4-(3-methylbutyl)-1,2,5-thiadiazolidin-3-one 1,1-dioxide were prepared and tested for human leukocyte elastase inhibitory activity.
IT 176672-96-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction; protease-inhibiting tetrafluoropyridyl thiadiazolidinone dioxide derivs., preparation, pharmaceutical compns., and use in the treatment of degenerative diseases)
RN 176672-96-1 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 4-methyl-5-(3-methylbutyl)-6-oxo-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



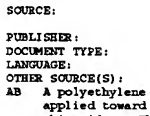
IT 176672-70-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(protease-inhibiting tetrafluoropyridyl thiadiazolidinone dioxide derivs., preparation, pharmaceutical compns., and use in the treatment of degenerative diseases)
RN 176672-70-1 CAPLUS
CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 6-oxo-5-propyl-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



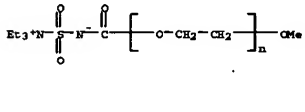
IT 179484-78-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; protease-inhibiting tetrafluoropyridyl thiadiazolidinone dioxide derivs., preparation, pharmaceutical compns., and use in the treatment of degenerative diseases)
RN 179484-78-7 CAPLUS
CN 2-Oxa-5-thia-4,6-diazaoctan-8-oic acid, 3-oxo-1-phenyl-7-propyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



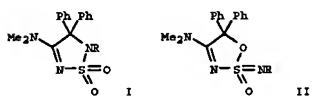
L9 ANSWER 181 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:427221 CAPLUS
DOCUMENT NUMBER: 125:114538
TITLE: An improved protocol for azole synthesis with PEG-supported Burgess reagent
AUTHOR(S): Wipf, Peter; Venkatraman, Srikanth
CORPORATE SOURCE: Dep. Chemistry, Univ. Pittsburgh, Pittsburgh, PA, 15260, USA
SOURCE: Tetrahedron Letters (1996), 37(27), 4659-4662
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:114538
AB A polyethylene glycol-linked version of Burgess reagent was developed and applied toward the cyclodehydration of β-hydroxy amides and thioamides. The desired oxazolines and thiazolines were obtained in high yields and excellent purities. The major advantages of the polymer bound reagent are its improved ease of handling and greatly increased yields in the synthesis of labile oxazolines.
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(an improved protocol for azole synthesis with polyethylene glycol-supported Burgess reagent)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



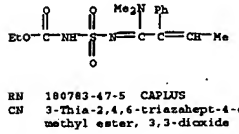
IT 178958-52-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(an improved protocol for azole synthesis with polyethylene glycol-supported Burgess reagent)
RN 178958-52-6 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α-[[[(triethylammonio)sulfonyl]amino]carbonyl]-ω-methoxy-, inner salt (9CI) (CA INDEX NAME)



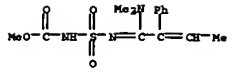
L9 ANSWER 182 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:418478 CAPLUS
DOCUMENT NUMBER: 125:195522
TITLE: Novel reactions of N-sulfonylamines with 3-dimethylamino-2H-azirines. Competitive formation of 1,2,5-thiadiazoles, 1,2,3-oxathiazoles and acrylamidines. X-Ray molecular structure of N-(4-dimethylamino-5-methyl-2-oxo-5-phenyl-5H-1,2λ6,3-oxathiazol-2-ylidene)benzamide
AUTHOR(S): Tornus, Ingo; Schaumann, Ernst; Adiwidjaja, Gunadi
CORPORATE SOURCE: Inst. Organische Chemie, Technische Univ. Clausthal, Clausthal-Zellerfeld, D-38678, Germany
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1996), (13), 1629-1633
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:195522
GI



AB Reaction of 3-dimethylamino-2,2-diphenyl-2H-azirine with N-sulfonylalkylamines RN:SO2 (2; R = Me2CH, Me3C) provides 1,2,5-thiadiazoles I, whereas use of N-carbonylsulfonylamines 2 (R = Bz, CO2Me) as reaction partners primarily results in 1,2,3-oxathiazoles II which isomerize to the corresponding thiadiazoles I on treatment with silica gel at room temperature In contrast, use of 2-alkyl-3-dimethylamino-2-phenyl-2H-azirines in the reaction with the N-sulfonylamide 2 (R = Bz) and N-sulfonylcarbamates 2 (R = CO2Me, CO2Et) leads to mixts. of thiadiazoles and oxathiazoles along with isomeric acrylamidines
Me2CH:CPhC(NMe2):NSO2NHR.
IT 180783-46-4P 180783-47-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of sulfonylamines with (dimethylamino)azirines yielding thiadiazoles, oxathiazoles and acrylamidines)
RN 180783-46-4 CAPLUS
CN 3-Thia-2,4,6-triazahept-4-enoic acid, 6-methyl-5-(1-phenyl-1-propenyl)-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



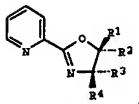
RN 180783-47-5 CAPLUS
CN 3-Thia-2,4,6-triazahept-4-enoic acid, 6-methyl-5-(1-phenyl-1-propenyl)-, methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 183 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:341836 CAPLUS
DOCUMENT NUMBER: 125:33626
TITLE: Preparation of 4,5-dihydro-2-(2-pyridyl)oxazole hemoregulatory compounds
INVENTOR(S): Bhatnagar, Pradip Kumar; Heerding, Dirk
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

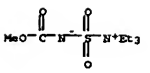
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9603398	A1	19960208	WO 1995-US9158	19950721
W: JP, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 777665	A1	19970611	EP 1995-927306	19950721
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 10503207	T2	19980324	JP 1995-505849	19950721
US 5817680	A	19981006	US 1997-522225	19970121
PRIORITY APPLN. INFO.:			US 1994-278448 A	19940721
			WO 1995-US9158 W	19950721

OTHER SOURCE(S): MARPAT 125:33626
GI

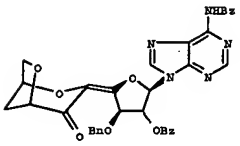


I

AB The title compds. [I; R1, R2 = H, alkyl, naphthyl, benzyl, pyridyl, furyl, oxazolyl, thiazolyl; R3, R4 = H, (un)substituted CO2H, CONH2, CSNH2, alkyl, carboxyalkyl, etc; such that 1 of R1 and R2 and 1 of R3 and R4 = H], which have hemoregulatory activities and can be used to inhibit the myelopoietic system of humans and animals (no data), are prepared and a I-containing formulation presented. Thus, (4S,5R)-4-carboxymethyl-4,5-dihydro-5-methyl-2-(2-pyridinyl)oxazole was reacted with NH3, producing (4S,5R)-4,5-dihydro-5-methyl-2-(2-pyridinyl)oxazole-4-carboxamide in 22% yield.
IT 29684-56-8, Burgess' reagent
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4,5-dihydro-2-(2-pyridyl)oxazole hemoregulatory compds.)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

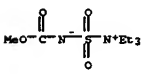


L9 ANSWER 184 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:326028 CAPLUS
DOCUMENT NUMBER: 125:87078
TITLE: Carbohydrate building blocks in heterocyclic chemistry. Synthetic studies directed towards the herbicidins
AUTHOR(S): Binch, Hayley M.; Griffin, Andrew M.; Gallagher, Timothy
CORPORATE SOURCE: School of Chemistry, Univ. of Bristol, Bristol, BS8 1TS, UK
SOURCE: Pure and Applied Chemistry (1996), 68(3), 589-592
CODEN: PACHAS; ISSN: 0033-4545
PUBLISHER: Blackwell
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:87078
GI



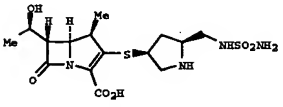
I

AB Recent synthetic studies directed towards the herbicidin nucleosides are described. The synthesis of nucleoside I synthon of herbicidin is reported.
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of nucleoside synthons of herbicidins)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



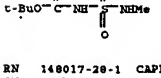
L9 ANSWER 185 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:325779 CAPLUS

DOCUMENT NUMBER: 125:86354
TITLE: Synthesis and modification of a novel 1 β-methyl carbapenem antibiotic, S-4661
AUTHOR(S): Iso, Yasushoshi; Irie, Tadashi; Iwaki, Tsutomu; Kii, Makoto; Sendo, Yuji; Motokawa, Kiyoshi; Nishitani, Yasuhiro
CORPORATE SOURCE: Shionogi Res. Laboratories, Shionogi and Co., Ltd., Osaka, 533, Japan
SOURCE: Journal of Antibiotics (1996), 49(5), 478-484
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:86354
GI

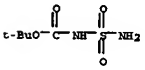


I

AB An efficient method was developed for introducing a sulfamoylamino group into the C-2' position of pyrrolidine using the Mitsunobu reaction. S-4661 (I), its N-Me analogs and stereoisomers were synthesized using this method and their structure-activity relationships were investigated.
IT 125987-94-2P 148017-28-1P 178484-48-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and modification of a novel 1 β-Me carbapenem antibiotic, S-4661)
RN 125987-94-2 CAPLUS
CN Carbamic acid, [(methylamino)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

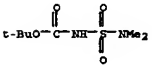


RN 148017-28-1 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 178484-48-5 CAPLUS
CN Carbamic acid, [(dimethylamino)sulfonyl]-, 1,1-dimethylethyl ester (9CI)

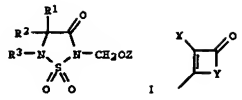
(CA INDEX NAME)



L9 ANSWER 186 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:323950 CAPLUS
DOCUMENT NUMBER: 125:58526
TITLE: 2-Substituted 1,2,5,-thiadiazolidin-3-one 1,1-dioxides as inhibitors of human leukocyte elastase
INVENTOR(S): Desai, Ranjit C.; Hlasta, Dennis J.
PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

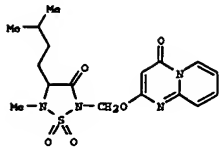
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5512576	A	19960430	US 1994-348440	19941202
CA 2205799	AA	19960606	CA 1995-2205799	19951130
WO 9616952	A1	19960606	WO 1995-US15564	19951130
W: AU, CA, CN, FI, HU, JP, MX, NO, NZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9642484	A1	19960619	AU 1996-42484	19951130
AU 703625	B2	19990325		
EP 793660	A1	19970910	EP 1995-940883	19951130
EP 793660	B1	20021030		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1173176	A	19980211	CN 1995-197436	19951130
CN 1068318	B	20010711		
HU 77743	A2	19980728	HU 1998-568	19951130
JP 10510536	T2	19981013	JP 1995-519057	19951130
AT 226947	E	20021115	AT 1995-940883	19951130
NO 9702391	A	19970526	NO 1997-2391	19970526
NO 309769	B1	20010326		
FI 9702308	A	19970530	FI 1997-2308	19970530
PRIORITY APPLN. INFO.:			US 1994-348440 A	19941202
			WO 1995-US15564 W	19951130

OTHER SOURCE(S): MARPAT 125:58526
GI



I

II



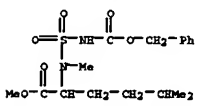
III

AB This invention relates to title compds. I wherein R1 is hydrogen, lower-alkyl, or phenyl-lower-alkyl; R2 is hydrogen, lower-alkyl, or phenyl-lower-alkyl; R3 is hydrogen, or lower-alkyl; and Z is a group II wherein X is hydrogen, halogen, lower-alkoxycarbonyl, lower-alkyl, Ph, phenyl-lower-alkyl, phenylcarbonyl, lower-alkanoyl, 1-piperidinyl, 4-morpholinyl-lower-alkyl, or phenoxy; and Y is the remaining atoms of a monocyclic or bicyclic substituted or unsubstituted heterocyclic ring system; or a pharmaceutically acceptable acid-addition salt thereof, which inhibit the activity of serine proteases, specifically human leukocyte elastase, and are thus useful in the treatment of degenerative disease conditions. Thus, e.g., alkylation of 2,4-dioxo-4H-pyrido[1,2-a]pyrimidine with 2-chloromethyl-4-(3-methylbutyl)-5-methyl-1,2,5-thiadiazolidin-3-one 1,1-dioxide (preparation given) afforded 2-(4-oxo-4H-pyrido[1,2-a]pyrimidin-2-yloxymethyl)-4-(3-methylbutyl)-5-methyl-1,2,5-thiadiazolidin-3-one 1,1-dioxide (III) which exhibited Ki = 0.79 nM for inhibition of human leukocyte elastase.

IT 176672-96-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(2-substituted 1,2,5,-thiadiazolidin-3-one 1,1-dioxides as inhibitors of human leukocyte elastase)

RN 176672-96-1 CAPLUS

CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 4-methyl-5-(3-methylbutyl)-6-oxo-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 187 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:295357 CAPLUS

DOCUMENT NUMBER: 125:114356

TITLE: Total synthesis and structural studies of the antiviral marine natural product hennoxazole A

AUTHOR(S): Wipf, Peter; Lim, Sungtaek

CORPORATE SOURCE: Dep. Chemistry, Univ. Pittsburgh, Pittsburgh, PA, 15260, USA

SOURCE: Chimia (1996), 50(4), 157-167
CODEN: CHIMAD; ISSN: 0009-4293

PUBLISHER: Neue Schweizerische Chemische Gesellschaft

DOCUMENT TYPE: Journal

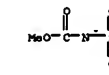
LANGUAGE: English

AB A concise synthetic strategy and the structure elucidation of hennoxazole A are presented. An 1,3-xylene degradation is used to construct the pyran segment and the preparation of the skipped polyene moiety is accomplished via asym. reduction of a β-stannyl enone, a SN2 displacement of an allylic trimethylbenzoate with vinyl cuprate, and coupling of a vinyl-Zn reagent with a π-allyl Pd species. The final steps of the convergent total synthesis of (2S,4S,6S,8S,22R)-hennoxazole A involve an amide coupling followed by the construction of the bisoxazole core. The combined use of CD, total synthesis, and optical rotation serves to unequivocally establish the relative and absolute configuration of the marine natural product. A new empirical CD helicity rule is proposed that allows the assignment of bisallylic stereocenters in acyclic homoconjugated dienes. In addition, an independent proof of the configuration of hennoxazole A is based on an extensive study of van't Hoff's principle of optical superposition. This chiroptical anal. employs the additivity of the molar rotation of the individual stereocenters.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(total synthesis and structure of marine natural product hennoxazole A)

RN 29684-56-8 CAPLUS

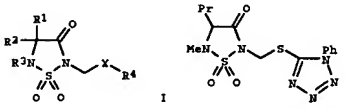
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5494925	A	19960227	US 1994-348421	19941202
CA 2205970	AA	19960606	CA 1995-2205970	19951130
WO 9616649	A1	19960606	WO 1995-US15563	19951130
W: AU, CA, CN, FI, HU, JP, MX, NO, NZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9642483	A1	19960619	AU 1996-42483	19951130
AU 703719	B2	19990401		
EP 793494	A1	19970910	EP 1995-940882	19951130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1173130	A	19980211	CN 1995-197437	19951130
HU 77086	A2	19980302	HU 1997-1848	19951130
JP 10510535	T2	19981013	JP 1995-519056	19951130
NO 9702451	A	19970725	NO 1997-2451	19970529
FI 9702309	A	19970730	FI 1997-2309	19970530
PRIORITY APPLN. INFO.:			US 1994-348421 A	19941202
			WO 1995-US15563 W	19951130

OTHER SOURCE(S): MARPAT 124:343311

GI



I

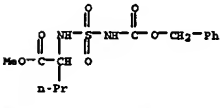
II

AB Title compds. I [R1, R2 = H, alkyl, phenylalkyl; R3 = H, alkyl; or R2R3 = (CH2)n where n = 3 or 4; X = O, S; R4 = certain (un)substituted tetrazolyl, pyrazolyl, imidazolyl, thiadiazolyl, thiazolyl, and triazolyl groups], pharmaceutical compns. containing them, and methods for the treatment of degenerative diseases utilizing them are claimed. For example, 2-[(aminosulfonyl)amino]pentanoic acid Me ester underwent a sequence of cyclization by NaOMe in MeOH (100%), N2-benzylation (39%), N5-methylation (95%), debenzylation, N2-alkylation with PhSCH2Cl (88%), dethiolation to a chloride with SO2Cl2, and thioetherification with 5-mercapto-1-phenyl-1H-tetrazole Na salt (78%), to give title compound II. In a test for inhibition of human leukocyte elastase in vitro, II had Ki of 3.6 nM. Seven addnl. compds. were prepared, and had Ki values of 2.4-3000 nM.

IT 176672-70-1P, 2-[N-[[(Carbobenzyloxy)amino]sulfonyl]amino]pentanoic acid methyl ester 176672-75-6P, N-[[(Carbobenzyloxy)amino]sulfonyl]-DL-valine methyl ester 176672-96-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (heterocyclyloxymethyl)- and (heterocyclylthiomethyl)thiadiazolidine dioxides as protease inhibitors)

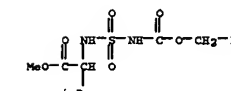
RN 176672-70-1 CAPLUS

CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 6-oxo-5-propyl-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



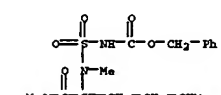
RN 176672-75-6 CAPLUS

CN Valine, N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 176672-96-1 CAPLUS

CN 7-Oxa-3-thia-2,4-diazaoctanoic acid, 4-methyl-5-(3-methylbutyl)-6-oxo-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 189 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:148297 CAPLUS

DOCUMENT NUMBER: 124:249917

TITLE: Geranylgeranyl Diphosphate-Based Inhibitors of Post-Translational Geranylgeranylation of Cellular Proteins

AUTHOR(S): Macchia, Marco; Jannitti, Nicoletta; Gervasi, Gianbattista; Danesi, Romano

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Pisa, Pisa, 56126, Italy

SOURCE: Journal of Medicinal Chemistry (1996), 39(7), 1352-6
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

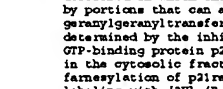
OTHER SOURCE(S): CASREACT 124:249917

AB A novel series of stable analogs of geranylgeranyl diphosphate (GGdP) are described in which the biol. labile diphosphate moiety of GGdP is replaced by portions that can act as stable isosteres. The compds. inhibited the geranylgeranyltransferase activity in whole PC-3 prostate cancer cells, as determined by the inhibition of post-translational isoprenylation of the small GTP-binding protein p21rap 1 and the accumulation of unprocessed p21rap 1 in the cytosolic fraction. However, the compds. did not affect the farnesylation of p21ras, as shown by protein immunopptn. after whole cell labeling with [3H]-(R,S)-mevalonolactone. Despite the absence of effects on post-translational processing of p21ras, these compds. proved to be cytotoxic for prostate cancer cells, with half-maximal inhibition of cell growth obtained in the range 10.5-35.1 μM. The GGdP analogs described in the this study are novel, non-peptidic inhibitors of geranylgeranylation that may be active as antitumor agents.

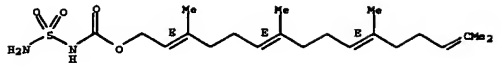
IT 175091-91-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of geranylgeranyl diphosphate analogs as inhibitors of post-translational geranylgeranylation of cellular proteins for antitumor agent)

RN 175091-91-5 CAPLUS

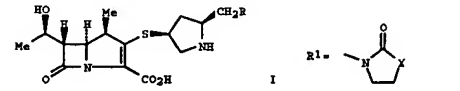
CN Carbamic acid, (aminosulfonyl)-, 3,7,11,15-tetramethyl-2,6,10,14-hexadecatetraenyl ester, (all-E)- (9CI) (CA INDEX NAME)



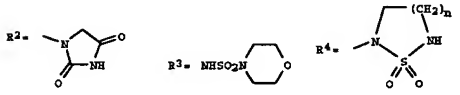
Double bond geometry as shown.



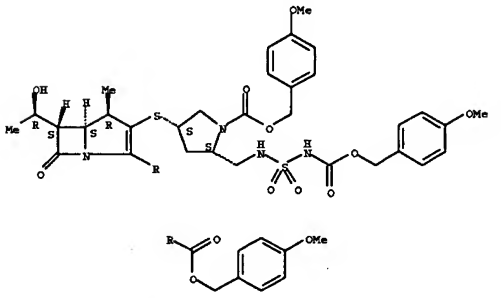
L9 ANSWER 190 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:136704 CAPLUS
DOCUMENT NUMBER: 124:316802
TITLE: A novel 1 β-methylcarbapenem antibiotic, S-4661. Synthesis and structure-activity relationships of 2-(5-substituted pyrrolidin-3-ylthio)-1 β-methylcarbapenems
AUTHOR(S): Iso, Yasuyoshi; Irie, Tadashi; Nishino, Yutaka; Motokawa, Kiyoshi; Nishitani, Yasuhiro
CORPORATE SOURCE: Shionogi Res. Lab., Shionogi & Co., Ltd., Osaka, 553, Japan
SOURCE: Journal of Antibiotics (1996), 49(2), 199-209
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

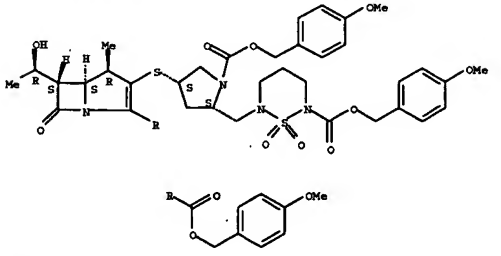


AB The synthesis and biol. activity of (1R,5S,6S)-2-[(3S,5S)-5-substituted pyrrolidin-3-ylthio]-6-[(1R)-1-hydroxyethyl]-1-methylcarbapen-2-em-3-carboxylic acids I (R = NH2, NHAc, R1 (X = CH2), NHCO-3-pyridyl, NHCONH2, NHCONHMe, R3, NHCO2Me, R1 (X = O), NHSO2Me, NHSO2CH2CONH2, NHSO2CH2CH2OH, NHSO2NH2, NHSO2NHCH2CH2OH, R3, R4 (n = 1, 2)] are described. These compds. exhibit potent antibacterial activity against a wide range of both Gram-pos. and Gram-neg. bacteria including Pseudomonas aeruginosa. Of these new carbapenems, (1R,5S,6S)-2-[(3S,5S)-5-sulfamoylaminomethylpyrrolidin-3-ylthio]-6-[(1R)-1-hydroxyethyl]-1-methylcarbapen-2-em-3-carboxylic acid (S-4661) showed the most potent and well balanced activity and was selected as a candidate for further evaluation.
IT **175846-39-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and structure-activity relationships of substituted (pyrrolidinylthio)-1 β-methylcarbapenems)
RN 175846-39-6 CAPLUS



RN 148017-60-1 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[1-[[(4-methoxyphenyl)methoxy]carbonyl]-5-[[tetrahydro-6-[[(4-methoxyphenyl)methoxy]carbonyl]-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl]methyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, (4-methoxyphenyl)methyl ester, [4R-[3(3S*,5S*),4 α,5β,6β(R*)]]- (9CI) (CA INDEX NAME)

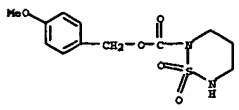
Absolute stereochemistry.



RN 175846-24-9 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, tetrahydro-6-[[1-[[(4-methoxyphenyl)methoxy]carbonyl]-4-[(triphenylmethyl)thio]-2-pyrrolidinyl]methyl]-, (4-methoxyphenyl)methyl ester, 1,1-dioxide, (2S-cis)- (9CI) (CA INDEX NAME)

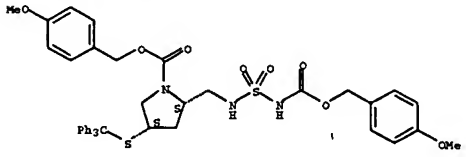
Absolute stereochemistry.

CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, tetrahydro-, (4-methoxyphenyl)methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



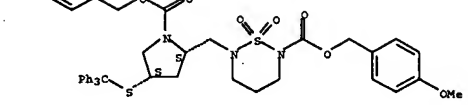
IT 148016-96-0P 148017-54-3P 148017-60-1P
175846-24-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and structure-activity relationships of substituted (pyrrolidinylthio)-1 β-methylcarbapenems)
RN 148016-96-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[7-(4-methoxyphenyl)-3,3-dioxido-5-oxo-6-oxa-3-thia-2,4-diazahept-1-yl]-4-[(triphenylmethyl)thio]-, (4-methoxyphenyl)methyl ester, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 148017-54-3 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[1-[[(4-methoxyphenyl)methoxy]carbonyl]-5-(7-(4-methoxyphenyl)-3,3-dioxido-5-oxo-6-oxa-3-thia-2,4-diazahept-1-yl)-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, (4-methoxyphenyl)methyl ester, [4R-[3(3S*,5S*),4 α,5β,6β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 191 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:130816 CAPLUS
DOCUMENT NUMBER: 124:175619
TITLE: Preparation of sulfonyloxydiphenylmethyliminosulfamides as pesticides.
INVENTOR(S): Otsu, Yuichi; Kitagawa, Yoshinori; Hattori, Yumi; Wada, Katsuaki; Obinata, Toru
PATENT ASSIGNEE(S): Nihon Bayer Agrochem K.K., Japan
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 684229	A1	19951129	EP 1995-107517	19950517
R: BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, PT				
JP 08041019	A2	19960213	JP 1995-79301	19950313
US 5596017	A	19970121	US 1995-445156	19950519
BR 9502556	A	19960409	BR 1995-2556	19950525
ZA 9504323	A	19960124	ZA 1995-4323	19950526
HU 72164	A2	19960328	HU 1995-1546	19950526
CN 1126200	A	19960710	CN 1995-105535	19950526
PRIORITY APPLN. INFO.:			JP 1994-136599 A	19940527
			JP 1995-79301 A	19950313

OTHER SOURCE(S): MARPAT 124:175619
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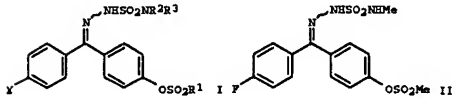
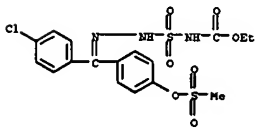


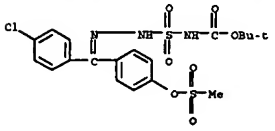
AB Title sulfamides (I; X = halo, haloalkyl; R1 = alkyl, haloalkyl; R2 = H, alkyl; R3 = H, alkyl, alkoxycarbonyl, alkoxycarbonylmethyl), were prepared Thus, 4-fluoro-4'-methylsulfonyloxybenzophenone hydrazone, Et3N, and N-methylsulfamoyl chloride were stirred in CH2Cl2 to give title compound (II). Selected I at 0 ppm on cabbage leaves gave 100% kill of Spodoptera litura larvae.
IT 173921-25-0P 173921-26-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic

preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonyloxydiphenylmethyliminosulfamides as pesticides)

RN 173921-25-0 CAPLUS
CN Carbamic acid, [[[(4-chlorophenyl)[4-[(methylsulfonyl)oxy]phenyl]methylene]hydrazino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 173921-26-1 CAPLUS
CN Carbamic acid, [[[(4-chlorophenyl)[4-[(methylsulfonyl)oxy]phenyl]methylene]hydrazino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



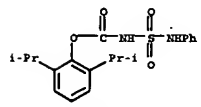
L9 ANSWER 192 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1996:124223 CAPLUS
DOCUMENT NUMBER:	124:219424
TITLE:	Inhibitors of acyl-CoA:cholesterol O-acyltransferase. 17. Structure-Activity relationships of several series of compounds derived from N-chlorosulfonyl isocyanate
AUTHOR(S):	Picard, Joseph A.; O'Brien, Patrick M.; Sliskovic, Drago R.; Anderson, Maureen K.; Bousley, Richard F.; Hamelehle, Katherine L.; Krause, Brian R.; Stanfield, Richard L.
CORPORATE SOURCE:	Parke-Davis Pharmaceutical Research Division, Warner-Lambert Company, Ann Arbor, MI, 48105, USA
SOURCE:	Journal of Medicinal Chemistry (1996), 39(6), 1243-52 CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 124:219424

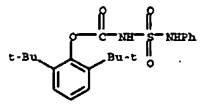
AB Several series of acyl-CoA:cholesterol O-acyltransferase inhibitors were prepared by the stepwise addition of nitrogen, oxygen, and sulfur nucleophiles to N-chlorosulfonyl isocyanate. The (aminosulfonyl)ureas were the most potent inhibitors in vitro, with several compds. having IC50 values < 1 μM. Although the other series of compds. were not as potent in vitro, many compds. did display good in vivo activity in cholesterol-fed rats. Several of the oxysulfonyl carbamates (including CI-999, 115) showed excellent lipid-lowering activity in the chronic in vivo screen, demonstrating significant cholesterol lowering in a pre-established hypercholesterolemic state.

IT 92049-97-3P 92049-98-4P 92049-99-5P
142790-24-7P 142790-25-8P 142790-26-9P
142790-27-0P 142790-28-1P 142790-29-2P
142790-30-5P 142790-31-6P 142790-32-7P
142790-33-8P 142790-34-9P 142790-35-0P
142790-36-1P 142790-37-2P 142790-38-3P
142790-39-4P 142790-40-7P 142790-41-8P
142790-42-9P 142790-43-0P 142790-44-1P
142790-45-2P 142790-46-3P 142790-48-5P
142790-49-6P 142790-50-9P 142790-51-0P
142790-52-1P 142790-53-2P 142790-54-3P
142790-55-4P 142790-56-5P 142790-57-6P
142790-58-7P 142790-59-8P 142790-60-1P
142790-61-2P 142790-67-8P 143131-68-4P
143131-71-9P 174791-21-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-cholesterol acyltransferase-inhibiting relationships of N-chlorosulfonyl isocyanate derivs.)

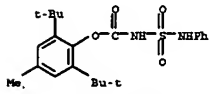
RN 92049-97-3 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



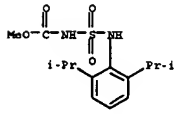
RN 92049-98-4 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



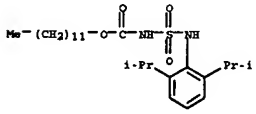
RN 92049-99-5 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



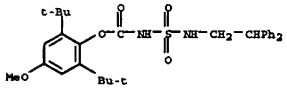
RN 142790-24-7 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



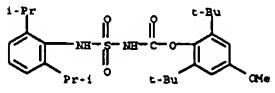
RN 142790-25-8 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, dodecyl ester (9CI) (CA INDEX NAME)



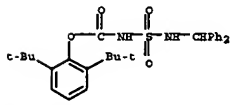
RN 142790-26-9 CAPLUS
CN Carbamic acid, [[[2,2-diphenylethyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methoxyphenyl ester (9CI) (CA INDEX NAME)



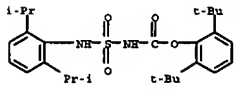
RN 142790-27-0 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methoxyphenyl ester (9CI) (CA INDEX NAME)



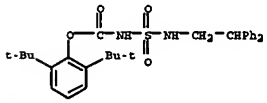
RN 142790-28-1 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



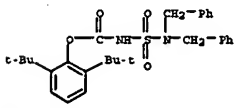
RN 142790-29-2 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



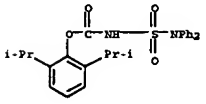
RN 142790-30-5 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



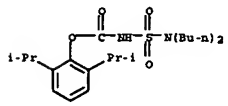
RN 142790-31-6 CAPLUS
CN Carbamic acid, [(bis(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



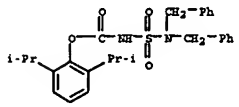
RN 142790-32-7 CAPLUS
CN Carbamic acid, [(diphenylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



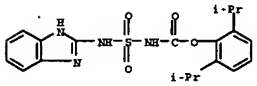
RN 142790-33-8 CAPLUS
CN Carbamic acid, [(dibutylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



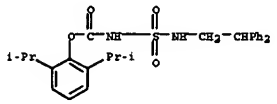
RN 142790-34-9 CAPLUS
CN Carbamic acid, [[bis(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



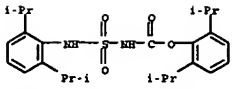
RN 142790-35-0 CAPLUS
CN Carbamic acid, [(1H-benzimidazol-2-ylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



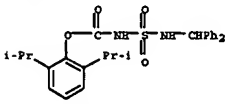
RN 142790-36-1 CAPLUS
CN Carbamic acid, [(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



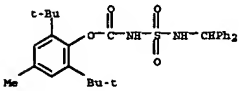
RN 142790-37-2 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



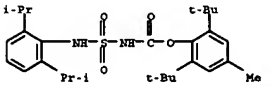
RN 142790-38-3 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



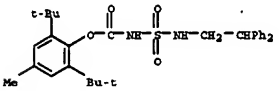
RN 142790-39-4 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



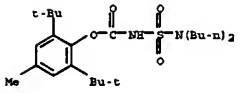
RN 142790-40-7 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



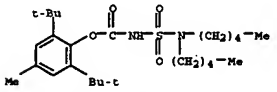
RN 142790-41-8 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



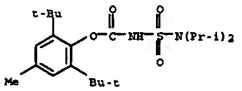
RN 142790-42-9 CAPLUS
CN Carbamic acid, [(dibutylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



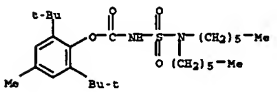
RN 142790-43-0 CAPLUS
CN Carbamic acid, [(dipentylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



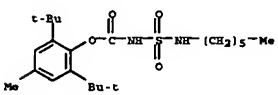
RN 142790-44-1 CAPLUS
CN Carbamic acid, [[bis(1-methylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



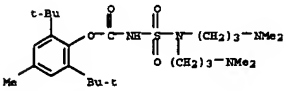
RN 142790-45-2 CAPLUS
CN Carbamic acid, [(dihexylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



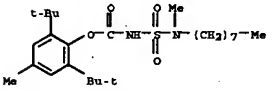
RN 142790-46-3 CAPLUS
CN Carbamic acid, [(hexylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



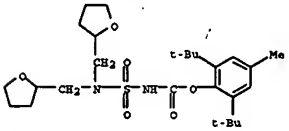
RN 142790-48-5 CAPLUS
CN 3-Thia-2,4,8-triazanonanoic acid, 4-[3-(dimethylamino)propyl]-8-methyl-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



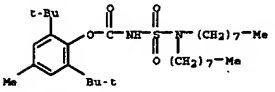
RN 142790-49-6 CAPLUS
CN Carbamic acid, [(methyloctylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



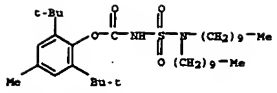
RN 142790-50-9 CAPLUS
CN Carbamic acid, [bis[(tetrahydro-2-furanyl)methyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



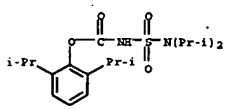
RN 142790-51-0 CAPLUS
CN Carbamic acid, [(dioctylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



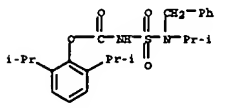
RN 142790-52-1 CAPLUS
CN Carbamic acid, [(didecylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



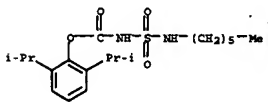
RN 142790-53-2 CAPLUS
CN Carbamic acid, [(bis(1-methylethyl)amino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



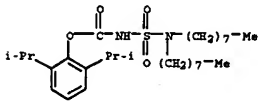
RN 142790-54-3 CAPLUS
CN Carbamic acid, [[(1-methylethyl)(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



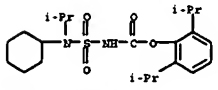
RN 142790-55-4 CAPLUS
CN Carbamic acid, [(hexylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



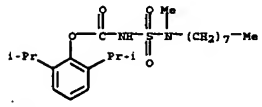
RN 142790-56-5 CAPLUS
CN Carbamic acid, [(dioctylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



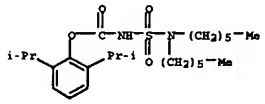
RN 142790-57-6 CAPLUS
CN Carbamic acid, [(cyclohexyl(1-methylethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



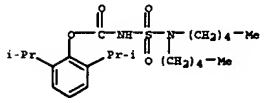
RN 142790-58-7 CAPLUS
CN Carbamic acid, [(methyloctylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



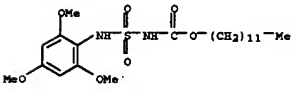
RN 142790-59-8 CAPLUS
CN Carbamic acid, [(dihexylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



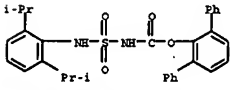
RN 142790-60-1 CAPLUS
CN Carbamic acid, [(dipentylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



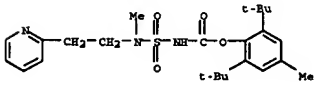
RN 142790-61-2 CAPLUS
CN Carbamic acid, [[(2,4,6-trimethoxyphenyl)amino]sulfonyl]-, dodecyl ester (9CI) (CA INDEX NAME)



RN 142790-67-0 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, [1,1':3',1''-terphenyl]-2'-yl ester (9CI) (CA INDEX NAME)

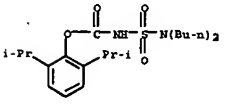


RN 143131-60-4 CAPLUS
CN Carbamic acid, [[methyl[2-(2-pyridinyl)ethyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester, monohydrochloride (9CI) (CA INDEX NAME)



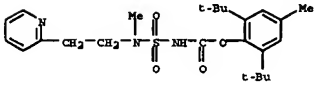
● HCl

RN 143131-71-9 CAPLUS
CN Carbamic acid, [(dibutylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester, sodium salt (9CI) (CA INDEX NAME)



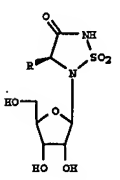
● Na

RN 174791-21-0 CAPLUS
CN Carbamic acid, [[methyl[2-(2-pyridinyl)ethyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester, sodium salt (9CI) (CA INDEX NAME)



● Na

L9 ANSWER 193 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:34480 CAPLUS
DOCUMENT NUMBER: 124:232962
TITLE: Synthesis of pseudo-nucleosides containing chiral sulfahydantoins as aglycon. II
AUTHOR(S): Dewynter, Georges; Acuf, Nourreddine; Regainia, Zine; Montero, Jean-Louis
CORPORATE SOURCE: Laboratoire Chimie Biomoleculaire, Universite Montpellier II, Montpellier, 34 095, Fr.
SOURCE: Tetrahedron (1996), 52(3), 993-1004
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:232962
GI



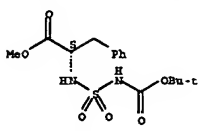
I

AB A series of chiral sulfahydantoins have been synthesized by alkaline intramol. cyclocondensation starting from N-sulfamylamino acid Me esters. Regioselective glycosidation of these pseudo-pyrimidic heterocycles was carried out with a benzyl protective group on the N-sulfonylcarbamic position. Best glycosidation results were obtained by preliminary silylation of sulfahydantoins, and their condensation with a tetraacylribofurenose which yielded the pseudo-nucleosides, e.g. I (R = Bn, iBu), in a β-anomeric configuration.

IT 139059-69-1P 139059-70-4P 139059-71-5P
174466-48-9P 174466-49-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of sulfahydantoins pseudo-nucleosides via intramol. cyclocondensation of sulfamoyl amino acids)

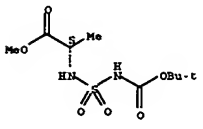
RN 139059-69-1 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-2-(phenylmethyl)-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



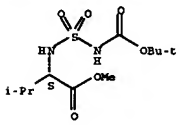
RN 139059-70-4 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 2,8,8-trimethyl-6-oxo-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

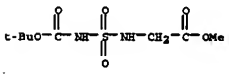


RN 139059-71-5 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-2-(1-methylethyl)-6-oxo-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

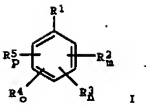
Absolute stereochemistry. Rotation (+).



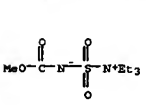
RN 174466-48-9 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-, methyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)



RN 174466-49-0 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-2-(2-methylpropyl)-6-oxo-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)



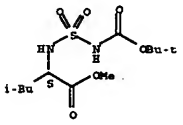
AB The title compds. [I; R1 = H, (un)substituted hydroxyalkyl, carboxyalkyl, CN, NO2, (un)substituted alkoxy, etc.; R2 = arylalkoxy, heteroarylalkoxy, arylalkylthio, etc.; R3 = HO, alkoxy, aryloxy, etc.; R4 = (un)substituted alkyl or alkenyl; R5 = alkyl, alkenyl, halogen; m-p = 0, 1], useful as endothelin inhibitors (no data) for the treatment of diseases modulated by inhibiting endothelin (no data), are prepared Thus, Me 2-benzyloxy-4-(4-chlorobenzyloxy)benzoate was saponified, producing 2-benzyloxy-4-(4-chlorobenzyloxy)benzoic acid, m.p. 150-152°, in 44% yield.
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted benzene endothelin inhibitors)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 195 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:954574 CAPLUS
DOCUMENT NUMBER: 123:340140
TITLE: Novel serine protease inhibitors: derivatives of isothiazolidin-3-one 1,1-dioxide and 3-oxo-1,2,5-thiadiazolidine 1,1-dioxide
INVENTOR(S): Groutas, William C.
PATENT ASSIGNEE(S): Wichita State University, USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518797	A1	19950713	WO 1995-US236	19950103
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5550139	A	19960827	US 1994-177352	19940103
CA 2179913	AA	19950713	CA 1995-2179913	19950103
AU 9515998	A1	19950801	AU 1995-15998	19950103
AU 686316	B2	19980205		
EP 739338	A1	19961030	EP 1995-908003	19950103

Absolute stereochemistry.



L9 ANSWER 194 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:994147 CAPLUS
DOCUMENT NUMBER: 124:55567
TITLE: Preparation of substituted benzene-derivative endothelin inhibitors
INVENTOR(S): Astles, Peter Charles; Harper, Mark Francis; Harris, Neil Victor; McLay, Ian McFarlane; Walsh, Roger John Aitchison; Lewis, Richard Alan; Smith, Christopher; Porter, Barry; McCarthy, Clive
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Ltd., UK
SOURCE: PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9513262	A1	19950518	WO 1994-GB2499	19941114
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2176363	AA	19950518	CA 1994-2176363	19941114
AU 9481498	A1	19950529	AU 1994-81498	19941114
ZA 9409035	A	19960514	ZA 1994-9035	19941114
EP 728128	A1	19960828	EP 1995-900842	19941114
EP 728128	B1	19980916		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09505043	T2	19970520	JP 1995-513704	19941114
AT 171158	E	19981015	AT 1995-900842	19941114
ES 2123941	T3	19990116	ES 1995-900842	19941114
US 6211234	B1	20010403	US 1997-640922	19970627
PRIORITY APPLN. INFO.:			GB 1993-23382	A 19931112
			GB 1994-3363	A 19940222
			GB 1994-10750	A 19940527
			WO 1994-GB2499	W 19941114
OTHER SOURCE(S):	MARPAT 124:55567			

GI

EP 739338	B1	20020410		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09509922	T2	19971007	JP 1995-518638	19950103
AT 215938	E	20020415	AT 1995-908003	19950103
NZ 329766	A	20010223	NZ 1998-329766	19980216
PRIORITY APPLN. INFO.:			US 1994-177352	A 19940103
			WO 1995-US236	W 19950103
OTHER SOURCE(S):	MARPAT 123:340140			

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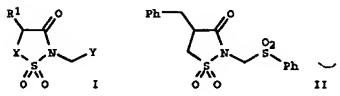
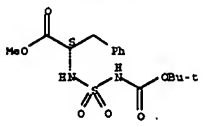


AB Various isothiazolidin-3-one 1,1-dioxide and 3-oxo-1,2,5-thiadiazolidine 1,1-dioxide derivs., e.g. I [X = CH2, (un)substituted NH; R1 = H, alkyl, (un)substituted benzyl, indolylalkyl, etc.; Y = non-steroidal antiinflammatory residue, H, protected amino acid, acyloxy, etc.], and their use to reduce or inhibit the activity of serine proteases, are claimed. The compds. are useful as anti-inflammatory and anti-metastatic agents. For example, 4-benzylisothiazolidin-3-one 1,1-dioxide underwent N-alkylation with ClCH2SPh and Et3N in MeCN, followed by S-oxidation with m-ClC6H4C(O)OOH in CH2Cl2 (90%), to give title compound II. In an in vitro assay, II had an apparent 2nd-order inactivation rate constant (kobs/[I] M-1 s-1) of 960 against cathepsin G. A variety of compds. were prepared and/or tested against cathepsin G, human leukocyte elastase, and/or proteinase-3.
IT 139059-69-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of isothiazolidinone and oxothiadiazolidine dioxide derivs. as serine protease inhibitors)
RN 139059-69-1 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-2-(phenylmethyl)-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

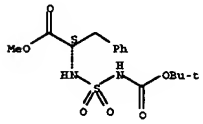
Absolute stereochemistry.



L9 ANSWER 196 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:897916 CAPLUS
DOCUMENT NUMBER: 124:117947
TITLE: Nucleopeptidic biocomjugates containing a sulfamide bridge: linkage via the Mitsunobu reaction
AUTHOR(S): Criton, Marc; Dewynter, Georges; Acuf, Nourreddine; Montero, Jean-Louis; Imbach, Jean-Louis
CORPORATE SOURCE: Laboratorie de Chimie bio-organique, Universite des Sciences et Techniques du Languedoc, Montpellier,

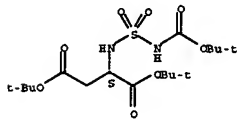
34065, Fr.
SOURCE: Nucleosides & Nucleotides (1995), 14(8), 1795-801
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:117947
AB The synthesis of compds. connecting unprotected 2'-deoxyribonucleosides (T,dC,dG,dA) with N-Boc sulfamoyl derivs. of natural amino acid esters (Phe, Asp) was carried out by Mitsunobu reaction, using regiospecific coupling. The created link was a priori non-hydrolyzable in biol. conditions.
IT 139059-69-1P 147715-94-4P 172945-94-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nucleopeptidic bioconjugates containing sulfamide bridge via Mitsunobu reaction)
RN 139059-69-1 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-2-(phenylmethyl)-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



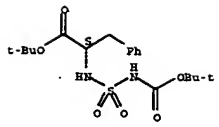
RN 147715-94-4 CAPLUS
CN L-Aspartic acid, N-[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 172945-94-7 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 8,8-dimethyl-6-oxo-5-(phenylmethyl)-, 1,1-dimethylethyl ester, 3,3-dioxide, (5S)- (9CI) (CA INDEX NAME)

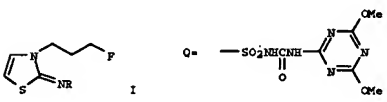
Absolute stereochemistry. Rotation (+).



L9 ANSWER 197 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:833176 CAPLUS
DOCUMENT NUMBER: 123:228210
TITLE: Preparation of fluoropropylthiazoline derivative and herbicide
INVENTOR(S): Makino, Kenji; Suzuki, Hideaki; Nagaoka, Takeshi; Niki, Toshio; Kusuoka, Yoshiyuki; Hamada, Toshimasa; Nawamaki, Tsutomu; Watanabe, Shigeomi; Ito, Yoichi; Sudo, Kazuhisa
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

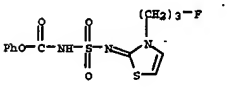
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518806	A1	19950713	WO 1995-JP11	19950110
W: BG, CN, CZ, HU, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 07242665	A2	19950919	JP 1994-310585	19941214
EP 739893	A1	19961030	EP 1995-905234	19950110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, SE				
CN 1138331	A	19961218	CN 1995-191163	19950110
CN 1037349	B	19980211		
HU 74889	A2	19970228	HU 1996-1871	19950110
HU 214648	B	19980428		
US 5763365	A	19980609	US 1996-669380	19960711
CN 1208037	A	19990217	CN 1997-112763	19970616
PRIORITY APPLN. INFO.:			JP 1994-1047 A	19940111
			JP 1994-310585 A	19941214
			WO 1995-JP11 W	19950110

GI



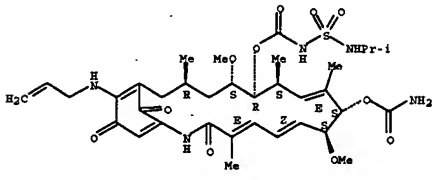
AB 1-[3-(3-Fluoropropyl)-2-thiazolinylideneaminosulfonyl]-3-(4,6-dimethoxytriazin-2-yl)urea (I; R = Q) (II) and intermediates thereof I (R = H, SO2NH2, SO2NHCMe3, SO2NHCO2Ph) are prepared A selective herbicide for beet contains said compound II as the active ingredient. Thus, 5 g

2-aminothiazole was dissolved in 15 mL DMF, followed by adding 8.8 g 1-bromo-3-fluoropropane, and the resulting mixture was heated at 80° for 7.5 h with stirring to give 5.5 g I.HBr (R = H) (III). 2-Amino-4,6-dimethoxytriazine (0.58 g) was dissolved in 100 mL THF, followed by adding dropwise 0.53 g chlorosulfonyl isocyanate, stirring the mixture for 10 min, and adding a mixture of 1.0 g III, 0.84 g Et3N, and 10 mL THF, and the resulting mixture was stirred at room temperature for 1 h to give 0.4 g II. II at 0.04 kg/ha (postemergence, foliar application) controlled ≥90% 10 weeds, e.g., Amaranthus retroflexus, Stellaria media, Polygonum blumei, Chenopodium album, and Avena fatua, showed herbicidal activity superior to that of the known defluoro analog, and gave no damage to beet.
IT 168474-00-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for preparation of 1-[(fluoropropyl)thiazolinylideneaminosulfonyl]-3-(dimethoxytriazinyl)urea as selective herbicide for beet)
RN 168474-00-8 CAPLUS
CN Carbamic acid, [[(3-(3-fluoropropyl)-2(3H)-thiazolylidene]amino]sulfonyl]-, phenyl ester (9CI) (CA INDEX NAME)



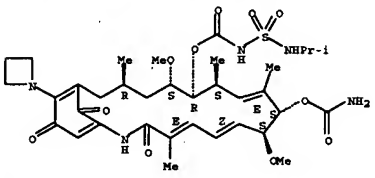
L9 ANSWER 198 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:817812 CAPLUS
DOCUMENT NUMBER: 123:305974
TITLE: erbB-2 oncogene inhibition by geldanamycin derivatives: synthesis, mechanism of action, and structure-activity relationships
AUTHOR(S): Schnur, R. C.; Corman, M. L.; Gallaschun, R. J.; Cooper, B. A.; Dee, M. F.; Doty, J. L.; Muzzi, M. L.; DiOrio, C I.; Barbacci, E. G.; et al.
CORPORATE SOURCE: Pfizer Central Research, Groton, CT, 06340, USA
SOURCE: Journal of Medicinal Chemistry (1995), 38(19), 3813-20
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Overexpression of the erbB-2 oncogene has been linked to poor prognosis in breast, ovarian, and gastric cancers. Naturally occurring benzoquinoid ansamycin antibiotics herbimycin A, geldanamycin (GDM), and dihydrogeldanamycin were found to potently deplete p185, the erbB-2 oncoprotein, in human breast cancer SKBR-3 cells in culture. Chemical efforts to modify selectively the ansa ring of GDM afforded derivs. with greater potency in vitro and in vivo. Analogs demonstrated inhibition of p185 phosphotyrosine in cell culture and in vivo after systemic drug administration to nu/nu nude mice bearing Fisher rat embryo cells transfected with human erbB-2. Functional group modification in the ansa ring was performed stereoselectively and regiospecifically without the need for protection strategies. Essential functional groups that were required for anti-erbB-2 activity were the 7-carbamate and the 2,3-double bond. Modification of the functional groups at the other positions was permitted. Structure-activity relationships are described for 1-5, 7-9, 11-, 15-, and 22-substituted geldanamycins.
IT 163113-02-8P 163113-05-1P 169564-25-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of and erbB-2 oncogene inhibition by geldanamycin derivs.)
RN 163113-02-8 CAPLUS
CN Geldanamycin, 17-demethoxy-17-(2-propenylamino)-, 11-[[[(1-methylethyl)amino]sulfonyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



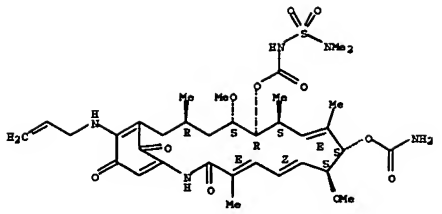
RN 163113-05-1 CAPLUS
CN Geldanamycin, 17-(1-azetidinyl)-17-demethoxy-, 11-[[[(1-methylethyl)amino]sulfonyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



RN 169564-25-4 CAPLUS
CN Geldanamycin, 17-demethoxy-17-(2-propenylamino)-, 11-[[(dimethylamino)sulfonyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

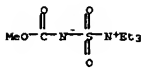


L9 ANSWER 199 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:794603 CAPLUS
DOCUMENT NUMBER: 124:30345
TITLE: Thiolysis of oxazolines: a new, selective method for the direct conversion of peptide oxazolines into thiazolines
AUTHOR(S): Wipf, Peter; Miller, Chris P.; Venkatraman, Srikanth; Fritch, Paul C.
CORPORATE SOURCE: Department Chemistry, University Pittsburgh, Pittsburgh, PA, 15260, USA
SOURCE: Tetrahedron Letters (1995), 36(36), 6395-8
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A direct oxazoline → thiazoline conversion can be realized by thiolysis of oxazolines with H2S in methanol/triethylamine, followed by cyclodehydration with Burgess reagent. This protocol is high-yielding, chemoselective, and essentially free of racemization for C(5)-unsubstituted and trans-4,5-disubstituted peptide oxazolines. Thioamide intermediates are obtained regioselectively, thus the thiolysis of oxazolines offers an alternative to the thiation of peptides with Lawesson's reagent.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(thiolysis of peptide oxazolines into thiazolines)

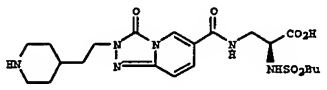
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 200 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:563209 CAPLUS
DOCUMENT NUMBER: 122:315095
TITLE: Preparation of ω-[(heterocyclylcarbonyl)amino]-α-amino acids and analogs as fibrinogen receptor antagonists
INVENTOR(S): Claremon, David Alan; Baldwin, John J.; Liverton, Nigel; Askew, Ben
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9418981	A1	19940901	WO 1994-US1881	19940222
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2155123	AA	19940901	CA 1994-2155123	19940222
AU 9462465	A1	19940914	AU 1994-62465	19940222
AU 680240	B2	19970724		
EP 684823	A1	19951206	EP 1994-909745	19940222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 71796	A2	19960228	HU 1995-2028	19940222
CN 1118139	A	19960306	CN 1994-191248	19940222
JP 08507072	T2	19960730	JP 1994-519220	19940222
JP 3173792	B2	20010604		
US 5821241	A	19981013	US 1995-495560	19950801
FI 9503916	A	19950821	FI 1995-3916	19950821
NO 9503270	A	19951019	NO 1995-3270	19950821
PRIORITY APPLN. INFO.:			US 1993-20517 A	19930222
			WO 1994-US1881 W	19940222

OTHER SOURCE(S): MARPAT 122:315095
GI



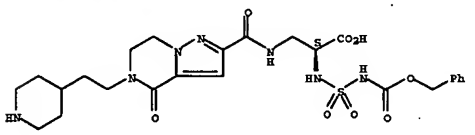
I

AB R(CH2)nZ1Z2COZ3Z4CR1R6R8 [R = C(:NH)NH2, NHC(:NH)NH2, (alkyl)amino, heterocyclyl, etc.; R1 = H, alkyl, (di)(alkyl)amino, NHSO2R7, etc.; R6 = CO2H, CH2OH, P(O)(OH)2, etc.; R7 = H, alk(en)yl, (hetero)aryl, etc.; R8 = H, alkyl; Z1 = bond, NR7CO; Z2 = bicyclic heterocyclylene; Z3 = bond, NR4; R4 = H, (cyclo)alkyl, alkenyl; Z4 = bond, CHR2(CH2)n; Z4 = CO(CH2)n when Z3 = NR4; R2 = H, alkyl, [alkyl]aryl; n = 0-7] were prepared as fibrinogen receptor antagonists (no data). Thus, tert-Bu 2,3-dihydro-3-oxo-1,4-triazolo[4,3-a]pyridine-6-carboxylate (preparation from tert-Bu 6-chloronicotinate given) was N-alkylated by 2-(N-benzyloxycarbonyl-4-piperidyl)ethyl iodide (preparation given) and the saponified product amidated by (S)-BuSO2NHCH(CH2NH2)CO2H (preparation given) to give, after deprotection, title compound I.

IT 163212-66-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ω-[(heterocyclylcarbonyl)amino]-α-amino acids and analogs as fibrinogen receptor antagonists)

RN 163212-66-6 CAPLUS
CN 3-Thia-2,4,7-triazaoctanoic acid, 5-carboxy-8-oxo-8-[4,5,6,7-tetrahydro-4-oxo-5-[2-(4-piperidinyl)ethyl]pyrazolo[1,5-a]pyrazin-2-yl]-, 1-(phenylmethyl) ester, 3,3-dioxide, monohydrochloride, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

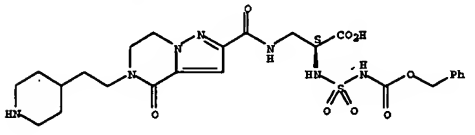


● HCl

IT 163213-01-2P 163213-46-5P 163213-47-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ω-[(heterocyclylcarbonyl)amino]-α-amino acids and analogs as fibrinogen receptor antagonists)

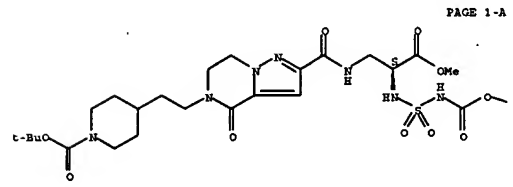
RN 163213-01-2 CAPLUS
CN 3-Thia-2,4,7-triazaoctanoic acid, 5-carboxy-8-oxo-8-[4,5,6,7-tetrahydro-4-oxo-5-[2-(4-piperidinyl)ethyl]pyrazolo[1,5-a]pyrazin-2-yl]-, 1-(phenylmethyl) ester, 3,3-dioxide, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 163213-46-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[6,7-dihydro-2-[4-(methoxycarbonyl)-6,6-dioxido-1,8-dioxo-10-phenyl-9-oxa-6-thia-2,5,7-triazadec-1-yl]-4-oxopyrazolo[1,5-a]pyrazin-5(4H)-yl]ethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

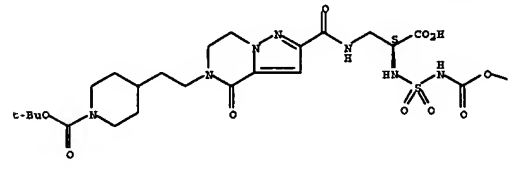


PAGE 1-A

PAGE 1-B

RN 163213-47-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[2-(4-carboxy-6,6-dioxido-1,8-dioxo-10-phenyl-9-oxa-6-thia-2,5,7-triazadec-1-yl)-6,7-dihydro-4-oxopyrazolo[1,5-a]pyrazin-5(4H)-yl]ethyl]-, 1-(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

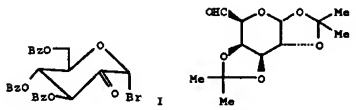


PAGE 1-A

PAGE 1-B

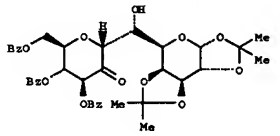
L9 ANSWER 201 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:559323 CAPLUS

DOCUMENT NUMBER: 123:170062
TITLE: Reductive cleavage as a route to carbohydrate enolates. Applications to the synthesis of C-linked disaccharides
AUTHOR(S): Binch, Hayley M.; Griffin, Andrew M.; Schwidetzky, Sabine; Ramsay, Michael V. J.; Gallagher, Timothy; Lichtenthaler, Frieder W.
CORPORATE SOURCE: Sch. Chem., Univ. Bristol, Bristol, BS8 1TS, UK
SOURCE: Journal of the Chemical Society, Chemical Communications (1995), (9), 967-8
CODEN: JCCCAT; ISSN: 0022-4936
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:170062
GI



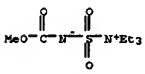
I

II



III

AB The carbohydrate-derived α-bromo ketones, e.g. I, undergo reductive cleavage using either Zn-Cu or CeCl3-NaI and the resulting enolates are trapped by carbohydrate-based aldehydes, e.g. II, to give C-disaccharides, e.g. III.
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of C-linked disaccharides via reductive C-glycosidation of sugars bromoketone with aldehydes)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

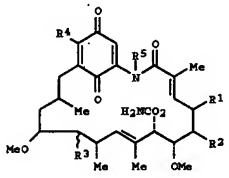


L9 ANSWER 202 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:557226 CAPLUS
DOCUMENT NUMBER: 122:314359
TITLE: Ansamycin derivatives as antioncogene and anticancer agents
INVENTOR(S): Gallaschun, Randall James; Moyer, Mikel Paul; Schnur, Rodney Caughren
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9501342	A1	19950112	WO 1994-IB160	19940616
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2166320	AA	19950112	CA 1994-2166320	19940616
EP 706516	A1	19960417	EP 1994-916372	19940616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08506356	T2	19960709	JP 1994-503379	19940616
JP 2794342	B2	19980903		
FI 9403100	A	19941230	FI 1994-3100	19940628
US 5932566	A	19990803	US 1996-578671	19960325
PRIORITY APPLN. INFO.:			US 1993-85065 A	19930629
			WO 1994-IB160 W	19940616

OTHER SOURCE(S): CASREACT 122:314359; MARPAT 122:314359
GI

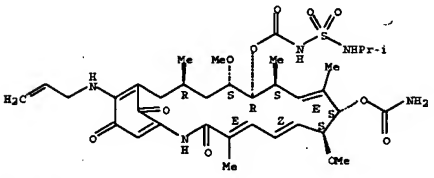


I

AB Title compds. I [R1, R2 = H; R1R2 = bond; R3 = (un)substituted OH, NH2, O, NOH; R4 = (un)substituted amino; R5 = H, (un)substituted phenacyl] and pharmaceutically acceptable salts and prodrugs thereof were prepared as neoplasm and oncogene inhibitors (no data). Thus, 4,5-dihydrogeldanamycin was treated with Me2CHNH2 to give 72% 17-isopropylamino-4,5-dihydro-17-demethoxygeldanamycin.
IT 163113-02-8P 163113-03-9P 163113-04-0P
163113-05-1P 163113-06-2P 163113-07-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of geldanamycin derivs. as antioncogene and anticancer agents)
RN 163113-02-8 CAPLUS
CN Geldanamycin, 17-demethoxy-17-(2-propenylamino)-, 11-[[[(1-methylethyl)amino]sulfonyl]carbamate] (9CI) (CA INDEX NAME)

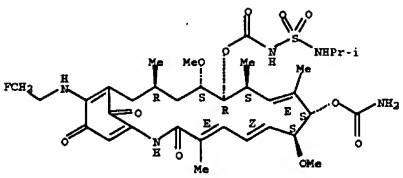
Absolute stereochemistry.

Double bond geometry as described by E or Z.



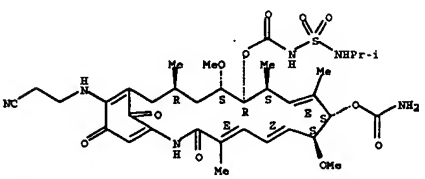
RN 163113-03-9 CAPLUS
CN Geldanamycin, 17-demethoxy-17-[(2-fluoroethyl)amino]-, 11-[[[(1-methylethyl)amino]sulfonyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



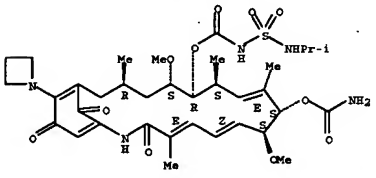
RN 163113-04-0 CAPLUS
CN Geldanamycin, 17-[(2-cyanoethyl)amino]-17-demethoxy-, 11-[[[(1-methylethyl)amino]sulfonyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



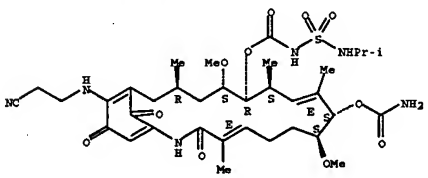
RN 163113-05-1 CAPLUS
CN Geldanamycin, 17-(1-azetidinyl)-17-demethoxy-, 11-[[[(1-methylethyl)amino]sulfonyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



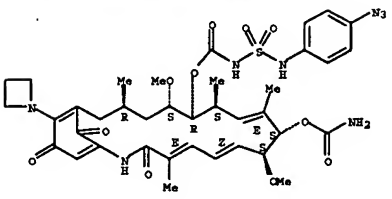
RN 163113-06-2 CAPLUS
CN Geldanamycin, 17-[(2-cyanoethyl)amino]-17-demethoxy-4,5-dihydro-, 11-[[[(1-methylethyl)amino]sulfonyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 163113-07-3 CAPLUS
CN Geldanamycin, 17-(1-azetidinyl)-17-demethoxy-, 11-[[[(4-azidophenyl)amino]sulfonyl]carbamate] (9CI) (CA INDEX NAME)

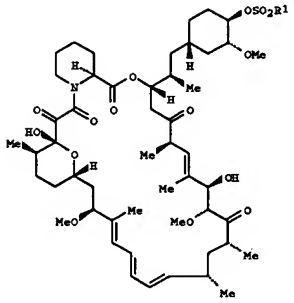
Absolute stereochemistry.
Double bond geometry as described by E or Z.



L9 ANSWER 203 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:401207 CAPLUS
DOCUMENT NUMBER: 122:187266
TITLE: Preparation of rapamycin 42-sulfonates as immunosuppressive agents
INVENTOR(S): Failli, Amedeo; Kao, Wenling; Steffan, Robert J.; Vogel, Robert L.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 8 pp. Cont.-in-part of U.S., 5,238,443.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

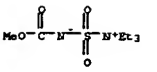
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5346893	A	19940913	US 1993-65107	19930519
US 5177203	A	19930105	US 1992-846637	19920305
US 5260299	A	19931109	US 1992-917555	19920721
PRIORITY APPLN. INFO.:			US 1992-846637	A3 19920305
			US 1992-917555	A2 19920721

OTHER SOURCE(S): MARPAT 122:187266
GI



I

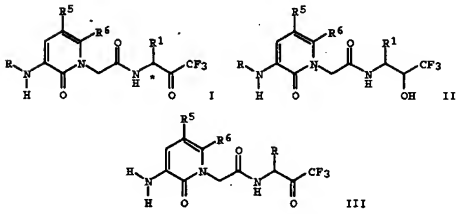
AB Title compds. [I; R1 = (halo)alkyl, alkenyl, alkynyl, Ph, naphthyl, NHCO2R2, etc.; R2 = alkyl] were prepared Thus, prepared I (R1 = 8-quinolyl) gave 10.7 days survival of pinch skin graft on mice (dose not given) i.p.
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of rapamycin 42-sulfonates as immunosuppressive agents)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 204 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:213847 CAPLUS
DOCUMENT NUMBER: 122:10680
TITLE: Preparation of lactam dipeptides having human leukocyte elastase (HLE) inhibiting activity
INVENTOR(S): Berstein, Peter Robert; Thomas, Royston Martin; Warner, Peter; Wolanin, Donald John
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

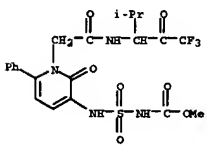
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9321212	A1	19931028	WO 1993-GB794	19930415
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9340769	A1	19931110	AU 1993-40769	19930415
EP 630382	A1	19941228	EP 1993-910157	19930415
EP 630382	B1	19970226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07505873	T2	19950629	JP 1993-510137	19930415
HU 70430	A2	19951030	HU 1994-2968	19930415
AT 149175	E	19970315	AT 1993-910157	19930415
ZA 9302697	A	19931028	ZA 1993-2697	19930416
FI 9404802	A	19941012	FI 1994-4802	19941012
NO 9403909	A	19941014	NO 1994-3909	19941014
PRIORITY APPLN. INFO.:			GB 1992-8379	A 19920416
			GB 1992-8380	A 19920416
			GB 1992-14448	A 19920708
			GB 1992-17362	A 19920814
			GB 1992-17363	A 19920814
			GB 1992-17364	A 19920814
			WO 1993-GB794	A 19930415

OTHER SOURCE(S): CASREACT 122:10680; MARPAT 122:10680
GI

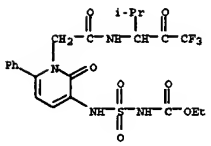


I II III

AB The title compds. [I; R = substituted sulfonyl, etc.; R1 = C1-5 alkyl; R5, R6 = H, alkyl], useful as HLE inhibitors (no data), are prepared via oxidation of the alcs. II, N-sulfonylation of the oxopropylacetamides III. E.g., 2-(3-amino-2-oxo-6-phenyl-1,2-dihydro-1-pyridyl)-N-(2-tert-butyldimethylsilyloxy-3,3,3-trifluoro-1-isopropylpropyl)acetamide was N-sulfonylated with benzylsulfonyl chloride, the resulting tert-butyldimethylsilyl ether was treated with Bu4NH4F in THF-HOAc to give II [R = benzylsulfonyl, R1 = iso-Pr, R5 = H, R6 = phenyl], which was treated with 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide-HCl in THF-DMSO to give I [R, R1, R5, R6 same as above].
IT 159290-58-1P 159290-62-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as HLE inhibitor)
RN 159290-58-1 CAPLUS
CN Carbamic acid, [[[1,2-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-6-phenyl-3-pyridinyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

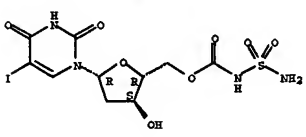


RN 159290-62-7 CAPLUS
CN Carbamic acid, [[[1,2-dihydro-2-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-6-phenyl-3-pyridinyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 205 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:671275 CAPLUS
DOCUMENT NUMBER: 121:271275
TITLE: Bioisosters of the diphosphate group in activated forms of antiherpes virus agents. A theoretical study
AUTHOR(S): Macchia, Marco; Martinelli, Adriano; Parkin, Ann; Rossello, Armando
CORPORATE SOURCE: Istituto Chimica Farmaceutica Tossicologica, Universita Pisa, Pisa, 56126, Italy
SOURCE: Farmaco (1994), 49(5), 325-32
CODEN: FEMCE8; ISSN: 0014-827X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In order to identify potential bioisosteric replacements for the diphosphate moiety, which is present in activated forms generated from antiherpes virus agents during their inhibitory action against herpes viruses, 5'-phosphonoacetamido (I) and 5'-O-sulfamoylcarbamoyl (II) derivs. of idoxuridine were synthesized as analogs of idoxuridine 5'-diphosphate. In this paper we report on the antiherpetic activity of I and II. A theor. study is also presented in which both the conformational and the electronic characteristics of I and II are compared with those of the diphosphate metabolite of idoxuridine, in order to verify the possibility of bioisosterism relationship between the phosphonoacetamido, the sulfamoylcarbamoyl and the diphosphate group.
IT 144872-46-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FRP (Properties); BIOL (Biological study)
(antiherpes activity and conformational anal. of idoxuridine diphosphate analogs)
RN 144872-46-8 CAPLUS
CN Uridine, 2'-deoxy-5-iodo-, 5'-[(aminosulfonyl)carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



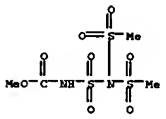
L9 ANSWER 206 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:533524 CAPLUS
DOCUMENT NUMBER: 121:133524

TITLE: Polysulfonylamines. LVI. Dimesylaminosulfonyl isocyanate: addition reactions with OH-, SH-, and NH-functionalized molecules; solid-state structure of N-(dimesylaminosulfonyl)methylurethane
AUTHOR(S): Dalluhn, J.; Blaschette, A.; Jones, P. G.
CORPORATE SOURCE: Institut Anorganische Analytische Chemie, Technische Universitaet, Braunschweig, 38023, Germany
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1994), 86(1-4), 85-92
CODEN: PSSLEC; ISSN: 1042-6507
DOCUMENT TYPE: Journal
LANGUAGE: German

AB Addition reactions of (MeSO2)2NSO2NCO (1) with alkanols, phenols, or thiols afforded urethanes (MeSO2)2NSO2NHCO2R (2; R = Me3C, ClCH2CH2, PhCH2, Ph, 4-ClC6H4) and thiourethanes (MeSO2)2NSO2NHC(O)SR (R = Et, Me2CH, Me3C, PhCH2, Ph, 4-ClC6H4). Reaction of 1 with methanesulfonamide gave the novel urea (MeSO2)2NSO2NHCONHSO2Me. X-ray structure anal. of 2 (R = Me) shows that the trisulfonylated nitrogen atom has a trigonal-planar S3 environment and the sulfonylcarbamate moiety displays a syn-syn-conformation. The mols. are linked into chains by a weak intermol. hydrogen bond N-H···O (N···O 301 pm) to an oxygen atom of the N-SO2-N group.

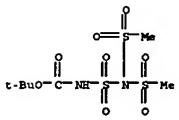
IT 145702-74-5
RL: PRP (Properties)
(crystal structure of)

RN 145702-74-5 CAPLUS
CN 2,4-Dithia-3,5-diazahexan-6-oic acid, 3-(methylsulfonyl)-, methyl ester, 2,2,4,4-tetraoxide (9CI) (CA INDEX NAME)

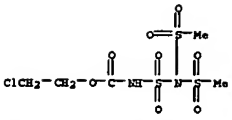


IT 157171-37-4P 157171-38-5P 157171-39-6P
157171-40-9P 157171-41-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

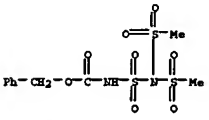
RN 157171-37-4 CAPLUS
CN 2,4-Dithia-3,5-diazahexan-6-oic acid, 3-(methylsulfonyl)-, 1,1-dimethylethyl ester, 2,2,4,4-tetraoxide (9CI) (CA INDEX NAME)



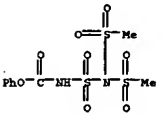
RN 157171-38-5 CAPLUS
CN 2,4-Dithia-3,5-diazahexan-6-oic acid, 3-(methylsulfonyl)-, 2-chloroethyl ester, 2,2,4,4-tetraoxide (9CI) (CA INDEX NAME)



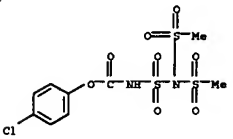
RN 157171-39-6 CAPLUS
CN 2,4-Dithia-3,5-diazahexan-6-oic acid, 3-(methylsulfonyl)-, phenylmethyl ester, 2,2,4,4-tetraoxide (9CI) (CA INDEX NAME)



RN 157171-40-9 CAPLUS
CN 2,4-Dithia-3,5-diazahexan-6-oic acid, 3-(methylsulfonyl)-, phenyl ester, 2,2,4,4-tetraoxide (9CI) (CA INDEX NAME)



RN 157171-41-0 CAPLUS
CN 2,4-Dithia-3,5-diazahexan-6-oic acid, 3-(methylsulfonyl)-, 4-chlorophenyl ester, 2,2,4,4-tetraoxide (9CI) (CA INDEX NAME)



L9 ANSWER 207 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:133875 CAPLUS
DOCUMENT NUMBER: 120:133875
TITLE: Preparation of sulfamides from alcohols and oxycarbonylsulfamide compounds

INVENTOR(S): Sendo, Yuji; Kii, Makoto; Nishitani, Yasuhiro; Irie, Tadashi; Nishino, Yutaka
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 557122	A1	19930825	EP 1993-301235	19930219
EP 557122	B1	19970115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2203942	C	20010213	CA 1992-2203942	19920819
JP 05294970	A2	19931109	JP 1992-221767	19920820
JP 2542773	B2	19961009		
US 5539102	A	19960723	US 1993-19105	19930218
JP 06072986	A2	19940315	JP 1993-30908	19930219
JP 3238512	B2	20011217		
AT 147726	E	19970215	AT 1993-301235	19930219
ES 2096854	T3	19970316	ES 1993-301235	19930219
CN 1052474	B	20000517	CN 1993-103439	19930220
AU 667442	B2	19960321	AU 1994-70307	19940818
AU 9470307	A1	19941013		
US 5703243	A	19971230	US 1995-574863	19951219
CN 1257068	A	20000621	CN 1999-118351	19990824

PRIORITY APPLN. INFO.:

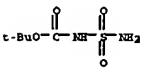
JP 1992-35366	A	19920221
JP 1992-180930	A	19920708
JP 1992-221767	A	19920820
JP 1991-207972	A	19910820
US 1992-929961	A3	19920814
CA 1992-2076430	A3	19920819
US 1994-204629	B1	19940301

OTHER SOURCE(S): CASREACT 120:133875; MARPAT 120:133875

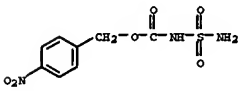
AB The title compds. R3NHSO2NR1R2 (R1, R2 = H, alkyl, cycloalkyl, alkenyl, alkynyl, aralkyl, aryl, heterocyclyl, heterocyclylalkyl heterocyclyl selected from pyranosyl, furanosyl, piperidinyl, pyrrolidinyl, azetidinone ring, cephem ring, penem ring, carbapenem ring; R3 = alkyl, alkenyl, alkynyl, aralkyl, heterocyclyl, heterocyclylalkyl heterocyclyl selected from pyranosyl, furanosyl, etc.), useful for producing physiol. active compds., e.g., bactericides, (no data) were prepared by reacting an alc. R3OH (R3 = as above) and an oxycarbonylsulfamide compound R4O2CNHSO2NR1R2 (R1, R2 = as above, R4 = carboxy protecting group) in the presence of a trivalent P compound and an azodicarboxylic acid derivative. Thus, H2NSO2NHCO2CMe3 (preparation given) and PhCH2OH were treated with Bu3P and di-Et azodicarboxylate in THF to give 73% H2NSO2N(CH2Ph)CO2CMe3, which was treated with CF3CO2H in CH2Cl2-PhOMe to give 90% H2NSO2NHCH2Ph.

IT 148017-28-1P 153028-11-6P 153028-12-7P
153028-13-8P 153028-14-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate and preparation of sulfamide)

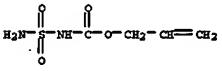
RN 148017-28-1 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



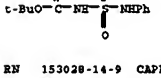
RN 153028-11-6 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)



RN 153028-12-7 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)

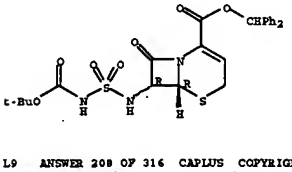


RN 153028-13-8 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



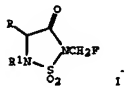
RN 153028-14-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]-8-oxo-, diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



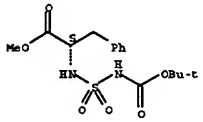
L9 ANSWER 208 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:124451 CAPLUS
DOCUMENT NUMBER: 120:124451
TITLE: Substituted 3-oxo-1,2,5-thiadiazolidine 1,1-dioxides: a new class of potential mechanism-based inhibitors of human leukocyte elastase and cathepsin G
AUTHOR(S): Groutas, William C.; Kuang, Rongze; Venkataraman, Radhika

CORPORATE SOURCE: Dep. Chem., Wichita State Univ., Wichita, KS, 67260, USA
SOURCE: Biochemical and Biophysical Research Communications (1994), 198(1), 341-9
CODEN: BBRCA9; ISSN: 0006-291X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB A series of substituted 3-oxo-1,2,5-thiadiazolidine 1,1-dioxides (I, R = benzyl; R1 = H, Me, benzyl, CH2CO2-tert-Bu or CH2CO2-benzyl) was prepd, and their in vitro inhibitory activity toward human leukocyte elastase and cathepsin G was investigated. These compds. inactivated the 2 enzymes efficiently and in a time-dependent fashion.
IT **139059-69-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 139059-69-1 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-2-(phenylmethyl)-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

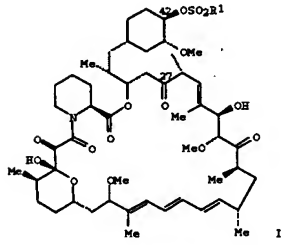
Absolute stereochemistry.



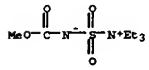
L9 ANSWER 209 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:106649 CAPLUS
DOCUMENT NUMBER: 120:106649
TITLE: Rapamycin 42-sulfonates and 42-(n-carboalkoxy)sulfamates useful as immunosuppressive and antiinflammatory agents
INVENTOR(S): Failli, Amedeo Arturo; Kao, Wenling; Steffan, Robert John; Vogel, Robert Lewis
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9318043	A1	19930916	WO 1993-US1863	19930303
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
US 5177203	A	19930105	US 1992-846637	19920305
AU 9337844	A1	19931005	AU 1993-37844	19930303
PRIORITY APPLN. INFO.:			US 1992-846637	A 19920305
			GB 1992-23760	A 19921112
			WO 1993-US1863	A 19930303

OTHER SOURCE(S): MARPAT 120:106649
GI



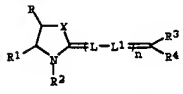
AB The title compds. I (R1 = C1-6 alkyl, alkenyl, alkyne, Ph naphthyl, 4-(phenylaza)phenyl, etc.), which are useful in the treatment of transplantation rejection, autoimmune diseases, and diseases of inflammation, are prepared Thus, rapamycin was condensed with methyl(carboxysulfamoyl) triethylammonium inner salt, producing I (R1 = NHCO2Me), which demonstrated mouse pinch skin graft mean survival time of 10.33 ± 0.24 days.
IT **29684-56-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with rapamycin)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 210 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:528344 CAPLUS
DOCUMENT NUMBER: 119:128344
TITLE: Silver halide photographic material spectrally sensitized by an azole-containing merocyanine to improve sensitivity and wash off speed
INVENTOR(S): Yamauchi, Reiko; Kawashima, Yasuhiko; Tanaka, Mari; Sudo, Susumu
PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

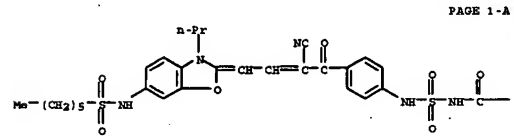
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05093981	A2	19930416	JP 1991-256688	19911003
PRIORITY APPLN. INFO.:			JP 1991-256688	19911003

GI



AB The photog. material has an Ag halide emulsion layer spectrally sensitized by a compound I (R, R1 = H, substituted; R and R1 may be combined to form a ring; R2 = alkyl, aryl, alkenyl, alkynyl; R3, R4 = electron-attractive group; X = S, O, NR5, Se, CR6R7; R5-7 = H, alkyl, aryl, alkenyl, alkynyl; L, L1 = methyne; at least 1 of the substituent must be NHSO2NR8R9 (R8, R9 = H, alkyl, aryl, COR7; n = 1, 2). The compound improves spectral sensitivity and remains little dye stain after processing.
IT **149248-79-3 149248-84-0 149248-91-9**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. spectral sensitizer)
RN 149248-79-3 CAPLUS
CN Carbamic acid, [[[4-[2-cyano-4-[6-[(hexylsulfonyl)amino]-3-propyl-2(3H)-benzoxazolylidene]-1-oxo-2-butenyl]phenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

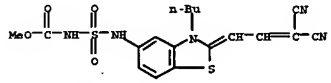
PAGE 1-A



PAGE 1-B

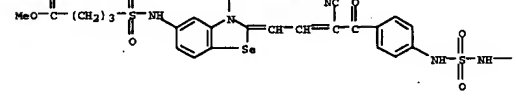
—OMe

RN 149248-84-0 CAPLUS
CN Carbamic acid, [[[3-butyl-2-(3,3-dicyano-2-propenylidene)-2,3-dihydro-5-benzothiazolyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 149248-91-9 CAPLUS
CN Butanoic acid, 4-[[[2-[3-cyano-4-[4-[[[(methoxycarbonyl]amino]sulfonyl]amino]phenyl]-4-oxo-2-butenylidene]-2,3-dihydro-3-(2-propynyl)-5-benzoselenazolyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



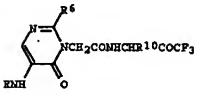
PAGE 1-B

L9 ANSWER 211 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:472617 CAPLUS
DOCUMENT NUMBER: 119:72617
TITLE: Preparation of N-(oxoalkyl)-5-(acylamino)-6-oxopyrimidin-1-ylacetamides as elastase inhibitors
INVENTOR(S): Bernstein, Peter Robert; Edwards, Philip Duke; Shaw, Andrew; Thomas, Royston Martin; Veale, Chris Allan; Warner, Peter; Wolanin, Donald John
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Eur. Pat. Appl., 64 pp.

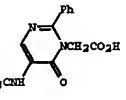
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 528633	A1	19930224	EP 1992-307389	19920812
EP 528633	B1	20001018		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
AT 197043	E	20001115	AT 1992-307389	19920812
CA 2076226	AA	19930216	CA 1992-2076226	19920814
CA 2076226	C	20040921		
NO 9203197	A	19930216	NO 1992-3197	19920814
AU 9221016	A1	19930218	AU 1992-21016	19920814
AU 658426	B2	19950413		
HU 61732	A2	19930301	HU 1992-2640	19920814
ZA 9206147	A	19930428	ZA 1992-6147	19920814
US 5254558	A	19931019	US 1992-930568	19920814
JP 05286946	A2	19931102	JP 1992-260490	19920817
PRIORITY APPLN. INFO.:			GB 1991-17641 A	19910815
			GB 1992-8378 A	19920416
			GB 1992-14447 A	19920708
OTHER SOURCE(S):		MARPAT 119:72617		

GI



I



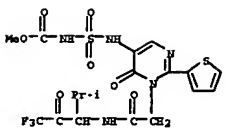
II

AB Title compds. [I; R = H, alkanoyl, alkoxycarbonyl, etc. R6 = (cyclo)alkyl, (hetero)aryl; R10 = alkyl] were prepared Thus, BzNHCH2CH:CH2 (preparation given) was cyclocondensed with EtOCH:C(CO2Et)2 and the product converted in 4 steps to pyrimidinylacetate II which was condensed with Me2CHCH(NH2)CH(OH)CF3 to give, after oxidation I (R10 = CHMe2) (III; R = PhCH2O2C, R6 = Ph). III (R = Me2CHO2C, R6 = 2-thienyl) gave statistically significant (sic) inhibition of human neutrophil elastase-induced lung hemorrhage in hamsters at 2.5 mg/kg orally.

IT 148747-30-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as elastase inhibitor)

RN 148747-30-2 CAPLUS

CN Carbamic acid, [[[1,6-dihydro-6-oxo-1-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-2-(2-thienyl)-5-pyrimidinyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

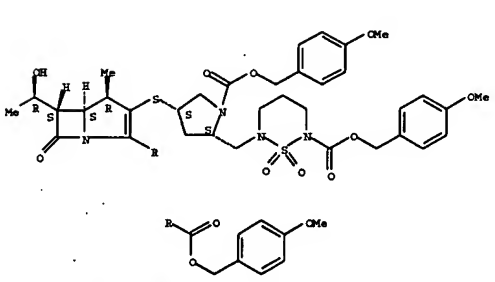


L9 ANSWER 212 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:472425 CAPLUS
DOCUMENT NUMBER: 119:72425
TITLE: Preparation of 2-(pyrrolidinylthio)carbapenem antibacterials
INVENTOR(S): Nishitani, Yasuhiro; Irie, Tadashi; Nishino, Yutaka
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 528678	A1	19930224	EP 1992-307547	19920818
EP 528678	B1	20010523		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5317016	A	19940531	US 1992-929961	19920814
AU 9221090	A1	19930225	AU 1992-21090	19920818
AU 652273	B2	19940818		
PT 528678	T	20010830	PT 1992-307547	19920818
ES 2159277	T3	20011001	ES 1992-307547	19920818
CA 2076430	AA	19930221	CA 1992-2076430	19920819
CA 2076430	C	19971223		
NO 9203256	A	19930222	NO 1992-3256	19920819
NO 301371	B1	19971020		
CA 2203942	C	20010213	CA 1992-2203942	19920819
CN 1071428	A	19930428	CN 1992-111069	19920820
CN 1032257	B	19960710		
AU 667442	B2	19960321	AU 1994-70307	19940818
AU 9470307	A1	19941013		
CN 1113233	A	19951213	CN 1995-104834	19950421
CN 1034571	B	19970416		
US 5703243	A	19971230	US 1995-574863	19951219
GR 3036434	T3	20011130	GR 2001-401285	20010822
PRIORITY APPLN. INFO.:			JP 1991-207972 A	19910820
			JP 1992-35366 A	19920221
			US 1992-929961 A3	19920814
			CA 1992-2076430 A3	19920819
			US 1994-204629 B1	19940301
OTHER SOURCE(S):		MARPAT 119:72425		

GI For diagram(s), see printed CA Issue.

AB Title compds. [I; R1 = H, alkyl; R2-R4 = H, (substituted) alkyl; protecting group; R2R3, R2R4, R3R4 = atoms to form (unsatd.) (substituted) cyclic groups; X1 = H, protecting group; X2 = H, protecting group, ammonio, alkali- or alkaline earth metal; Y2 = H, protecting group], were prepared Thus, (1R,5S,6S)-6-[(1R)-1-hydroxyethyl]-2-oxo-1-methyl-1-carbapenem-3-carboxylic acid p-methoxybenzyl ester in MeCn was stirred with (PhO)2P(O)Cl and (Me2CH)2NEt at -25° to room temperature; 2-sulfamoylaminomethyl-1-tert-butoxycarbonyl-4-mercaptopyrrolidine and (Me2CH)2NEt were added and the mixture was stirred 22 h at room temperature to give 60% coupling product, which was stirred with AlCl3 in CH2Cl2/MeNO2 to give title compound II (R4 = H). I have 2-8 times the activity of imipenem or meropenem against Pseudomonas aeruginosa. An injection formulation containing II was prepared for treating bladder infection caused by Staphylococcus aureus.

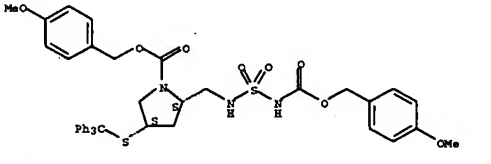


IT 148016-96-0P 148016-97-1P 148017-01-0P
148017-28-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for pyrrolidinylthiocarbapenem antibacterial)

RN 148016-96-0 CAPLUS

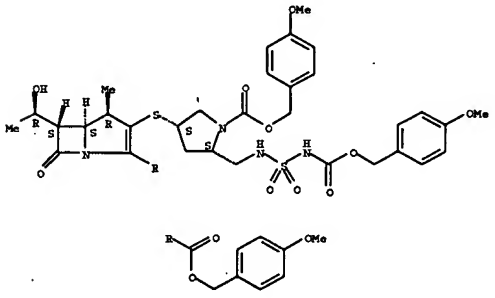
CN 1-Pyrrolidinecarboxylic acid, 2-[7-(4-methoxyphenyl)-3,3-dioxido-5-oxo-6-oxa-3-thia-2,4-diazahept-1-yl]-4-[(triphenylmethyl)thio]-, (4-methoxyphenyl)methyl ester, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 148016-97-1 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 4-mercapto-2-[7-(4-methoxyphenyl)-3,3-dioxido-5-oxo-6-oxa-3-thia-2,4-diazahept-1-yl]-, (4-methoxyphenyl)methyl ester, (2S-cis)- (9CI) (CA INDEX NAME)



RN 148017-54-3 CAPLUS

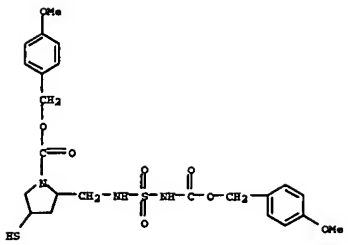
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[1-[[(4-methoxyphenyl)methoxy]carbonyl]-5-[7-(4-methoxyphenyl)-3,3-dioxido-5-oxo-6-oxa-3-thia-2,4-diazahept-1-yl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, (4-methoxyphenyl)methyl ester, [4R-[3(3S*,5S*),4 α,5β,6β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 148017-60-1 CAPLUS

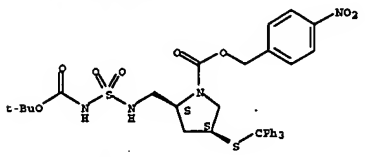
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[1-[[(4-methoxyphenyl)methoxy]carbonyl]-5-[[tetrahydro-6-[[(4-methoxyphenyl)methoxy]carbonyl]-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl]methyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, (4-methoxyphenyl)methyl ester, [4R-[3(3S*,5S*),4 α,5β,6β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

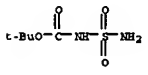


RN 148017-01-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]amino]methyl]-4-[(triphenylmethyl)thio]-, (4-nitrophenyl)methyl ester, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



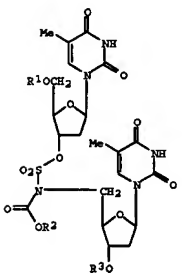
RN 148017-28-1 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 148017-71-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of pyrrolidinylthiocarbamapenem antibacterial)
RN 148017-71-4 CAPLUS
CN 2H-1,2,6-Thiadiazine-2-carboxylic acid, tetrahydro-6-[[4-mercapto-1-[[(4-methoxyphenyl)methoxy]carbonyl]-2-pyrrolidinyl]methyl]-, (4-methoxyphenyl)methyl ester, 1,1-dioxide, (2S-cis)- (9CI) (CA INDEX NAME)

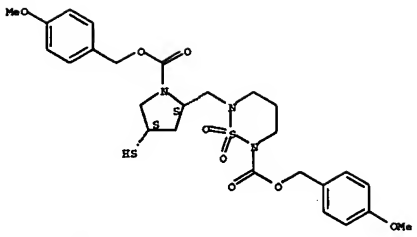
Absolute stereochemistry.

OTHER SOURCE(S): CASREACT 118:255277
GI

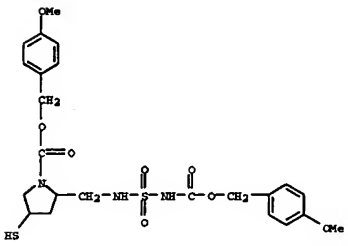


I

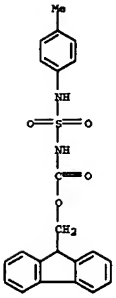
AB Carboxylsulfamides RO2CNHSO2NHR', from 1-pot double nucleophilic reaction on ClSO2NCO, react under Mitsunobu conditions to give sulfamoyl-inserted derivs. This approach allows the selective linkage between multifunctional compds. and an efficient synthesis of sulfamoyl analogs of biomols., e.g., sulfamate-bridged oligonucleotide analog I (R1 = trityl, R2 = PhCH2, R3 = Bz).
IT 147715-95-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of, with geraniol, under Mitsunobu conditions)
RN 147715-95-5 CAPLUS
CN Carbamic acid, [[(4-methylphenyl)amino]sulfonyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



IT 148017-66-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of pyrrolidinylthiocarbapenem antibacterial)
RN 148017-66-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 4-mercapto-2-[7-(4-methoxyphenyl)-3,3-dioxido-5-oxo-6-oxa-3-thia-2,4-diazahept-1-yl]-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

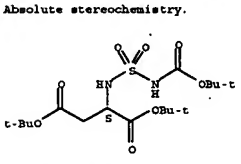


L9 ANSWER 213 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:255277 CAPLUS
DOCUMENT NUMBER: 118:255277
TITLE: Use of chlorosulfonyl isocyanate as a trifunctional reagent: insertion of an activated sulfamoyl group, application to biomolecules
AUTHOR(S): Dewynter, Georges; Montero, Jean Louis
CORPORATE SOURCE: Lab. Chim. Bio-Org., Univ. Montpellier-II, Montpellier, 34095, Fr.
SOURCE: Comptes Rendus de l'Academie des Sciences, Serie II: Mecanique, Physique, Chimie, Sciences de la Terre et de l'Univers (1992), 315(13), 1675-82
CODEN: CRAMED; ISSN: 0764-4450
DOCUMENT TYPE: Journal
LANGUAGE: French



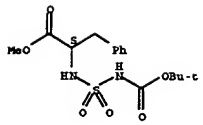
IT 147715-94-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with thymidine, under Mitsunobu conditions)
RN 147715-94-4 CAPLUS
CN L-Aspartic acid, N-[[[(1,1-dimethylethoxy)carbonyl]amino]sulfonyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

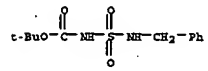


IT 139059-69-1P 147000-78-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and regiospecific benzylation of, under Mitsunobu conditions)
RN 139059-69-1 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-2-(phenylmethyl)-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

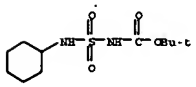
Absolute stereochemistry.



RN 147000-78-0 CAPLUS
CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



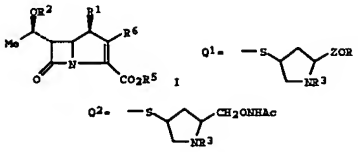
IT 147715-84-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and regiospecific chloroethylation of, under Mitsunobu conditions)
RN 147715-84-2 CAPLUS
CN Carbamic acid, [(cyclohexylamino)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



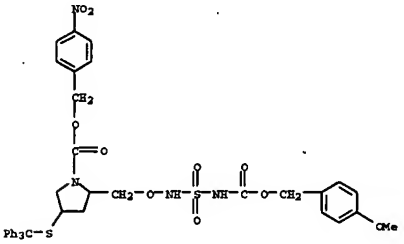
L9 ANSWER 214 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:233767 CAPLUS
DOCUMENT NUMBER: 118:233767
TITLE: Preparation of (pyrrolidinylthio)carbapenems as antibiotics
INVENTOR(S): Sendo, Yuji; Kii, Makoto
PATENT ASSIGNEE(S): Shionogi Seiyaku K. K., Japan
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 521524	A1	19930107	EP 1992-111356	19920703
EP 521524	B1	19970409		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
US 5360798	A	19941101	US 1992-896669	19920610
JP 05186467	A2	19930727	JP 1992-176645	19920703
JP 3296845	B2	20020702		
AT 151424	E	19970415	AT 1992-111356	19920703
ES 2103014	T3	19970816	ES 1992-111356	19920703
US 5523415	A	19960604	US 1994-273979	19940712

PRIORITY APPLN. INFO.: JP 1991-164247 A 19910704
US 1992-896669 A3 19920610
OTHER SOURCE(S): MARPAT 118:233767
GI



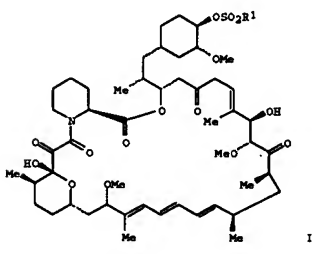
AB Title compds. [I; R1 = H, alkyl; R2 = H, hydroxy-protective group; R5 = H, carboxy-protective group; R6 = pyrrolidinylthio group Q1; R = (substituted)amino; R3 = H, imino-protective group, etc.; Z = alkylene] where prepared Thus, N-(p-nitrobenzyloxycarbonyl)-L-hydroxyproline was converted in 8 steps to R8H [R8 = pyrrolidinylthio group (2S,4S)-Q2, R3 = CO2CH2C6H4(NO2)-4] which was condensed with I (R1 = Me, R2 = H) [II; R5 = CH2C6H4(NO2)-4, R6 = OSO2CF3] to give, after deprotection, II [R5 = H, R6 = (2S,4S)-Q2, R3 = H]. The latter prevented infection of mice by Staphylococcus aureus Smith and Pseudomonas aeruginosa SR24 at 0.99 and 0.58 mg/kg, resp. (route of administration not given).
IT 147117-78-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antibiotics)
RN 147117-78-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[8-(4-methoxyphenyl)-4,4-dioxido-6-oxo-2,7-dioxa-4-thia-3,5-diazaoct-1-yl]-4-[(triphenylmethyl)thio]-, (4-nitrophenyl)methyl ester, (2S-cis)- (9CI) (CA INDEX NAME)



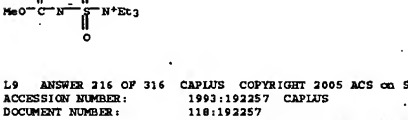
L9 ANSWER 215 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:212763 CAPLUS
DOCUMENT NUMBER: 118:212763
TITLE: Preparation of rapamycin 42-sulfonates and 42-(N-carbalkoxy)sulfamates useful in immunosuppressive agents
INVENTOR(S): Failli, Amedeo A.; Kao, Wenling; Steffan, Robert J.; Vogel, Robert L.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5177203	A	19930105	US 1992-846637	19920305
US 5260299	A	19931109	US 1992-917555	19920721
ZA 9301490	A	19940902	ZA 1993-1490	19930302
WO 9318043	A1	19930916	WO 1993-US1863	19930303
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9337844	A1	19931005	AU 1993-37844	19930303
US 5346893	A	19940913	US 1993-65107	19930519

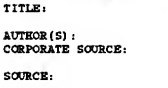
PRIORITY APPLN. INFO.: US 1992-846637 A3 19920305
US 1992-917555 A2 19920721
GB 1992-23760 A 19921112
WO 1993-US1863 A 19930303
OTHER SOURCE(S): MARPAT 118:212763
GI



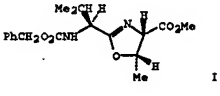
AB Title compds. I (R1 = C1-6 alkyl, C1-6 alkenyl, C1-6 alkynyl, PhS, naphthyl, quinolinyl, R2O2CNH wherein R2 = C1-6 alkyl) or their salts are prepared A solution of rapamycin in pyridine was treated at 0° with dansyl chloride and stirred at room temperature for 24 h to give I (R1 = dansyl)



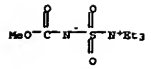
(II). II and I demonstrated high immunosuppressive activity both in vitro and in vivo.
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with rapamycin)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 216 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:192257 CAPLUS
DOCUMENT NUMBER: 118:192257
TITLE: Stereospecific synthesis of peptide analogs with allo-threonine and D-allo-threonine residues
AUTHOR(S): Wipf, Peter; Miller, Chris P.
CORPORATE SOURCE: Dep. Chem., Univ. Pittsburgh, Pittsburgh, PA, 15260, USA
SOURCE: Journal of Organic Chemistry (1993), 58(6), 1575-8
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:192257
GI



AB Cyclization of threonine or D-threonine containing peptides with Burgess reagent leads, after mild acid/base hydrolysis of the intermediate peptide oxazoline, e.g. I, to the corresponding allo-threonine and D-allo-threonine sequences. The inversion of configuration at C(β) of these β-hydroxy-α-amino acids is highly regio- and stereospecific, and no epimerization at C(α) occurs. Therefore, this methodol. allows the direct preparation of aThr and D-aThr peptide analogs from readily available L- or D-Thr containing segments, without the need for asym. synthesis or resolution
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(agent, for stereoselective cyclization of threonine- and allothreonine-containing peptides to oxazolines)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

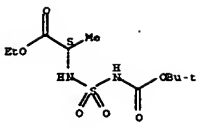


L9 ANSWER 217 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:192205 CAPLUS
DOCUMENT NUMBER: 118:192205
TITLE: Synthesis of chiral sulfahydantoins. Stereochemical aspects and regiospecific protection
AUTHOR(S): Dewynter, Georges; Acuf, Nourreddine; Criton, Marc; Montero, Jean Louis
CORPORATE SOURCE: Lab. Chim. Bio-Org., Univ. Montpellier II-Sci. Tech. Languedoc, Montpellier, 34 095, Fr.
SOURCE: Tetrahedron (1993), 49(1), 65-76
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 118:192205
GI

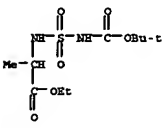
I

AB The title compds. (R)- and (S)-I [R = CH2Ph, (S)-CH2CHMeEt] were prepared from ClSO2NHCO2CMe3 by two convergent pathways. Thus, ClSO2NHCO2CMe3 was treated with alanine Et ester, followed by benzylation under Mitsunobu conditions and deblocking to give EtO2CCHMeNHSO2NHCH2Ph (II). II was also obtained from ClSO2NHCO2CMe3 by reaction with PhCH2NH2, followed by L-lactate and deblocking. EtO2CCHMeNHSO2NHCH2CHMeEt (III) was prepared from ClSO2NHCO2CMe3, DL-alanine, and (S)-HOCH2CHMeEt. II and III cyclized to give I without racemization.
IT 147000-72-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and benzylation of)
RN 147000-72-4 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 5-methyl-6-oxo-, 1,1-dimethylethyl ester, 2,3-dioxide, (S)- (9CI) (CA INDEX NAME)

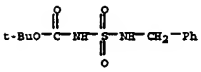
Absolute stereochemistry.



IT 147000-73-5P 147000-78-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with lactate)
RN 147000-73-5 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 5-methyl-6-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



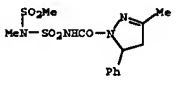
RN 147000-78-0 CAPLUS
CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 218 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:147555 CAPLUS
DOCUMENT NUMBER: 118:147555
TITLE: Preparation of substituted (pyrazolinylcarbonyl)aminosulfonamides as herbicides.
INVENTOR(S): Makino, Kenji; Morimoto, Katsuyuki; Akiyama, Shigeaki; Suzuki, Hideaki; Nagaoka, Takeshi; Suzuki, Koichi; Nawamaki, Tsutomu; Watanabe, Shigemi
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 84 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

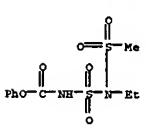
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04235971	A2	19920825	JP 1991-3462	19910116

PRIORITY APPLN. INFO.: JP 1991-3462 19910116
GI

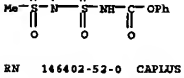


I

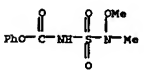
AB The title compds., e.g., I, are prepared Stirring a mixture of 3-methyl-5-phenyl-1H-2-pyrazoline and MeSO2NMeSO2NCO in CH2Cl2 at room temperature for 15 h gave I, which at 0.63 kg/ha showed 100% inhibition of Rorippa indica.
IT 146402-50-8P, Phenyl [[(ethyl[methylsulfonyl]amino]sulfonyl]carbamate 146402-51-9P, Phenyl [[ethoxy[methylsulfonyl]amino]sulfonyl]carbamate 146402-52-0P, Phenyl [[methoxymethylamino]sulfonyl]carbamate
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with pyrazoline derivative)
RN 146402-50-8 CAPLUS
CN 2,4-Dithia-3,5-diazahexan-6-oic acid, 3-ethyl-, phenyl ester, 2,2,4,4-tetraoxide (9CI) (CA INDEX NAME)



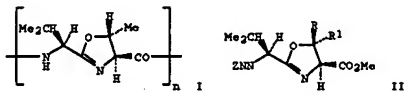
RN 146402-51-9 CAPLUS
CN 5-Oxa-3-thia-2,4-diazaheptanoic acid, 4-(methylsulfonyl)-, phenyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 146402-52-0 CAPLUS
CN 2-Oxa-4-thia-3,5-diazahexan-6-oic acid, 3-methyl-, phenyl ester, 4,4-dioxide (9CI) (CA INDEX NAME)

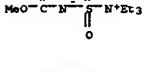


L9 ANSWER 219 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:81407 CAPLUS
DOCUMENT NUMBER: 118:81407
TITLE: Total synthesis of westiellamide
AUTHOR(S): Wipf, Peter; Miller, Chris P.
CORPORATE SOURCE: Dep. Chem., Univ. Pittsburgh, Pittsburgh, PA, 15260, USA
SOURCE: Journal of the American Chemical Society (1992), 114(27), 10975-7
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:81407
GI



I II

AB The cytotoxic cyclopeptide westiellamide (cycloxazoline) (I; n = 3) was prepared by cyclotrimerization of a dipeptide oxazoline. Thus, Z-Val-Thr-OMe (Z = PhCH2O2C) was converted to the corresponding cis-oxazoline II (R = Me, R1 = H) by treatment with Burgess reagent (MeO2CNSO2NEt3). Subsequent mild acidolytic ring opening, followed by N → O acyl shift, gave allo-threonine dipeptide Z-Val-aThr-OMe, which cyclized cleanly to the desired trans-oxazoline II (R = H, R1 = Me). Sequential removal of both N- and C-terminal protective groups and cyclization with Ph2O3PN3 (DPPA) gave the title compound I (n = 3) and ring-enlarged cyclopeptide I (n = 4) in 20% and 25% yields, resp.
IT 29684-56-8, Burgess reagent
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization by, of valylthreonine and -allothreonine dipeptides, oxazolines from)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



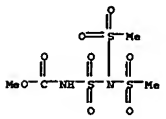
L9 ANSWER 220 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:80475 CAPLUS
DOCUMENT NUMBER: 118:80475
TITLE: Polysulfonylamine. XXXIV. (Dimesylamino)sulfonyl isocyanate: preparation, solid-state structure, and addition reaction with alcohols
AUTHOR(S): Blaschette, A.; Dalluhn, J.; Proehl, H. H.; Jones, P. G.; Bubenitschek, P.
CORPORATE SOURCE: Inst. Anorg. Anal. Chem., Tech. Univ., Braunschweig, 3300, Germany
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1992), 70(1-2), 91-7

CODEN: PSSLEC; ISSN: 1042-6507
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 118:80475

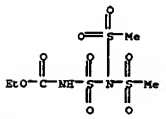
AB The title compound, (MeSO2)2NSO2NCO (I) is obtained by the reaction of ClSO2NCO with AgN(SO2Me)2 in C6H6. It is instantaneously and completely hydrolyzed by excess water to form CO2, NH4+, SO42- and (MeSO2)2N-. The addition of alcs. to the isocyanate function of I leads to N-substituted urethanes (MeSO2)2NSO2NHCO2R (R = Me, Et, CHMe2). The bonding parameters and the conformational properties of the mol. are discussed and compared with those of the known electron-diffraction structure of ClSO2NCO in the vapor phase.

IT 145702-74-5P 145702-75-6P 145702-76-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

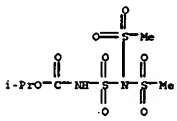
RN 145702-74-5 CAPLUS
CN 2,4-Dithia-3,5-diazahexan-6-oic acid, 3-(methylsulfonyl)-, methyl ester, 2,2,4,4-tetraoxide (9CI) (CA INDEX NAME)



RN 145702-75-6 CAPLUS
CN 2,4-Dithia-3,5-diazahexan-6-oic acid, 3-(methylsulfonyl)-, ethyl ester, 2,2,4,4-tetraoxide (9CI) (CA INDEX NAME)

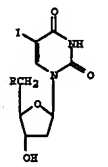


RN 145702-76-7 CAPLUS
CN 2,4-Dithia-3,5-diazahexan-6-oic acid, 3-(methylsulfonyl)-, 1-methylethyl ester, 2,2,4,4-tetraoxide (9CI) (CA INDEX NAME)



L9 ANSWER 221 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:22521 CAPLUS
DOCUMENT NUMBER: 118:22521

TITLE: Synthesis of analog of 5-iodo-2'-deoxyuridine-5'-diphosphate.
AUTHOR(S): Jemings, L. John; Macchia, Marco; Parkin, Ann
CORPORATE SOURCE: SmithKline Beecham Pharm., Great Burgh/Epsom/Surrey, KT18 5XQ, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1992), (17), 2197-202
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:22521
GI



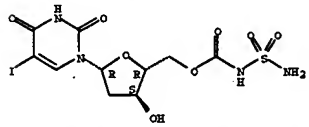
I

AB The synthesis of three types of diphosphate analogs of 5-iodo-2'-deoxyuridine-5'-diphosphate (I; R = OH) is reported. Routes are described to the 5'-phosphonoacetamido, the 5'-N-phosphonosulfamoyl and the 5'-O-sulfamoylcarbamoyl derivs., I [R = NHCOCH2P(O)(OH)2 (II), OSO2NHP(O)(OH)2 (III), OC(O)NHSO2NH2 (IV), resp.] starting from 5-iodo-2'-deoxyuridine (IDU). In the course of the synthesis of III, the 5'-sulfamoyl derivative I [R = OSO2NH2 (V)], and analog of IDU 5'-monophosphate was prepared The antiherpes virus activity of II, IV, and V is reported.

IT 144872-46-8P, 5'-O-(Sulfamoylcarbamoyl)-5-iodo-2'-deoxyuridine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiviral activity of)

RN 144872-46-8 CAPLUS
CN Uridine, 2'-deoxy-5-iodo-, 5'-[(aminosulfonyl)carbamate] (9CI) (CA INDEX NAME)

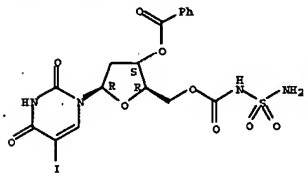
Absolute stereochemistry.



IT 144872-59-3P, 5'-O-(Sulfamoylcarbamoyl)-5-iodo-2'-deoxyuridine 3'-O-benzoate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzoylation of, iododeoxyuridine diphosphate analog from)

RN 144872-59-3 CAPLUS
CN Uridine, 2'-deoxy-5-iodo-, 3'-benzoate 5'-[(aminosulfonyl)carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



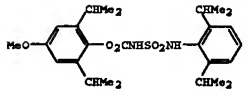
I

L9 ANSWER 222 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:511279 CAPLUS
DOCUMENT NUMBER: 117:111279
TITLE: Preparation of arylaminosulfonyl carbamates as cholesterol acyltransferase (ACAT) inhibitors
INVENTOR(S): Picard, Joseph Arnaud; Sliskovic, Drago Robert
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9208693	A1	19920529	WO 1991-US8215	19911105
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5254715	A	19931019	US 1991-747031	19910819
CA 2094807	AA	19920508	CA 1991-2094807	19911105
AU 9189509	A1	19920611	AU 1991-89509	19911105
AU 651155	B2	19940714		
JP 06501706	T2	19940224	JP 1992-500931	19911105
JP 2484193	B2	20040106		
EP 592439	A1	19940420	EP 1991-920248	19911105
EP 592439	B1	20030730		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 2000319179	A2	20001121	JP 2000-170387	19911105
JP 3468738	B2	20031117		
AT 246171	E	20030815	AT 1991-920248	19911105
ES 2201048	T3	20040316	ES 1991-920248	19911105
ZA 9108810	A	19930506	ZA 1991-8810	19911106
US 5336690	A	19940809	US 1993-75083	19930610
PRIORITY APPLN. INFO.:			US 1990-610487 A	19901107
			US 1991-747031 A	19910819
			JP 1992-500931 A3	19911105
			WO 1991-US8215 A	19911105

OTHER SOURCE(S): MARPAT 117:111279

GI

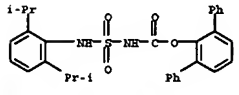


I

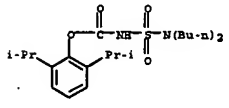
AB R1XCONRSO2NR2R3 [X = S, O; R = H, C1-8 alkyl, PhCH2; R1 = (substituted) Ph, (substituted) naphthyl, R8(CH2)wCR6R7(CH2)t wherein t, w = 0-4 with the proviso that t + w ≤ 5; R6, R7 = H, C1-6 alkyl; when R6 = H, R7 = R8; R8 = (substituted) Ph, C1-6 alkoxy, PhO, HO, (CH2)sQ wherein s = 0-3 and Q = 5-6-membered heterocyclyl, C1-20 hydrocarbyl; R2, R3 = H, R8(CH2)wCR6R7(CH2)t, C1-20 hydrocarbyl, (substituted) C1-6 alkyl, (CH2)sQ, (substituted) Ph, etc.] useful for treating hypercholesterolemia and atherosclerosis, are prepared 2,6,4-(Me2CH)2(MeO)C6H2O2CNHSO2Cl (preparation given) in THF was added to 2,6-(Me2CH)2C6H3NH2 and excess Et3N in THF to give the title compound I. I in vitro inhibited ACAT with IC50 = 15 μM and at 30 mg/kg in rats gave a cholesterol level decrease of 77 mg/dL.

IT 142790-67-8P 143131-71-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anticholesteremic)

RN 142790-67-8 CAPLUS
CN Carbamic acid, [[(2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, [1,1':3',1''-terphenyl]-2'-yl ester (9CI) (CA INDEX NAME)



RN 143131-71-9 CAPLUS
CN Carbamic acid, [(dibutylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester, sodium salt (9CI) (CA INDEX NAME)

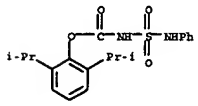


● Na

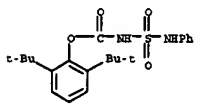
IT 92049-97-3P 92049-98-4P 92049-99-5P
142790-24-7P 142790-25-8P 142790-26-9P
142790-27-0P 142790-28-1P 142790-29-2P
142790-30-5P 142790-31-6P 142790-32-7P
142790-33-8P 142790-34-9P 142790-35-0P

142790-36-1P 142790-37-2P 142790-38-3P
142790-39-4P 142790-40-7P 142790-41-8P
142790-42-9P 142790-43-0P 142790-44-1P
142790-45-2P 142790-46-3P 142790-47-4P
142790-48-5P 142790-49-6P 142790-50-9P
142790-51-0P 142790-52-1P 142790-53-2P
142790-54-3P 142790-55-4P 142790-56-5P
142790-57-6P 142790-58-7P 142790-59-8P
142790-60-1P 142790-61-2P 143131-68-4P
143131-69-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, with anticholesteremic)

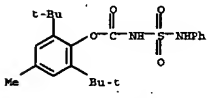
RN 92049-97-3 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



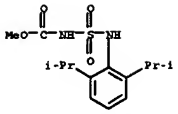
RN 92049-98-4 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



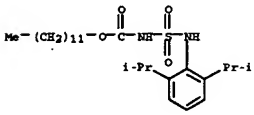
RN 92049-99-5 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



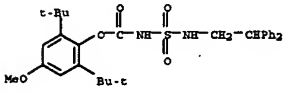
RN 142790-24-7 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



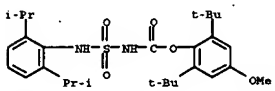
RN 142790-25-8 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, dodecyl ester (9CI) (CA INDEX NAME)



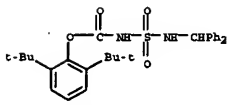
RN 142790-26-9 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methoxyphenyl ester (9CI) (CA INDEX NAME)



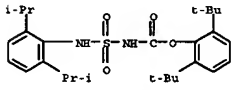
RN 142790-27-0 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methoxyphenyl ester (9CI) (CA INDEX NAME)



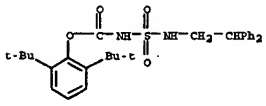
RN 142790-28-1 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



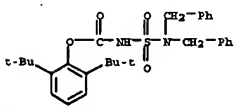
RN 142790-29-2 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



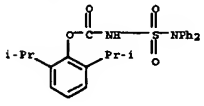
RN 142790-30-5 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



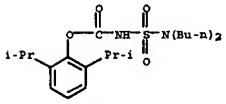
RN 142790-31-6 CAPLUS
CN Carbamic acid, [(bis(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



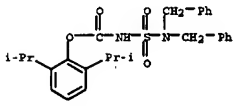
RN 142790-32-7 CAPLUS
CN Carbamic acid, [(diphenylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



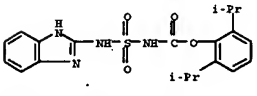
RN 142790-33-8 CAPLUS
CN Carbamic acid, [(dibutylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



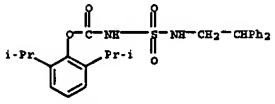
RN 142790-34-9 CAPLUS
CN Carbamic acid, [(bis(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



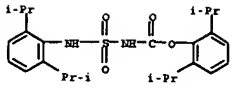
RN 142790-35-0 CAPLUS
CN Carbamic acid, [(1H-benzimidazol-2-ylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



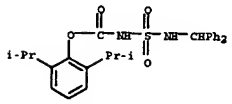
RN 142790-36-1 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



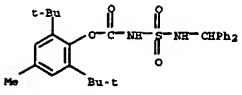
RN 142790-37-2 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



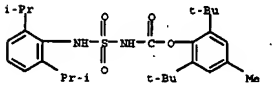
RN 142790-38-3 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



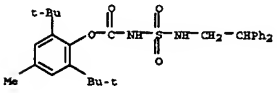
RN 142790-39-4 CAPLUS
CN Carbamic acid, [[(diphenylmethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



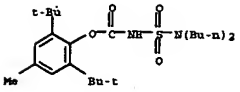
RN 142790-40-7 CAPLUS
CN Carbamic acid, [[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



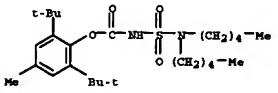
RN 142790-41-8 CAPLUS
CN Carbamic acid, [[(2,2-diphenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



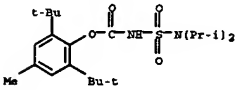
RN 142790-42-9 CAPLUS
CN Carbamic acid, [(dibutylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



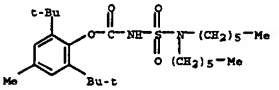
RN 142790-43-0 CAPLUS
CN Carbamic acid, [(dipentylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



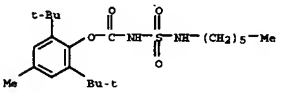
RN 142790-44-1 CAPLUS
CN Carbamic acid, [[bis(1-methylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



RN 142790-45-2 CAPLUS
CN Carbamic acid, [(dihexylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)

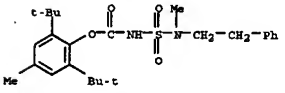


RN 142790-46-3 CAPLUS
CN Carbamic acid, [(hexylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)

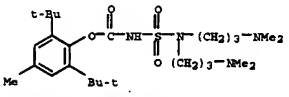


RN 142790-47-4 CAPLUS

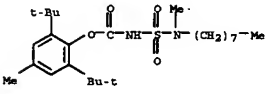
CN Carbamic acid, [(methyl(2-phenylethyl)amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



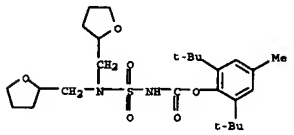
RN 142790-48-5 CAPLUS
CN 3-Thia-2,4,8-triazanonanoic acid, 4-[3-(dimethylamino)propyl]-8-methyl-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



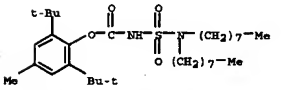
RN 142790-49-6 CAPLUS
CN Carbamic acid, [(methyloctylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



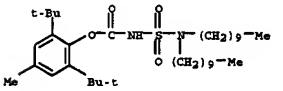
RN 142790-50-9 CAPLUS
CN Carbamic acid, [[bis[(tetrahydro-2-furanyl)methyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



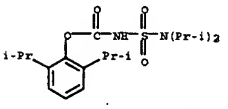
RN 142790-51-0 CAPLUS
CN Carbamic acid, [(dioctylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



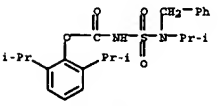
RN 142790-52-1 CAPLUS
CN Carbamic acid, [(didecylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



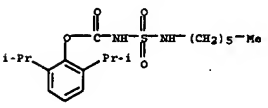
RN 142790-53-2 CAPLUS
CN Carbamic acid, [[bis(1-methylethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



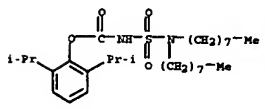
RN 142790-54-3 CAPLUS
CN Carbamic acid, [[(1-methylethyl)(phenylmethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



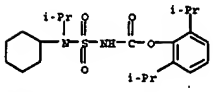
RN 142790-55-4 CAPLUS
CN Carbamic acid, [(hexylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



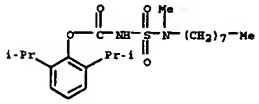
RN 142790-56-5 CAPLUS
CN Carbamic acid, [(dioctylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



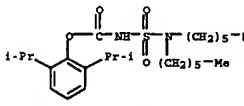
RN 142790-57-6 CAPLUS
CN Carbamic acid, [(cyclohexyl(1-methylethyl)amino]sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



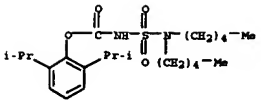
RN 142790-58-7 CAPLUS
CN Carbamic acid, [(methyloctylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



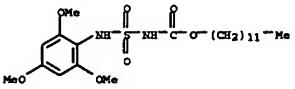
RN 142790-59-8 CAPLUS
CN Carbamic acid, [(dihexylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



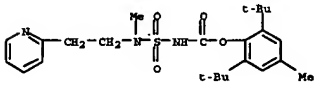
RN 142790-60-1 CAPLUS
CN Carbamic acid, [(dipentylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



RN 142790-61-2 CAPLUS
CN Carbamic acid, [[(2,4,6-trimethoxyphenyl)amino]sulfonyl]-, dodecyl ester (9CI) (CA INDEX NAME)

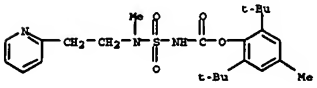


RN 143131-68-4 CAPLUS
CN Carbamic acid, [(methyl[2-(2-pyridinyl)ethyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 143131-69-5 CAPLUS
CN Carbamic acid, [(methyl[2-(2-pyridinyl)ethyl]amino]sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester, sodium salt (2:3) (9CI) (CA INDEX NAME)

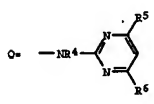


●3/2 Na

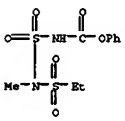
L9 ANSWER 223 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:214526 CAPLUS
DOCUMENT NUMBER: 116:214526
TITLE: Preparation of N-[(alkylsulfonyl)sulfamoyl]-N'-pyrimidinylureas and analogs
INVENTOR(S): Lachhein, Stephen; Willms, Lothar
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 7 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 467252	A2	19920122	EP 1991-111725	19910713
EP 467252	A3	19920527		
EP 467252	B1	19980603		
R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL				
DE 4022983	A1	19920123	DE 1990-4022983	19900719
JP 04234371	A2	19920824	JP 1991-176944	19910717
JP 3067844	B2	20000724		
US 5157121	A	19921020	US 1991-731460	19910717
IL 98876	A1	19950330	IL 1991-98876	19910717
CA 2047404	AA	19920120	CA 1991-2047404	19910718
BR 9103085	A	19920211	BR 1991-3085	19910718
HU 58301	A2	19920228	HU 1991-2412	19910718
HU 209809	B	19941128		
ZA 9105630	A	19920325	ZA 1991-5630	19910718
AU 9181150	A1	19920709	AU 1991-81150	19910718
AU 636235	B2	19930422		

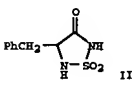
PRIORITY APPLN. INFO.: DE 1990-4022983 A 19900719
OTHER SOURCE(S): MARPAT 116:214526
GI



AB R1SO2NR2SO2NR3COR [R = pyrimidinylamino group Q; R1 = (substituted) alkyl, alkenyl, alkynyl; R2 = H, (cyclo)alkyl, alkenyl, alkynyl; R3,R4 = H, alkyl; R5,R6 = H, (substituted) alkyl, alkoxy], known herbicides, were prepared Thus, 2-amino-4,6-dimethoxypyrimidine was condensed with MeSO2NMeSO2COR (I; R = OEt) to give I (R = Q, R4 = H, R5 = R6 = OMe).
IT 141057-54-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of herbicides)
RN 141057-54-7 CAPLUS
CN 3,5-Dithia-2,4-diazaheptanoic acid, 4-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



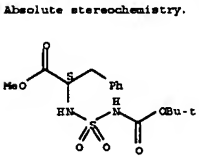
L9 ANSWER 224 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:106713 CAPLUS
DOCUMENT NUMBER: 116:106713
TITLE: Synthesis and cyclization of carboxy sulfamide derivatives of amino acids
AUTHOR(S): Aouf, Nourreddine; Dewynter, Georges; Montero, Jean Louis
CORPORATE SOURCE: Lab. Chim Bio-Org., Univ. Montpellier II- Sci. Tech. Languedoc, Montpellier, 34 095, Fr.
SOURCE: Tetrahedron Letters (1991), 32(45), 6545-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: French
GI



II

AB RO2CNHSO2-X-OMe (I, R = Et, CMe3; X = Pro, Asp, Met, Phe, Ala, Val) were prepared from H-X-OMe, ClSO2NCO, and RCH. I (R = CMe3, X = Phe) was deblocked with CF3CO2H to give the sulfamide II in near quant. yield and without racemization.
IT 139059-69-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 139059-69-1 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-6-oxo-2-(phenylmethyl)-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

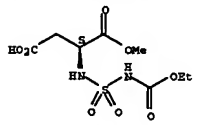


IT 139059-67-9P 139059-68-0P 139059-70-4P
139059-71-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

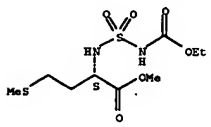
RN 139059-67-9 CAPLUS
CN L-Aspartic acid, N-[[(ethoxycarbonyl)amino]sulfonyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



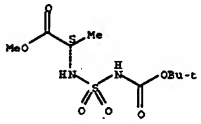
RN 139059-68-0 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 2-[2-(methylthio)ethyl]-4,4-dioxido-6-oxo-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



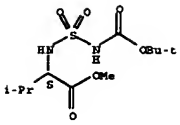
RN 139059-70-4 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 2,8,8-trimethyl-6-oxo-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 139059-71-5 CAPLUS
CN 7-Oxa-4-thia-3,5-diazanonanoic acid, 8,8-dimethyl-2-(1-methylethyl)-6-oxo-, methyl ester, 4,4-dioxide, (2S)- (9CI) (CA INDEX NAME)

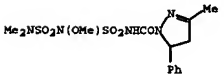
Absolute stereochemistry. Rotation (+).



L9 ANSWER 225 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:6553 CAPLUS
DOCUMENT NUMBER: 116:6553
TITLE: Preparation of sulfamidosulfonamide derivatives as herbicides
INVENTOR(S): Makino, Kenzi; Morimoto, Katsushi; Akiyama, Shigeaki; Suzuki, Hideaki; Nagaoka, Takeshi; Suzuki, Koichi; Nawamaki, Tsutomu; Watanabe, Shigemi
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 421 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9113884	A2	19910919	WO 1991-JP277	19910301
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2076860	AA	19910907	CA 1991-2076860	19910301
AU 9173126	A1	19911010	AU 1991-73126	19910301
AU 638314	B2	19930624		
EP 596109	A1	19940511	EP 1991-905332	19910301
EP 596109	B1	19961218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06505697	T2	19940630	JP 1991-505012	19910301
JP 3030719	B2	20000410		
AT 146470	E	19970115	AT 1991-905332	19910301
ES 2099348	T3	19970501	ES 1991-905332	19910301
US 5152824	A	19921006	US 1991-665557	19910306
ZA 9102125	A	19911224	ZA 1991-2125	19910321
PRIORITY APPLN. INFO.:			JP 1990-54455 A	19900306
			JP 1990-54456 A	19900306
			JP 1990-153345 A	19900612
			JP 1990-300127 A	19901106
			JP 1990-403735 A	19901219
			WO 1991-JP277 A	19910301

OTHER SOURCE(S): MARPAT 116:6553
GI



II

AB Title compds. QSO2NHC(:X)G [I; Q = NR1SO2NR2R3, NR1SO2N(OR2)R3, etc.; R1 = H, (substituted) C1-6 alkyl, C3-7 cycloalkyl, (substituted) Ph, (substituted) CH2Ph, etc.; R2,R3 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, (substituted) Ph, (substituted) CH2Ph; or NR2R3 = 5-7 membered saturated heterocyclyl, etc.; X = O, S; G = (substituted) 2-pyrazolin-1-yl] were prepared as selective herbicides. Thus, Me2NSO2NHOMe was condensed with ClSO2NHCO2Ph to give Me2NSO2N(OMe)SO2NHCO2Ph. This was dissolved in benzene and treated with 3-methyl-5-phenyl-2-pyrazoline to give title compound II. Over 50 other I were prepared and tested against a variety of weeds, e.g. Digitaria adscendens.

IT 135531-03-2P 135531-05-4P 137830-73-0P
137830-74-1P 137830-78-5P 137830-79-6P
137830-80-9P 137830-81-0P 137830-82-1P
137830-83-2P 137830-84-3P 137830-85-4P
137830-86-5P 137830-87-6P 137830-88-7P
137830-89-8P 137830-90-1P 137830-91-2P
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137830-95-6P 137830-96-7P 137830-97-8P
137830-98-9P 137830-99-0P 137831-00-6P
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137831-04-0P 137831-05-1P 137831-06-2P
137831-07-3P 137831-08-4P 137831-09-5P
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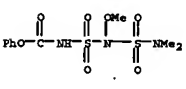
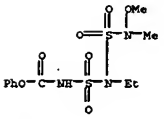
137831-16-4P 137831-17-5P 137831-18-6P
137831-19-7P 137831-20-0P 137831-21-1P
137831-22-2P 137831-23-3P 137831-24-4P
137831-25-5P 137831-26-6P 137831-27-7P
137831-28-8P 137831-29-9P 137831-30-2P
137831-31-3P 137854-16-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for selective herbicides)

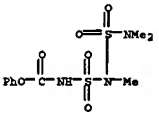
RN 135531-03-2 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-methoxy-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



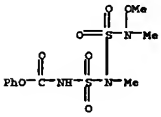
RN 135531-05-4 CAPLUS
CN 7-Oxa-3,5-dithia-2,4,6-triazaoctanoic acid, 4-ethyl-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



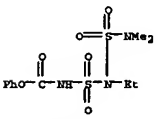
RN 137830-73-0 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4,6-dimethyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



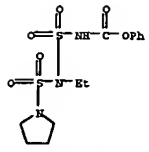
RN 137830-74-1 CAPLUS
CN 2-Oxa-4,6-dithia-3,4,7-triazaoctan-8-oic acid, 3,5-dimethyl-, phenyl ester, 4,4,6,6-tetraoxide (9CI) (CA INDEX NAME)



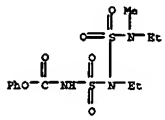
RN 137830-78-5 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethyl-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



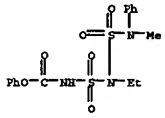
RN 137830-79-6 CAPLUS
CN Carbamic acid, [[ethyl(1-pyrrolidinylsulfonyl)amino]sulfonyl]-, phenyl ester (9CI) (CA INDEX NAME)



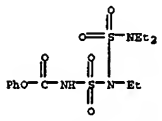
RN 137830-80-9 CAPLUS
CN 3,5-Dithia-2,4,6-triazaoctanoic acid, 4-ethyl-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



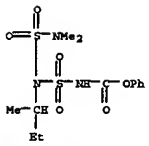
RN 137830-81-0 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethyl-6-phenyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



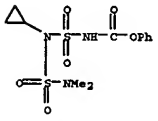
RN 137830-82-1 CAPLUS
CN 3,5-Dithia-2,4,6-triazaoctanoic acid, 4,6-diethyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



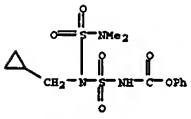
RN 137830-83-2 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-propyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



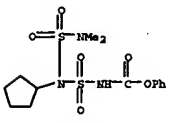
RN 137830-88-7 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-cyclopropyl-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



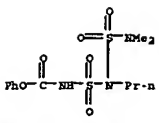
RN 137830-89-8 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-(cyclopropylmethyl)-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



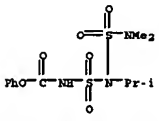
RN 137830-90-1 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-cyclopentyl-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



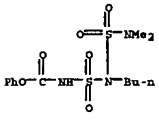
RN 137830-91-2 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-cyclohexyl-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



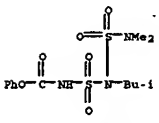
RN 137830-84-3 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-(1-methylethyl)-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



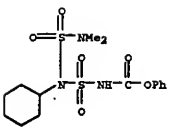
RN 137830-85-4 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-butyl-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



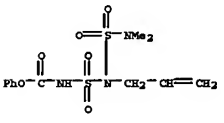
RN 137830-86-5 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-(2-methylpropyl)-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



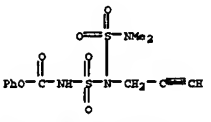
RN 137830-87-6 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-(1-methylpropyl)-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



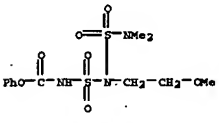
RN 137830-92-3 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-(2-propenyl)-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



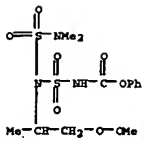
RN 137830-93-4 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-(2-propynyl)-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



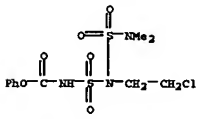
RN 137830-94-5 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-(2-methoxyethyl)-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



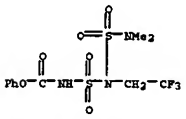
RN 137830-95-6 CAPLUS
CN 7,8-Dioxa-3-thia-2,4-diazanonanoic acid, 4-[(dimethylamino)sulfonyl]-5-methyl-, phenyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



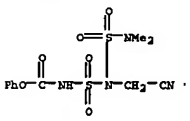
RN 137830-96-7 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-(2-chloroethyl)-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



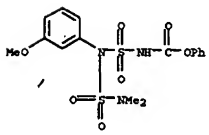
RN 137830-97-8 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-(2,2,2-trifluoroethyl)-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



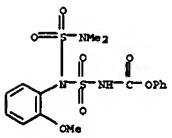
RN 137830-98-9 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-(cyanomethyl)-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



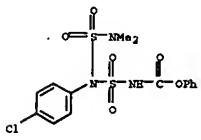
RN 137830-99-0 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-phenyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



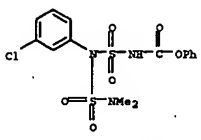
RN 137831-04-0 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-(2-methoxyphenyl)-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



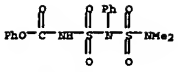
RN 137831-05-1 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-(4-chlorophenyl)-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



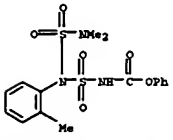
RN 137831-06-2 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-(3-chlorophenyl)-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



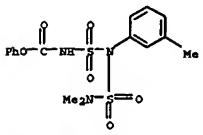
RN 137831-07-3 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-(2-chlorophenyl)-6-methyl-,



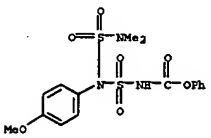
RN 137831-00-6 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-(2-methylphenyl)-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



RN 137831-01-7 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-(3-methylphenyl)-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)

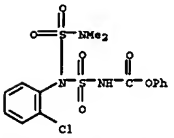


RN 137831-02-8 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-(4-methoxyphenyl)-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)

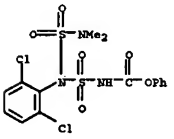


RN 137831-03-9 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-(3-methoxyphenyl)-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)

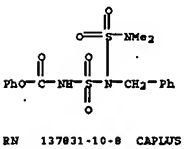
phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



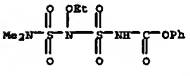
RN 137831-08-4 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-(2,6-dichlorophenyl)-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



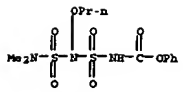
RN 137831-09-5 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-(phenylmethyl)-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



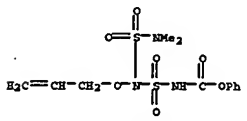
RN 137831-10-8 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethoxy-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



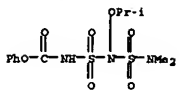
RN 137831-11-9 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-propoxy-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



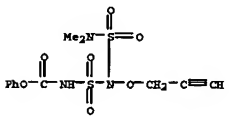
RN 137831-12-0 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-(2-propenyloxy)-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



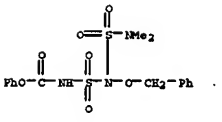
RN 137831-13-1 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-(1-methylethoxy)-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



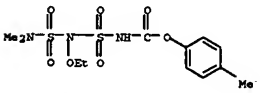
RN 137831-14-2 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-(2-propynyloxy)-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



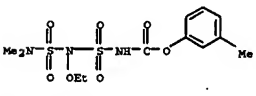
RN 137831-15-3 CAPLUS
CN 5,7-Dioxa-3-thia-2,4-diazaoctanoic acid, 4-[(dimethylamino)sulfonyl]-, phenyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



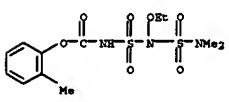
RN 137831-20-0 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethoxy-6-methyl-, 4-methylphenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



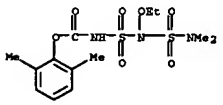
RN 137831-21-1 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethoxy-6-methyl-, 3-methylphenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)

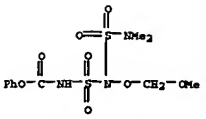


RN 137831-22-2 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethoxy-6-methyl-, 2-methylphenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)

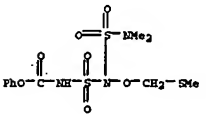


RN 137831-23-3 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethoxy-6-methyl-, 2,6-dimethylphenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)

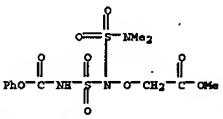




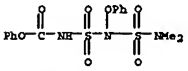
RN 137831-16-4 CAPLUS
CN 5-Oxa-3,7-dithia-2,4-diazaoctanoic acid, 4-[(dimethylamino)sulfonyl]-, phenyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 137831-17-5 CAPLUS
CN 5-Oxa-3-thia-2,4-diazaheptanedioic acid, 4-[(dimethylamino)sulfonyl]-, 7-methyl 1-phenyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

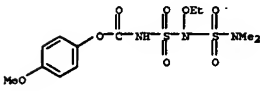


RN 137831-18-6 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-phenoxy-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)

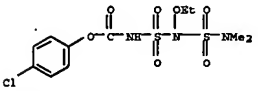


RN 137831-19-7 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 6-methyl-4-(phenylmethoxy)-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)

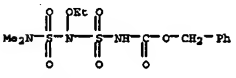
RN 137831-24-4 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethoxy-6-methyl-, 4-methoxyphenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



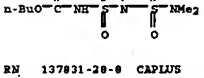
RN 137831-25-5 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethoxy-6-methyl-, 4-chlorophenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



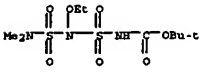
RN 137831-26-6 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethoxy-6-methyl-, phenylmethyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



RN 137831-27-7 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethoxy-6-methyl-, butyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)

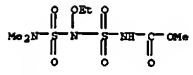


RN 137831-28-8 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethoxy-6-methyl-, 1,1-dimethylethyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)

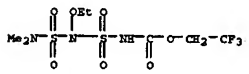


RN 137831-29-9 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethoxy-6-methyl-, methyl ester,

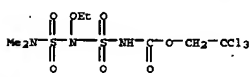
3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



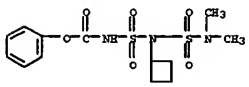
RN 137831-30-2 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethoxy-6-methyl-, 2,2,2-trifluoroethyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



RN 137831-31-3 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-ethoxy-6-methyl-, 2,2,2-trichloroethyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



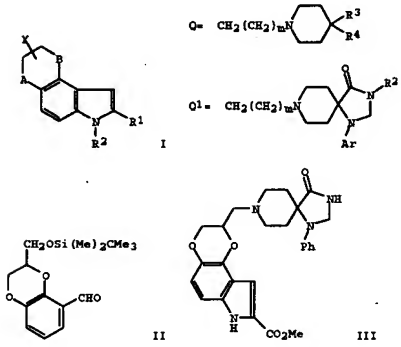
RN 137854-16-1 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-cyclobutyl-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



L9 ANSWER 226 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:679812 CAPLUS
DOCUMENT NUMBER: 115:279812
TITLE: Preparation of dioxino[2,3-e]indole derivatives as CNS and cardiovascular agents
INVENTOR(S): Ennis, Michael Dalton; Baze, Mark E.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

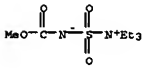
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9113872	A1	19910919	WO 1991-US117	19910115
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2075057	AA	19910916	CA 1991-2075057	19910115
AU 9173030	A1	19911010	AU 1991-73030	19910115
AU 639536	B2	19930729		
JP 05505599	T2	19930819	JP 1991-504359	19910115
EP 594593	A1	19940504	EP 1991-904677	19910115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5302599	A	19940412	US 1992-945323	19920915
PRIORITY APPLN. INFO.:			US 1990-494100	A1 19900315
			WO 1991-US117	A 19910115

OTHER SOURCE(S): MARPAT 115:279812
GI



AB Title compds. I [R1 = H, alkyl, CO2R2, CONHR2, cyano, halo, CHO, etc.; R2 = H, alkyl, (CH2)mY; Y = cycloalkyl or cycloalkenyl, (substituted) Ph, pyridyl, naphthyl, indolyl; m = 0-6; A,B = O, CH2, S; X = CH2(CH2)mNR2R2, Q; R3 = H, CO2R2, CONHR2, cyano, NHR2, CHO, etc.; R4 = H, C1-6 alkyl, C2-6 alkenyl, CO2R2, CONHR2, cyano, Q1; Ar = (substituted) Ph, pyridyl, naphthyl, or indolyl; dotted line = optional double bond were prepared having serotoninergic and dopaminergic activity useful as CNS and cardiovascular agents. Thus, intermediate II (prepared in 5 steps from 2,3-dihydroxybenzaldehyde, epichlorohydrin, and Me3CSiMe2Cl) was condensed with Me azidoacetate and the product was cyclized to give the dioxinoindole derivative This was deprotected by Bu4NF and the alc. formed was converted to the tosylate. Treatment of the latter with 1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one in the presence of K2CO3 gave title compound III. The IC50 of III against DPAT binding to 5-HT1A receptor was 0.47 nM.
IT 29684-56-8

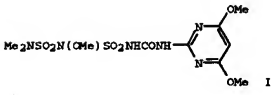
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of CNS and cardiovascular agents)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



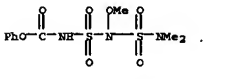
L9 ANSWER 227 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:492292 CAPLUS
DOCUMENT NUMBER: 115:92292
TITLE: Sulfamidosulfonylurea derivatives and herbicides
INVENTOR(S): Makino, Kenzi; Morimoto, Katsushi; Akiyama, Shigeaki; Suzuki, Hideaki; Suzuki, Koichi; Nawamaki, Tsutomu; Watanabe, Shigeomi
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9106546	A1	19910516	WO 1990-JP1351	19901019
W: AU, BR, CA, HU, KR, RO, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
JP 03279365	A2	19911210	JP 1990-153346	19900612
JP 04128269	A2	19920428	JP 1990-230960	19900831
JP 3010709	B2	20000221		
CA 2042355	AA	19910428	CA 1990-2042355	19901019
CA 2042355	C	19951114		
AU 9065325	A1	19910531	AU 1990-65325	19901019
AU 627946	B2	19920903		
EP 452500	A1	19911023	EP 1990-915183	19901019
EP 452500	B1	19970312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
BR 9006967	A	19911217	BR 1990-6967	19901019
HU 58471	A2	19920330	HU 1989-74	19901019
HU 208614	B	19931228		
RO 109078	B1	19941130	RO 1990-147774	19901019
AT 150020	E	19970315	AT 1990-915183	19901019
RU 2088583	C1	19970827	RU 1990-4895706	19901019
PRIORITY APPLN. INFO.:			JP 1989-281338 A	19891027
			JP 1989-282764 A	19891030
			JP 1989-314901 A	19891204
			JP 1990-89629 A	19900404
			JP 1990-76526	19900326
			JP 1990-156439	19900614
			JP 1990-96820	19900412
			JP 1990-156439	19900614
			JP 1990-96820	19900412
			WO 1990-JP1351 A	19901019

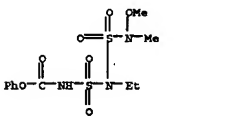
OTHER SOURCE(S): MARPAT 115:92292
GI



AB RSO2NHCXNR1R2 (X = O, S; R = substituted sulfamido; R1 = H, alkyl, alkenyl, alkynyl; R2 = substituted 2-pyrimidinyl, 1,3,5-triazin-2-yl) were prepared Thus, Me2NSO2NHOMe was treated with ClSO2NHCO2Ph, followed by 2-amino-4,6-dimethoxypyrimidine to give the urea I. At 0.04 kg/ha preemergence I gave >90% inhibition of eg. Cyperus microiria.
IT 135531-03-2P 135531-05-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with aminodimethoxypyrimidine)
RN 135531-03-2 CAPLUS
CN 3,5-Dithia-2,4,6-triazaheptanoic acid, 4-methoxy-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



RN 135531-05-4 CAPLUS
CN 7-Oxa-3,5-dithia-2,4,6-triazaoctanoic acid, 4-ethyl-6-methyl-, phenyl ester, 3,3,5,5-tetraoxide (9CI) (CA INDEX NAME)



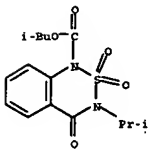
L9 ANSWER 228 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:407349 CAPLUS
DOCUMENT NUMBER: 115:07349
TITLE: Development of an enzyme-linked immunosorbent assay for the herbicide bentazon
AUTHOR(S): Li, Qing Xiao; Hammock, Bruce D.; Seiber, James N.
CORPORATE SOURCE: Dep. Entomol., Univ. California, Davis, CA, 95616, USA
SOURCE: Journal of Agricultural and Food Chemistry (1991), 39(8), 1537-44
CODEN: JAFCAU; ISSN: 0021-8561
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An ELISA method for the herbicide bentazon was developed. The approach to hapten synthesis addressed the problem of the presence of an ionizable NH group. Three immunogens were used to induce polyclonal antibodies toward

bentazon and its derivs. in rabbits. One immunogen with a haptenic spacer at the sulfonamide NH of bentazon provided specific and sensitive antibodies to bentazon derivs. The antibodies against succinylated KLH linked to bentazon through the NH showed very low affinity to bentazon and its derivs. The third immunogen with a haptenic spacer at the aromatic ring of bentazon failed to induce bentazon-specific antibodies. The sensitivity and specificity of the resulting assays were investigated with different combinations of bentazon derivs. as immunogens and coating antigens. Solid-phase extraction and derivatization were employed to increase assay sensitivity. Detection limits for N-ethylated and N-methylated bentazon ranged from 0.01 to 0.1 μM (2-24 ppb of bentazon equivalent) in assay buffer. Gas chromatog. (GC) was used as a comparison test to validate the ELISA procedure for N-methylbentazon. The correlation between data from GC and ELISA analyses was 0.95 with a slope of about 1.0.

IT **65403-49-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, bentazon determination by ELISA in relation to)

RN 65403-49-8 CAPLUS

CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 2-methylpropyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 229 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1991:81865 CAPLUS
DOCUMENT NUMBER:	114:81865
TITLE:	Preparation of quinolines, quinazolines and analogs as antimuscarinic agents
INVENTOR(S):	Micheletti, Rosamaria; Doods, Henri Nico; Turconi, Marco; Sagrada, Angelo; Donetti, Arturo; Schiavi, Battista Giovanni
PATENT ASSIGNEE(S):	Istituto De Angeli S.p.A., Italy
SOURCE:	Eur. Pat. Appl., 39 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

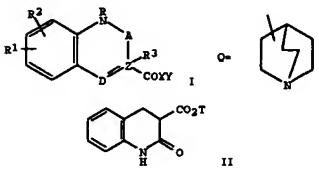
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 382687	A2	19900816	EP 1990-830040	19900205
EP 382687	A3	19911204		
EP 382687	B1	19951227		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL				
CZ 277886	B6	19930317	CZ 1990-335	19900124
US 5106851	A	19920421	US 1990-474187	19900202
IL 93257	A1	19940731	IL 1990-93257	19900202
CA 2009300	AA	19900806	CA 1990-2009300	19900205
NO 9000542	A	19900807	NO 1990-542	19900205
NO 173500	B	19930913		
NO 173500	C	19931222		
AU 9049086	A1	19901025	AU 1990-49086	19900205
AU 623733	B2	19920521		
HU 54118	A2	19910128	HU 1990-671	19900205
JP 03197462	A2	19910828	JP 1990-25889	19900205
ZA 9000825	A	19911030	ZA 1990-825	19900205
DD 297815	A5	19920123	DD 1990-337608	19900205
PL 162682	B1	19931231	PL 1990-283642	19900205
AT 132140	E	19960115	AT 1990-830040	19900205
ES 2081966	T3	19960316	ES 1990-830040	19900205
FI 96686	B	19960430	FI 1990-553	19900205
FI 96686	C	19960812		
RU 2040524	C1	19950725	RU 1992-5011529	19920508
HU 210348	B	19950328	HU 1994-48	19941121

PRIORITY APPLN. INFO.: IT 1989-19316 A 19890206

OTHER SOURCE(S): MARPAT 114:81865

GI



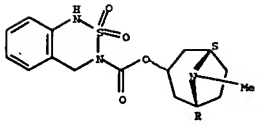
AB The title compds. I [R = H, C1-6 alkyl; R1, R2 = H, halo, C1-6 alkyl, alkoxy, alkylthio, alkoxycarbonyl, etc.; R3 = H, C1-6 alkyl, aryl, aralkyl, or it may be absent; A = CO, CS, SO, SO2; Z is N when R3 is absent and the ZD bond is single; or Z is C; D = CO, CHCH2, CR4R5 when the ZD bond is single, or D is CR when the ZD bond is double; R4 = H, C1-6 alkyl, aryl, aralkyl, OH, etc.; R5 = H; Y is O, NR or it is absent; Y = (CH2)nNR6R7, O, etc.; n = 2 or 3; R6, R7 = H, C1-4 alkyl, aralkyl; or when R7 is H, C1-4 alkyl, R6 may be CR8(:NR); R8 = H, C1-4 alkyl, amino] were prepared Reaction of 1,2,3,4-tetrahydro-2-oxo-3-quinolinecarboxylic acid with carbonyldiimidasole, followed by treatment with a mixture of endo-8-methyl-8-azabicyclo[3.2.1]octan-3-ol and NaH in DMF, gave tetrahydroquinoline II (T = endo-8-methyl-8-azabicyclo[3.2.1]oct-3-yl) isolated as the maleic acid salt. In an in vitro receptor binding test using rat cerebral cortex (M1) and 3H-pirenzepine, the compound N-(endo-8-methyl-5-azabicyclo[3.2.1]oct-3-yl)-1,4-dihydro-2(H)-2-oxo-3-quinazolinecarboxamide exhibited a KD value of 1 nM; its value in an M2 assay (heart homogenate) was 60 nM.

IT **131780-89-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antimuscarinic agent)

RN 131780-89-7 CAPLUS

CN 3H-2,1,3-Benzothiadiazine-3-carboxylic acid, 1,4-dihydro-, 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester, 2,2-dioxide, endo- (9CI) (CA INDEX NAME)

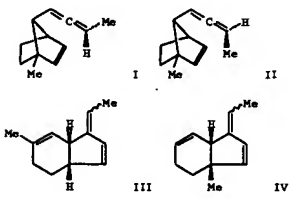
Relative stereochemistry.



L9 ANSWER 230 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1991:5864 CAPLUS
DOCUMENT NUMBER:	114:5864
TITLE:	Stereoselective thermal rearrangement of syn-7-(1,2-butadienyl)-1-methylbicyclo[2.2.1]hept-2-ene [syn-7-(3-methylallenyl)-1-methylnorbornene]
AUTHOR(S):	Duncan, James A.; Hendricks, Robert T.; Kwong, Katy S.
CORPORATE SOURCE:	Dep. Chem., Lewis and Clark Coll., Portland, OR, 97219, USA
SOURCE:	Journal of the American Chemical Society (1990), 112(23), 8433-42 CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 114:5864

GI

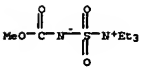


AB The synthesis and sep. thermal rearrangements of the racemic diastereoisomeric title compds. I and II are described. Both I and II rearrange to give ethylidenetetrahydromethylindenes III and IV with greater than 90% stereoselectivity. Epimer I gives predominantly (E)-III and (Z)-IV, whereas II gives predominantly (Z)-III and (E)-IV - consistent with either a six-electron [π2s + π2s + π2a] Cope or eight-electron [π2s + π2s + (π2s + π2a)] augmented Cope process. Stereochem assignments were based on NOE difference spectroscopy.

IT **29684-56-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and dehydration by, of methylbicycloheptanol)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 231 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

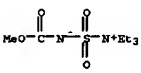
ACCESSION NUMBER:	1990:591514 CAPLUS
DOCUMENT NUMBER:	113:191514
TITLE:	Thermal decomposition of organotin sulfamates: a one pot synthesis of vinyltributyltin compounds
AUTHOR(S):	Ratier, Max; Khatmi, Djamel; Duboudin, J. Georges; Minh, Dao The
CORPORATE SOURCE:	Lab. Chim. Org. Organomet., Univ. Bordeaux I, Talence, Fr.
SOURCE:	Synthetic Communications (1989), 19(1-2), 285-91 CODEN: SYNCAV; ISSN: 0039-7911
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 113:191514

AB Reaction of RCOCHR1R2 [R = Me, Et, Me2CH, Ph, CMe3; CHR1R2 = Me, Et, Me2CH; R1R2 = (CH2)5] with Bu3SnMgCl followed by treatment with Et3N+SO2N-CO2Me formed Et3N+H [Bu3SnCR(OSO2N-CO2Me)CHR1R2] which underwent thermal elimination at 70° in C6H6 to give Bu3SnCR:CR1R2 in 42-95% yields.

IT **29684-56-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with organotin alcs.)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 232 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1990:591382 CAPLUS
DOCUMENT NUMBER:	113:191382
TITLE:	Preparation of (pyrimidinyloxy)(thio)sulfonanilides as herbicides
INVENTOR(S):	Gates, Peter Stuart; Jones, Graham Peter
PATENT ASSIGNEE(S):	Schering Agrochemicals Ltd., UK
SOURCE:	Eur. Pat. Appl., 12 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 363040	A2	19900411	EP 1989-309515	19890919
EP 363040	A3	19901107		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				

US 5024693	A	19910618	US 1989-416153		19891002
DK 8904849	A	19900405	DK 1989-4849		19891003
JP 02149567	A2	19900608	JP 1989-257191		19891003
HU 52069	A2	19900628	HU 1989-5159		19891003
HU 203084	B	19910528			
DD 284799	A5	19901128	DD 1989-333276		19891003
SU 1750428	A3	19920723	SU 1989-4742212		19891003
FI 8904692	A	19900405	FI 1989-4692		19891004
PRIORITY APPLN. INFO.:			GB 1988-23288	A	19881004
OTHER SOURCE(S):	MARPAT 113:191382				

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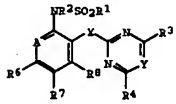

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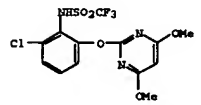


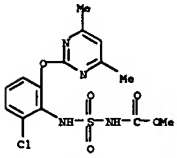
II

AB Title compds. I [A = N, R5C, R5 = H, halo, cyano, (substituted) alkyl, alkoxy or amino, R10O2C, R10 = H, (substituted) alkyl, or R5 = (substituted) aryloxy or heterocyclyloxy; X = O, S; Y = N, R9C, R9 = R10; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, benzoheterocyclyl or amino; R2 = H, (substituted) alkyl or carboxylic acyl or R102S; R3, R4 = H, halo, (substituted) alkyl, alkoxy, cycloalkyl or amino, or heterocyclyl; R6-R8 = H, halo, cyano, (substituted) alkyl, alkoxy, etc.] and their salts are prepared 2'-Chloro-6'-(4,6-dimethoxy-2-pyrimidinyloxy)-1,1,1-trifluoromethanesulfonanilide K salt (preparation given) was stirred with ether and H2O, and HCl added to pH = 3, to give the sulfonanilide II in preemergence test II at 0.25 kg/ha was 100% effective against Galium aparine and Chrysanthemum segetum and postemergence against C. segetum and Abutilon theophrasti.

IT 130185-77-2P 130185-78-3P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

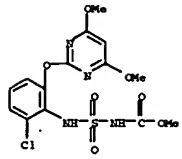
RN 130185-77-2 CAPLUS

CN Carbamic acid, [[[2-chloro-6-[(4,6-dimethyl-2-pyrimidinyl)oxy]phenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 130185-78-3 CAPLUS

CN Carbamic acid, [[[2-chloro-6-[(4,6-dimethoxy-2-pyrimidinyl)oxy]phenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 233 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

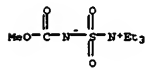
ACCESSION NUMBER:	1990:478964 CAPLUS
DOCUMENT NUMBER:	113:78964
TITLE:	Development of a new acyl anion equivalent for the preparation of masked activated esters, and their use to prepare a dipeptide
AUTHOR(S):	Nemoto, Hisao; Kubota, Yasufumi; Yamamoto, Yoshinori
CORPORATE SOURCE:	Fac. Sci., Tohoku Univ., Sendai, 980, Japan
SOURCE:	Journal of Organic Chemistry (1990), 55(15), 4515-16 CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 113:78964

AB New acyl anion equivs., the protected hydroxymalonitriles ROCH(CN)2 (I, R = CHMeOEt, SiMe2CMe3) have been developed as masked activated ester equivs. Alkylation or allylation of I (R = CHMeOEt) proceeded in high yields under mild basic or neutral conditions, resp. Treatment of the tosylimine 4-MeOC6H4CH:NSO2C6H4Me-4 with I (R = CHMeOEt) gave the dipeptide 4-MeOC6H4CHR1NR2SO2C6H4Me-4 (II, R1 = CO-Gly-OMe, R2 = CH2CMe) via the α-amino acid II [R1 = C(CN)2OCHMeOEt, R2 = H] having a masked activated functionality.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(agent, for dehydration of malondiamides to malononitriles)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 234 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1990:458126 CAPLUS
DOCUMENT NUMBER:	113:58126
TITLE:	Process for preparing nitriles
INVENTOR(S):	Claremon, David A.
PATENT ASSIGNEE(S):	Merck and Co., Inc., USA
SOURCE:	U.S., 7 pp. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4908452	A	19900313	US 1987-135866	19871221
PRIORITY APPLN. INFO.:			US 1987-135866	19871221
OTHER SOURCE(S):	MARPAT 113:58126			

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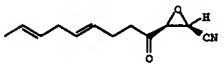


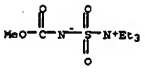
I

AB The title compds. RCN (R = naphthalenyl derivative, nonadienyloxirane, cyanobutanoate, butylglycinate, pyridinyl) are prepared by selective dehydration of RCONH2 with R1O2N-SO2N+(E1)3 (R1 = C1-4 alkyl, PhCH2, PhCH2CH2). To a stirred solution of lovastatin amide in anhydr. CH2Cl2 was added MeO2CN-SO2N+Et3 over 2 h to give lovastatin nitrile. A similar prepared compound cerulenonnitrile I has been found to have inhibitory activity against Factor XIIIa.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydration agent, in preparation of nitriles)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 235 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1990:179240 CAPLUS
DOCUMENT NUMBER:	112:179240
TITLE:	Hydrostannation of methyl(carboxysulfamoyl)triethylammonium hydroxide inner salt: a new route to tributyltin isocyanate
AUTHOR(S):	Ratier, Max; Khatmi, Djamel; Duboudin, J. Georges; Minh Dao The
CORPORATE SOURCE:	Lab. Chim. Org. Organomet., Univ. Bordeaux I, Talence, 33405, Fr.
SOURCE:	Synthetic Communications (1989), 19(11-12), 1929-37 CODEN: SYNCAV; ISSN: 0039-7911
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 112:179240

AB Reaction of Bu3SnH with Et3N+SO2N-CO2Me in C6H6 gave 100% Bu3SnNCO which, when treated with PhMgBr in Et2O, gave 100% Bu3SnPh.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tributyltin hydride, tributyltin isocyanate from)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

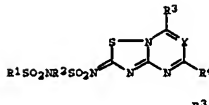
L9 ANSWER 236 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1990:158227 CAPLUS
DOCUMENT NUMBER:	112:158227
TITLE:	Thiadiazolopyrimidines and sulfonylthioureidopyrimidines, herbicides containing them, and sulfonamides as their intermediates
INVENTOR(S):	Makino, Kenji; Sato, Toshiaki; Morimoto, Katsuyuki; Akiyama, Shigeaki; Suzuki, Koichi; Nawamaki, Tsutomu; Watanabe, Shigeomi
PATENT ASSIGNEE(S):	Nissan Chemical Industries, Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 36 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

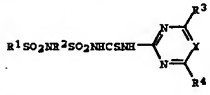
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01213286	A2	19890828	JP 1988-38636	19880223
PRIORITY APPLN. INFO.:			JP 1988-38636	19880223
OTHER SOURCE(S):	MARPAT 112:158227			

GI



I



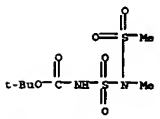
II

AB The title thiadiazolopyrimidines [I; X = CH, N; R1 = (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, (substituted) alkynyl, (substituted) cycloalkyl, etc.; R2 = H, (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, (substituted) cycloalkyl, etc.; R3, R4 = (halo)alkyl, (halo)alkoxy, halo, (halo)alkylthio, mono- or dialkylamino], the title sulfonylthioureidopyrimidines II, and their sulfonamide intermediates R1SO2NR2SO2NH2 are prepared Treatment of MeSO2NMeSO2NH2 (preparation given) with 4,6-dimethoxy-2-isothiocyanatopyrimidine in Me2CO in the presence of K2CO3 gave II (R1 = R2 = Me; R3 = R4 = MeO; X = CH) (III), which was treated with NBS in MeOH to afford I (R1-R4, X = same as above) (IV). III at 0.8 g/are and IV at 0.4 g/are gave ≥90% control of

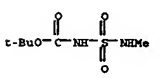
Cyperus microisia and Rorippa indica and no damage to wheat, soybean, and corn crops. Formulation examples are given.

IT 125987-93-1P 125987-94-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of herbicides)

RN 125987-93-1 CAPLUS
CN 2,4-Dithia-3,5-diazahexan-6-oic acid, 3-methyl-, 1,1-dimethylethyl ester, 2,2,4,4-tetraoxide (9CI) (CA INDEX NAME)



RN 125987-94-2 CAPLUS
CN Carbamic acid, [(methylamino)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

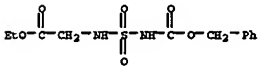


L9 ANSWER 237 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:515722 CAPLUS
DOCUMENT NUMBER: 111:115722
TITLE: A general synthesis of 4-substituted 1,1-dioxo-1,2,5-thiadiazolidin-3-ones derived from α-amino acids
AUTHOR(S): Muller, George W.; DuBois, Grant E.
CORPORATE SOURCE: NutraSweet Co., Mt. Prospect, IL, 60056, USA
SOURCE: Journal of Organic Chemistry (1989), 54(18), 4471-3
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:115722
GI

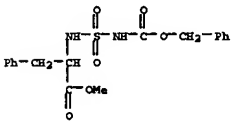
AB The reaction of ClSO2NCO with PhCH2OH followed by in situ treatment with racemic α-amino acid esters yielded carbobenzoxy-protected sulfonimides PhCH2O2CNHSO2NHCHRCO2R1 (R = H, R1 = Et; R = Me, CH2Ph, CH2CH2SMe, R1 = Me). After hydrogenolysis, the resulting mono-substituted sulfonimides were cyclized under alkaline conditions to produce 4-substituted 1,1-dioxo-1,2,5-thiadiazolidin-3-ones I (R = same). The thiadiazolidin-3-ones I were evaluated as sweeteners and found not to be active.

IT 121142-89-0P 121142-90-3P 121142-91-4P
121157-68-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and catalytic hydrogenolysis of)

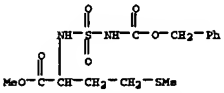
RN 121142-89-0 CAPLUS
CN Glycine, N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



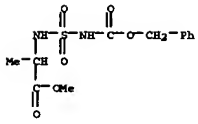
RN 121142-90-3 CAPLUS
CN Phenylalanine, N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 121142-91-4 CAPLUS
CN Methionine, N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 121157-68-4 CAPLUS
CN Alanine, N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 238 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:478599 CAPLUS
DOCUMENT NUMBER: 111:78599
TITLE: Preparation of N-acyldesferrioxamine B derivatives
INVENTOR(S): Peter, Heinrich; Moerker, Theophile
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXKDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

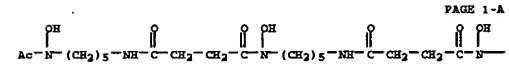
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 300966	A2	19890125	EP 1988-810480	19880713
EP 300966	A3	19890607		
EP 300966	B1	19921014		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL; SE				
AT 81500	E	19921015	AT 1988-810480	19880713
ES 2052771	T3	19940716	ES 1988-810480	19880713
US 4954634	A	19900904	US 1988-221953	19880720
CA 1332421	A1	19941011	CA 1988-572657	19880721
DK 8804107	A	19890124	DK 1988-4107	19880722
JP 01040454	A2	19890210	JP 1988-181975	19880722
JP 2543958	B2	19961016		
US 5049689	A	19910917	US 1990-468513	19900123
PRIORITY APPLN. INFO.:			CH 1987-2792 A	19870723
			EP 1988-810480 A	19880713
			US 1988-221953 A2	19880720

OTHER SOURCE(S): MARPAT 111:78599
AB XNH(CH2)5N(OX1)COCH2CH2 CONH(CH2)5N(OY2)COCH2CH2CONH(CH2)5N(OX3)Ac (I; X = organic acyl group; X1, X2, X3 = H, organic acyl group) (II) useful as chelating agents in treating diseases associated with excess Fe(III) (no data) were prepared by treating I (X = R1R2R3Si; ≥1 of X1, X2, X3 = silyl, the rest = acyl; R1, R2 = C1-8 hydrocarbyl; R3 = R1, chloro) (III) with an organic acylating agent followed by desilylation. A suspension of desferrioxamine B in pyridine was treated over 10 min with Me3SiCl and the mixture was stirred for 3 h at room temperature. Palmitoyl chloride was added over 10 min and the mixture was stirred 19 h at room temperature. MeOH was added to give N-palmitoyldesferrioxamine B.

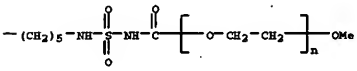
IT 121858-83-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as selective chelating agent)

RN 121858-83-1 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α-(10,21,32-trihydroxy-3,3-dioxido-1,11,14,22,25,33-hexaoxo-3-thia-2,4,10,15,21,26,32-heptaazatetratriacont-1-yl)-ω-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L9 ANSWER 239 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:193665 CAPLUS
DOCUMENT NUMBER: 110:193665
TITLE: Preparation of polyethylene glycol carbamates
INVENTOR(S): Peter, Heinrich; Moerker, Theophile
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 23 pp.
CODEN: EPXKDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 300969	A2	19890125	EP 1988-810484	19880715
EP 300969	A3	19901219		
EP 300969	B1	19950118		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ES 2066794	T3	19950316	ES 1988-810484	19880715
US 5105368	A	19930209	US 1988-221860	19880720
NO 8803246	A	19890124	NO 1988-3246	19880721
NO 171684	B	19930111		
NO 171684	C	19930421		
DD 281010	A5	19900822	DD 1988-318188	19880721
IL 87184	A1	19930404	IL 1988-87184	19880721
DK 8804109	A	19890124	DK 1988-4109	19880722
FI 8803470	A	19890124	FI 1988-3470	19880722
FI 93351	B	19941215		
FI 93351	C	19950327		
AU 8819290	A1	19890127	AU 1988-19290	19880722
AU 617677	B2	19911205		
JP 01047749	A2	19890222	JP 1988-181976	19880722
JP 08013795	B4	19960214		
HU 47529	A2	19890328	HU 1988-3885	19880722
HU 201517	B	19901128		
ZA 8805336	A	19890329	ZA 1988-5336	19880722
US 5328992	A	19940712	US 1992-967097	19921027
US 5424057	A	19950613	US 1994-224926	19940408
PRIORITY APPLN. INFO.:			CH 1987-2794 A	19870723
			US 1988-221860 A3	19880720
			US 1992-967097 A3	19921027

OTHER SOURCE(S): MARPAT 110:193665
AB The carbamates RO(CH2CH2O)nZNH(CH2)5N(OR1)COCH2CH2CONH(CH2)5N(OR2)COCH2CH2CONH(CH2)5N(OR3)Ac [R = C1-4 alkyl; R1-3 = H, acyl group; Z = -CO(NHSO2)m (m = 0 or 1); n = average value ≥9], useful as chelating and diagnostic

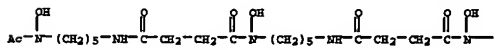
agents, are prepared Adding 194 mL Me3SiCl to 86.5 g desferrioxamine B (I) methanesulfonate in 2 L pyridine at room temperature, stirring 3 h, adding dropwise an acylating solution [prepared from 72.6 g polyethylene glycol mono-Me ether (mol. weight 560) in 1 L PhMe and 66 mL 20% PhMe solution of COCl2 at 70°], and stirring 16 h at room temperature gave a I polyoxyethylene carbamate (II) with solubility in H2O 25%, DMSO 40%, MeOH 10%, and CH2Cl2 5%. Stirring 300 g II in 3.5 L H2O with 115 g Fe(acac)3 in 2 L EtOAc at room temperature for 2 h gave a II complex containing 4.90% Fe.

IT 121858-83-1P
RL: PREP (Preparation)
(preparation of)

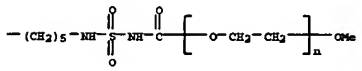
RN 121858-83-1 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α-(10,21,32-trihydroxy-3,3-dioxido-1,11,14,22,25,33-hexaoxo-3-thia-2,4,10,15,21,26,32-heptaazatetratriacont-1-yl)-ω-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A



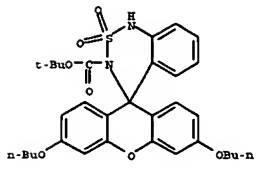
PAGE 1-B



L9 ANSWER 240 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:85566 CAPLUS
DOCUMENT NUMBER: 110:85566
TITLE: Recording material containing leuco dye
INVENTOR(S): Harada, Toru
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63251279	A2	19881018	JP 1987-85534	19870407
PRIORITY APPLN. INFO.:			JP 1987-85534	19870407

OTHER SOURCE(S): MARPAT 110:85566
GI

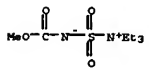


AB An efficient chemoselective method for the preparation of nitriles from primary amides is described which utilizes MeO2CN-SO2N+Et3 (Burgess reagent) as the dehydrating reagent. Amides dehydrated include mevinolin amide, cerulenin, and nicotinamide.

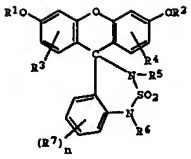
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydration by, of primary amides to nitriles)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 242 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:570280 CAPLUS
DOCUMENT NUMBER: 109:170280
TITLE: Chlorosulfonyl isocyanate derivatives: synthesis, structure, and biological activity of [(2-haloethoxy)carbonyl]sulfamides
AUTHOR(S): Agoh, Bernadette; Dewynter, Georges; Montero, Jean Louis; Leydet, Alain; Imbach, Jean Louis
CORPORATE SOURCE: Lab. Chim. Ther., Univ. Abidjan, Abidjan, Cote d'Ivoire
SOURCE: Bulletin de la Societe Chimique de France (1987), (5), 867-72
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French



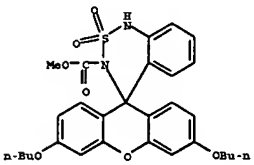
I

AB The recording material contains I (R1, R2 = alkyl, cycloalkyl, aralkyl; R3, R4 = H, halo, alkyl, cycloalkyl, aralkyl, alkoxy, acylamino; R5 = H, alkyl, alkoxycarbonyl, aryoxycarbonyl, aryl; R6 = H, alkyl, aryl, aralkyl, acyl; R7 = H, halo, alkyl, alkoxy, OH, amino, (di)alkylamino, acylamino, NO2, CN, carbamoyl, sulfamoyl, aryloxycarbonyl, alkoxycarbonyl, alkylsulfonyl, arylsulfonyl, alkylsulfonylamino, arylsulfonylamino, aryl; n = 1-4; and R7 may be different for n = 2-4). Thus, a pressure-sensitive recording sheet contained I (R1, R2 = Bu; R3, R4, R6, R7 = H; R5 = Et, n = 1). The sheet showed high coloration d., had high coloration speed, and formed light-resistant images.

IT 118994-76-6 118994-77-7
RL: USES (Uses)
(recording materials containing)

RN 118994-76-6 CAPLUS

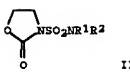
CN Spiro[1H-2,1,3-benzothiadiazine-4(3H),9'-[9H]xanthene]-3-carboxylic acid, 3',6'-dibutoxy-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



RN 118994-77-7 CAPLUS

CN Spiro[1H-2,1,3-benzothiadiazine-4(3H),9'-[9H]xanthene]-3-carboxylic acid, 3',6'-dibutoxy-, 1,1-dimethylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)

OTHER SOURCE(S): CASREACT 109:170280
GI



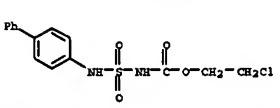
II

AB Addition reaction of HOCH2CH2R (R = Cl, Br) with ClSO2NCO gave RCH2CH2O2CNHSO2Cl, which reacted with HNR1R2 (R1 = H, R2 = Ph, C6H4NO2-m, C6H4CN-o, C6H4Ph-p, CH2Ph, CHMePh, furyl, picolyl, cyclohexyl, adamantyl, pentyl; R1 = R2 = Ph, Et, CH2CH2Cl; R1 = Ph, R2 = Me; R1 = CH2COEt) to give 39-92% RCH2CH2O2CNHSO2NR1R2 (I). Intramol. cyclocondensation of I with Et3N gave 60-93% N-sulfamoyloxazolidinones II. Methylation of I (R = Cl, R1 = H) with CH2N2 gave ClCH2CH2O2CNMeSO2NHR2 (III; R2 = CHMePh, furyl, cyclohexyl, adamantyl) and ClCH2CH2O2CNMeSO2NMeR2 (IV; R2 = Ph, C6H4NO2-m, C6H4CN-o, CH2Ph). I-IV were tested for oncostatic activity against LI210 leukemia, but did not show any activity.

IT 116943-53-4P 116943-55-6P 116943-57-8P
116943-60-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antileukemic activity of)

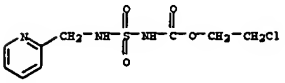
RN 116943-53-4 CAPLUS

CN Carbamic acid, [([1,1'-biphenyl]-4-ylamino)sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



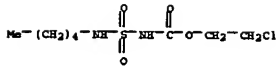
RN 116943-55-6 CAPLUS

CN Carbamic acid, [[(2-pyridinylmethyl)amino]sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)

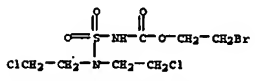


RN 116943-57-8 CAPLUS

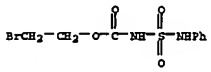
CN Carbamic acid, [(pentylamino)sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



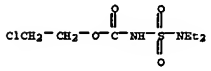
RN 116943-60-3 CAPLUS
CN Carbamic acid, [bis(2-chloroethyl)amino]sulfonyl]-, 2-bromoethyl ester (9CI) (CA INDEX NAME)



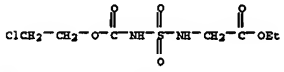
IT 87708-05-2P 87708-07-4P 87708-21-2P
116943-58-9P 116943-59-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, antileukemic activity, and intramol. cyclocondensation reaction of)
RN 87708-05-2 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2-bromoethyl ester (9CI) (CA INDEX NAME)



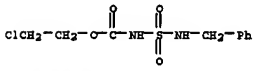
RN 87708-07-4 CAPLUS
CN Carbamic acid, [(diethylamino)sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



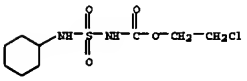
RN 87708-21-2 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 6-oxo-, 2-chloroethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



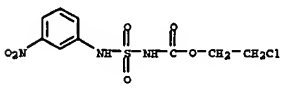
RN 116943-58-9 CAPLUS
CN Carbamic acid, [(diphenylamino)sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



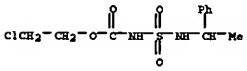
RN 87708-08-5 CAPLUS
CN Carbamic acid, [(cyclohexylamino)sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



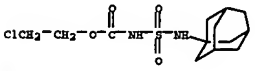
RN 116943-51-2 CAPLUS
CN Carbamic acid, [[(3-nitrophenyl)amino]sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



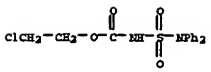
RN 116943-54-5 CAPLUS
CN Carbamic acid, [[(1-phenylethyl)amino]sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



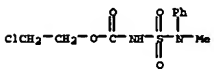
RN 116943-56-7 CAPLUS
CN Carbamic acid, [(tricyclo[3.3.1.13,7]dec-1-ylamino)sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



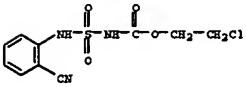
RN 116962-34-6 CAPLUS
CN Carbamic acid, [[(2-furanylmethyl)amino]sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



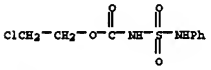
RN 116943-59-0 CAPLUS
CN Carbamic acid, [(methylphenylamino)sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



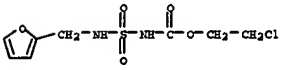
IT 116943-52-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, antileukemic activity, and methylation of)
RN 116943-52-3 CAPLUS
CN Carbamic acid, [[(2-cyanophenyl)amino]sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



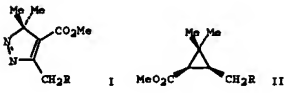
IT 87708-04-1P 87708-06-3P 87708-08-5P
116943-51-2P 116943-54-5P 116943-56-7P
116962-34-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, antileukemic activity, intramol. cyclocondensation, and methylation of)
RN 87708-04-1 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



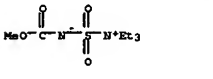
RN 87708-06-3 CAPLUS
CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 243 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:167700 CAPLUS
DOCUMENT NUMBER: 108:167700
TITLE: Stereospecific synthesis of cis-pyrethroids using a carbanionic synthon. II. Access to cis-chrysanthemic and cis-pyrethric derivatives
AUTHOR(S): Franck-Neumann, Michel; Miesch, Michel; Kempf, Hubert
CORPORATE SOURCE: Inst. Chim., CNRS, Strasbourg, 67008, Fr.
SOURCE: Tetrahedron (1987), 43(5), 853-8
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 108:167700
GI



AB The photolysis of a series of pyrazoles I [R = Ac, CH(OH)CO2Et, C(OH)MeCO2Me], obtained from a common carbanionic precursor leads to cyclopropene esters. These were hydrogenated to cis-disubstituted cyclopropanes II which are direct precursors of chrysanthemates, pyrethroid esters and analogous halopyrethroids.
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydration by, of cyclopropylhydroxypropanoate)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



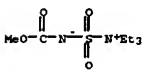
L9 ANSWER 244 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:21399 CAPLUS
DOCUMENT NUMBER: 108:21399
TITLE: Stereoselective olefin formation from the dehydration of 1-(p-alkoxyphenyl)-1,2-diphenyl-1-butanols. Application to the synthesis of tamoxifen
AUTHOR(S): McCague, Raymond

CORPORATE SOURCE: Cancer Res. Campaign Lab., Inst. Cancer Res., Sutton/Surrey, SM2 5PX, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1987), (5), 1011-15
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:21399

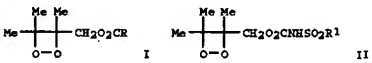
AB Acid catalyzed dehydration of either diastereoisomer of a 1-(p-alkoxyphenyl)-1,2-diphenylbutan-1-ol gives mainly the (Z) isomer of the but-1-ene via a common carbenium ion intermediate that was regenerated by protonation of the (Z)- or (E)-butene with fluorosulfonic acid. Highly stereoselective syn eliminations were achieved by treatment of the butan-1-ols with base and carbon disulfide, but dehydrations using N,N,N-triethylammonio-N'-methoxycarbonylsulfamidate proceeded mainly via a carbenium ion. Aspects of the stereoselectivity of the reactions are discussed. The methods were applied for stereoselective syntheses of the anti-cancer drug tamoxifen.

IT **29684-56-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydration by, of (ethoxyphenyl)diphenylbutanol)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 245 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:534234 CAPLUS
DOCUMENT NUMBER: 107:134234
TITLE: Functionalized 1,2-dioxetanes as potential photogenotoxic agents: 1,2-dioxetanes with electrophilic chemical handles for functionalization with protic nucleophiles
AUTHOR(S): Adam, Waldemar; Fuchs, Rainer; Kirchgaessner, Uwe
CORPORATE SOURCE: Inst. Org. Chem., Univ. Wuerzburg, Wuerzburg, D-8700, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1987), 120(9), 1565-71
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:134234
GI

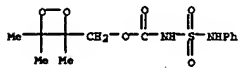


AB Electrophilically substituted dioxetanes I (R = Cl) and II (R1 = Cl) were used as substrates for the functionalization of protic nucleophiles.

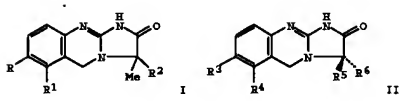
Thus, I (R = Cl) was treated with MeOH, lauryl alc., cholesterol, PhCH$_2$OH, and PhSH to give I (R = OMe, lauryloxy, cholest-4-en-3-yloxy, PhO, and PhS, resp.). I (R = Cl) functionalized amino acids and peptides; e.g., I (R = Cl) was treated with H-Gly-OEt, H-Phe-OEt, and H-Phe-Leu-OH to give I (R = Gly-OEt, Phe-OEt, and Phe-Leu-OH, resp). II (R1 = Cl) was treated with H$_2$NNPh to give II (R1 = NHPh).

IT **109123-79-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 109123-79-7 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, (3,4,4-trimethyl-1,2-dioxetan-3-yl)methyl ester (9CI) (CA INDEX NAME)



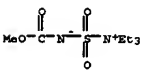
L9 ANSWER 246 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:458976 CAPLUS
DOCUMENT NUMBER: 107:58976
TITLE: Metabolites of 1,5-dihydroimidazo[2,1-b]quinazolin-2(3H)-ones. Preparation and reactions of some 1,5-dihydro-3-hydroxyimidazo[2,1-b]quinazolin-2(3H)-ones
AUTHOR(S): Stalder, Henri
CORPORATE SOURCE: Pharm. Forschungsabt., F. Hoffmann-La Roche und Co., A.-G., Basel, CH-4002, Switz.
SOURCE: Helvetica Chimica Acta (1986), 69(8), 1887-97
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 107:58976
GI



AB Dihydroimidazoquinazolinones I (R = OH, R1 = Cl, R2 = H; R = H, R1 = Cl, R2 = OH; R = OH, R1 = Cl, R2 = OH; R = Br, R1 = Me, R2 = OH) have been isolated as metabolites of imidazoquinazolinones II (R3 = H, R4 = Cl, R5 = H, R6 = Me) with pos. ionotropic activity, II (R3 = Br, R4 = Me, R5, R6 = H, Me), with but little activity as inhibitors of blood platelet aggregation, and II (R3, R4 = Cl, R5, R6 = H). I were prepared starting from 2,4,6-R1R(O2N)C$_6$H$_2$Me. Ethers I (R = H, R1 = Cl, R2 = OMe, OEt, OPr, O(CH$_2$)$_2$OMe; R = Br, R1 = Me, R2 = OMe, OEt) were weak ionotropics in comparison to II.

IT **29684-56-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and blood platelet aggregation and inotropic activity of)

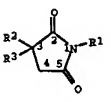
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 247 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:113536 CAPLUS
DOCUMENT NUMBER: 106:113536
TITLE: Ethosuximide tracers, immunogens, and antibodies, and their preparation and use in an ethosuximide fluorescence-polarization immunoassay
INVENTOR(S): Heiman, Daniel Paulner; Cantarero, Luis A.; Chan, Clifford Man
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXKDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 199963	A1	19861210	EP 1986-103673	19860318
EP 199963	B1	19911023		
R: BE, DE, FR, IT				
JP 61236799	A2	19861022	JP 1986-72644	19860401
JP 06062628	B4	19940817		

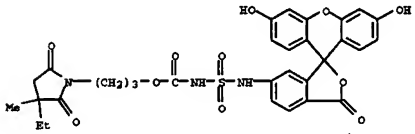
PRIORITY APPLN. INFO.: US 1985-718601 A 19850401
GI



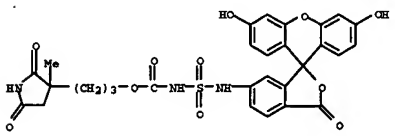
AB Ethosuximide analogs and derivs. I [R1 = H, RZQ (R = linking group; Z = NH, CO, CS, SO2, C:NH, N, NH, N:N, CH2; Q = poly(amino acid) or derivative, an immunol. active carrier, fluorescein or derivative); R2 = Me, Et when R1 = RZQ, or CH2RZQ when R1 = H (RZQ as defined); R3 = Me, Et] are prepared as tracers and immunogens for use in fluorescence-polarization immunoassay for ethosuximide. The assay is conducted by measuring the degree of polarization of plane polarized light that has been passed through a sample containing antiserum and tracer. 6-Carboxyfluorescein was coupled to 3-methyl-3-(3-aminopropyl) succinimide hydrochloride (prepared from 5-chloro-2-pentanone ethylene ketal and dibenzylamine in multiple steps). This tracer (0.5-2.0 nM) and ethosuximide antiserum obtained by using I (R1 = H, R2 = aminopropyl, R3 = Me, Q = bovine serum albumin) as the immunogen were used in a fluorescein-polarization assay for ethosuximide determination

IT **107142-73-4P 107142-75-6P 107163-43-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as tracer for ethosuximide fluorescence-polarization assay)

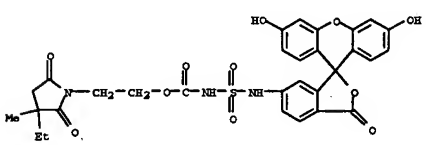
RN 107142-73-4 CAPLUS
CN Carbamic acid, [[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-6-yl)amino]sulfonyl]-, 3-(3-ethyl-3-methyl-2,5-dioxo-1-pyrrolidinyl)propyl ester (9CI) (CA INDEX NAME)



RN 107142-75-6 CAPLUS
CN Carbamic acid, [[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-6-yl)amino]sulfonyl]-, 3-(3-methyl-2,5-dioxo-3-pyrrolidinyl)propyl ester (9CI) (CA INDEX NAME)



RN 107163-43-9 CAPLUS
CN Carbamic acid, [[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-6-yl)amino]sulfonyl]-, 2-(3-ethyl-3-methyl-2,5-dioxo-1-pyrrolidinyl)ethyl ester (9CI) (CA INDEX NAME)



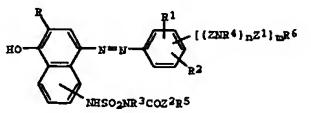
L9 ANSWER 248 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:25685 CAPLUS
DOCUMENT NUMBER: 106:25685
TITLE: Photographic photosensitive units containing azo dye-forming compounds
INVENTOR(S): Fujita, Shinsaku; Harada, Tooru
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.

CODEN: JKXKAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

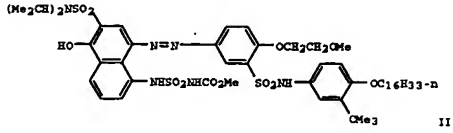
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61128249	A2	19860616	JP 1984-250770	19841128

PRIORITY APPLN. INFO.: JP 1984-250770 19841128

GI



I

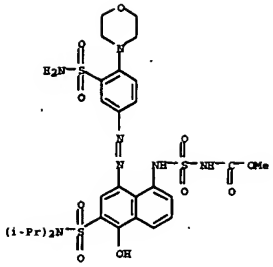


II

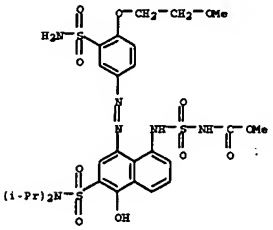
AB Photog. photosensitive units having ≥1 photosensitive Ag salt-containing layer(s) are described which contain ≥1 magenta dye-forming compd(s). I (R = H, halo, sulfamoyl, alkylsulfonyl, CO2H, phenoxycarbonyl, alkoxycarbonyl, carbamoyl; R1 = H, halo, alkyl, alkoxy; R2 = H, halo, alkyl, CN, CF3, fluorosulfonyl, halo, sulfamoyl, alkylsulfonyl, CO2H, phenoxycarbonyl, alkoxycarbonyl, carbamoyl; R3, R4 = H, alkyl; R5 = alkyl, phenyl; R6 = group which makes diffusibility of different from that of the dye formed by development; Z = SO2, CO; Z1 = alkylene, phenylene; Z2 = O, NR7; R7 = H, alkyl; n, m = 0, 1). A color diffusion-transfer photog. photosensitive unit was prepared by using II in a layer adjacent to an internal latent image type green-sensitive AgBr emulsion layer. The photosensitive units was imagewise exposed, and processed to give high quality magenta images with good access time.

IT 105923-92-0 105923-93-1 105923-94-2
105923-95-3 105923-96-4 105923-97-5
105923-98-6 105923-99-7 105924-00-3
105924-01-4 105924-02-5 105924-03-6
105924-04-7 105924-05-8 105924-06-9
RL: USES (Uses)
(magenta dye, absorption maximum wavelength and half width of, color diffusion-transfer photog. image quality in relation to)

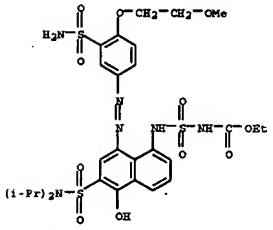
RN 105923-92-0 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(4-morpholinyl)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



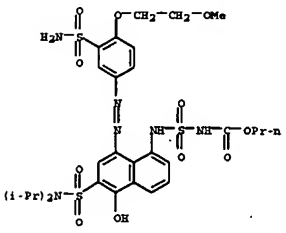
RN 105923-93-1 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



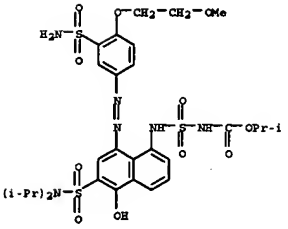
RN 105923-94-2 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



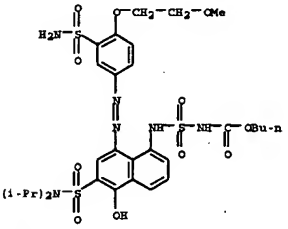
RN 105923-95-3 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, propyl ester (9CI) (CA INDEX NAME)



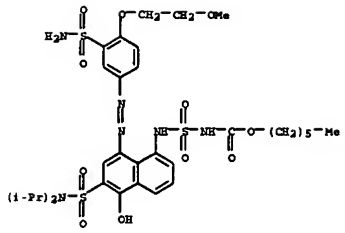
RN 105923-96-4 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



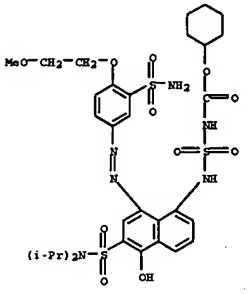
RN 105923-97-5 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, butyl ester (9CI) (CA INDEX NAME)



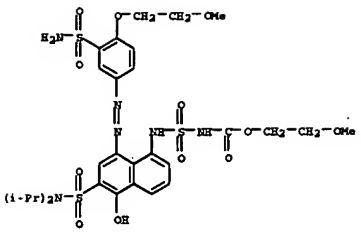
RN 105923-98-6 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, hexyl ester (9CI) (CA INDEX NAME)



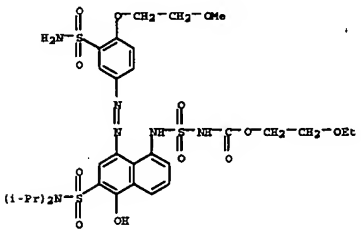
RN 105923-99-7 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)



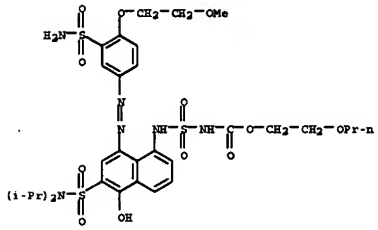
RN 105924-00-3 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



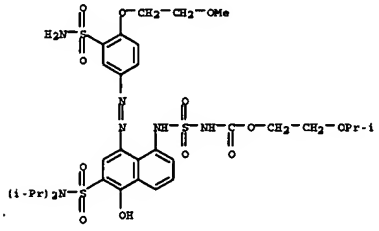
RN 105924-01-4 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, 2-ethoxyethyl ester (9CI) (CA INDEX NAME)



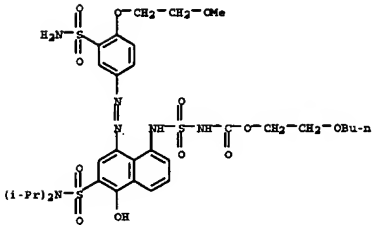
RN 105924-02-5 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, 2-propoxyethyl ester (9CI) (CA INDEX NAME)



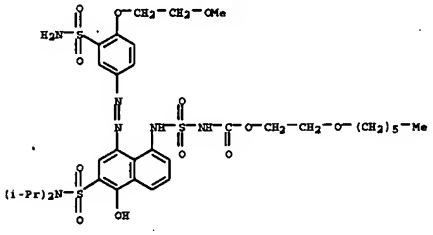
RN 105924-03-6 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, 2-(1-methylethoxy)ethyl ester (9CI) (CA INDEX NAME)



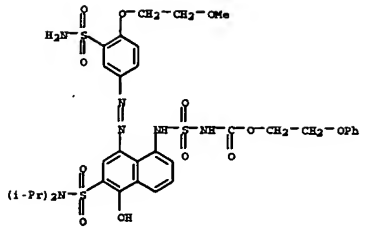
RN 105924-04-7 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, 2-butoxyethyl ester (9CI) (CA INDEX NAME)



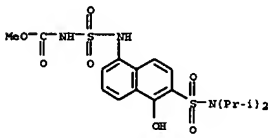
RN 105924-05-8 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, 2-(hexyloxy)ethyl ester (9CI) (CA INDEX NAME)



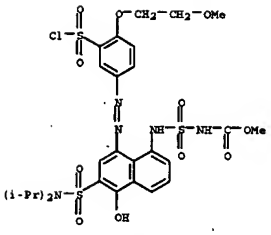
RN 105924-06-9 CAPLUS
CN Carbamic acid, [[[8-[[3-(aminosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, 2-phenoxyethyl ester (9CI) (CA INDEX NAME)



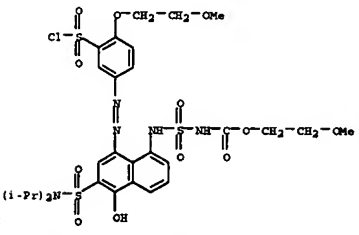
IT 105924-08-1P 105924-10-5P 105924-11-6P
105924-13-8P 105924-14-9P
RL: PREP (Preparation)
(preparation of, as diffusion-transfer color photog. magenta dye-releasing compound precursor)
RN 105924-08-1 CAPLUS
CN Carbamic acid, [[[6-[[bis(1-methylethyl)amino]sulfonyl]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



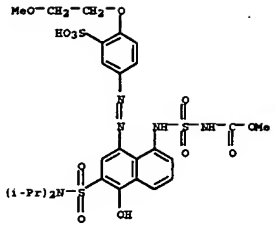
RN 105924-10-5 CAPLUS
CN Benzenesulfonic acid, 5-[[3-[[bis(1-methylethyl)amino]sulfonyl]-4-hydroxy-8-[[[[methoxycarbonyl]amino]sulfonyl]amino]-1-naphthalenyl]azo]-2-(2-methoxyethoxy)-, monosodium salt (9CI) (CA INDEX NAME)



RN 105924-14-9 CAPLUS
CN Carbamic acid, [[[6-[[bis(1-methylethyl)amino]sulfonyl]-8-[[3-(chlorosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

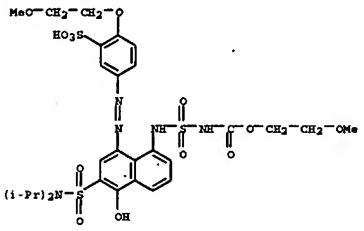


IT 105923-90-8P 105923-91-9P 105936-31-0P
RL: PREP (Preparation)
(preparation of, as magenta dye releasing compound for photog. and photothermog. photosensitive units)
RN 105923-90-8 CAPLUS
CN Carbamic acid, [[[6-[[bis(1-methylethyl)amino]sulfonyl]-8-[[3-[[[3-(1,1-dimethylethyl)-4-(hexadecyloxy)phenyl]amino]sulfonyl]-4-(2-methoxyethoxy)phenyl]azo]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

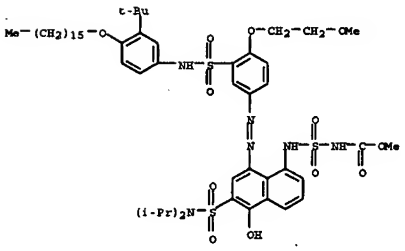


● Na

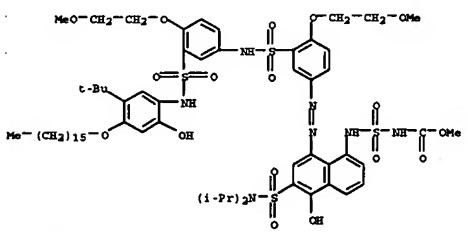
RN 105924-11-6 CAPLUS
CN Benzenesulfonic acid, 5-[[3-[[bis(1-methylethyl)amino]sulfonyl]-4-hydroxy-8-[[[[(2-methoxyethoxy)carbonyl]amino]sulfonyl]amino]-1-naphthalenyl]azo]-2-(2-methoxyethoxy)- (9CI) (CA INDEX NAME)



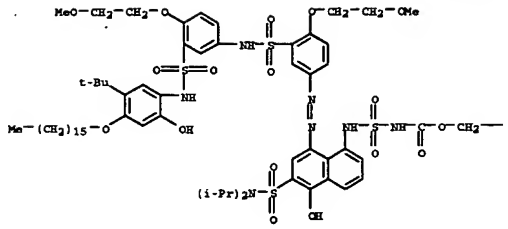
RN 105924-13-8 CAPLUS
CN Carbamic acid, [[[6-[[bis(1-methylethyl)amino]sulfonyl]-8-[[3-(chlorosulfonyl)-4-(2-methoxyethoxy)phenyl]azo]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 105923-91-9 CAPLUS
CN Carbamic acid, [[[6-[[bis(1-methylethyl)amino]sulfonyl]-8-[[3-[[[3-[[[5-(1,1-dimethylethyl)-4-(hexadecyloxy)-2-hydroxyphenyl]amino]sulfonyl]-4-(2-methoxyethoxy)phenyl]amino]sulfonyl]-4-(2-methoxyethoxy)phenyl]azo]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 105936-31-0 CAPLUS
CN Carbamic acid, [[[6-[[bis(1-methylethyl)amino]sulfonyl]-8-[[3-[[[3-[[[5-(1,1-dimethylethyl)-4-(hexadecyloxy)-2-hydroxyphenyl]amino]sulfonyl]-4-(2-methoxyethoxy)phenyl]amino]sulfonyl]-4-(2-methoxyethoxy)phenyl]azo]-5-hydroxy-1-naphthalenyl]amino]sulfonyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



—CH_2—OMe

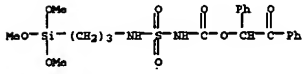
L9 ANSWER 249 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:609930 CAPLUS
DOCUMENT NUMBER: 105:209930
TITLE: Chlorosulfonyl isocyanate derivatives as anaerobic accelerators
INVENTOR(S): Jacobine, Anthony F.; Glaser, David M.
PATENT ASSIGNEE(S): Loctite Corp., USA
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 185476	A1	19860625	EP 1985-308606	19851127
R: DE, FR, GB				
US 4622348	A	19861111	US 1984-675387	19841127
CA 1251896	A1	19890328	CA 1985-495667	19851119
AU 8550368	A1	19860605	AU 1985-50368	19851126
JP 61141776	A2	19860628	JP 1985-265187	19851127
PRIORITY APPLN. INFO.:			US 1984-675387 A	19841127

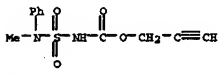
AB The compds. R1R2NSO2NHCOA (A = OR3, OCOR3, NR1R2; R1 = H, organic group; R2, R3 = organic groups) are catalysts for the curing of anaerobic acrylic compns. Thus, adding 1 equivalent ClSO2NCO dropwise to benzoin in CH2Cl2 at 50°, stirring 1.5 h to room temperature, adding 1 equiv (MeO)3Si(CH2)3NH2 and excess Et3N dropwise, and stirring 1 h gave (MeO)3Si(CH2)3NHSO2NHCOOCH(Ph)COPh (I). Polyethylens glycol dimethacrylate containing 3% I polymerized to a solid in 20 s when exposed to UV light (20 mW/cm2) as a thin film.

IT 105329-37-1 105329-38-2 105329-39-3
105329-40-6
RL: CAT (Catalyst use); USES (Uses)
(catalysts, for anaerobic and photochem. crosslinking)

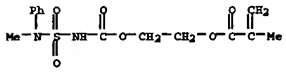
RN 105329-37-1 CAPLUS
CN 9-Oxa-3-thia-2,4-diaza-8-siladecanoic acid, 8,8-dimethoxy-, 2-oxo-1,2-diphenylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



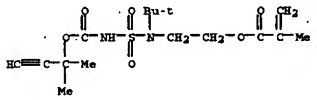
RN 105329-38-2 CAPLUS
CN Carbamic acid, [(methylphenylamino)sulfonyl]-, 2-propynyl ester (9CI) (CA INDEX NAME)



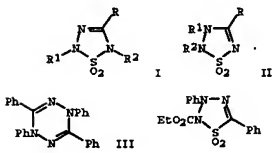
RN 105329-39-3 CAPLUS
CN 2-Propenoic acid, 2-methyl-, 6,6-dioxido-4-oxo-7-phenyl-3-oxa-6-thia-5,7-diazaoct-1-yl ester (9CI) (CA INDEX NAME)



RN 105329-40-6 CAPLUS
CN 7-Oxa-3-thia-2,4-diazadec-9-enoic acid, 4-(1,1-dimethylethyl)-9-methyl-8-oxo-, 1,1-dimethyl-2-propynyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



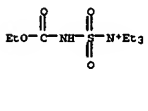
L9 ANSWER 250 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:553001 CAPLUS
DOCUMENT NUMBER: 105:153001
TITLE: Synthesis of dihydro-1,2,3,5-thiatriazole 1,1-dioxides. I
AUTHOR(S): Knollmueller, Max; Kosma, Paul
CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ. Wien, Vienna, A-1060, Austria
SOURCE: Monatshefte fuer Chemie (1985), 116(10), 1141-51
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 105:153001
GI



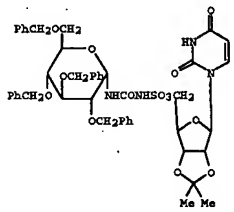
AB Title thiatriazole dioxides I (R = Ph, R1 = R2 = Me; R1 = CH2Ph, R2 = Me, H) were prepared by treating N1-acylsulfamoylhydrazides with PCl5, yielding the corresponding N2-sulfamoylcarbohydrazonoyl chlorides which cyclize after addition of KOH or BuLi. Methylation of I (R = Ph, R1 = PhCH2, R2 = H) gave I (R2 = Me) and the 2,3-isomer II (R = Ph, R1 = Me, R2 = PhCH2) in a 1:1 ratio. Reaction of the nitrilimine PhC.tplbond.N+N-Ph with the sulfonylamine O2S:NCO2Et gave the tetrazine III and the isomeric dihydrothiatriazole 1,1-dioxides I (R = R1 = Ph, R2 = CO2Et) and IV via 1,3-dipolar cycloaddn. reaction, while the dihydro-1,2,3,5-thiatriazole 1,1-dioxide II (R = R2 = Ph, R1 = H) reacted with ClCO2Et to yield the isomers I (R = R1 = Ph, R2 = CO2Et) and I (R = R2 = Ph, R1 = CO2Et).

IT 104637-79-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with benzenecarbohydrazonoyl chloride)

RN 104637-79-8 CAPLUS
CN Ethanaminium, N-[[(ethoxycarbonyl)amino]sulfonyl]-N,N-diethyl-, hydroxide (9CI) (CA INDEX NAME)



L9 ANSWER 251 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:507940 CAPLUS
DOCUMENT NUMBER: 105:107940
TITLE: Diphosphate modified antiviral analogs of uridine 5'-diphosphate glucose derivatives
AUTHOR(S): Fernandez-Resa, Piedad; Garcia-Lopez, Maria Teresa; De las Heras, Federico G.; San Felix, Ana; Alarcon, Balbino; Carrasco, Luis
CORPORATE SOURCE: Inst. Quim. Med., Madrid, 28006, Spain
SOURCE: European Journal of Medicinal Chemistry (1986), 21(3), 245-9
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

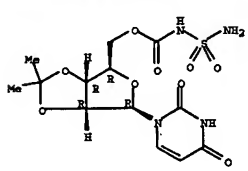


AB The title compds. were prepared and tested for antiviral activity against herpes simplex virus type 1 (HSV-1) infection. The antiherpes activity of 4 of these compds. was analyzed by their protection in HeLa cells against the cytopathic effect induced by HSV-1 replication. I [103977-07-7] showed potent antiherpes activity. Structure-activity relations are discussed.

IT 103977-02-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with tetraacetyl- or tetrabenzoylglucopyranosyl bromides)

RN 103977-02-2 CAPLUS
CN Uridine, 2',3'-O-(1-methylethylidene)-, 5'-[(aminosulfonyl)carbamate] (9CI) (CA INDEX NAME)

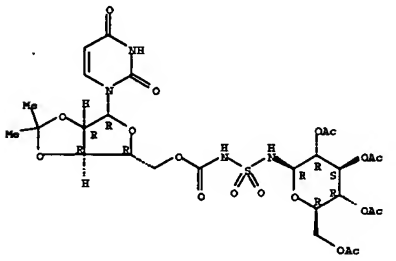
Absolute stereochemistry.



IT 103977-03-3P 103977-04-4P
RL: SPN (Synthetic preparation); PREP (Preparation)

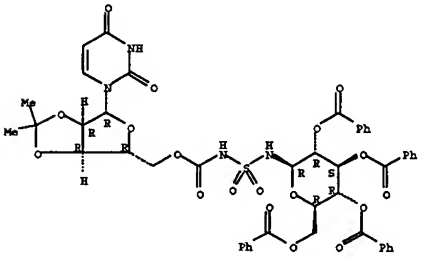
(preparation of)
RN 103977-03-3 CAPLUS
CN Uridine, 2',3'-O-(1-methylethylidene)-, 5'-[[[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)amino]sulfonyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

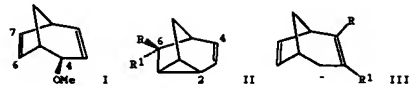


RN 103977-04-4 CAPLUS
CN Uridine, 2',3'-O-(1-methylethylidene)-, 5'-[[[(2,3,4,6-tetra-O-benzoyl-β-D-glucopyranosyl)amino]sulfonyl]carbamate] (9CI) (CA INDEX NAME)

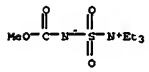
Absolute stereochemistry.



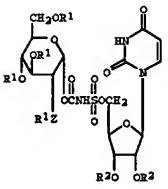
L9 ANSWER 252 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:423900 CAPLUS
DOCUMENT NUMBER: 105:23900
TITLE: The effect of phenyl groups on homoconjugation in the bicyclo[3.2.1]octa-3,6-dien-2-yl anion. A carbon-13 NMR study
AUTHOR(S): Christl, Manfred; Brueckner, Dieter
CORPORATE SOURCE: Inst. Org. Chem., Univ. Wuerzburg, Wuerzburg, D-8700, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1986), 119(6), 2025-49
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 105:23900
GI



AB The effects of D, at C(2) and C(4), on the 13C NMR of exo-4-methoxybicyclo[3.2.1]octa-2,6-diene (I) and exo-6-bromo- (II; R = Br, R1 = H) and endo-6-methoxytricyclo[3.2.1.0]oct-3-ene (II; R = H, R1 = OMe) provide evidence for homoconjugation in the title anion (III; R = R1 = H). The wide variation in the 13C chemical shifts of C(6) and C(7) with substitution of the allylic moiety in III (R = Ph, R1 = H; R = H, R1 = Ph) also strongly support the bisbromoarom. nature of these anions. The preparation of III (R,R1 = Ph) precursors, the temperature dependence of the 13C NMR of the Li salt of III (R = Ph, R1 = H) but not the K salt, and the NMR of the hydrocarbon precursors of III are discussed.
IT 29684-56-8
RL: PRP (Properties)
(dehydrating agent, for preparation of phenylbicyclooctadiene)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

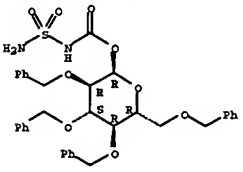


L9 ANSWER 253 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1985:523847 CAPLUS
DOCUMENT NUMBER: 103:123847
TITLE: Analogs of uridinediphosphatehexoses. A new type of protein glycosylation inhibitors that show antiviral activity
AUTHOR(S): Camarasa, M. J.; Fernandez-Resa, P.; Garcia-Lopez, M. T.; De las Heras, F. G.; Mendez-Castrillon, P. P.; Alarcon, B.; Carrasco, L.
CORPORATE SOURCE: Inst. Quim. Med., Madrid, 28006, Spain
SOURCE: Nucleosides & Nucleotides (1985), 4(1-2), 149-51
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:123847
GI



AB Analogs of UDP-Glc and UDP-GlcNAc (I; R1 = PhCH2, Bz, Ac, palmitoyl, R22 = Me2C, Z = O; R1 = Ac, R22 = Me2C, Z = NH) were prepared by reaction of the corresponding glucopyranoses with ClSO2NCO and 2',3'-O-isopropylidenuridine in MeCN. From I the protecting groups R1 = Ac and R22 = Me2C were removed by treatment with MeOH-NH3 and CF3CO2H-H2O, resp. I inhibited glycosylation of proteins in HSV-1 infected HeLa cells and were active against several enveloped viruses.
IT 93426-55-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 93426-55-2 CAPLUS
CN α-D-Glucopyranose, 2,3,4,6-tetrakis-O-(phenylmethyl)-, (aminosulfonyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 254 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1985:105112 CAPLUS
DOCUMENT NUMBER: 102:105112
TITLE: Benzothiadiazine derivative
PATENT ASSIGNEE(S): Hodogaya Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60016986	A2	19850128	JP 1983-121680	19830706
PRIORITY APPLN. INFO.:			JP 1983-121680	19830706

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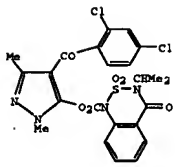
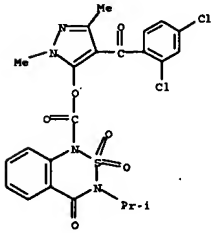


AB Benzothiadiazine I was prepared in 81.6% yield by chlorocarbonylation of 3-isopropyl-1H-2,1,3-benzothiadiazin-4-(3H)-one 2,2-dioxide with ClCO2CCl3 followed by condensation with 1,3-dimethyl-4-(2,4-dichlorobenzoyl)-5-hydroxypyrazole. I showed herbicidal activity at 7.5 g/are.
IT 96163-57-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and herbicidal activity of)
RN 96163-57-4 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 4-(2,4-dichlorobenzoyl)-1,3-dimethyl-1H-pyrazol-5-yl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 255 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1985:151151 CAPLUS
DOCUMENT NUMBER: 102:151151
TITLE: Sizing of paper with mixtures of an anionic sizing agent and an cationic stabilizer
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

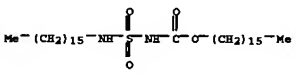
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59179897	A2	19841012	JP 1983-93399	19830528
EP 123763	A2	19841107	EP 1983-810215	19830520
EP 123763	A3	19860319		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
US 4627889	A	19861209	US 1983-497307	19830523
FI 8301865	A	19841001	FI 1983-1865	19830525
FI 72554	B	19870227		
FI 72554	C	19870608		
AU 8315008	A1	19841004	AU 1983-15008	19830526
CA 1211460	A1	19860916	CA 1983-428997	19830526
DK 8302381	A	19841001	DK 1983-2381	19830527
NO 8301899	A	19841001	NO 1983-1899	19830527
NO 161691	B	19890605		
NO 161691	C	19890913		
BR 8302818	A	19841113	BR 1983-2818	19830527
ZA 8303859	A	19841128	ZA 1983-3859	19830527
ES 522756	A1	19860201	ES 1983-522756	19830527

PRIORITY APPLN. INFO.: CH 1983-1757 A 19830330

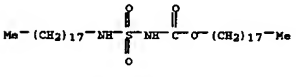
AB Dispersions containing the reaction product of chlorosulfonyl isocyanate with a primary or secondary amine and(or) a C8-22 aliphatic alc. or the reaction product of a diaminodiphenyldisulfamide substituted with a halogen or C1-4 alkyl or alkoxy groups with a fatty acid halide and(or) an alkyl or alkenyl isocyanate as an anionic size and a polymeric cationic agent have improved storage stability and are useful for sizing paper. Thus, 42.6 parts chlorosulfonyl isocyanate was treated with 81.3 parts octadecanol and 81.0 parts octadecylamine to give 135 parts Me(CH2)17CO2NHSO2NH(CH2)17Me (I). A pulp slurry containing 0.4% (on solids weight) I and 0.2% polyethylenimine was stored 2 wk and passed through a papermaking machine to give paper with good sizing degree.

IT 95654-23-2 95654-24-3 95654-27-6
95654-28-7 95654-30-1 95654-31-2
RL: USES (Uses)
(sizing agents, with polyethylenimine, for paper)

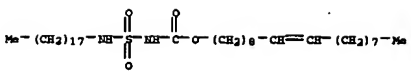
RN 95654-23-2 CAPLUS
CN Carbamic acid, [(hexadecylamino)sulfonyl]-, hexadecyl ester (9CI) (CA INDEX NAME)



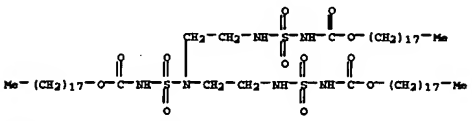
RN 95654-24-3 CAPLUS
CN Carbamic acid, [(octadecylamino)sulfonyl]-, octadecyl ester (9CI) (CA INDEX NAME)



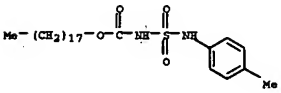
RN 95654-27-6 CAPLUS
CN Carbamic acid, [(octadecylamino)sulfonyl]-, 9-octadecenyl ester (9CI) (CA INDEX NAME)



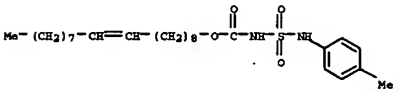
RN 95654-28-7 CAPLUS
CN 3,11-Dithia-2,4,7,10,12-pentaazatridecanedioic acid, 7-[[[(octadecyloxy)carbonyl]amino]sulfonyl]-, dioctadecyl ester, 3,3,11,11-tetraoxide (9CI) (CA INDEX NAME)



RN 95654-30-1 CAPLUS
CN Carbamic acid, [[(4-methylphenyl)amino]sulfonyl]-, octadecyl ester (9CI) (CA INDEX NAME)



RN 95654-31-2 CAPLUS
CN Carbamic acid, [[(4-methylphenyl)amino]sulfonyl]-, 9-octadecenyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 256 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1985:149666 CAPLUS
DOCUMENT NUMBER:	102:149666
TITLE:	Total synthesis of elfamycins: aurodox and efrotomycin. 1. Strategy and construction of key intermediates
AUTHOR(S):	Dolle, R. E.; Nicolaou, K. C.
CORPORATE SOURCE:	Dep. Chem., Univ. Pennsylvania, Philadelphia, PA, 19104, USA
SOURCE:	Journal of the American Chemical Society (1985), 107(6), 1691-4
	CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 102:149666

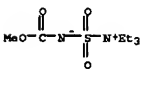
GI

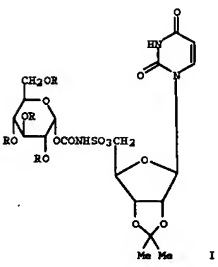
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The strategy for the total synthesis of aurodox (I) and efrotomycin (II) and the construction of five key intermediates are described.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(use of, in synthesis of elfamycin intermediates)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)





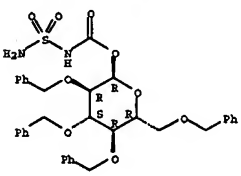
I

AB A series of analogs of UDP-glucose and -glucosamine was prepared by reaction of 2,3,4,6-tetra-O-benzyl-, 2,3,4,6-tetra-O-benzoyl-, 2,3,4,6-tetra-O-acetyl-, and 2,3,4,6-tetra-O-palmitoyl- α-D-glucopyranose and 2-acetamido-1,3,4,6-tetra-O-acetyl-2-deoxy- α-D-glucopyranose with OCNSO2Cl and 2',3'-O-isopropylideneuridine followed by removal of isopropylidene and acetyl groups. I (R = PhCH2, Bz) and the corresponding diisopropylidenated derivs. showed antiviral activity as determined by the inhibition of the cytopathic effect induced by HSV-1 replication and by the plaque assay method. I (R = PhCH2) inhibited glycosylation of proteins in HSV-1 infected HeLa cells.

IT 93426-55-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 93426-55-2 CAPLUS
CN α-D-Glucopyranose, 2,3,4,6-tetrakis-O-(phenylmethyl)-, (aminosulfonyl)carbamate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 257 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1985:24981 CAPLUS
DOCUMENT NUMBER:	102:24981
TITLE:	Uridine 5'-diphosphate glucose analogs. Inhibitors of protein glycosylation that show antiviral activity
AUTHOR(S):	Camarasa, Maria Jose; Fernandez-Resa, Piedad; Garcia-Lopez, Maria Teresa; De las Heras, Federico G.; Mendez-Castrillon, Paloma P.; Alarcon, Balbino; Carrasco, Luis
CORPORATE SOURCE:	Inst. Quim. Med., Madrid, Spain
SOURCE:	Journal of Medicinal Chemistry (1985), 28(1), 40-6
	CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 102:24981

GI

L9 ANSWER 258 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

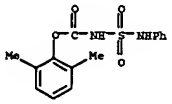
ACCESSION NUMBER:	1984:530335 CAPLUS
DOCUMENT NUMBER:	101:130335
TITLE:	Syntheses with heterocumulenes. 4. Reaction of chlorosulfonyl isocyanate with hindered phenols
AUTHOR(S):	Hedayatullah, Mir; Hugueny, Jean Claude
CORPORATE SOURCE:	Inst. Topol., Univ. Paris VII, Paris, 75005, Fr.

SOURCE: Phosphorus and Sulfur and the Related Elements (1984), 19(2), 167-72
CODEN: PREEDF; ISSN: 0308-664X
DOCUMENT TYPE: Journal
LANGUAGE: French

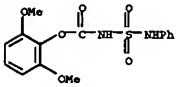
AB Hindered phenols ROH [R = 2,6-R12C6H3, 2,6-Me(Me3C)C6H3, 2,4,6-(Me3C)3C6H2, 4,2,6-Me(Me3C)2C6H2; R1 = Me, MeO, Me2CH, Me3C] reacted with ClSO2NCO to form RO2CNHSO2Cl (I), which gave RO2CNH2 on hydrolysis. Amination of I with PhNH2 gave RO2CNHSO2NHPh. I (R = 2,6-R12C6H3; R1 = Me, MeO, Me2CH) underwent thermolysis to give ROSO2NCO, which were hydrolyzed to give ROSO2NH2.

IT 92049-95-1P 92049-96-2P 92049-97-3P
92049-98-4P 92049-99-5P 92050-00-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

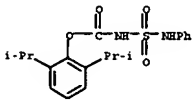
RN 92049-95-1 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-dimethylphenyl ester (9CI) (CA INDEX NAME)



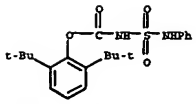
RN 92049-96-2 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-dimethoxyphenyl ester (9CI) (CA INDEX NAME)



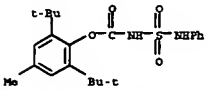
RN 92049-97-3 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1-methylethyl)phenyl ester (9CI) (CA INDEX NAME)



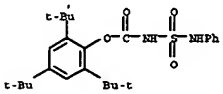
RN 92049-98-4 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



RN 92049-99-5 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylphenyl ester (9CI) (CA INDEX NAME)



RN 92050-00-5 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2,4,6-tris(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 259 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1984:438216 CAPLUS
DOCUMENT NUMBER: 101:38216
TITLE: Sulfonyl isocyanates
INVENTOR(S): Reich, Karl
PATENT ASSIGNEE(S): Teroson G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

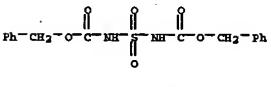
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3235045	A1	19840322	DE 1982-3235045	19820922
DE 3235045	C2	19860717		
GB 2127405	A1	19840411	GB 1983-24387	19830912
GB 2127405	B2	19860508		
JP 59060656	A2	19840510	JP 1983-172322	19830920
US 4517133	A	19850514	US 1983-534029	19830920
CA 1221524	A1	19870512	CA 1983-437158	19830920
FR 2533211	A1	19840323	FR 1983-15021	19830921
FR 2533211	B1	19860516		

PRIORITY APPLN. INFO.: DE 1982-3235045 A 19820922

AB RSO2NCO (R = C1-18 alkyl, Ph, C1-18 alkylphenyl, isocyanato) were prepared Thus, 4-MeC6H4SO2Cl, Me3SiNCO, and TiCl4 were heated with distillation of Me3SiCl to give 74% 4-MeC6H4SO2NCO. Also prepared were SO2(NCO)2 and MeSO2NCO.

IT 85797-23-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 85797-23-5 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaheptanoic acid, 5-oxo-7-phenyl-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 260 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1984:140547 CAPLUS
DOCUMENT NUMBER: 100:140547
TITLE: Anaerobically curable sealant and adhesive composition
INVENTOR(S): Reich, Karl
PATENT ASSIGNEE(S): W. R. Grace and Co., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

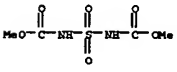
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4429063	A	19840131	US 1982-418497	19820915

PRIORITY APPLN. INFO.: US 1982-418497 19820915

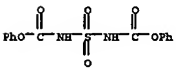
AB Anaerobic sealants and adhesives comprising acrylic monomers and a redox system as polymerization catalyst are stabilized by sulfamide derivs. Storage stability is further improved by addition of phenolic antioxidants, particularly sterically hindered phenols, without reducing the curing rate. Thus, a stabilizer was prepared by reaction of AcOH with sulfuryl diisocyanate. The resultant N,N'-diacetylsulfamide (I) [29824-66-6] was incorporated in an adhesive containing diethylene glycol dimethacrylate [2358-84-1], acrylic acid [79-10-7], redox system (cumene hydroperoxide [80-15-9]-N,N'-dimethyl-4-toluidine [99-97-8]-saccharin [81-07-2]), and 2,5-di-tert-butylhydroquinone [88-58-4] antioxidant. The adhesive, aged at 80°, had gelation time >600 min as compared to 25 min for a similar adhesive containing no I.

IT 18282-25-2 22671-78-9 56477-47-5
85797-19-9 85797-20-2 85797-21-3
85797-22-4 85797-23-5
RL: USES (Uses)
(storage stabilizers, for acrylic monomer-based anaerobic adhesives and sealants)

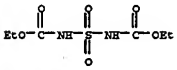
RN 18282-25-2 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaheptanoic acid, 5-oxo-, methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



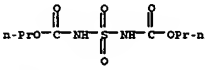
RN 22671-78-9 CAPLUS
CN Carbamic acid, sulfonylbis-, diphenyl ester (9CI) (CA INDEX NAME)



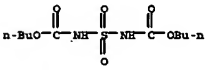
RN 56477-47-5 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaoctanoic acid, 5-oxo-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



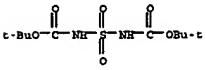
RN 85797-19-9 CAPLUS
CN 6-Oxa-3-thia-2,4-diazanonanoic acid, 5-oxo-, propyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



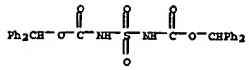
RN 85797-20-2 CAPLUS
CN 6-Oxa-3-thia-2,4-diazadecanoic acid, 5-oxo-, butyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



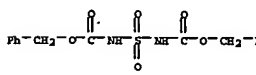
RN 85797-21-3 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaoctanoic acid, 7,7-dimethyl-5-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 85797-22-4 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaheptanoic acid, 5-oxo-7,7-diphenyl-, diphenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



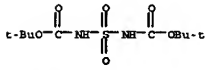
RN 85797-23-5 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaheptanoic acid, 5-oxo-7-phenyl-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



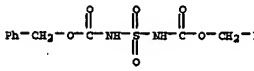
L9 ANSWER 261 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1984:69326 CAPLUS
DOCUMENT NUMBER: 100:69326
TITLE: Cyanoacrylate adhesive composition
INVENTOR(S): Reich, Karl; Sieger, Heinz
PATENT ASSIGNEE(S): Teroson G.m.b.H., Fed. Rep. Ger.
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4414347	A	19831108	US 1982-418496	19820915
EP 106150	A1	19840425	EP 1983-108960	19830910
EP 106150	B1	19850821		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 59066475	A2	19840414	JP 1983-168491	19830914
PRIORITY APPLN. INFO.:			US 1982-418496 A	19820915

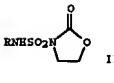
AB α-Cyanoacrylate-based adhesive compns. containing a sulfamide RCONHSO2NHCOR (R = H, C1-18 hydrocarbyl, CF3, CCl3, hydrocarbyloxy) have good storage stability without impaired curing rate. Thus, 14.0 g (NCO)2SO2 [4223-09-0] was added dropwise to a stirred solution of 12 g anhydrous AcOH [64-19-7] in 150 mL C6H6 within 20 min at ambient temperature The mixture was then heated to 60° over 2 h, cooled, and worked up to give 17.8 g (98.8% yield) N,N'-diacetylsulfamide (I) [29824-66-6]. I (100 ppm) was added to an Et 2-cyanoacrylate [7085-85-0] composition containing 0.01% hydroquinone and 20 ppm SO2. The adhesives obtained were thickened with PMMA. After 20 days of accelerated aging at 50°, the stabilized adhesives exhibited only a minor increase in viscosity. They had short setting times on various substrates before and after accelerated aging.
IT 18282-25-2 22671-78-9 56477-47-5
85797-19-9 85797-20-2 85797-21-3
RL: USES (Uses)
(stabilizers, for cyanoacrylate-based adhesives)
RN 18282-25-2 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaheptanoic acid, 5-oxo-, methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



IT 85797-23-5
RL: USES (Uses)
(stabilizers, for cyanoacrylate-based adhesives, preparation of)
RN 85797-23-5 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaheptanoic acid, 5-oxo-7-phenyl-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

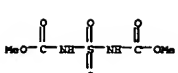


L9 ANSWER 262 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:594852 CAPLUS
DOCUMENT NUMBER: 99:194852
TITLE: Selective synthesis of sulfonylureas and carboxysulfamides. A novel route to oxazolidinones
AUTHOR(S): Montero, Jean Louis; Dewynter, Georges; Agoh, Bernadette; Delaunay, Barbara; Imbach, Jean Louis
CORPORATE SOURCE: Lab. Chim. Ther., Univ. Abidjan, Abidjan, Cote d'Ivoire
SOURCE: Tetrahedron Letters (1983), 24(30), 3091-4
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:194852
GI



III

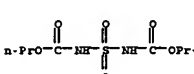
AB Starting with ClSO2NCO 2 new series of sulfamoylcarbamates RCH2CH2O2CNHSO2NR1R2 [I; R = Br, R1 = H, R2 = Ph; R = Cl, R1 = H, R2 = Ph, PhCH2, Me(CH2)4, cyclohexyl, R1 = R2 = Et) and sulfonylureas ClCH2CH2OSO2NHCONER [II; R = Ph, PhCH2, 4-biphenylyl, Me(CH2)4, tetraacetylglucopyranosyl) were prepared I underwent a novel cyclization in the presence of Et3N to quant. 2-oxazolidinones III. This is a new route to these heterocycles.
IT 87708-04-1P 87708-05-2P 87708-07-4P
87708-21-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 87708-04-1 CAPLUS



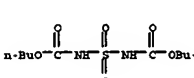
RN 22671-78-9 CAPLUS
CN Carbamic acid, sulfonylbis-, diphenyl ester (9CI) (CA INDEX NAME)

RN 56477-47-5 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaoctanoic acid, 5-oxo-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

RN 85797-19-9 CAPLUS
CN 6-Oxa-3-thia-2,4-diazanonanoic acid, 5-oxo-, propyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

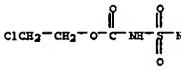


RN 85797-20-2 CAPLUS
CN 6-Oxa-3-thia-2,4-diazadecanoic acid, 5-oxo-, butyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

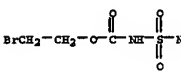


RN 85797-21-3 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaoctanoic acid, 7,7-dimethyl-5-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

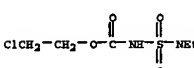
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



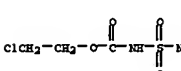
RN 87708-05-2 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 2-bromoethyl ester (9CI) (CA INDEX NAME)



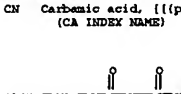
RN 87708-07-4 CAPLUS
CN Carbamic acid, [(diethylamino)sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



RN 87708-21-2 CAPLUS
CN 7-Oxa-3-thia-2,4-diazanonanoic acid, 6-oxo-, 2-chloroethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

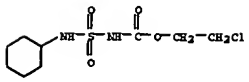


IT 87708-06-3P 87708-08-5P 87708-09-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 87708-06-3 CAPLUS
CN Carbamic acid, [[(phenylmethyl)amino]sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)

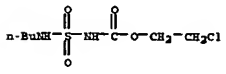


RN 87708-08-5 CAPLUS
CN Carbamic acid, [(cyclohexylamino)sulfonyl]-, 2-chloroethyl ester (9CI)

(CA INDEX NAME)



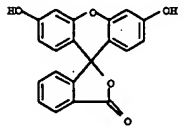
RN 87708-09-6 CAPLUS
CN Carbamic acid, [(butylamino)sulfonyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)

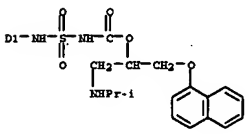


L9 ANSWER 263 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:554812 CAPLUS
DOCUMENT NUMBER: 99:154812
TITLE: Fluorescein derivatives and fluorescence polarization immunoassay methods
INVENTOR(S): Wang, Chao Huei Jeffrey; Stroupe, Stephen Denham; Jolley, Michael Ernest
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: Ger. Offen., 53 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

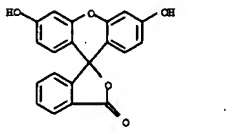
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3245854	A1	19830623	DE 1982-3245854	19821210
DE 3245854	C2	19961114		
CA 1248086	A1	19890103	CA 1982-416022	19821119
GB 2111491	A1	19830706	GB 1982-33403	19821123
GB 2111491	B2	19850821		
AU 8290880	A1	19830616	AU 1982-90880	19821125
AU 558800	B2	19870212		
FR 2518096	A1	19830617	FR 1982-20591	19821208
FR 2518096	B1	19851206		
BE 895300	A1	19830609	BE 1982-209695	19821209
JP 58113189	A2	19830705	JP 1982-214749	19821209
US 4585862	A	19860429	US 1984-577946	19840208
US 4952691	A	19900828	US 1990-466557	19900117
US 5391740	A	19950221	US 1993-44927	19930408
PRIORITY APPLN. INFO.:			US 1981-329975 A	19811211
			US 1984-577946 A3	19840208
			US 1986-828315 B1	19860210
			US 1987-58638 B3	19870603
			US 1990-466520 B1	19900117

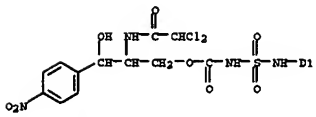
AB Aminofluorescein derivs. are described as reagents for ligand detns. in biol. fluids such as serum, plasma, cerebrospinal fluid, amniotic fluid, and urine. The title method combines the specificity of immunoassays with the speed and suitability of the fluorescence polarization method. For example, lidocaine was determined with a sulfonyllidocaine - aminofluorescein conjugate and antibody to lidocaine with fluorescence polarization measurement. Polarization decreased with lidocaine concentration from 0 to 10.0 μg/mL. Prepns. of other conjugates are described as well as assays for carbamazepine and phenobarbital.
IT 87178-84-5P 87178-87-8P 87178-89-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for fluorescence polarization immunoassay)
RN 87178-84-5 CAPLUS
CN Carbamic acid, [[[3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5(or 6)-yl]amino]sulfonyl]-, 1-[[(1-methylethyl)amino]methyl]-2-(1-naphthalenyloxy)ethyl ester (9CI) (CA INDEX NAME)



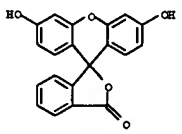


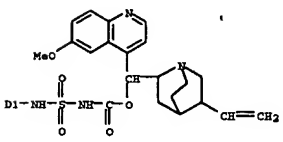
RN 87178-87-8 CAPLUS
CN Carbamic acid, [[[3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5(or 6)-yl]amino]sulfonyl]-, 2-[(dichloroacetyl)amino]-3-hydroxy-3-(4-nitrophenyl)propyl ester (9CI) (CA INDEX NAME)





RN 87178-89-0 CAPLUS
CN Cinchonan-9-ol, 6'-methoxy-, [[[3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5(or 6)-yl]amino]sulfonyl]carbamate (ester) (9CI) (CA INDEX NAME)

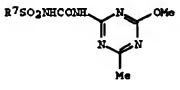




L9 ANSWER 264 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:505288 CAPLUS
DOCUMENT NUMBER: 99:105288
TITLE: Sulfonamides and their use as herbicides
INVENTOR(S): Trueb, Werner
PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

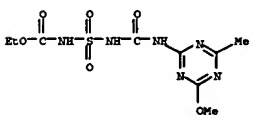
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3243533	A1	19830609	DE 1982-3243533	19821125
BE 895168	A1	19830530	BE 1982-10658	19821129
NL 8204635	A	19830701	NL 1982-4635	19821130
AU 8291055	A1	19830609	AU 1982-91055	19821201
FR 2517675	A1	19830610	FR 1982-20158	19821201
GB 2110689	A1	19830622	GB 1982-34220	19821201
ES 517857	A1	19840116	ES 1982-517857	19821201
DK 8205361	A	19830604	DK 1982-5361	19821202
JP 58103371	A2	19830620	JP 1982-212576	19821202
BR 8207015	A	19831011	BR 1982-7015	19821202
HU 30870	O	19840428	HU 1982-3883	19821202
PRIORITY APPLN. INFO.:			GB 1981-36459 A	19811203
OTHER SOURCE(S):	CASREACT 99:105288			

GI



II

AB RR1NSO2NR2R3 [I; R, R1 = H, cyano, alkoxycarbonyl, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, Ph; RR1N = saturated heterocyclyl; R2 = CONR4R5; R3 = H, alkyl; R2R3 = C(ZR6)NR4R5; R4 = substituted pyrimidinyl, triazinyl, R5, R6 = H, alkyl; Z = O, S] were prepared Thus, 2-amino-4-methoxy-6-methyl-1,3,5-triazine was condensed with ClSO2NCO to give II (R7 = Cl), which was treated with Et2NH to give II (R7 = Et2N). I are herbicides (no data).
IT 86865-50-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 86865-50-1 CAPLUS
CN Carbamic acid, [[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 265 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:199280 CAPLUS
DOCUMENT NUMBER: 98:199280
TITLE: Use of sulfamide derivatives for stabilizing compositions containing unsaturated carbon compounds
INVENTOR(S): Reich, Karl
PATENT ASSIGNEE(S): Teroson G.m.b.H., Fed. Rep. Ger.

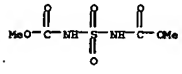
SOURCE: Ger. Offen., 25 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3137306	A1	19830324	DE 1981-3137306	19810917
DE 3137306	C2	19850207		
EP 75230	A1	19830330	EP 1982-108410	19820911
EP 75230	B1	19840606		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 7797	E	19840615	AT 1982-108410	19820911
JP 58074770	A2	19830506	JP 1982-161086	19820917
PRIORITY APPLN. INFO.:			DE 1981-3137306 A	19810917
			EP 1982-108410 A	19820911

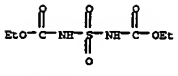
AB Sulfamide derivs. (RCONH)2SO2 and (RO2CNH)2SO2 (R = H, alkyl, cyclohexyl, benzyl, F3C, Ph, etc.) are used with phenolic antioxidants to inhibit the premature curing of anaerobic adhesives containing polymerizable (meth)acrylate monomers and a redox catalysts. The sulfamide derivs. are prepared from OCNSO2NCO [4223-09-0] and alcs. or carboxylic acids. Thus, a mixture of diethylene glycol dimethylate 95, acrylic acid 1, 80% cumene hydroperoxide 2, p-MeC6H4NMe2 1, saccharin 1, (PhCH2O2CNH)2SO2 (I) [85797-23-5] 1, and 2,5-di-tert-butylhydroquinone [88-58-4] 2 parts cured anaerobically during 4-5 min (before or after aging at 80° for 24 h). The uncured mixture did not gel during >600 min at 80° during storage in the presence of O. An uncured mixture containing no I gelled during 25 min at 80° in the presence of O.

IT 18282-25-2P 56477-47-5P 85797-19-9P
RL: PREP (Preparation)
(preparation and stabilization of anaerobic adhesive by)

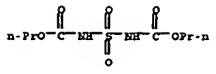
RN 18282-25-2 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaheptanoic acid, 5-oxo-, methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 56477-47-5 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaoctanoic acid, 5-oxo-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

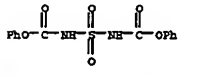


RN 85797-19-9 CAPLUS
CN 6-Oxa-3-thia-2,4-diazanonanoic acid, 5-oxo-, propyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

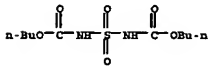


IT 22671-78-9P 85797-20-2P 85797-21-3P
85797-22-4P
RL: PREP (Preparation)
(preparation and stabilization of anaerobic adhesives by)

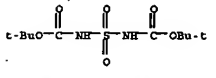
RN 22671-78-9 CAPLUS
CN Carbonic acid, sulfonylbis-, diphenyl ester (9CI) (CA INDEX NAME)



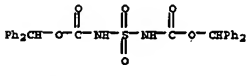
RN 85797-20-2 CAPLUS
CN 6-Oxa-3-thia-2,4-diazadecanoic acid, 5-oxo-, butyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 85797-21-3 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaoctanoic acid, 7,7-dimethyl-5-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

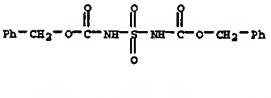


RN 85797-22-4 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaheptanoic acid, 5-oxo-7,7-diphenyl-, diphenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

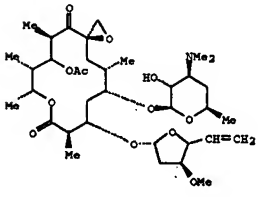


IT 85797-23-5P
RL: PREP (Preparation)
(preparation and stabilizer of anaerobic adhesive by)

RN 85797-23-5 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaheptanoic acid, 5-oxo-7-phenyl-, phenylmethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 266 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:406700 CAPLUS
DOCUMENT NUMBER: 97:6700
TITLE: Ring contraction of oleandrose on the macrolide antibiotic oleandomycin with [(methoxycarbonyl)sulfamoyl]triethylammonium hydroxide inner salt
AUTHOR(S): Nagel, Arthur A.; DiBrino, Joseph; Vincent, Lawrence A.; Retsema, James A.
CORPORATE SOURCE: Pfizer Cent. Res., Groton, CT, 06340, USA
SOURCE: Journal of Medicinal Chemistry (1982), 25(7), 801-4
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

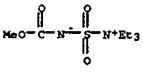


I

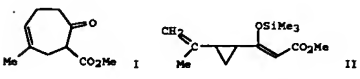
AB Ring contraction of the neutral oleandrose sugar in oleandomycin has been accomplished using Et3N+SO2N-CO2Me. The product after methanolic hydrolysis of the 2'-acetate, is I. The in vitro activity of I is only moderately less than that of 11-acetyloleandomycin.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with diacetyloleandomycin)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 267 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:208418 CAPLUS
DOCUMENT NUMBER: 94:208418
TITLE: Regiospecific preparation of 2-(carbomethoxy)-4-methylcyclohept-4-enone via the divinylcyclopropane rearrangement
AUTHOR(S): Marino, Joseph P.; Ferro, Michael P.
CORPORATE SOURCE: Dep. Chem., Univ. Michigan, Ann Arbor, MI, 48109, USA
SOURCE: Journal of Organic Chemistry (1981), 46(9), 1912-14
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:208418
GI

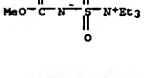


I II

AB As a potential intermediate in the total synthesis of the diterpene portulal, the title compound (I) was prepared regiospecifically by the thermal rearrangement of a substituted divinylcyclopropane (II). The key precursor II incorporated a silyl enol ether of a β-keto ester as one of the requisite vinyl groups for the rearrangement. The synthetic methodol. described for the title compound could be applied to the multigram, regiospecific synthesis of numerous 4-cycloheptenones.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(use of, in dehydration of α,α-dimethylcyclopropane phenol derivative)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



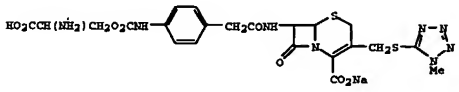
L9 ANSWER 268 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:192357 CAPLUS
DOCUMENT NUMBER: 94:192357
TITLE: Intermediate products for cephalosporin derivatives
INVENTOR(S): Kocsis, Karoly; Schneider, Peter; Fechtig, Bruno;

Scartazzini, Riccardo
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 94 pp.
CODEN: EPXKDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 16900	A1	19801015	EP 1980-100097	19800107
EP 16900	B1	19831116		
R: CH, DE, FR, GB				
EP 500	A2	19790207	EP 1978-100367	19780711
EP 500	B1	19820428		
R: BE, CH, DE, FR, GB, LU, NL, SE				
IL 62708	A1	19820730	IL 1978-62708	19780717
US 4374134	A	19830215	US 1980-120591	19800211
US 4467101	A	19840821	US 1982-420534	19820920

PRIORITY APPLN. INFO.: LU 1977-77788 A 19770718
EP 1978-100367 A 19780711
US 1978-923524 A1 19780711
IL 1978-55152 A3 19780717
US 1980-120591 A3 19800211

GI



II

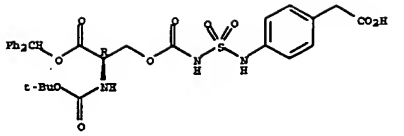
AB HO2CCH(NH2)(CH2)nXY1NH(CH2)mX2CRR1CO2H (I; m = 0, 1; n = 1-4; X = O, S, NH; Y1 = CO, CONHSO2, SO2NHCO; XY1 = CO, CONHSO2; X2 = optionally substituted phenylene, thienylene, furylene; R = H, CH, O2CH, NH2, SO3H; R1 = H; RR1 = O, NOH, alkoxyimino) and their protected derivs. were prepared for acylating aminocephems. Thus D-serine was converted into its N-tert-butoxycarbonyl derivative and treated with COCl2 and 4-H2NC6H4CH2CO2CH2Ph to give 4-Me3CO2CCH(NHCO2CMe3)CH2O2CNHC6H4CH2CO2CH2Ph. Hydrogenolysis of this ester gave the acid, which was used to acylate diphenylmethyl 7β-amino-3-(1-methyl-5-tetrazolylthiomethyl)-3-cephem-4-carboxylate, followed by deblocking of the product to give (R)-II.

IT 77004-84-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of aminocephems by)

RN 77004-84-3 CAPLUS

CN D-Serine, N-[(1,1-dimethylethoxy)carbonyl]-, diphenylmethyl ester, [[[4-(carboxymethyl)phenyl]amino]sulfonyl]carbamate (ester) (9CI) (CA INDEX NAME)

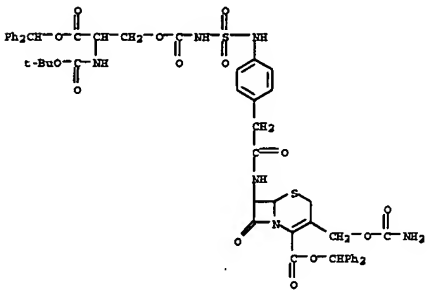
Absolute stereochemistry.



IT 77004-82-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)

RN 77004-82-1 CAPLUS

CN D-Serine, N-[(1,1-dimethylethoxy)carbonyl]-, diphenylmethyl ester, [[[4-(2-[(3-[[(aminocarbonyl)oxy]methyl]-2-[(diphenylmethoxy)carbonyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl]amino]-2-oxoethyl]phenyl]amino]sulfonyl]carbamate (ester), [6R-(6 α,7β)]- (9CI) (CA INDEX NAME)

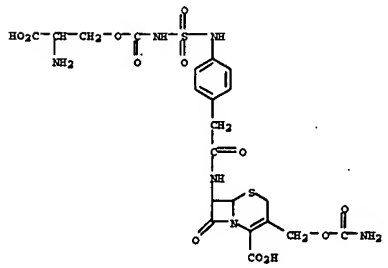


IT 77004-83-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 77004-83-2 CAPLUS

CN D-Serine, [[[4-[2-[(3-[[(aminocarbonyl)oxy]methyl]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl]amino]-2-oxoethyl]phenyl]amino]sulfonyl]carbamate (ester), monosodium salt, [6R-(6 α,7β)]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

● Na

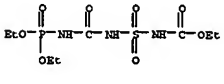
L9 ANSWER 269 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:46741 CAPLUS
DOCUMENT NUMBER: 94:46741
TITLE: Investigation on products of reaction of O,O-dialkyl phosphoramidates with sulfonyl diisocyanate. Part II
AUTHOR(S): Arnold, Zdzislaw
CORPORATE SOURCE: Physiol.-Biochem. Inst., Mil. Sch. Med., Lodz, 90647, Pol.
SOURCE: Polish Journal of Chemistry (1980), 54(4), 703-7
CODEN: PJCHDQ; ISSN: 0137-5083
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:46741

AB The addition of (RO)2P(O)NH2 (R = Et, Pr, Me2CH, Bu, Me2CHCH2) with OCNSO2NCO gave (RO)2P(O)NHCONHSO2NHCONHP(O)(OR)2 (same R).

IT 35852-06-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 35852-06-3 CAPLUS

CN 8-Oxa-3-thia-2,4,6-triaza-7-phosphadecanoic acid, 7-ethoxy-5-oxo-, ethyl ester, 3,3,7-trioxide (9CI) (CA INDEX NAME)



L9 ANSWER 270 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:951 CAPLUS
DOCUMENT NUMBER: 94:951
TITLE: Biologically active 1,2-dithiolane derivatives from mangrove plants and related compounds
AUTHOR(S): Kato, Atsushi; Hashimoto, Yohei
CORPORATE SOURCE: Kobe Women's Coll. Pharm., Kyogo, 658, Japan
SOURCE: Nat. Sulfur Compd., [Proc. Int. Meet.], 3rd (1980), Meeting Date 1979, 361-74. Editor(s): Cavallini, Doriano; Gaull, Gerald E.; Zappia, Vincenzo. Plenum: New York, N. Y.
CODEN: 43SYAX
DOCUMENT TYPE: Conference
LANGUAGE: English
GI

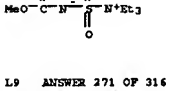
I

AB Brugierol (cis-I) [36437-85-1] and isobrugierol (trans-I) [36437-86-2] were isolated from mangrove (Bruguiera conjugata) stem and bark. UV, IR, NMR, and mass spectroscopic, as well as crystallog. data are given. Synthesis was carried out. Bactericidal and insecticidal screening tests were carried out with I derivs., and structure-activity relations given. The highest insecticidal activity against several species was shown by 5-N,N-dimethylamino-1,2,3-trithiane hydrochloride [75655-75-3], but even this compound was much less active than the stds. Fenitrothion and Nereistoxin.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with dimercaptopropanol)

RN 29684-56-8 CAPLUS

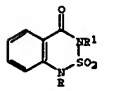
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 271 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:639480 CAPLUS
DOCUMENT NUMBER: 93:239480
TITLE: 4(3H)-Oxobenzo-2,1,3-thiadiazine 2,2-dioxides
INVENTOR(S): Bland, Walter P.; McKendry, Lennon H.
PATENT ASSIGNEE(S): Dow Chemical Co., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4208514	A	19800617	US 1976-660576	19760223
PRIORITY APPLN. INFO.:			US 1976-660576 A	19760223

GI

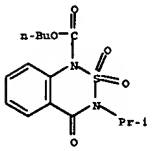


I

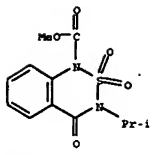
AB 3-Substituted 1H-2,1,3-benzothiadiazin-4(3H)-one 2,2-dioxides were N-acylated and N-sulfonylated to yield the resp. I [R = CO2R2 (R2 = alkyl, haloalkyl, alkenyl, haloalkenyl, cycloalkyl, Ph, alkylphenyl, halophenyl), C(O)SR2, CONR3R4 (R3 = alkyl, cycloalkyl; R4 = R3, alkoxy; or NR3R4 form a heterocycle), SO2R5 (R5 = alkyl, haloalkyl, Ph, alkylphenyl, halophenyl), SO2NR3R4; R1 = alkyl, haloalkyl, alkenyl, haloalkenyl, cyanoalkyl, alkylthioalkyl, alkoxyalkyl, cycloalkyl], which exhibited herbicidal activity. I (R = H, R1 = CHMe2) was treated with KOCMe3 and ClCO2CH2CH:CH2 at 50-65° to give I (R = CO2CH2CH:CH2, R1 = CHMe2).

IT **59966-20-0 59966-76-6 59966-77-7 65403-91-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(herbicidal activity of)

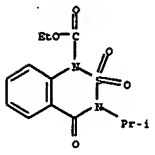
RN 59966-20-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, butyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



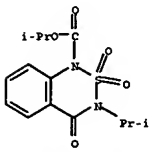
RN 59966-76-6 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



RN 59966-77-7 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, ethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)

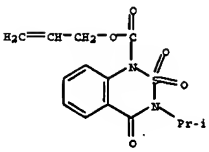


RN 65403-91-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)

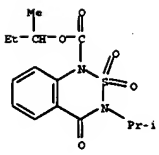


IT **65403-08-9P 65403-49-8P 65403-52-3P 75389-25-2P 75389-43-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and herbicidal activity of).

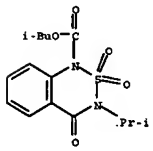
RN 65403-08-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 2-propenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



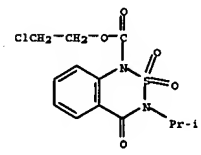
RN 65403-49-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 2-methylpropyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



RN 75389-43-4 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 2-chloroethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)

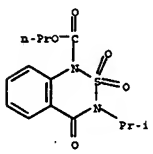


RN 65403-52-3 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, propyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)

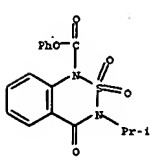


IT **59966-79-9P 65403-53-4P 65403-54-5P 65403-70-5P 65403-82-9P 65403-96-5P 75389-44-5P 75389-45-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

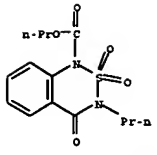
RN 59966-79-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, phenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



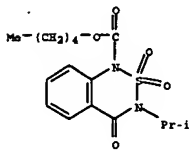
RN 75389-25-2 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 1-methylpropyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



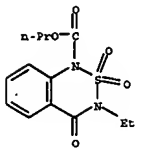
RN 65403-53-4 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-propyl-, propyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



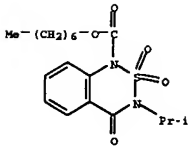
RN 65403-54-5 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, pentyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



RN 65403-70-5 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, propyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



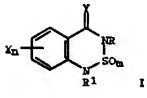
RN 65403-82-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-propyl-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



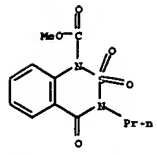
L9 ANSWER 272 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1979:503730 CAPLUS
DOCUMENT NUMBER: 91:103730
TITLE: Postemergent herbicidal method using 8-substituted benzothiadiazines
INVENTOR(S): McKendry, Lennon H.; Bland, Walter P.
PATENT ASSIGNEE(S): Dow Chemical Co., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4155746	A	19790522	US 1978-926041	19780719
US 3940389	A	19760224	US 1974-497582	19740815
PRIORITY APPLN. INFO.:			US 1973-398139	A2 19730917
			US 1974-497582	A3 19740815
			US 1976-649178	A1 19760115
			US 1977-790520	A1 19770425

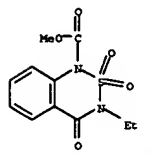
GI



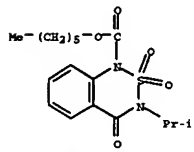
AB The 1E-2,1,3-benzothiadiazin-4(3H)-one 2,2-dioxide derivs. I (X = halo, NO2, Me, etc.; R = H, alkyl, alkoxy, haloalkyl, etc.; R1 = H, alkyl, etc.; Y = O or S; n = 1 or 2) are herbicides. Thus, 6,8-dichloro-3-(1-methylethyl)-1E-2,1,3-benzothiadiazin-4(3H)-one 2,2-dioxide [55975-10-5] controlled foxtail, barnyard grass, crabgrass, pigweed, and other weeds. The synthesis of I is given.
IT 71111-44-99 71111-50-7F 71111-61-0P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and herbicidal activity of)
RN 71111-44-9 CAPLUS
CN 3E-2,1,3-Benzothiadiazine-3-carboxylic acid, 6,7,8-trichloro-1,4-dihydro-1-(methylsulfonyl)-5-nitro-4-thioxo-, propyl ester, 2,2-dioxide (9CI) (CA



RN 65403-96-5 CAPLUS
CN 1E-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)

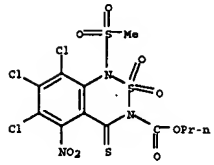


RN 75389-44-5 CAPLUS
CN 1E-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, hexyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)

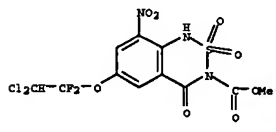


RN 75389-45-6 CAPLUS
CN 1E-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, heptyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)

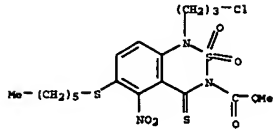
INDEX NAME)



RN 71111-50-7 CAPLUS
CN 3E-2,1,3-Benzothiadiazine-3-carboxylic acid, 6-(2,2-dichloro-1,1-difluoroethoxy)-1,4-dihydro-8-nitro-4-oxo-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)

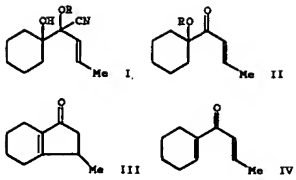


RN 71111-61-0 CAPLUS
CN 3H-2,1,3-Benzothiadiazine-3-carboxylic acid, 1-(3-chloropropyl)-6-(hexylthio)-1,4-dihydro-5-nitro-4-thioxo-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 273 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1979:168128 CAPLUS
DOCUMENT NUMBER: 90:168128
TITLE: Three-carbon annelations. New routes to the Nazarov cyclization via protected cyanohydrins
AUTHOR(S): Jacobson, Richard M.; Lahm, George P.
CORPORATE SOURCE: Dep. Chem., Indiana Univ., Bloomington, IN, USA
SOURCE: Journal of Organic Chemistry (1979), 44(3), 462-4
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English

GI

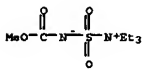


AB Trans-MeCH:CHC-(CN)(OR) Li+ (R = EtOCHMe, Me3Si) is added to cyclohexanone to give I (R = EtOCHMe) and II (R = SiMe3); treatment of I (R = EtOCHMe) with acid followed by base gave II (R = H). II (R = H, Me3Si) are dehydrated to give III via the unobsd. intermediate IV.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydration of α-hydroxyenones from)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



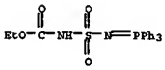
L9 ANSWER 274 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1979:87576 CAPLUS
DOCUMENT NUMBER: 90:87576
TITLE: N-(triphenylphosphoranylidene)sulfamoyl pseudohalides. Part 2. N-(Triphenylphosphoranylidene)sulfamoyl isocyanate
AUTHOR(S): Arrington, Dale E.
CORPORATE SOURCE: Dep. Chem., Univ. Connecticut, Waterbury, CT, USA
SOURCE: Journal of Chemical Research, Synopses (1978), (9), 330
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 90:87576

AB Treating Ph3P:NSO2NHCONHPr with COCl2 in PhCl gave a good yield of Ph3P:NSO2NCO (I) as a white, crystalline solid at room temperature with an asym.-stretching band for the NCO-group at 2224 cm-1. Treating I with alcs. and amines gave Ph3P:NSO2NHCOR (R = OMe, OCHMe2, OCMe3, cyclohexyloxy, NH2, NEt2, cyclohexylamino).

IT 69194-17-8P 69194-18-9P 69194-19-0P
69194-20-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

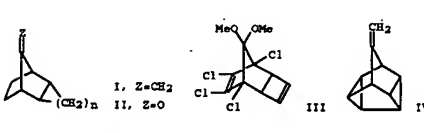
RN 69194-17-8 CAPLUS

CN Carbamic acid, [[(triphenylphosphoranylidene)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 276 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:546484 CAPLUS
DOCUMENT NUMBER: 89:146484
TITLE: Bicyclofulvenes, IV. Syntheses of methylenetricyclo[4.2.1.02,5]nonane and -tricyclo[3.2.1.02,4]octane derivatives
AUTHOR(S): Hoffmann, Reinhard W.; Kurz, Hans R.; Becherer, Johannes; Reetz, Manfred T.
CORPORATE SOURCE: Fachber. Chem., Univ. Marburg, Marburg, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1978), 111(4), 1264-74
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 89:146484

GI



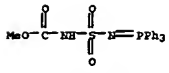
AB Methylene-endo-tricyclic compds. I (n = 1, 2) were prepared by Wittig olefination of the ketones II. II (n = 2) was prepared in several steps from III. Several other polycyclic compds., including methylenehomocubane and its rearrangement product IV, were prepared

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tricycloalkanols)

RN 29684-56-8 CAPLUS

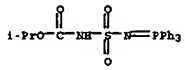
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

ester (9CI) (CA INDEX NAME)



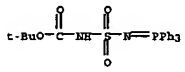
RN 69194-18-9 CAPLUS

CN Carbamic acid, [[(triphenylphosphoranylidene)amino]sulfonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



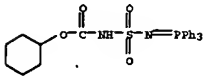
RN 69194-19-0 CAPLUS

CN Carbamic acid, [[(triphenylphosphoranylidene)amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 69194-20-3 CAPLUS

CN Carbamic acid, [[(triphenylphosphoranylidene)amino]sulfonyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)



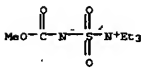
L9 ANSWER 275 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:546982 CAPLUS
DOCUMENT NUMBER: 89:146982
TITLE: Chemistry of N-(triphenylphosphoranylidene)sulfamoyl chloride. 2. N-(N-(Triphenylphosphoranylidene)sulfamoyl]-N'-alkylureas and -guanidines
AUTHOR(S): Moreshead, Jon A.; Arrington, Dale E.
CORPORATE SOURCE: Dep. Chem., Virginia Commonw. Univ., Richmond, VA, USA
SOURCE: Journal of Chemical and Engineering Data (1978), 23(4), 353-4
CODEN: JCEAAX; ISSN: 0021-9568
DOCUMENT TYPE: Journal
LANGUAGE: English

AB N-(N-(triphenylphosphoranylidene)sulfamoyl]-N'-alkylureas, Ph3P:NSO2NHC(O)NR1R2 (R1 = R2 = Et; R1 = H, R2 = Me, Et, Pr, Bu), were prepared by the reaction of Ph3P:NSO2NHCO2Et, with the corresponding amine in bis(2-methoxyethyl) ether. Several sulfamoylalkylguanidines, Ph3P:NSO2N:C(NH2)NHR (R = Me, Pr, Bu)8 were prepared by the reaction of Ph3P:NSO2N:C(NH2)SMe, with amines in bis(2-methoxyethyl) ether or triethylene glycol.

IT 67501-62-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with amines)

RN 67501-62-6 CAPLUS

CN Carbamic acid, [[(triphenylphosphoranylidene)amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 277 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:191344 CAPLUS
DOCUMENT NUMBER: 88:191344
TITLE: Oleandomycin derivatives
INVENTOR(S): Nagel, Arthur A.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4064143	A	19771220	US 1976-749481	19761210
DE 2754718	A1	19780615	DE 1977-2754718	19771208
GB 1541331	A	19790228	GB 1977-51235	19771208
BE 861691	A1	19780609	BE 1977-183321	19771209
DK 7705497	A	19780611	DK 1977-5497	19771209
DK 148034	B	19850211		
DK 148034	C	19850708		
NL 7713650	A	19780613	NL 1977-13650	19771209
NL 172161	B	19830216		
NL 172161	C	19830718		
JP 53073577	A2	19780630	JP 1977-148032	19771209
JP 55008519	B4	19800304		
FR 2373559	A1	19780707	FR 1977-37182	19771209
FR 2373559	B1	19800822		
ES 464924	A1	19780901	ES 1977-464924	19771209

PRIORITY APPLN. INFO.: US 1976-749481 A 19761210

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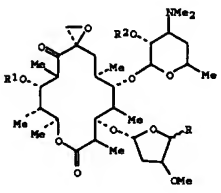
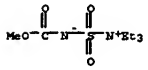


AB Oleandomycin derivs. in which L-oleandrosyl residue has been replaced by a tetrahydrofuranyl moiety (I; R = vinyl, Et, formyl; R1, R2 = H, Ac, EtCO; bases and acid addition salts), useful as antibacterial agents (activity not given), were prepared Thus, 11,2'-di-O-acetyloleandomycin was treated with Et3N+SO2N-CO2Me in C6H6, and the resultant 11,2'-di-O-acetyl-4''-O-[N-

(methoxycarbonyl)sulfamoyl]oleandomycin was heated in CHCl3-xylene at reflux for 1.5 h to give the ring contraction product I (R = vinyl; R1 = R2 = Ac).

IT **29684-56-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with oleandomycin derivative)

RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



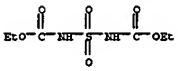
L9 ANSWER 278 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:122641 CAPLUS
DOCUMENT NUMBER: 88:122641
TITLE: Making polyester fiber materials flame-resistant with substituted sulfuryl amides
INVENTOR(S): Nachbur, Hermann; Hiestand, Armin; Rohringer, Peter
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Ger. Offen., 26 pp.
CODEN: GWXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2727776	A1	19780105	DE 1977-2727776	19770621
CH 618308	A3	19800731	CH 1976-8153	19760625
CH 618308	B	19810130		
US 4128687	A	19781205	US 1977-808006	19770620
NL 7706916	A	19771228	NL 1977-6916	19770622
SE 7707301	A	19771226	SE 1977-7301	19770623
ES 460073	A1	19780501	ES 1977-460073	19770623
CS 193097	P	19790917	CS 1977-4161	19770623
CA 1090954	A1	19801209	CA 1977-281278	19770623
GB 1586884	A	19810325	GB 1977-26443	19770622
BE 856060	A1	19771227	BE 1977-178734	19770624
FR 2355896	A1	19780120	FR 1977-19523	19770624
FR 2355896	B1	19800307		
BR 7704111	A	19780321	BR 1977-4111	19770624
ZA 7703803	A	19780628	ZA 1977-3803	19770624
JP 53002699	A2	19780111	JP 1977-76444	19770625
US 4243418	A	19810106	US 1978-944662	19780921
PRIORITY APPLN. INFO.:			CH 1976-8153 A	19760625
			US 1977-808006 A3	19770620

AB The substituted sulfuryl amides R1NHSO2NR2R3 and R4R5NS2NHZNHSO2NR2R3 (R1 = Ph, cyclohexyl, benzyl, Bu, BrCH2CHBrCH2, naphthyl, MeOCH2CH2, PhCH2CH2, MeCH2O2C, Ac, PrCO, PhN+H4, NH4+; R2 = Ph, cyclohexyl, benzyl, Bu, Me, H, MeOCH2CH2, PhCH2CH2, EtO2C, MeCO, PhN+H4, or Et; R3 = H, Me, or Et; R2 + R3 = (CH2)5, R4 = Me or Et; R5 = Me or Et; Z = CH2CH2 or C6H4) are used as fireproofing agents for polyester fibers. Thus, a blue-dyed 150 g/m2 polyester fabric was padded with an aqueous liquor containing 27.5% PhNHSO2NHPh [587-14-4] to provide an 80% take-up, dried 30 min at 80°, and thermosoled 20 s at 200°. The fabric was washed 5 min at 60° in a liquor containing 2 g Na2CO3 and 1 g polyethyleneglycol p-nonylphenyl ether, rinsed, and dried. The fabric had burn time 0, 0, and 0 s and tear length 5.5, 5, and 5 cm after 0, 20, and 40 launderings, resp.

IT **56477-47-5**
RL: MOA (Modifier or additive use); USES (Uses)
(fireproofing agents, for polyester fibers)

RN 56477-47-5 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaoctanoic acid, 5-oxo-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 279 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:121249 CAPLUS
DOCUMENT NUMBER: 88:121249
TITLE: Benzothiadiazine compounds
INVENTOR(S): Kawakubo, Katsuhiko; Nagai, Shigeki; Araki, Hozumi; Fujii, Katsutoshi
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan; Ube Industries, Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXKAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52105189	A2	19770903	JP 1976-20700	19760227
PRIORITY APPLN. INFO.:			JP 1976-20700 A	19760227

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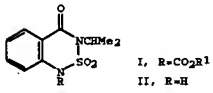
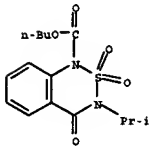


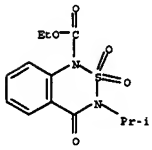
AB Title compds. I (R1 = Et, Pr, Bu, Me2CHCH2, cyclohexyl) were prepared by reaction of II with ClCO2R1 in the presence of a base. Thus, 1.4 g ClCO2Bu was added to a mixture of 2.4 g II and 1 g Na2CO3 in Me2CO with ice cooling and the mixture stirred 4 h at room temperature to give 2.7 g I (R1 = Bu).
I are useful as herbicides in paddy fields; the data were given against Cyperus serotinus.

IT **59966-20-0P 59966-77-7P 65402-94-0P**
65403-49-8P 65403-52-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and herbicidal activity of)

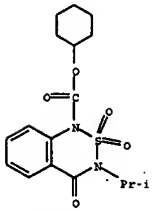
RN 59966-20-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, butyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



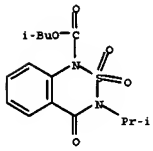
RN 59966-77-7 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, ethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



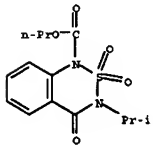
RN 65402-94-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, cyclohexyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



RN 65403-49-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 2-methylpropyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



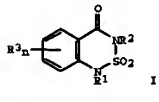
RN 65403-52-3 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, propyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 280 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:70477 CAPLUS
DOCUMENT NUMBER: 88:70477
TITLE: Benzothiodiazine microbicides
INVENTOR(S): Takahi, Yukiyoshi; Nagai, Shigeyoshi; Araki, Hozumi; Fujii, Katsutoshi
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan; Ube Industries, Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXKAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52110028	A2	19770917	JP 1976-27790	19760315
PRIORITY APPLN. INFO.:			JP 1976-27790 A	19760315

GI

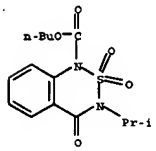


AB 2,1,3-Benzothiadiazines I (R1 = H, CHO, alkoxycarbonyl, aryloxycarbonyl,

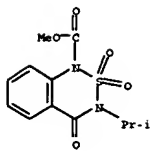
etc.; R2 = H, alkyl, alkoxyalkyl, aryl, cyanoalkyl, etc.; R3 = halogen or NO2; n = 0-2) are microbiocides. Thus, in greenhouse expts. 500 ppm Et 3-ethyl-3,4-dihydro-4-oxo-1H-2,1,3-benzothiadiazine-1-carboxylate 2,2-dioxide [65403-94-3] prevented the onset of infection in rice seedlings inoculated 3 days earlier with Piricularia oryzae.

IT 59966-20-0P 59966-76-6P 59966-77-7P
65402-78-0P 65402-79-1P 65402-80-4P
65402-81-5P 65402-82-6P 65402-83-7P
65402-84-8P 65402-85-9P 65402-86-0P
65402-90-6P 65402-91-7P 65402-92-8P
65402-93-9P 65402-94-0P 65402-95-1P
65402-96-2P 65402-97-3P 65402-98-4P
65402-99-5P 65403-01-2P 65403-02-3P
65403-03-4P 65403-04-5P 65403-05-6P
65403-06-7P 65403-07-8P 65403-08-9P
65403-09-0P 65403-10-3P 65403-11-4P
65403-12-5P 65403-13-6P 65403-14-7P
65403-15-8P 65403-16-9P 65403-17-0P
65403-18-1P 65403-19-2P 65403-20-5P
65403-21-6P 65403-22-7P 65403-23-8P
65403-24-9P 65403-25-0P 65403-26-1P
65403-27-2P 65403-28-3P 65403-29-4P
65403-30-7P 65403-31-8P 65403-32-9P
65403-33-0P 65403-34-1P 65403-35-2P
65403-37-4P 65403-38-5P 65403-39-6P
65403-40-9P 65403-41-0P 65403-42-1P
65403-43-2P 65403-44-3P 65403-49-8P
65403-50-1P 65403-51-2P 65403-52-3P
65403-53-4P 65403-54-5P 65403-55-6P
65403-56-7P 65403-57-8P 65403-60-3P
65403-61-4P 65403-63-6P 65403-66-9P
65403-67-0P 65403-68-1P 65403-69-2P
65403-70-5P 65403-71-6P 65403-72-7P
65403-73-8P 65403-74-9P 65403-75-0P
65403-81-8P 65403-82-9P 65403-83-0P
65403-91-0P 65403-92-1P 65403-93-2P
65403-94-3P 65403-95-4P 65403-96-5P
65447-75-8P 65685-19-0P 65685-21-4P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and fungicidal activity of)

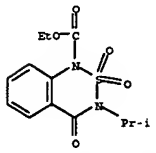
RN 59966-20-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, butyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



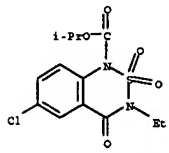
RN 59966-76-6 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



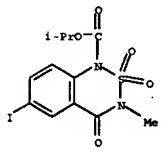
RN 59966-77-7 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, ethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



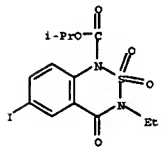
RN 65402-78-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 6-chloro-3-ethyl-3,4-dihydro-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



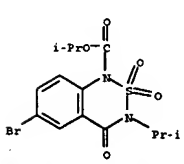
RN 65402-79-1 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-6-iodo-3-methyl-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



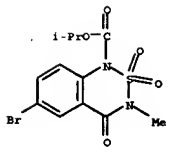
RN 65402-80-4 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-6-iodo-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



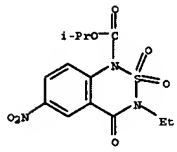
RN 65402-81-5 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 6-bromo-3,4-dihydro-3-(1-methylethyl)-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



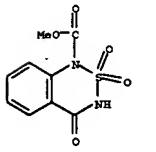
RN 65402-82-6 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 6-bromo-3,4-dihydro-3-methyl-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



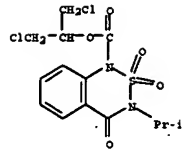
RN 65402-83-7 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-6-nitro-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



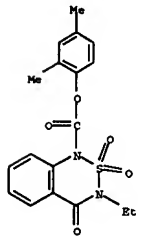
RN 65402-84-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



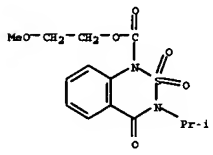
RN 65402-85-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 2-chloro-1-(chloromethyl)ethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



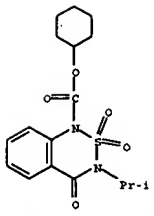
RN 65402-86-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 2,4-dimethylphenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



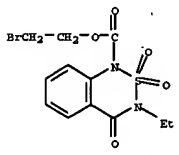
RN 65402-90-6 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 2-methoxyethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



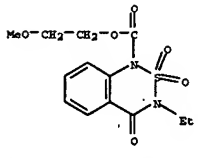
RN 65402-91-7 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 2,3-dichloropropyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



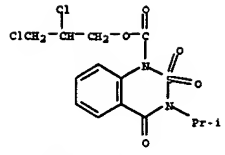
RN 65402-95-1 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 2-bromoethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



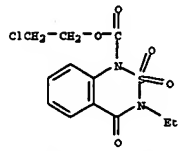
RN 65402-96-2 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 2-methoxyethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



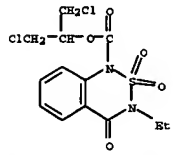
RN 65402-97-3 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, 2-methoxyethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



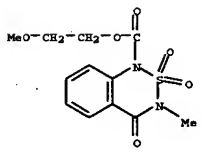
RN 65402-92-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 2-chloroethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



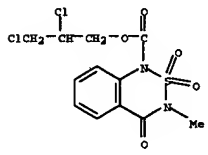
RN 65402-93-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 2-chloro-1-(chloromethyl)ethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



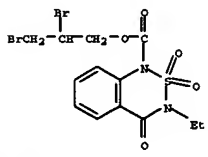
RN 65402-94-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, cyclohexyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



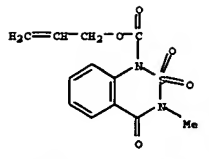
RN 65402-98-4 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, 2,3-dichloropropyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



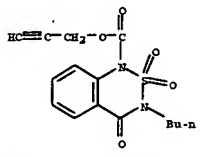
RN 65402-99-5 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 2,3-dibromopropyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



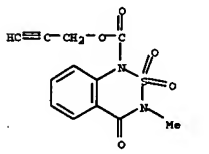
RN 65403-01-2 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, 2-propenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



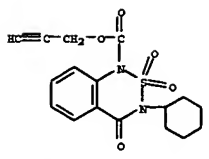
RN 65403-02-3 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-butyl-3,4-dihydro-4-oxo-, 2-propynyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



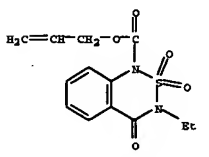
RN 65403-03-4 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, 2-propynyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



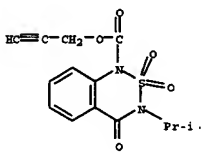
RN 65403-04-5 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-cyclohexyl-3,4-dihydro-4-oxo-, 2-propynyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



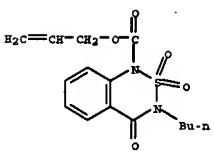
RN 65403-05-6 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 2-propenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



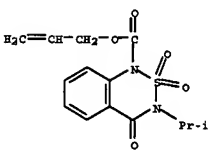
RN 65403-06-7 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 2-propynyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



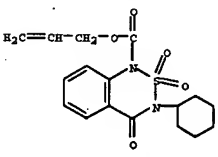
RN 65403-07-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-butyl-3,4-dihydro-4-oxo-, 2-propenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



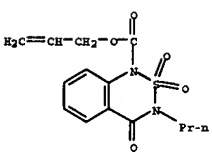
RN 65403-08-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 2-propenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



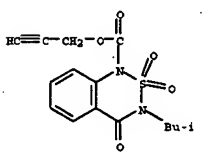
RN 65403-09-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-cyclohexyl-3,4-dihydro-4-oxo-, 2-propenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



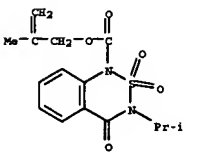
RN 65403-10-3 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-propyl-, 2-propenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



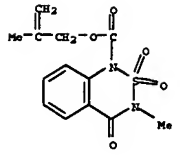
RN 65403-11-4 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(2-methylpropyl)-4-oxo-, 2-propynyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



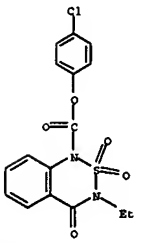
RN 65403-12-5 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 2-methyl-2-propenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



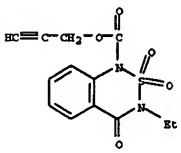
RN 65403-13-6 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, 2-methyl-2-propenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



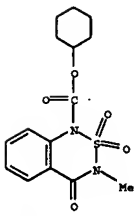
RN 65403-14-7 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 4-chlorophenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



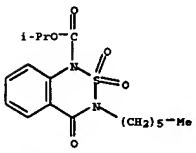
RN 65403-15-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 2-propynyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



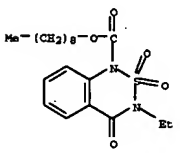
RN 65403-16-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 3,5-dimethylphenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



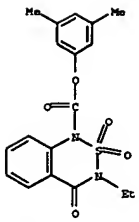
RN 65403-20-5 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-hexyl-3,4-dihydro-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



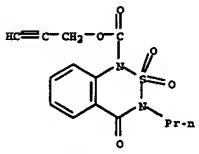
RN 65403-21-6 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, nonyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



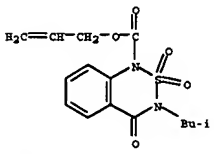
RN 65403-22-7 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, octyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



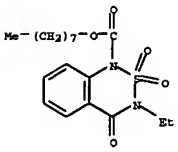
RN 65403-17-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-propyl-, 2-propynyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



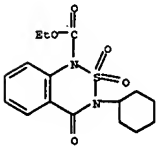
RN 65403-18-1 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(2-methylpropyl)-4-oxo-, 2-propenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



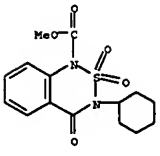
RN 65403-19-2 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, cyclohexyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



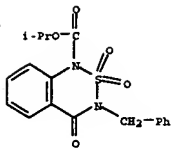
RN 65403-23-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-cyclohexyl-3,4-dihydro-4-oxo-, ethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



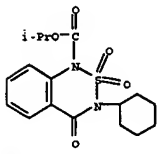
RN 65403-24-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-cyclohexyl-3,4-dihydro-4-oxo-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



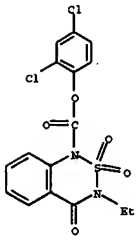
RN 65403-25-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-(phenylmethyl)-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



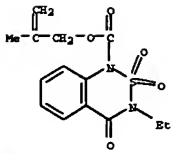
RN 65403-26-1 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-cyclohexyl-3,4-dihydro-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



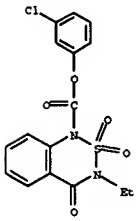
RN 65403-27-2 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 2,4-dichlorophenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



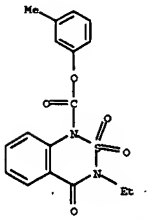
RN 65403-28-3 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 2-methyl-2-propenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



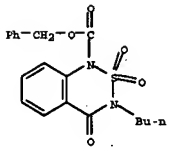
RN 65403-29-4 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 3-chlorophenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



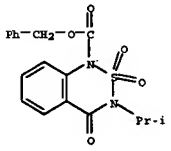
RN 65403-30-7 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 3-methylphenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



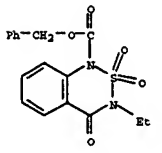
RN 65403-31-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-butyl-3,4-dihydro-4-oxo-, phenylmethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



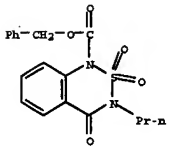
RN 65403-32-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, phenylmethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



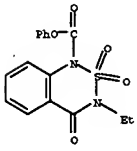
RN 65403-33-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, phenylmethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



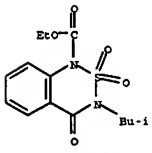
RN 65403-34-1 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-propyl-, phenylmethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



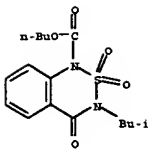
RN 65403-35-2 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, phenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



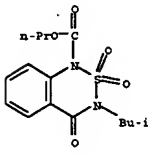
RN 65403-37-4 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(2-methylpropyl)-4-oxo-, ethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



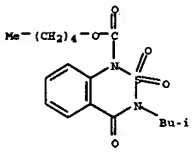
RN 65403-38-5 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(2-methylpropyl)-4-oxo-, butyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



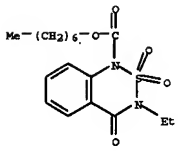
RN 65403-39-6 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(2-methylpropyl)-4-oxo-, propyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



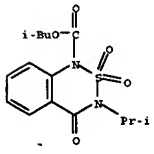
RN 65403-40-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(2-methylpropyl)-4-oxo-, pentyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



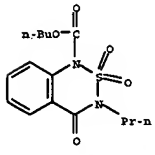
RN 65403-41-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(2-methylpropyl)-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



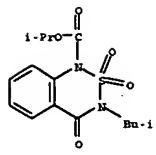
RN 65403-49-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 2-methylpropyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



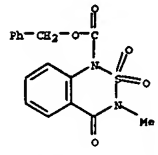
RN 65403-50-1 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-propyl-, butyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



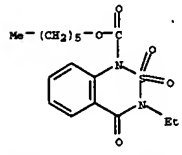
RN 65403-51-2 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-butyl-3,4-dihydro-4-oxo-, butyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



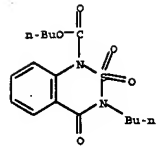
RN 65403-42-1 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, phenylmethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



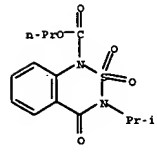
RN 65403-43-2 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, hexyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



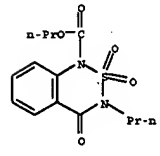
RN 65403-44-3 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, heptyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



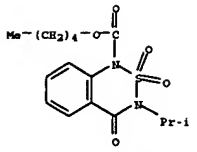
RN 65403-52-3 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, propyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



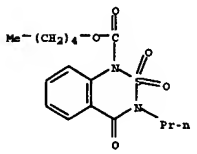
RN 65403-53-4 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-propyl-, propyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



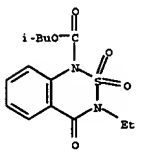
RN 65403-54-5 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, pentyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



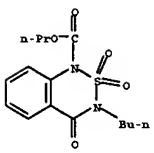
RN 65403-55-6 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-propyl-, pentyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



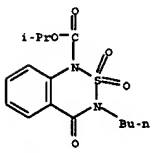
RN 65403-56-7 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 2-methylpropyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



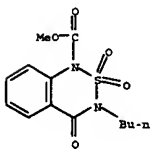
RN 65403-57-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-butyl-3,4-dihydro-4-oxo-, 2-methylpropyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



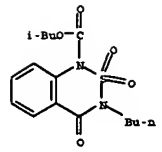
RN 65403-66-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-butyl-3,4-dihydro-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



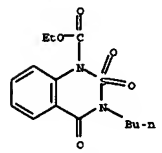
RN 65403-67-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-butyl-3,4-dihydro-4-oxo-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



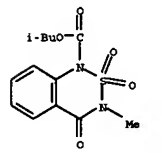
RN 65403-68-1 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-butyl-3,4-dihydro-4-oxo-, pentyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



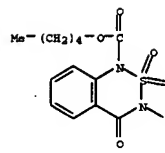
RN 65403-60-3 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-butyl-3,4-dihydro-4-oxo-, ethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



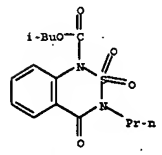
RN 65403-61-4 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, 2-methylpropyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



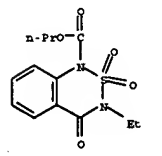
RN 65403-63-6 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-butyl-3,4-dihydro-4-oxo-, propyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



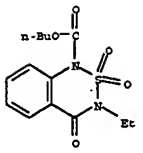
RN 65403-69-2 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-propyl-, 2-methylpropyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



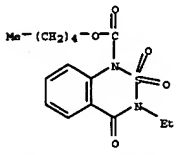
RN 65403-70-5 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, propyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



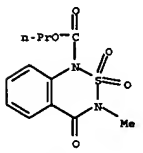
RN 65403-71-6 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, butyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



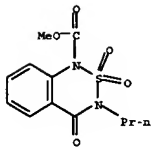
RN 65403-72-7 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, pentyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



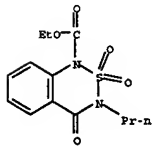
RN 65403-73-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, propyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



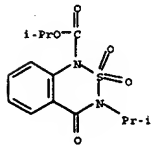
RN 65403-74-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, pentyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



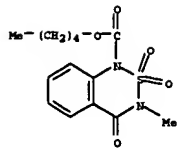
RN 65403-83-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-propyl-, ethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



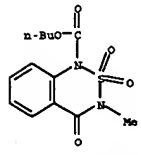
RN 65403-91-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



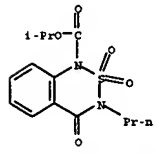
RN 65403-92-1 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



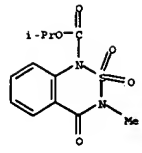
RN 65403-75-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, butyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



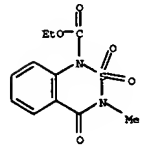
RN 65403-81-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-propyl-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



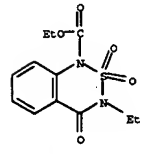
RN 65403-82-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-propyl-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



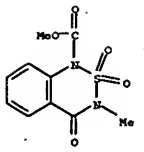
RN 65403-93-2 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, ethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



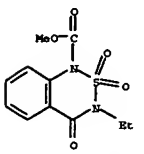
RN 65403-94-3 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, ethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



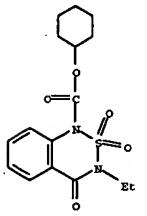
RN 65403-95-4 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-methyl-4-oxo-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



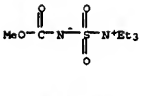
RN 65403-96-5 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



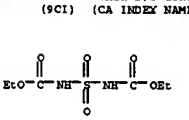
RN 65447-75-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, cyclohexyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



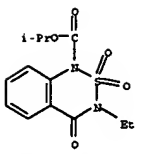
RN 65685-19-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 1-methylethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



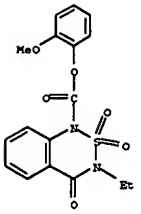
L9 ANSWER 282 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:4551 CAPLUS
DOCUMENT NUMBER: 86:4551
TITLE: Solvent cage effect in the photolysis of azomethane in aqueous alcohols and other media: a semiempirical correlation with macroscopic solvent parameters
AUTHOR(S): Nodelman, Neil; Martin, J. C.
CORPORATE SOURCE: Dep. Chem., Univ. Illinois, Urbana, IL, USA
SOURCE: Journal of the American Chemical Society (1976), 98(21), 6597-608
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Photolyses of azomethane (I) in aqueous Me3COH show a maximum yield of cage products near solvent compns. of 0.9 mole fraction of H2O (XH2O 0.9). For XH2O 0.6-0.9, the fraction of cage recombination of Me radicals from the photolyses of I decreases with increasing macroscopic viscosity. A semiempirical equation developed to treat these data is successful in relating the observed amount of cage product C2H6 to macroscopic solvent parameters other than viscosity (principally to solvent internal pressure and cohesive energy d.). The correlation equation, derived using a phenomenological model, is successful in describing the cage effect in a wide range of solvent types for photolyses of I and the decompns. of other radical initiators. A new synthetic method, starting with the alkylation of (EtO2CNH)2SO2, is described which is suitable for the preparation of sym. or unsym. azoalkanes.
IT 56477-47-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation of)
RN 56477-47-5 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaoctanoic acid, 5-oxo-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



IT 61093-45-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 61093-45-6 CAPLUS
CN Carbamic acid, [[(ethoxycarbonyl)amino]sulfonyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 65685-21-4 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3-ethyl-3,4-dihydro-4-oxo-, 2-methoxyphenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 281 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:438827 CAPLUS
DOCUMENT NUMBER: 87:38827
TITLE: Conversion of primary alcohols to urethanes via the inner salt of methyl (carboxysulfamoyl)triethylammoniu m hydroxide: methyl n-hexylcarbamate
AUTHOR(S): Burgess, Edward M.; Penton, Harold R., Jr.; Taylor, E. Alan; Williams, W. Michael
CORPORATE SOURCE: Sch. Chem., Georgia Inst. Technol., Atlanta, GA, USA
SOURCE: Organic Syntheses (1977), 56, 40-3
CODEN: ORSYAT; ISSN: 0078-6209
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Reaction of ClSO2NCO and MeOH in C6H6 at 25-30° gave 88-92% ClSO2NHCO2Me, which when treated with Et3N in C6H6 at 10-5° gave 84-6% Et3N+SO2N-CO2Me (I). Heating I with 1-hexanol at 95° gave 51-2% Me(CH2)5NHCO2Me.
IT 29684-56-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to methyl hexylcarbamate)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)

L9 ANSWER 283 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1976:478170 CAPLUS
DOCUMENT NUMBER: 85:78170
TITLE: 2,1,3-Benzothiadiazin-4-one 2,2-dioxide derivatives
INVENTOR(S): Zeidler, Adolf; Fischer, Adolf; Hamprecht, Gerhard; Schmidt, Peter
PATENT ASSIGNEE(S): BASF A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 46 pp.
CODEN: GWXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2444822	A1	19760408	DE 1974-2444822	19740919
JP 51041438	A2	19760407	JP 1975-95468	19750807
IL 47970	A1	19790312	IL 1975-47970	19750821
AU 7584221	A1	19770224	AU 1975-84221	19750822
AU 499931	B2	19790503		
CA 1082702	A1	19800729	CA 1975-234314	19750825
CS 191944	P	19790731	CS 1975-5992	19750903
BE 833456	A1	19760316	BE 1975-160073	19750916
CH 620572	A	19801215	CH 1975-11954	19750916
DD 120117	C	19760605	DD 1975-188397	19750917
BR 7505998	A	19760803	BR 1975-5998	19750917
HU 18526	O	19800728	HU 1975-BA3315	19750917
HU 176194	P	19810128		
DK 7504184	A	19760320	DK 1975-4184	19750918
DK 144321	B	19820222		
DK 144321	C	19820712		
ZA 7505949	A	19760929	ZA 1975-5949	19750918
ES 441068	A1	19770701	ES 1975-441068	19750918
AT 7507169	A	19771115	AT 1975-7169	19750918
NL 7511095	A	19760323	NL 1975-11095	19750919
FR 2285383	A1	19760416	FR 1975-28758	19750919
FR 2285383	B1	19780922		

PRIORITY APPLN. INFO.: DE 1974-2444822 A 19740919
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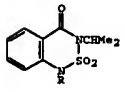
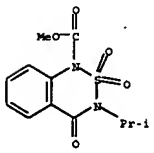


I

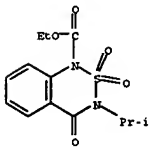
AB Benzothiadiazinone dioxides I (R = acyl, alkoxycarbonylamino, carbamoyl, substituted sulfenyl, sulfamoyl, phosphonothioate, trinitrophenyl) (62 compds.) were prepared by substitution on I (R = H, Na, K). I are herbicides. Thus, I (R = NHCO2Et, SO2Et, SO2CH2CHMe2) at 1 kg/ha gave 100% control of Sinapis arvensis, without any damage to cotton plants.

IT **59966-76-6P 59966-77-7P 59966-79-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and herbicidal activity of)

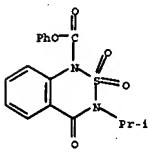
RN 59966-76-6 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, methyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



RN 59966-77-7 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, ethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)

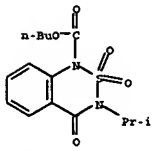


RN 59966-79-9 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, phenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)

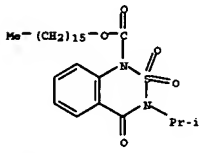


IT **59966-20-0P 59966-78-8P 59966-80-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

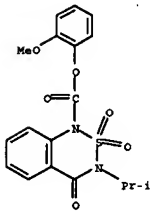
RN 59966-20-0 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, butyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



RN 59966-78-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, hexadecyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



RN 59966-80-2 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-3-(1-methylethyl)-4-oxo-, 2-methoxyphenyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 284 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1975:497722 CAPLUS

DOCUMENT NUMBER: 83:97722
TITLE: Carbonates of estrane derivatives
INVENTOR(S): Grosse, Peter; Ponsold, Kurt; Prousa, Richard; Schnabel, Ralf; Von Zychlinski, Jutta
PATENT ASSIGNEE(S): VEB Jenapharm, Ger. Dem. Rep.
SOURCE: Fr. Demande, 19 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

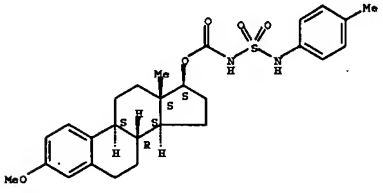
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2231673	A1	19741227	FR 1973-19540	19730529
FR 2231673	B1	19771230		
PRIORITY APPLN. INFO.:			FR 1973-19540 A	19730529

GI For diagram(s), see printed CA Issue.
AB The title compds. I (R = Me, H; R1 = NH2, EtNH, MeNH, PhCH2NH, cyclohexylamino, Et2N, Me2N, PhNH, 4-MeC6H4NH, 4-HOC6H4NH, Me2NNH, Me2C:NNH, 4-MeC6H4SO2NH, EtS, PhS, HC.tplbond.CCH2O, PhO, 4-MeOC6H4O, 4-O2NC6H4O, N3, CN, Me2C:NO, Et2NCH2CH2O) were prepared by condensation of I (R1 = Cl) with R1H or their salts. I (R = Me; R1 = PhNH) possessed 140% of the contraceptive activity of mestranol, but 2.9% of its uterotropic and 4% of its antigonadotropic activities.

IT **56736-37-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 56736-37-9 CAPLUS
CN Estra-1,3,5(10)-trien-17-ol, 3-methoxy-, [[(4-methylphenyl)amino]sulfonyl]carbamate, (17 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 285 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1975:479203 CAPLUS
DOCUMENT NUMBER: 83:79203
TITLE: Products of reactions of dialkylphosphoric acid amides with sulfonyl diisocyanate
AUTHOR(S): Arnold, Zdzislaw; Fiszer, Bernard
CORPORATE SOURCE: Dep. Physiol. Gen. Chem., Mil. Sch. Med., Lodz, Pol.
SOURCE: Roczniki Chemii (1975), 49(2), 285-95
CODEN: ROCHAC; ISSN: 0035-7677
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The title reaction, run in ether at 0-5°, gave I (R = Me, Et, Pr, iso-Pr, Bu, iso-Bu) in 20-83% yield. I, except when R = Me, hydrolyze readily to give dialkyl phosphates and 1-sulfonyl-3,5-dicarbonyl-2,4,6-triimine (II). Degradation of II with H2O gave urea, NH2SO3H and other products. II gave the diammonium salt with NH3. Refluxed 3 hr in EtOH, II gave the monoammonium salt and (EtO)3PO, (EtO)2P(O)NHCO2Et, and SO2(NHCO2Et)2. Treated with CH2N2, II gave N,N'-dimethyl derivative I (R = Et) treated at room temperature with EtOH-NH3 (25% excess) yielded 40% (EtO)3PO.

IT **56477-47-5P**
RL: PREP (Preparation)
(from alcoholysis of (diethoxyphosphinyl)sulfonyldicarbonyltriimine)

RN 56477-47-5 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaoctanoic acid, 5-oxo-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)

L9 ANSWER 286 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1975:43439 CAPLUS
DOCUMENT NUMBER: 82:43439
TITLE: Penicillanic acid- and cephalosporanic acid derivatives
INVENTOR(S): Van der Drift, Johannes K.; Bruynes, Cornelis A.
PATENT ASSIGNEE(S): Gist-Brocades N. V.
SOURCE: Ger. Offen., 135 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2405894	A1	19740926	DE 1974-2405894	19740207
DE 2405894	B2	19771124		
US 3945994	A	19760323	US 1974-440085	19740205
BE 810744	A1	19740807	BE 1974-140668	19740207
NL 7401674	A	19740812	NL 1974-1674	19740207
FR 2216994	A1	19740906	FR 1974-4156	19740207
JP 49109393	A2	19741017	JP 1974-15802	19740207
AT 7400959	A	19760715	AT 1974-959	19740207
AT 335596	B	19770325		
ES 423024	A1	19761016	ES 1974-423024	19740207
HU 169700	P	19770228	HU 1974-GI198	19740207
PRIORITY APPLN. INFO.:			GB 1973-6267 A	19730208

GI For diagram(s), see printed CA Issue.
AB Fifty nine penicillanic acids I and 5 deacetoxycephalosporanic acids II (R = CXNHP(X1)R1R2 (X, X1 = O, S; R = EtO, PhO, Me2N, Ph, Me, EtS, Et; PhCH2O, PhNH, NaO; R2 = EtO, PhO, Me2N, Ph, Me, EtS, NaO, Et2N, OCH2CHMe2); SO2NHR1 (R1 = H, EtO2C, PhO2C), CONHSO2R1 (R1 = EtO, PhNH, (Me2CH)2N, Me2CHNH, EtO2CCH2NH, 3-pyridylamino, 5-methyl-3-isoxazolylamino, morpholino, NH2, SO3H, PhCH2NH, 5-methyl-1,2,4-oxadiazol-3-ylmethylamino, EtO2CNHNH); 3,4-dimethyl-1-oxo-3-phospholen-1-ylcarbamoyl, 1-methyl-2-pyrrolidinylsulfamoyl, MeC(NMe2):NSO2; R1 = Na, O2CCMe3, H) were prepared (a) by treating D-(-)-ampicillin 0.5 hr with N,O-bis(trimethylsilyl)acetamide at 20° and the product with R1R2P(X1)NCX (or a mixture of R1R2P(X1)Cl and NH4XCN) at 0-5°; (b) by

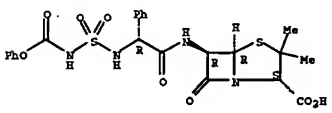
treating the trimethylsilyl ester of D-(-)-ampicillin with ClSO2NCO at -60 to -70° for 0.5 hr, then adding an alc. or amine and stirring at -60 to -75°; (c) treating the silylated D-(-)-ampicillin with amine or substituted aminosulfonyl chloride, generally at 0°. Substitution of cephalexin for the ampicillin in procedure (a) gave the deacetoxycephalosporanic acids. The LD50 (mouse) of I (R = 3,4-dimethyl-5-isoxazolylamino-sulfonylcarbamoyl, R1 = H; R = (Na)(EtO)P(O)NHCO, R1 = Na; R = (NaO)2P(O)NHCO, R1 = Na) was >5000 mg/kg. Antibacterial ED50 values (mouse) were 3.32-335 mg/kg.

IT 50881-77-1P 54434-54-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 50881-77-1 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[[[[[(phenoxycarbonyl)amino]sulfonyl]amino]phenylacetyl]amino]-, sodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

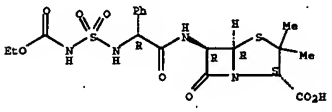


● Na

RN 54434-54-7 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[(ethoxycarbonyl)amino]sulfonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

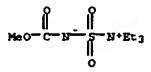
L9 ANSWER 287 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1974:504719 CAPLUS
DOCUMENT NUMBER: 81:104719
TITLE: Conversion of primary alcohols to urethanes. Methyl n-sulfonylurethane triethylamine complexes
AUTHOR(S): Burgess, Edward M.; Penton, Harold R., Jr.; Taylor, E. Alan; Williams, W. Michael
CORPORATE SOURCE: Dep. Chem., Georgia Inst. Technol., Atlanta, GA, USA
SOURCE: Organic Syntheses (1973), 53, 1857
CODEN: ORSYAT; ISSN: 0078-6209
DOCUMENT TYPE: Journal
LANGUAGE: English

AB ClSO2Cl reacted with MeOH in C6H6 at 25-30° to give MeO2CNHSO2Cl, which reacted with Et3N in C6H6 at 25° to give 84-7% MeO2-CN-SO2N+Et3 (I). I reacted with Me(CH2)5OH to give 55% MeO2NH(CH2)5Me.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with alcs.)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 288 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1974:463850 CAPLUS
DOCUMENT NUMBER: 81:63850
TITLE: Trans dehydration of alcohols with methyl (carboxysulfamoyl)triethylammonium hydroxide inner salt
AUTHOR(S): O'Grodnick, J. S.; Ebersole, R. C.; Wittstruck, T.; Caspi, E.
CORPORATE SOURCE: Worcester Found. Exp. Biol., Shrewsbury, MA, USA
SOURCE: Journal of Organic Chemistry (1974), 39(14), 2124-6
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English

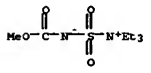
GI For diagram(s), see printed CA Issue.

AB Dehydration of fusidic acid analogs I [R = R2 = Ac, R1 = H, X = C(CO2Me)CH2CH2CH: CMe2; R-R1 = tetrahydropyran-2-yl, R2 = H, X = C(CO2Me)CH2CH2CH2CHMe2] and androstenone II (R = H) by MeO2CN--SO2N+Et3 gave III-V, resp., as a result of trans elimination.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydration of fusidates analogs)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 289 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1974:121011 CAPLUS
DOCUMENT NUMBER: 80:121011
TITLE: Tetrahydro-3,5-dioxo-1,2,4,6-thiatriazine 1,1-dioxides
INVENTOR(S): Huber, Ludwig Konrad
PATENT ASSIGNEE(S): Pennwalt Corp.
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2337867	A1	19740207	DE 1973-2337867	19730725
US 3856786	A	19741224	US 1972-274926	19720725
JP 49043977	A2	19740425	JP 1973-80423	19730718
FR 2193826	A1	19740222	FR 1973-27028	19730724
PRIORITY APPLN. INFO.:			US 1972-274926 A	19720725

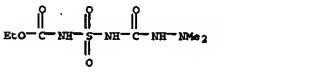
GI For diagram(s), see printed CA Issue.

AB Two thiatriazines I R = CH2Ph and NMe2 (II) were prepared by dropwise addition of RNH2 to (OCN)2SO2 in benzene at 27-37°. Reaction of II with R1H (R1 = 2-MeC6H4NH, EtO, or Me2NNH) gave Me2NNHCONHSO2NHCOR1 (III). II and III were useful as bactericides and fungicides and II addnl. as blowing agent.

IT 52013-81-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 52013-81-7 CAPLUS

CN 3-Thia-2,4,6,7-tetraazaoctanoic acid, 7-methyl-5-oxo-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



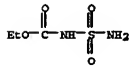
L9 ANSWER 290 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1974:104113 CAPLUS
DOCUMENT NUMBER: 80:104113
TITLE: Association between chemical structure and antiviral activity of biguanide, sulfonylurethane, and sulfonamide derivatives
AUTHOR(S): Denys, Andrzej; Machlanski, Tadeusz; Arnold, Zdzislaw
CORPORATE SOURCE: Dep. Med. Microbiol., Mil. Med. Acad., Lodz, Pol.
SOURCE: Acta Microbiologica Polonica, Series A: Microbiologia Generalis (1973), 5(3-4), 212-15
CODEN: AMIGB9; ISSN: 0567-7815
DOCUMENT TYPE: Journal
LANGUAGE: English

AB N-phenylbiguanide-HCl [38482-60-9], Silubin [15537-73-2], N-carbethoxysulfamide [14437-07-1], and N-phenethylbiguanide-HCl [21220-47-7] were the most effective of the 8 biguanide and 1 urethane derivs. tested in prolonging survival of influenza virus-infected mice. Animals treated with the above 4 derivs. also showed the smallest amount of pulmonary inflammation. The relation between structure and activity is discussed.

IT 14437-07-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(virucidal activity of)

RN 14437-07-1 CAPLUS

CN Carbamic acid, (aminosulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 291 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1974:3511 CAPLUS
DOCUMENT NUMBER: 80:3511
TITLE: Derivatives of penam-3-carboxylic acids and cephem-4-carboxylic acids
INVENTOR(S): Fechtig, Bruno; Kocsis, Karoly; Bickel, Hans
PATENT ASSIGNEE(S): Ciba-Geigy A.-G.
SOURCE: Ger. Offen., 70 pp.
CODEN: GWXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2312330	A1	19731004	DE 1973-2312330	19730313
CH 560705	A	19750415	CH 1972-4251	19720322
ZA 7301905	A	19731219	ZA 1973-1905	19730319
DD 105617	C	19740512	DD 1973-169591	19730320
AU 7353499	A1	19740926	AU 1973-53499	19730320
ES 412838	A1	19760516	ES 1973-412838	19730320
CA 1049501	A1	19790227	CA 1973-166491	19730320
BE 797084	A1	19730921	BE 1973-129044	19730321
FR 2181839	A1	19731207	FR 1973-10084	19730321
AT 7302519	A	19750115	AT 1973-2519	19730321
AT 325765	B	19751110		
AT 7408632	A	19750315	AT 1974-8632	19730321
HU 169031	P	19760928	HU 1973-CI1355	19730321
US 3996208	A	19761207	US 1973-344020	19730321
NL 7304036	A	19730925	NL 1973-4036	19730322
JP 49005988	A2	19740119	JP 1973-34000	19730322
GB 1423386	A	19760204	GB 1973-13848	19730322
SE 7602730	A	19760227	SE 1976-2730	19760227
PRIORITY APPLN. INFO.:			CH 1972-4251 A	19720322
			CH 1972-12919 A	19720901
			CH 1972-18530 A	19721220

GI For diagram(s), see printed CA Issue.

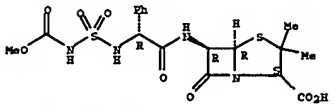
AB The N-sulfamylampicillins I (R = alkyl, aryl, substituted amino, N-heterocyclic) (48 compds.) were prepared by treating a trimethylsilylated ampicillin with RCONHSO2Cl. The RCONHSO2Cl were obtained by treating RCO2H with ClSO2NCO. Some related cephalosporins (3 compds.) were similarly prepared. Thus, nicotinoylsulfamyl chloride, prepared by treating nicotinic acid with ClSO2NCO, was treated with trimethylsilyl N-trimethylsilyl-6-D- α-phenylglycylaminopenicillanate to give I (R = 3-pyridyl).

IT 50881-73-7P 50881-74-8P 50881-75-9P
50881-76-0P 50881-77-1P 50881-78-2P
51032-30-5P 51032-31-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 50881-73-7 CAPLUS

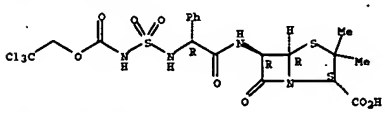
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(2R)-4,4-dioxido-1,6-dioxo-2-phenyl-7-oxa-4-thia-3,5-diazaoct-1-yl]amino]-3,3-dimethyl-7-oxo-, (2S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



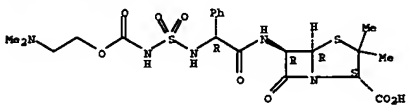
RN 50881-74-8 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[[(2R)-9,9,9-trichloro-4,4-dioxido-1,6-dioxo-2-phenyl-7-oxa-4-thia-3,5-diazanon-1-yl]amino]-, (2S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



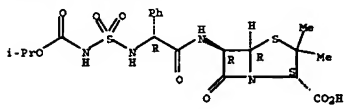
RN 50881-75-9 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-6-[[(2R)-10-methyl-4,4-dioxido-1,6-dioxo-2-phenyl-7-oxa-4-thia-3,5,10-triazaundec-1-yl]amino]-7-oxo-, (2S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



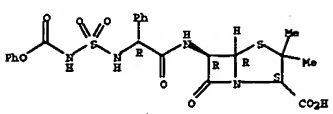
RN 50881-76-0 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-6-[[(2R)-8-methyl-4,4-dioxido-1,6-dioxo-2-phenyl-7-oxa-4-thia-3,5-diazanon-1-yl]amino]-7-oxo-, (2S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 50881-77-1 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[[[[[(phenoxycarbonyl)amino]sulfonyl]amino]phenylacetyl]amino]-, sodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

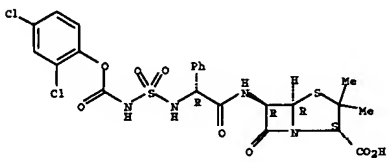
Absolute stereochemistry.



● Na

RN 50881-78-2 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[[(2,4-dichlorophenoxy)carbonyl]amino]sulfonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, sodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

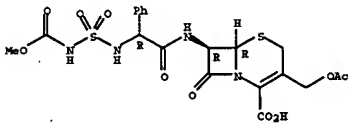
Absolute stereochemistry.



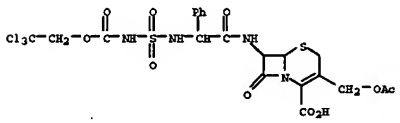
● Na

RN 51032-30-5 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[(2R)-4,4-dioxido-1,6-dioxo-2-phenyl-7-oxa-4-thia-3,5-diazaoct-1-yl]amino]-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

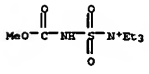


RN 51032-31-6 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-8-oxo-7-[[(2R)-9,9,9-trichloro-4,4-dioxido-1,6-dioxo-2-phenyl-7-oxa-4-thia-3,5-diazanon-1-yl]amino]-, monosodium salt, (6R,7R)- (9CI) (CA INDEX NAME)

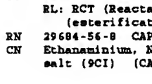


● Na

L9 ANSWER 292 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:442706 CAPLUS
DOCUMENT NUMBER: 79:42706
TITLE: Dehydration of zeaxanthin and xanthophyll
AUTHOR(S): Takimoto, Seizi; Chin, Kiyoshi; Okukado, Nobuhisa; Yamaguchi, Masaru
CORPORATE SOURCE: Fac. Sci., Kyushu Univ., Fukuoka, Japan
SOURCE: Memoirs of the Faculty of Science, Kyushu University, Series C: Chemistry (1973), 8(2), 197-202
CODEN: MFKCAL; ISSN: 0085-2635
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Dehydroration of zeaxanthin (I) and xanthophyll (II) by MeO2CN-S O2N Et3 gave mainly 3,4,3'4,'-tetradedhydro- β-cartene 9III).
IT 42273-20-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydration by, of zeaxanthin and xanthophyll)
RN 42273-20-1 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 293 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:71139 CAPLUS
DOCUMENT NUMBER: 78:71139
TITLE: Thermal reactions of alkyl N-carbomethoxysulfamate esters
AUTHOR(S): Burgess, Edward M.; Penton, Harold R., Jr.; Taylor, E. A.
CORPORATE SOURCE: Sch. Chem., Georgia Inst. Technol., Atlanta, GA, USA
SOURCE: Journal of Organic Chemistry (1973), 38(1), 26-31
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
AB (Carboxysulfamoyl)triethylammonium hydroxide, inner salt, Me ester was synthesized and reacted with a broad spectrum of alcs. resulting in alkyl N-carbomethoxysulfamate esters. The scope and synthetic usefulness of the sulfamate ester function as a leaving group in thermolytic dehydration reactions was demonstrated by the facile conversion of tertiary and secondary alcs. to olefins and primary alcs. to urethanes. Stereochem. the reaction was established as a cis-stereospecific elimination by the formation of only protio-trans-stilbene from threo-2-deuterio-1,2-diphenylethyl-N-carbomethoxysulfamate triethylammonium salt and only α-deuterio-trans-stilbene from the corresponding erythro compound The 1st order rate consts. for the diphenylethanol system were determined spectrophotometrically (k35°C = 2.66 + 10-6) and a small β-D isotope effect was observed (kH/kD = 1.05 for erythro and 1.00 for the threo compound). Activation parameters were calculated for the thermolysis with values: Ea = 22.4 kcal/mole, ΔH.++. = 21.7 kcal/mole, ΔG.++. = 22.8 kcal/mole, ΔS.++. = -3.3 entropy units. These kinetic and stereochem. results are consistent with an initial rate-limiting formation of an ion-pair followed by a fast cis-β-proton transfer to the departing anion at a rate greater than the interconversion of erythro and threo ion-paire.
IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of alcs. by)
RN 29684-56-8 CAPLUS
CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



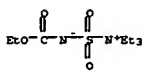
L9 ANSWER 294 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1972:539855 CAPLUS
DOCUMENT NUMBER: 77:139855
TITLE: Synthesis and reactions of N-sulfonylamines
AUTHOR(S): Atkins, George M., Jr.; Burgess, Edward M.
CORPORATE SOURCE: Sch. Chem., Georgia Inst. Technol., Atlanta, GA, USA
SOURCE: Journal of the American Chemical Society (1972), 94(17), 6135-41
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 77:139855
GI For diagram(s), see printed CA Issue.
AB N-Sulfonylamines, a new heterocumulene system, were prepared from Et3N and sulfamoyl chlorides. N-Sulfonylethylamine and N-sulfonylbenzamide were prepared in solution and intercepted with amines to give sulfamides or with nucleophilic olefins to give 1,2-thiazetidine 1,1-dioxides, when the reactions were carried out under conditions which did not favor ring opening. In several cases, ring openings gave β-substituted vinylsulfonamides or other acyclic products. Neither N-sulfonylethylamine nor N-sulfonylbenzamide was isolatable from solution, as the former underwent exothermic polymerization at room temperature in the absence of a trapping agent, while the latter rearranged to PhNCO upon warming to room temperature Ethyl(carboxysulfamoyl)triethylammonium hydroxide inner salt, was prepared from carbethoxysulfamoyl chloride and treated with amines and alcs. as well as with 1-vinyl-2-pyrrolidinone. The inner salt reacted at 60° with tetramethylallene to give 2-carbethoxy-3,3-dimethyl-4-isopropylidene-1,2-thiazetidine-1,1-dioxide (I) and 2,3-dihydro-2,2-

dimethyl-3-isopropylidene-6-ethoxy-1,4,5-oxathiazine 4,4-dioxide (II); it gave a 1:1 adduct with hexamethylbicyclo[2.2.0 hexa-2,5-diene. N-Sulfonylethylamine and ethyl(carboxysulfamoyl)triethylammonium hydroxide inner salt reacted with N,N-dimethylaniline giving sulfanilamides in fair yields.

IT 20133-49-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 20133-49-7 CAPLUS

CN Ethanaminium, N-[[(ethoxycarbonyl)amino]sulfonyl]-N,N-diethyl-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 295 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1972:121506 CAPLUS

DOCUMENT NUMBER: 76:121506

TITLE: Antiviral activity of some urethane and sulfonamide derivatives

AUTHOR(S): Denys, Andrzej; Arnold, Zdzislaw

CORPORATE SOURCE: Wojsk. Akad. Med., Lodz, Pol.

SOURCE: Medycyna Doswiadczalna i Mikrobiologia (1971), 23(4), 339-45
CODEN: MDMIAZ; ISSN: 0025-8601

DOCUMENT TYPE: Journal

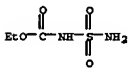
LANGUAGE: Polish

AB Among 11 urethan [51-79-6] and sulfonamide derivs. tested for activity against herpesvirus in HeLa cells and influenza virus in chick embryos, only N-carbethoxysulfonamide [14437-07-1] showed some inhibitory activity in both cases.

IT 14437-07-1 24090-44-6 35852-05-2
35852-06-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(virucidal activity of)

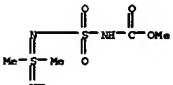
RN 14437-07-1 CAPLUS

CN Carbamic acid, (aminosulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 24090-44-6 CAPLUS

CN 7-Oxa-3-thia-2,4-diaza-6-phosphanonanoic acid, 6-ethoxy-5-oxo-, ethyl ester, 3,3,6-trioxide (9CI) (CA INDEX NAME)



L9 ANSWER 297 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1970:466808 CAPLUS

DOCUMENT NUMBER: 73:66808

TITLE: Novel dehydration reaction of steroidal alcohols

AUTHOR(S): Crabbe, Pierre; Leon, Claudia

CORPORATE SOURCE: Fac. Quim., Univ. Nac. Auton. Mexico, Mexico, D. F., Mex.

SOURCE: Journal of Organic Chemistry (1970), 35(8), 2594-6
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

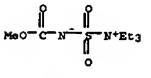
OTHER SOURCE(S): CASREACT 73:66808

AB Various steroidal secondary and tertiary alcs. were treated with methyl(carboxysulfamoyl)triethylammonium hydroxide, inner salt, to afford olefins. In most cases, the nature of the alc. group (secondary, tertiary, homoallylic), its configuration, and the environment, are the primary factors governing the course of the reaction. While tertiary alcs. seem to react under milder conditions, they are also subject to rearrangements. The compatibility of a saturated ketone, α,β-unsatd. ketone, aromatic ring, triple bond, acetate, and bis(methylenedioxy) function with the reagent and the mild reaction conditions (low temperature, neutral medium), the satisfactory yields which were often obtained, as well as the unexpected nature of some products, make it an attractive technique for introduction of double bonds into the steroid mol.

IT 29684-56-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydration by, of steroidal alcs.)

RN 29684-56-8 CAPLUS

CN Ethanaminium, N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]-, inner salt (9CI) (CA INDEX NAME)



L9 ANSWER 298 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1969:524578 CAPLUS

DOCUMENT NUMBER: 71:124578

TITLE: Reactions of dialkyl phosphites with sulfonyldiisocyanate

AUTHOR(S): Arnold, Zdzislaw; Fisser, Bernard

CORPORATE SOURCE: Wojsk. Akad. Med., Lodz, Pol.

SOURCE: Roczniki Chemii (1969), 43(7-8), 1443-50
CODEN: ROCHAC; ISSN: 0035-7677

DOCUMENT TYPE: Journal

LANGUAGE: Polish

L9 ANSWER 299 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1969:466028 CAPLUS

DOCUMENT NUMBER: 71:66028

TITLE: Acrylic acid derivatives for hardening gelatin

INVENTOR(S): Froehlich, Alfred

PATENT ASSIGNEE(S): CIBA Ltd.

SOURCE: S. African, 36 pp.
CODEN: SFXYAB

DOCUMENT TYPE: Patent

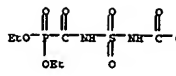
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

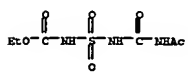
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6800017		19680918		
CH 512576			CH	
DE 1720078			DE	
FR 1549919			FR	
GB 1183640			GB	
US 3455893		19690000	US	
PRIORITY APPLN. INFO.:			CH	19670105

AB Acrylic acid derivs. having the general formula I: H2C:CRCONHCO(NHSO2NHCO)n-1 XYZ(CONHSO2NH)n-1CONHCOCH:CH2 (I) where X and Z are O, S, NH, or NHCO groups linked to Y which is (CH2)m, CH2CH2[OCH2CH2]q, (CH2)rO(CH2)r, or (CH2)rS(CH2)r, Y is also CO when X and Z each are NH, and n ≤ 2, m ≤ 14, q = 2-4, and r ≤ 4, are prepared for use as gelatin hardening agents, especially for photographic gelatin emulsions when added in the range 0.5-5% based on the amount of dry gelatin. I may be added to gelatin solution in the form of 2.5-10% aqueous solution



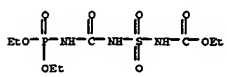
RN 35852-05-2 CAPLUS

CN 3-Thia-2,4,6-triazaoctanoic acid, 5,7-dioxo-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 35852-06-3 CAPLUS

CN 8-Oxa-3-thia-2,4,6-triaza-7-phosphadecanoic acid, 7-ethoxy-5-oxo-, ethyl ester, 3,3,7-trioxide (9CI) (CA INDEX NAME)



L9 ANSWER 296 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1971:463748 CAPLUS

DOCUMENT NUMBER: 75:63748

TITLE: Aza analogs of sulfonyl compounds. 3. Preparation of 1,1-dimethyl-5-oxo-4,5-dihydro-1,3,2,4,6-thia(VI)thiatriazine 3,3-dioxide from dimethyl sulfone diimine

AUTHOR(S): Haake, Manfred

CORPORATE SOURCE: Inst. Pharm. Chem. Lebensmittelchem., Univ. Marburg, Marburg, Fed. Rep. Ger.

SOURCE: Angewandte Chemie, International Edition in English (1971), 10(4), 264-5
CODEN: ACIEAY; ISSN: 0570-0833

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB The sulfamoyl derivative, Me2S(:NH):NSO2NHCO2Me (I), isconverted to 1,1-dimethyl-5-oxo-4,5-dihydro-1,3,2,4,6-thia(VI)thiatriazine 3,3-dioxide (II). Thus, I is prepared by the treatment of Me2S(:NH)2 with ClSO2NHCO2Me in the presence of Et3N. A mixture of I in DMF is heated to give II. II is methylated with CH2N2 to give the 1,1,4-trimethyl analog (III). NMR and ir data are given.

IT 33063-27-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 33063-27-3 CAPLUS

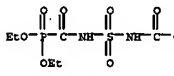
CN Sulfur, [hydrogen sulfamoylcarbamato(2-)]imidodimethyl-, methyl ester (8CI) (CA INDEX NAME)

AB A solution of 3.68 g. SO2(NCO)2 in 10 ml. dry Et2O was treated portionwise, under cooling, with 2.74 g. (MeO)2P(O)H (I) in Et2O to give 3.74 g. (RO)2P(O)CONHSO2NCO (II, R = Me), m. 92°. Similarly prepared were the following II (R, m.p., and % yield given): Et, 72-3°, 77; iso-Pr, 60-3°, 38. When treated dropwise, at 20°, with 4.12 g. SO2(NCO)2 diluted with Et2O and stirred 1 hr., a solution of 5.72 g. I in 20 ml. Et2O afforded 8.4 g. [(RO)2P(O)C(O)NH]2SO2 (III, R = Me), m. 130.5°. The following III were reported (R, m.p., and % yield given): Et, 129°, 70; Pr, 111°, 41; iso-Pr, 135°, 63; Bu, 77°, 10; iso-Bu, 128°, 56. A solution of 3.8 g. SO2(NCO)2 in 20 ml. Et2O was treated dropwise, at 20°, with 3.54 g. (EtO)2P(O)H in Et2O, stirred 30 min., then treated with 0.5 ml. H2O and filtered after the evolution of CO2 ceased to give 5.6 g. (EtO)2P(O)CONHSO2R (IV, R = NH2), m. 126-7°. The following IV were reported (R, m.p., and % yield given): NHCO2Et, 50-60°, 26; NHCONHPh, 117-19°, 98. III (R = Et) was characterized by its dicyclohexylamine salt, m. 134-5°.

IT 24090-44-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 24090-44-6 CAPLUS

CN 7-Oxa-3-thia-2,4-diaza-6-phosphanonanoic acid, 6-ethoxy-5-oxo-, ethyl ester, 3,3,6-trioxide (9CI) (CA INDEX NAME)



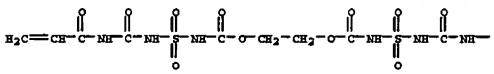
and the hardening action is due to extensive cross-linking. For example, a solution of 7.1 g. acrylamide in 110 cc. of absolute acetonitrile was dropped at -10° into a solution containing 14.8 g. sulfonyl diisocyanate in 170 cc. absolute ether, stirred overnight at room temperature, 3.1 g. of ethylene glycol was dropped in while cooling with ice, and stirred for 12 hrs. at room temperature. A crystalline compound (m. 215-20°) having formula II (H2C:CHCONHCONHSO2NHCO2CH2)2 (II) was obtained (yield 21 g.). A 10% aqueous solution of II at pH 6.5 was added to a 10% photographic gelatin solution to give a solution containing 2% II based on the dry gelatin. The mixture was cast, allowed to solidify for 15 min. and dried for 24 hrs. at 38°. The film had a m.p. 95°.

IT 24683-66-7 24683-67-8 24683-68-9
24730-05-0 24730-06-1
RL: USES (Uses)
(photographic emulsion hardener)

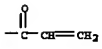
RN 24683-66-7 CAPLUS

CN Carbamic acid, [(acryloylcarbamoyl)sulfamoyl]-, ethylene ester (8CI) (CA INDEX NAME)

PAGE 1-A



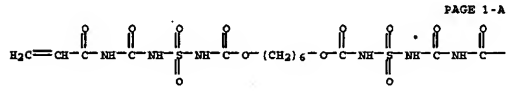
PAGE 1-B



RN 24683-67-8 CAPLUS

CN Carbamic acid, [(acryloylcarbamoyl)sulfamoyl]-, hexamethylene ester (8CI) (CA INDEX NAME)

PAGE 1-A

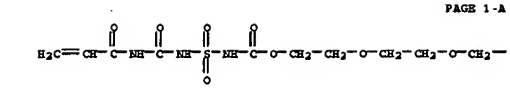


PAGE 1-B

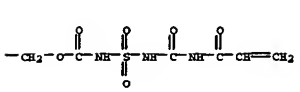
RN 24683-68-9 CAPLUS

CN Carbamic acid, [(acryloylcarbamoyl)sulfamoyl]-, diester with triethylene glycol (8CI) (CA INDEX NAME)

PAGE 1-A



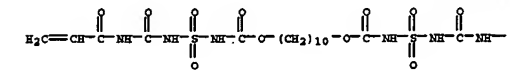
PAGE 1-B



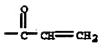
RN 24730-05-0 CAPLUS

CN Carbamic acid, [(acryloylcarbamoyl)sulfamoyl]-, decamethylene ester (8CI) (CA INDEX NAME)

PAGE 1-A



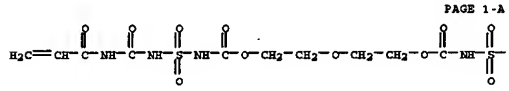
PAGE 1-B



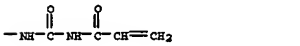
RN 24730-06-1 CAPLUS

CN Carbamic acid, [(acryloylcarbamoyl)sulfamoyl]-, oxydiethylene ester (8CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L9 ANSWER 300 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1969:412494 CAPLUS

DOCUMENT NUMBER: 71:12494

TITLE: Carbamate derivatives related to meprobamate

AUTHOR(S): Ludwig, Bernard J.; Powell, Leo S.; Berger, Frank Milan

CORPORATE SOURCE: Wallace Lab., Carter-Wallace, Inc., Cranbury, NJ, USA

SOURCE: Journal of Medicinal Chemistry (1969), 12(3), 462-72
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

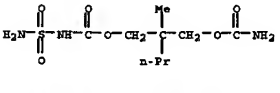
LANGUAGE: English

AB A series of 2-substituted 1,3-propanediol dicarbamates, related chemical to meprobamate, was prepared for central nervous system pharmacol. investigation. The N-unsubstituted propanediol dicarbamates were obtained by an ester-exchange reaction between the corresponding diol and urethane, by phosgenation of the diol followed by ammoniation of the bis(chlorocarbonate) derivative, by the reaction of the diol with cyanic acid, and by ammoniation of the bis(phenylcarbonate) derivative of the appropriate diol. The sym. N,N'-substituted propanediol dicarbamates were synthesized by direct carbamoylation of the propanediols, and the unsym. substituted derivs. by stepwise carbamoylation via the m-dioxanone and hydroxypropyl carbamate intermediates using similar carbamoylation reactions. In addition to the preparation and phys. properties of these compds., the muscle paralyzing activity, anticonvulsant activity, and toxicity of these carbamates and many of the intermediates employed in their synthesis are presented. Structure-activity relations among these compds. are discussed.

IT 25652-13-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 25652-13-5 CAPLUS

CN Carbamic acid, sulfamoyl-, 2-(hydroxymethyl)-2-methylpentyl ester carbamate (ester) (8CI) (CA INDEX NAME)



L9 ANSWER 301 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1969:403127 CAPLUS

DOCUMENT NUMBER: 71:3127

TITLE: N,N'-Sulfonyl bis(aryl carbamates) and (thiocarbamates)

INVENTOR(S): Kohler, John J.; Ross, Louis A.

PATENT ASSIGNEE(S): Ansul Co.

SOURCE: U.S., 3 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3420867	A	19690107	US 1966-574934	19660825

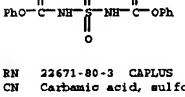
PRIORITY APPLN. INFO.: US 1966-574934 A 19660825

AB N,N'-Sulfonylbis(aryl carbamates) and -(aryl thiocarbamates), useful as herbicides, wildswicides, fungicides, and especially as nematocides, are prepared by treating sulfuryl isocyanate with the selected phenol or thiophenol in the presence of an inert dilutent. Thus, 18.8 g. phenol in 125 ml. dry Et2O was added slowly over 1 hr. to 14.8 g. sulfuryl diisocyanate in 200 ml. dry Et2O at 0°. After standing 18 hrs. at room temperature, the reaction mixture deposited 8.27 g. N,N'-sulfonylbis(phenyl carbamate), (PhO2CNH)2SO2 (I), isolated by filtration. Concentration of the filtrate yielded an addnl. 20.35 g. of product, m. 153-153.5°. Similarly prepared were N,N'-sulfonylbis(phenyl thiocarbamate) (PhSCONH)2SO2, m. 144-5°; N,N'-sulfonylbis(1-naphthyl carbamate), m. 145° (Et2O); and N,N'-sulfonylbis(2-naphthyl thiocarbamate), m. 150-2° (Et2O-petroleum ether).

IT 22671-78-9P 22671-80-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

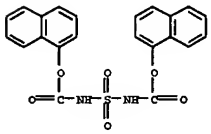
RN 22671-78-9 CAPLUS

CN Carbamic acid, sulfonylbis-, diphenyl ester (9CI) (CA INDEX NAME)



RN 22671-80-3 CAPLUS

CN Carbamic acid, sulfonyldi-, di-1-naphthyl ester (8CI) (CA INDEX NAME)



L9 ANSWER 302 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1968:506682 CAPLUS

DOCUMENT NUMBER: 69:106682

TITLE: The reactions of an N-sulfonylamine inner salt

AUTHOR(S): Atkins, George M., Jr.; Burgess, Edward M.

CORPORATE SOURCE: Georgia Inst. of Technol., Atlanta, GA, USA

SOURCE: Journal of the American Chemical Society (1968), 90(17), 4744-5
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

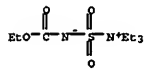
OTHER SOURCE(S): CASREACT 69:106682

GI For diagram(s), see printed CA Issue.

AB Crystalline ethyl(carboxysulfamoyl)triethylammonium hydroxide, Et2OCN-SO2N+Et3 (I), was prepared by treating Et2OCNHSO2Cl with Et3N in C6H6 and the various reactions of I were studied. Treating a C6H6 solution of I with PhNH2, 2-propanol, or PhNMe2 yielded Et2OCNHSO2NHPh, Et2OCNHSO2OCHMe2, or N,N-dimethyl-N'-carbethoxysulfanilamide, resp. The electrophilic addition of I to N-vinylpyrolidinone yielded N-(2-carbethoxyamidosulfonylvinyl)pyrroli done. Treating I in MeCN with tetramethylallene yielded a 5-1 mixture of 2,3-dihydro-2,2-dimethyl-3-isopropylidene-6-ethoxy-1,4,5-oxathiazine 4,4-dioxide and 2-carbethoxy-3,3-dimethyl-4-isopropylidene-1,2-thiazetidine 1,1-dioxide. Treating I with hexamethylbicyclo[2.2.0]hexa-2,5-diene yielded the 1-1 cycloadduct II.

IT 20133-49-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

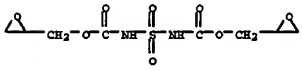
(Reactant or reagent)
(preparation and reactions of)
RN 20133-49-7 CAPLUS
CN Ethanaminium, N-[[(ethoxycarbonyl)amino]sulfonyl]-N,N-diethyl-, inner salt (9CI) (CA INDEX NAME)



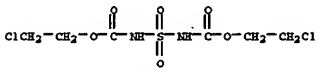
L9 ANSWER 303 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1968:505898 CAPLUS
DOCUMENT NUMBER: 69:105898
TITLE: Substituted sulfonyl diamides as photographic gelatin hardeners
PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: Brit., 17 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1119306		19680710		
CH 473887			CH	
DE 1618226			DE	
DE 1720060			DE	
FR 1525392			FR	
US 3455892		19690000	US	
PRIORITY APPLN. INFO.:			CH	19660412

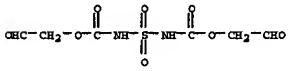
AB Compds. useful in hardening gelatin, especially in photographic layers, of the formula R1CONHSO2NHCOR2, where R1 and R2, which may be the same or different, are residues bound to the CO group by a hetero atom, and which are capable of reacting with a compound containing one or more reactive H atoms to form one or more homopolar bonds, may be prepared by reacting an appropriate active H-containing compound with sulfonyl diisocyanate (I) in a molar ratio of 1:2. Thus, I 148 in Et2O 500 is slowly mixed at -5 to 0° with a solution of OHCCCl:CClCO2H (II) 338 in Et2O 100 parts, and the mixture worked up to give SO2(NHCO2COCCl:CClCHO)2, m. 120-2°. Other compds. prepared in a similar manner using I are SO2(NHCONCOCH:CH2)2 from acrylamide; SO2(NHCONHCOCHBrCH2Br)2 from α,β-dibromopropionic acid; bis(2,3-epoxypropyloxycarbonylamino) sulfone from glycide; SO2(NHCONHSO2CH:CH2)2 from CH2:CHSO2NH2; SO2(NHCO2CH2CH2Cl)2 from chloroethanol; SO2(NHCONHCOCMe:CH2)2 from methacrylamide; SO2(NHCONHCOCH2Cl)2 (III) from chloroacetamide; SO2(NHCONHCOCH2CH2Cl)2 (IV) from β-chloropropionic acid (in IV the Cl atoms are very reactive and, react with MeOH e.g., to give SO2(NHCONHCOCH2CH2OMe)2); SO2(NHCONHCH2CH:CH2)2 is prepared from allylamine; CH2:CHCONHCONHSO2NHCONHCOCH2CH2Cl from acrylamide and Cl(CH2)2CONH2; CH2:CHCONHCONHSO2NHCO2COCCl:CClCHO from acrylamide and II; SO2(NHCO2CH2CHO)2 from glycolaldehyde; SO2[NHCONHCH2CH(OEt)2]2 from aminoacetaldehyde di-Et acetal; SO2[NH:CO2CHMeCH2CHO]2 from aldol; bis[ethyl(oxetan-3-yl)methyloxycarbonylamino] sulfone from 3-hydroxymethyl-3-ethyl-1-oxocyclobutane; SO2[NHCON(CH2CH2Cl)2]2 from bis(2-chloroethyl)amine; SO2(NHCO2CH2CH:CH2)2 from allyl alcohol; and bis[3-(N-4,6-dichloro-s-triazin-2-yl)glycyl]ureido] sulfone from cyanuric chloride, Na2CO3, and acetamide, followed by reaction of the intermediate with I. An alternative preparation of III is conducted by reacting sulfamide with ClCH2CH2CONCO. The cross-linking of gelatin is achieved using 10% solns. of most of the compds. exemplified above in a suitable solvent.
IT 20560-31-0P 20560-33-2P 20560-40-1P
20560-42-3P 20591-58-6P 20591-59-7P
20619-55-0P 20619-57-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 20560-31-0 CAPLUS
CN Carbamic acid, sulfonyldi-, bis(2,3-epoxypropyl) ester (8CI) (CA INDEX NAME)



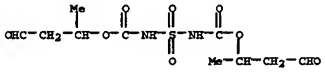
RN 20560-33-2 CAPLUS
CN Carbamic acid, sulfonyldi-, bis(2-chloroethyl) ester (8CI) (CA INDEX NAME)



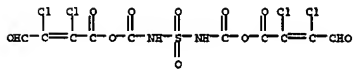
RN 20560-40-1 CAPLUS
CN Carbamic acid, sulfonyldi-, diester with glycolaldehyde (8CI) (CA INDEX NAME)



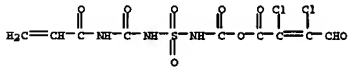
RN 20560-42-3 CAPLUS
CN Carbamic acid, sulfonyldi-, diester with 3-hydroxybutyraldehyde (8CI) (CA INDEX NAME)



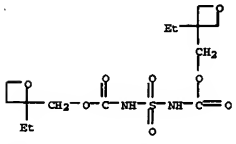
RN 20591-58-6 CAPLUS
CN Malealdehydic acid, dichloro-, dianhydride with sulfonyldicarbamic acid (8CI) (CA INDEX NAME)



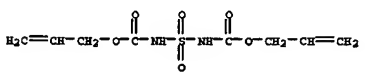
RN 20591-59-7 CAPLUS
CN Malealdehydic acid, dichloro-, anhydride with [(acryloylcarbamoyl)sulfamoyl]carbamic acid (8CI) (CA INDEX NAME)



RN 20619-55-0 CAPLUS
CN Carbamic acid, sulfonyldi-, bis[(3-ethyl-3-oxetanyl)methyl] ester (8CI) (CA INDEX NAME)



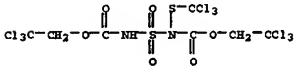
RN 20619-57-2 CAPLUS
CN Carbamic acid, sulfonyldi-, diallyl ester (8CI) (CA INDEX NAME)



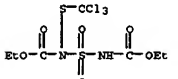
L9 ANSWER 304 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1967:473189 CAPLUS
DOCUMENT NUMBER: 67:73189
TITLE: Trihalomethylthio substituted N,N'-sulfonylbiscarbamates
INVENTOR(S): Raetz, Rudi F. W.; Pivawer, Philip M.
PATENT ASSIGNEE(S): Olin Mathieson Chemical Corp.
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3326967		19670620	US	19651126

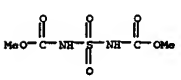
AB The title compds., RO2CNXSO2NYCO2R are useful as fungicides or herbicides. I were made by treating dialkyl esters of N,N'-sulfonyldicarbamic acid with haloalkylsulfenyl chlorides and a base. For example, a solution of 7.2 g. of O2S(NHCO2Et)2 in 20 ml. iso-PrOH and a solution of 2.4 g. NaOH in 25 ml. H2O were combined and cooled to 5°. Cl3CSCl (11.2 g.) was added during 5 min. and the mixture stirred 30 min. to give 15.1 g. I (R = Et, X = Y = Cl3CS), m. 134-5° (heptane). The following I were similarly prepared (R, X, Y, and m.p. given): CCl3CH2, Cl3CS, Cl3CS, 140-2°; CCl3CH2, H, Cl3CS, 108-9°; Et, H, Cl3CS, 108-9°; Me, Cl3CS, Cl3CS, 148-51°; iso-Pr, Cl3CS, Cl3CS, 147-51°; Ph, Cl3CS, Cl3CS, 169-73°; p-MeOC6H4, Cl3CS, Cl3CS, 151-4°.
IT 17613-00-2P 17613-01-3P 18282-25-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 17613-00-2 CAPLUS
CN Carbamic acid, N-[(trichloromethyl)thio]-N,N'-sulfonyldi-, bis(2,2,2-trichloroethyl) ester (8CI) (CA INDEX NAME)



RN 17613-01-3 CAPLUS
CN Carbamic acid, N-[(trichloromethyl)thio]-N,N'-sulfonyldi-, diethyl ester (8CI) (CA INDEX NAME)



RN 18282-25-2 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaheptanoic acid, 5-oxo-, methyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



L9 ANSWER 305 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1967:454123 CAPLUS
DOCUMENT NUMBER: 67:54123
TITLE: Substituted alkylimidazol-2-yl carbamates
PATENT ASSIGNEE(S): Merck and Co., Inc.
SOURCE: Neth. Appl., 140 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6609552	A	19670109	NL 1966-9552	19660707
IL 25931	A1	19720127	IL 1966-25931	19660608
GB 1153347	A	19690529	GB 1966-1153347	19660704
GB 1154290	A	19690604	GB 1966-1154290	19660704
GB 1155528	A	19690618	GB 1966-1155528	19660704
GB 1155529	A	19690618	GB 1966-1155529	19660704
GB 1155530	A	19690618	GB 1966-1155530	19660704
AT 284114	B	19700910	AT 1966-6435	19660705
AT 291988	B	19710810	AT 1969-5516	19660705
AT 291989	B	19710810	AT 1969-5592	19660705
AT 291990	B	19710810	AT 1969-5593	19660705
AT 294487	B	19711125	AT 1969-5456	19660705
NO 122186	B	19710601	NO 1966-163800	19660706
SE 343578	B	19720313	SE 1966-9274	19660706
FI 46961	B	19730502	FI 1966-1807	19660706
BR 6681050	A0	19730515	BR 1966-181050	19660706
DK 141287	B	19800218	DK 1966-3488	19660706
DK 141287	C	19800707		
BE 683796	A	19670109	BE 1966-683796	19660707
CH 522651	A	19720515	CH 1966-522651	19660707
JP 50010865	B4	19750424	JP 1966-43868	19660707
CH 562806	A	19750613	CH 1966-9885	19660707
CH 565769	A	19750829	CH 1971-9917	19660707
NO 122187	B	19710601	NO 1969-1594	19690418
NO 122881	B	19710830	NO 1969-1593	19690418
NO 124995	B	19720703	NO 1969-1592	19690418
JP 48043909	B4	19731221	JP 1970-97971	19701109
US 3737547	A	19730605	US 1971-198417	19711112
US 3761491	A	19730925	US 1971-198438	19711112
US 3773781	A	19731120	US 1971-198419	19711112
US 3790593	A	19740205	US 1971-198440	19711112
JP 51038718	B4	19761023	JP 1974-136394	19741129

PRIORITY APPLN. INFO.:

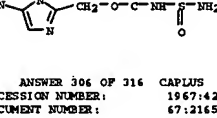
US 1965-470239	A	19650707
US 1966-550932	A	19660518
US 1960-855765	A2	19600905
NO 1966-163800	A	19660706
US 1969-855765	A3	19690905

AB The title compds. I were prepared (W = NO2, CN, Ph, and H; P = H and NO2; Q = alkyl; T = halocarbonate, halothiocarbonate, carbamoyloxy, carbamoylthio, pseudoureido, pseudothiureido, or ACMER3E4 where A = O and S; M = O, S, imino, and alkylimino). Thus, to a solution of 3.12 g. 1-methyl-2-hydroxymethyl-5-nitroimidazole (II) in 4.5 cc. PhNMe2 and 20 cc. dioxane 30 cc. COCl2 was added, the mixture stirred 2 hrs. at 0-5° and N introduced for 2 hrs. to give (1-methyl-5-nitroimidazolyl-2-yl)-methyl chloroformate (III). Similarly, from 1-methyl-2-mercaptomethyl-5-nitroimidazole (IV) the chlorothioformate analog of III was obtained. A solution of 0.05 g. (1-methyl-5-nitroimidazol-2-ylmethylphenyl carbonate (V) in 50 cc. liquid NH3 was slowly evaporated, and the residue washed with MeOH to give (1-methyl-5-nitroimidazol-2-ylmethyl carbamate (VI), m. 166-70° (AcOEt). VI was also prepared from II in CH2Cl2 by treatment with NaOCN and CF3CO2H. 1-Methyl-2-chloromethyl-5-nitroimidazole (VII) (1.35 g.) in 25 cc. EtOH, with 1.11 g. KSCN refluxed 2 hrs. gave 1-methyl-2-thiocyanoethyl-5-nitroimidazole, m. 87-8° (C6H6), which at 0° with concentrated H2SO4 gave (1-methy 5-nitroimidazol-2-yl)methyl thiocarbamate. m. 138-40°, which also was prepared from IV and COCl2 in C6H6 and pyridine. III and liquid NH3 at 0° or II and pyridine in C6H6 with COCl2 gave VI. VI was also prepared from II, NH2CO2Et, and NH2CH2CH2ONa in C6H6 by refluxing the mixture 2 hrs. From II and Me isocyanate 1-methyl-5-nitroimidazol-2-yl)methyl methylcarbamate was obtained, m. 99-101° (H2O). II and Me isothiocyanate gave (1-methyl-5-nitroimidazol-2-yl)methyl methylthionocarbamate, m. 135.5-36° (H2O). From II (3.14 g.), 2.6 cc. BF3-Et2O, 50 cc. 1,2-dimethoxyethane and 1 g. H2NCN 2-(1-methyl-5-nitroimidazol-2-ylmethyl)pseudourea hydrofluoroborate was prepared II and diethylcarbodiimide gave 2-(1-methyl-5-nitroimidazol-2-ylmethyl)-1,3-diethylpseudourea-HCl. From VII and thiourea in Et OH by refluxing 17 hrs. S-(1-methyl-5-nitroimidazol-2-ylmethyl)-pseudothiourea-HCl was obtained, m. 200°. VII and imidazoline-2-thione gave 2-(1-methyl-5-nitroimidazol-2-ylmethylthio)-2-imidazoline, m. 220-6° (decomposition). Treating 16.9 g. 1-butyl-5-nitroimidazole (obtained by heating 4(5)-nitroimidazole (VIII) and Bu tosylate 1 hr. to 180-90°, m. 51-4°), 15 g. paraformaldehyde, and 150 cc. Me2SO 12 hrs. at 110-50° gave 1-butyl-2-hydroxymethyl-5-nitroimidazole which in pyridine at 0° with ClCO2Ph gave (1-butyl-5-nitroimidazol-2-yl)methyl phenyl carbonate; this compound in CHCl3 with gaseous NH3 at 0° gave (1-butyl-5-nitroimidazol-2-yl)methyl carbamate. Similarly from 1-benzyl-5-nitroimidazole the 1-benzyl analog of VI was prepared; likewise from 1-alkyl-5-nitroimidazole (oil, prepared from VIII and allyl tosylate; p-toluenesulfonate m. 145-9°) the 1-alkyl analog of VI was prepared 1-Phenyl-5-nitroimidazole (m. 160-70°, prepared from 1-phenylimidazole (IX) in CHCl3 by treatment with nitronium fluoroborate) gave the 1-phenyl analog of VI. From 1-(y-nitrophenyl(imidazole (m. 156.8-58°, prepared from IX in concentrated H2SO4 with fuming HNO3) the 1-(p-nitrophenyl) analog of VI was obtained. VIII in AcOH was treated with BF3-Et2O and ethylene oxide to give 1-(2-hydroxyethyl)-5-nitroimidazole (X), hydrochloride, m. 172-5°, which with Ac2O gave 1-(2-acetoxyethyl)-5-nitroimidazole (XI), m. 61-2°. By treatment of 24.25 g. XI with 15 g. paraformaldehyde and 150 cc. Me2SO 1-(2-acetoxyethyl)-2-hydroxymethyl-5-nitroimidazole was obtained, m. 138-45°, which in pyridine with ClCO2Ph gave [1-(2-acetoxyethyl)-5-nitroimidazol-2-yl]methylphenyl carbonate, m. 93-5°, from which and liquid NH3 the 1-(2-acetoxyethyl) analog (VIa) of VI, m. 160-2°, was prepared VIa in EtOH with NH3 gave the 1-(2-hydroxyethyl) analog of VI, m. 150-2°. 1-(2-Hydroxypropyl)-5-nitroimidazole in Me2SO with pyridine, CF3CO2H, and dicyclohexylcarbodiimide gave 1-(2-oxopropyl)-5-nitroimidazolium-HCl, m. 198-200°, which by refluxing with Ac2O gave 1-(2-acetoxypropyl)-5-nitroimidazolium-HCl, m. 165-75°; which with paraformaldehyde and Me2SO gave 1-(2-acetoxypropyl)-2-hydroxymethyl-5-nitroimidazole, m. 150-5° which via [1-(2-acetoxypropyl)-5-nitroimidazol-2-yl]methyl carbonate m. 106-8°, gave the 1-(2-hydroxypropyl) analog of VI. From 1-(2-ethoxyethyl)-5-nitroimidazole the 1-(2-ethoxyethyl)analog of VI was prepared X in Me2SO and pyridine with dicyclohexylcarbodiimide gave 5-nitroimidazol-1-ylacetaldehyde-HCl, which with NaOCl gave 5-nitroimidazol-1-ylacetic acid (XII), m. 225-30°; Et ester (XIII) m. 165-75°, hydrochloride m. 76-7°. XII with paraformaldehyde in Me2SO gave Et 2-hydroxymethyl-5-nitroimidazol-1-yl-acetate, which in pyridine with ClCO2Ph gave Et 2-phenoxycarbonyloxymethyl-5-nitroimidazol-1-yl acetate, m. 106-8°. This ester in EtOH with liquid NH3 gave the corresponding 2-carbamoyloxymethyl derivative, which in MeOH with NH3 2 hrs. at 60° gave 2-carbamoyloxymethyl-5-nitroimidazol-1-ylacetamide, m. 221-3°. X via the 2-hydroxymethyl derivative gave 1-(2-carbamoyloxyethyl)-5-nitroimidazolyl-2-methyl carbamate, m. 174-5°. Similarly were prepared the VI analogs; 1-(2-morpholinoethyl); 1-(2-ethylthioethyl); 1-(2-ethylsulfinylethyl); 1-(2-ethylsulfonylethyl). From 1-methyl-2-(1-hydroxyethyl)-5-nitroimidazole 1-(1-methyl-5-nitroimidazol-2-ylethyl carbamate, m. 156.5-60°, was obtained 1-methyl-2-(2-hydroxyethyl)-5-nitroimidazole gave 2-(1-methyl-5-nitroimidazol-2-ylethyl carbamate, m. 165-6°. 1-Methyl-2-(3-hydroxyprop-1-enyl)-5-nitroimidazole gave 3-(1-methyl-5-nitroimidazol-2-yl)prop-2-en-1-yl carbamate, m. 173-5°. From (1-methyl-5-nitroimidazol-2-yl)methyl phenyl carbonate, (1-methyl-5-nitroimidazol-2-yl)methyl N-methylcarbamate m. 99-101°, was prepared III and Me2NH gave 1-methyl-5-nitroimidazolyl-2-ylmethyl N,N-dimethylcarbamate, m. 92-4° (C6H6). From III and morpholine 1-methyl-5-nitroimidazol-2-ylmethyl morpholinocarboxylate was obtained, m. 108-10° (C6H6). III and 2-chloroethylamine gave 1-methyl-5-nitroimidazol-2-ylmethyl N-(2-chloroethyl)carbamate. VI with paraformaldehyde and Me2SO gave 1-methyl-5-nitroimidazol-2-ylmethyl N-(hydroxymethyl)carbamate (XIV). II and 2,2,2-trichloro-1-hydroxyethyl isocyanate in dioxane kept 48 hrs. at 15° gave (1-methyl-5-nitroimidazol-2-ylmethyl N-(2,2,2-trichloro-1-hydroxyethyl)carbamate. 1-Methyl-5-nitroimidazol-2-yl-methyl N-hydroxycarbamate and CCl3CH(OH)2 gave 1-methyl-5-nitroimidazol-2-ylmethyl N-(2,2,2-trichloro-1'-hydroxyethoxy)carbamate. From V and NH2CH2CH2OH 1-methyl-5-nitroimidazol-2-ylmethyl N-(2-hydroxyethyl)carbamate, m.132-5°, was prepared XIV was treated with p-toluenesulfonic acid in EtOH to give the N-ethoxymethyl derivative III and glycine gave N-(methyl-5-nitroimidazol-2-ylmethoxycarbonyl)glycine, crystals (AcOEt). Other 1-methyl-5-nitroimidazol-2-ylmethyl carbamates prepared are N-(N,N-diethylaminoethyl) di-p-toluenesulfonate, m. 101-3°; N-methoxy (p-toluenesulfonate m. 113-15°); N-benzoyloxy m. 147-51 III and PhNH2 was stirred 90 min. at 0° to give 1-methyl-5-nitroimidazol-2-ylmethyl phenylcarbamate, m. 145.5-48°; the methylphenylcarbamate analog m. 94.5-98°. From VI and AcCH2CO2Et 1-methyl-5-nitroimidazol-2-ylmethyl N - (1 - carbethoxy - 2 - propylidene)carbamate, m. 117-20°, was prepared VI in HCONMe2 with SO2Cl2 gave N-(1-methyl-5-nitroimidazol-2-yl-methoxycarbonyl)-N',N'-dimethylformamidinium chloride-HCl, m. 147-51°, which by treatment with NaHCO3 gave 1-methyl-5-nitroimidazol-2-ylmethyl formylcarbamate. VI with Ac2O gave the N-acetylcarbamate (XV), m. 140-2°. By treating II with acetyl isocyanate (prepared by refluxing AcNH2 and oxalyl chloride in 1,2-dichloroethane) XV, m. 132-5° (C6H6), is obtained. A solution of II in 1,2-dimethoxyethane was treated with HOCN (prepared by depolymg. cyanuric acid) to give 1-methyl-5-nitroimidazolyl-2-ylmethyl allophanate, m. 210-11°. From VI and dimethylcarbamoyl chloride the analogous 4,4'-dimethyl allophanate was obtained. VI and piperidinocarbonyl chloride gave the N-(piperidinocarbonyl) analog of VI, m. 78.5-80.5°. III and KCNS gave 1-methyl-5-nitroimidazol-2-ylmethyl 2'-thioneallophanate. VI, by treatment with Ac2O and 95% HNO3, gave 1-methyl-5-nitroimidazol-2-ylmethyl N-nitrocarbamate. From V, 95% NH2NH2, and ClCO2Et 1-methyl-5-nitroimidazol-2-yl-methyl carbazate (XVI), m. 135-40°, was prepared V may also be treated with MeNHNH2. Refluxing of XVI in Me2CO gave 1-methyl-5-nitroimidazol-2-ylmethyl N2-isopropylidenylcarbazate, m. 160-2°; from XVI in pyridine with BzCl the N2-benzoylcarbazate analog was prepared III and semicarbazide-HCl gave N4-(1' - methyl - 5' - nitroimidazol - 2 - ylmethoxycarbonyl) semicarbazide. To a solution of 0.386 g. Na in 40 cc. MeOH at 0° after addition of 1.17 g. NH2OH.HCl in 45 min. 2.33 g. V in 60 cc. MeOH was added to give 1-methyl-5-nitroimidazol-2-ylmethyl N-hydroxycarbamate, m. 189-90°. The corresponding 1-(2-acetoxyethyl) analog m. 153-5°. Phenoxythiocarbonyl chloride and II gave 1-methyl-5-nitroimidazol-2-ylmethyl phenylthiomocarbonate, m. 103-5.5°, which with NH2OH.HCl gave 1-methyl-5-nitroimidazol-2-ylmethyl N-hydroxychiomocarbamate (XVII), m. 94-5°. XVII in EtOH by treatment with NH2OH.HCl and BuOX gave bis(1-methyl-5-nitroimidazol-2-ylmethyl - N - hydroxyiminocarbonyl) disulfide, m. 180°. 4-(p-Acet amidophenyl)-5-nitroimidazole in EtOH and H2SO4 was treated with NaNO2 then with Cu bronze to give 4-phenyl-5-nitroimidazole (XVIII), m. 284-8°, which with (MeO)2SO2 gave 1-methyl-4-phenyl-5-nitroimidazole (XIX), m. 74.5-5.5°. XIX in Me2SO treated with paraformaldehyde 12 hrs. at 110-50° gave the 2-hydroxymethyl analog, which with ClCO2Ph gave 1-methyl-4-phenyl-5-nitroimidazol-2-ylmethyl phenyl carbonate (XX). Treatment of XX with liquid NH3 gave 1-methyl-4-phenyl-5-nitroimidazol-2-ylmethyl carbamate. 1-Methyl-4-cyano-5-nitroimidazole and paraformaldehyde in Me2SO gave the 2-hydroxymethyl derivative, which gave 1-methyl-4-cyano-5-nitroimidazol-2-ylmethyl carbamate. 3-Nitro-7-oxo-5,6-dihydroimidazo[1,2-a]-pyrrole by reduction with NaBH4 gave the 7-hydroxy derivative, which gave 3-nitro-5,6-dihydroimidazo[1,2-a]pyrrol-7-yl carbamate. From 2-hydroxymethyl-4-nitroimidazole and NaNH2 in 1,2-dimethoxyethane and (MeO)2SO2, the 1-methyl derivative (XXI) m. 166-8°, was prepared II.MeI at 250°/0.01 mm. also gave XXI. 1-Methyl-4-nitroimidazol-2-ylmethyl carbamate, m. 187-9°, was obtained from 1-methyl-4-nitroimidazol-2-ylmethyl phenyl carbonate (m. 105-6°) by treatment with liquid NH3. The compds. are useful against parasitic protozoa, especially trypanosoma.

IT 14953-60-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 14953-60-7 CAPLUS

CN Carbamic acid, sulfamoyl-, (1-methyl-5-nitroimidazol-2-yl)methyl ester (8CI) (CA INDEX NAME)



L9 ANSWER 306 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1967:421658 CAPLUS
DOCUMENT NUMBER: 67:21658
TITLE: Organic sulfonylisocyanates
PATENT ASSIGNEE(S): Farbwerke Hoechst A.-G.
SOURCE: Neth. Appl., 9 pp.
CODEN: NAXYAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6608176		19661216		

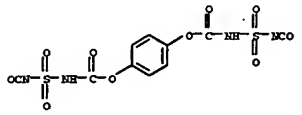
PRIORITY APPLN. INFO.: DE 19650615

AB Aromatic sulfonyl isocyanates ArOSO2NHCO2Ar, are prepared by treatment of a phenol with ClSO2NCO (I). For example, 141.5 g. I in 150 cm.3 PhMe is added dropwise at room temperature to 94.1 g. PhOH in 200 cm.3 PhMe to form Ph N-chlorosulfonylcarbamate. The mixture is then heated to 100-10° with stirring for 9 hrs. after which the HCl evolution stops. Distillation yields 130.5 g. Ph N-phenoxysulfonylisocyanate, b10 106-9°. Other sulfonylisocyanates were obtained similarly as follows (starting phenol, phys. constant of product obtained given): p-cresol, b0.2 80-3°; 2,6-dimethylphenol, b0.3 76-8°; 4-chlorophenol, b0.2 91-5°; 3-chlorophenol, b0.2 93°; 2,4,6-trichlorophenol, b0.01 111-19°; 4-hydroxyanisole, b0.02 96-9°; 2-hydroxyanisole, b0.01 88°; methyl 3-hydroxybenzoate, b0.03 118-22°; methyl 4-hydroxybenzoate, b0.03 116-22°; 4-hydroxybenzonitrile, b0.01 112-18°; 4-hydroxyazobenzene, m. 88° (hexane); hydroquinone bis(N-chlorosulfonylcarbamate), m. 90° (CCl4); 3-hydroxydiphenylene oxide, m.p. 118° (PhMe); and p-cresyl N-chlorosulfonylcarbamate, b0.01 197-210°.

IT 14793-46-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 14793-46-5 CAPLUS

CN Carbamic acid, sulfo-, S-anhydride with isocyanic acid, p-phenylene ester (8CI) (CA INDEX NAME)



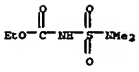
L9 ANSWER 307 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1967:55245 CAPLUS
DOCUMENT NUMBER: 66:55245
TITLE: Sulfonylurethans
PATENT ASSIGNEE(S): Boehringer, C. F., und Soehne G.m.b.H.
SOURCE: Neth. Appl., 10 pp.
CODEN: NAYXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6603399		19660919		
DE 1259872			DE	
FR 1471089			FR	
PRIORITY APPLN. INFO.:			DE	19650316

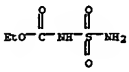
AB To a suspension of 10.65 g. 4-ClC6H4SO2NHNa in 250 ml. PhMe is added 8.25 g. (EtOCO)2O, the mixture is heated 50 min. at 90°, then cooled, the solid is filtered off and dissolved in 150 ml. H2O, the solution is acidified with dilute HCl to pH 3, the precipitate is filtered, washed with H2O, and dried to give 12.5 g. 4-ClC6H4SO2NHCO2Et (I), m. 84-5°. Similarly prepared are analogs of I (substituents on the phenyl group, m.p., and % yield given): 4-MeO, 119-20°, 90.3; 2-MeO, 174-5°, 92.4; 2,4-(O2N)Cl, 122-3°, 96; 3,4-(O2N)MeO, 128°, 95.3; 3,4-(O2N)Me, 126°, 87; 2,4-(AcNH)Me, 177-8°, 89; 2,5-(AcNH)Me, 162-3°, 91.2; 3,4-(O2N)Et, 137-8°, 95; 4-AcNH, 173°, 93.6; 4-Me, 82-3°, 97; 3-NCCH2, 120-1°, 90.7; 3-(4-MeOC6H4CONHC2H4), 186-7°, 96.5; 3-(2-MeOC6H4CONHC2H4), 170-1°, 89; 4-PhCOCH:CH, 180-1°, 93; 4-PhCOCH2CH2CH2, 118-19°, 94; 4-(β-C10H7COCH2CH2CH2), 78-80°, 93; 4-PhCONHCH2CH2, 158-9°, 93; 4-(3-ClC6H4CONHCH2CH2), 138-9° (MeOH), 94; 4-(2-MeOC6H4CONHCH2CH2), 150-5°, 95; 4-[2,5-(MeO)2C1C6H3CONHCH2CH2], 144-5°, 95. Also prepared are the following RSO2NHCO2R1 (R, R1, m.p., and % yield are given): 3,5-(AcNH)2C1C6H3, Me, 197°, 88.6; 3,6-(AcNH)2C1C6H3, Me, 238°, 92.1; 4-MeC6H4, Me, 115°, 94.4; 3,4-(MeO)2C6H3, Me, 115-16°, 91.5; Ph, Ph, 124°, 89; Me2N, Et, 66-7°, 94.9; H2N, Et, 135°, 92; H2N, Me, 125°, 93.5; 2-thienyl, Bu, 79°, 93.5; cyclohexyl, Bu, 64°, 85.3; Me2CHCH2CH2, hexyl, 78-9°, 88; 4,3-ClC6H3(SO2NHCO2Et), Et, 142-3°, 95.

IT 14437-06-0P 14437-07-1P 14437-08-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

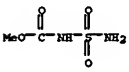
RN 14437-06-0 CAPLUS
CN Carbamic acid, (dimethylsulfamoyl)-, ethyl ester (8CI) (CA INDEX NAME)



RN 14437-07-1 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 14437-08-2 CAPLUS
CN Carbamic acid, sulfamoyl-, methyl ester (7CI, 8CI) (CA INDEX NAME)



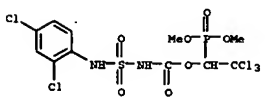
L9 ANSWER 308 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1966:84714 CAPLUS
DOCUMENT NUMBER: 64:84714
ORIGINAL REFERENCE NO.: 64:15925e-g
TITLE: Phosphorylated sulfonylurethan derivatives
INVENTOR(S): Timmler, Helmut; Wegler, Richard; Untersteinhoefer, Guenter; Hammann, Ingeborg
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: 7 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1212967		19660324	DE	19640714
BE 666861			BE	

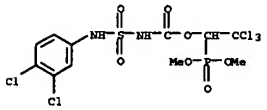
AB Condensation of phosphorylated urethansulfonyl halides of the general formula (RO)2P(O)CH(CCl3)O2CNHSO2X (I) (X = halogen) with amines, alc., mercaptans, phenols, or thiophenols leads to the following I, which are used as insecticides and pesticides (R, m, and m.p. given): R = Me, m = NEPh (II), 181°; R = Me, X -- NHC6H4Cl-4 (III), 168°; R = Me X = NHC6 H3Cl2-3,4 (IV), 174°; R = Me, X = NHC6H3Cl2-2,4 (V), 163°; R = Me, X = NMe2 (VI), 57°; R = Me, X = NH2 (VII), oil; R = Me, X = piperidyl (VIII), 121°; R = Et, X = NHPh (IX), 185°, R = Me, X = OPh (X), 155°; R = Me, X = OC6H4Cl-4 (XI), 176°; R = Me, X = OC6H4NO2-4 (XII) 173°. A solution of 284 g. (MeO)2P(O)CH(OH)CCl3 (XIII) and 141 g. O:C:NSO2Cl (XIV) in 500 ml. CHCl3 was stirred 3 hrs. (CA 63, 4334a) and mixed in portions with 186 g. PhNH2 in 200 ml. CHCl3. The precipitate was filtered off, washed with H2O, and recrystd. (together with the residue of the evaporated CHCl3 solution) from aqueous EtOH to give 87% II. Similarly prepared were III-V. A slow stream of 100 g. Me2NH was introduced into a solution of 284 g. XIII and 141 g. XIV in 250 ml. C6H6 which had been stirred 2 hrs. The mixture was stirred several hrs. more, filtered, washed with H2O, and evaporated to give 300 g. VI. Similarly prepared were VII and VIII. Condensation of 30 g. (EtO)2P(O)CH(OH)CCl3 and 14 g. O:C:NSO2F in 500 ml. CCl4 gave I (R = Et, X = F) which was treated with 18.6 g. PhNH2 to give 4 g. IX (aqueous EtOH). A solution of 120 g. XIII and 70.5 g. XIV in 500 ml. CHCl3 was stirred 3 hrs. Dropwise addition of 47 g. PhOH and 50.5 g. Et3N in 500 ml. CHCl3, filtration, and evaporation gave 150 g. X (aqueous MeOH). Similarly prepared were XI and XII.

IT 5739-68-4, Carbamic acid, [(2,4-dichlorophenyl)sulfamoyl]-, ester with di-Me (2,2,2-trichloro-1-hydroxyethyl)phosphonate 5762-10-7, Carbamic acid, [(3,4-dichlorophenyl)sulfamoyl]-, ester with di-Me (2,2,2-trichloro-1-hydroxyethyl)phosphonate 5762-11-8, Carbamic acid, (dimethylsulfamoyl)-, ester with di-Me (2,2,2-trichloro-1-hydroxyethyl)phosphonate 5762-12-9, Carbamic acid, sulfamoyl-, ester with di-Me (2,2,2-trichloro-1-hydroxyethyl)phosphonate 5762-14-1, Carbamic acid, (phenylsulfamoyl)-, ester with di-Et (2,2,2-trichloro-1-hydroxyethyl)phosphonate 6039-54-9, Carbamic acid, (phenylsulfamoyl)-, ester with di-Me (2,2,2-trichloro-1-hydroxyethyl)phosphonate 6039-55-0, Carbamic acid, [(p-chlorophenyl)sulfamoyl]-, ester with di-Me (2,2,2-trichloro-1-hydroxyethyl)phosphonate
(preparation of)

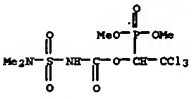
RN 5739-68-4 CAPLUS
CN Carbamic acid, [(2,4-dichlorophenyl)sulfamoyl]-, ester with dimethyl (2,2,2-trichloro-1-hydroxyethyl)phosphonate (7CI, 8CI) (CA INDEX NAME)



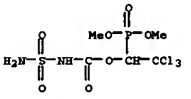
RN 5762-10-7 CAPLUS
CN Carbamic acid, [(3,4-dichlorophenyl)sulfamoyl]-, ester with dimethyl (2,2,2-trichloro-1-hydroxyethyl)phosphonate (7CI, 8CI) (CA INDEX NAME)



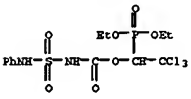
RN 5762-11-8 CAPLUS
CN Carbamic acid, (dimethylsulfamoyl)-, ester with dimethyl (2,2,2-trichloro-1-hydroxyethyl)phosphonate (7CI, 8CI) (CA INDEX NAME)



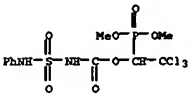
RN 5762-12-9 CAPLUS
CN Carbamic acid, sulfamoyl-, ester with dimethyl (2,2,2-trichloro-1-hydroxyethyl)phosphonate (7CI, 8CI) (CA INDEX NAME)



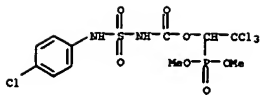
RN 5762-14-1 CAPLUS
CN Carbamic acid, (phenylsulfamoyl)-, ester with diethyl (2,2,2-trichloro-1-hydroxyethyl)phosphonate (7CI, 8CI) (CA INDEX NAME)



RN 6039-54-9 CAPLUS
CN Carbamic acid, (phenylsulfamoyl)-, ester with dimethyl (2,2,2-trichloro-1-hydroxyethyl)phosphonate (8CI) (CA INDEX NAME)



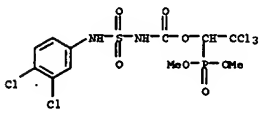
RN 6039-55-0 CAPLUS
CN Carbamic acid, [(p-chlorophenyl)sulfamoyl]-, ester with dimethyl (2,2,2-trichloro-1-hydroxyethyl)phosphonate (7CI, 8CI) (CA INDEX NAME)



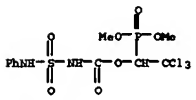
L9 ANSWER 309 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1966:84713 CAPLUS
DOCUMENT NUMBER: 64:84713
ORIGINAL REFERENCE NO.: 64:15925c-e
TITLE: Alkylenediphosphonates
INVENTOR(S): Fitch, Steven J.; Liu, Shih Kung
PATENT ASSIGNEE(S): Monsanto Co.
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1211200		19660224	DE	
US 3256370		1966	US	
PRIORITY APPLN. INFO.:			US	19621213

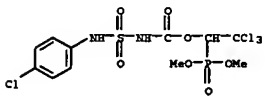
AB Compds. (RO)2P(O)(CH2)nPO(OR)2 (I) were prepared by an Arbuzov rearrangement of Cl(CH2)nPO(OR)2 (II) with (RO)3P. II were prepared from dichloroalkanes, PCl3 and AlCl3, and treating the intermediate complex with ROH; or, if n = 1, from PCl3.CH2O, and ROH. A mixture of 16.6 g. II(n = 1, R = Et) and 32.2 g. (EtO)3P was heated under reflux. At 160°, evolution of EtCl took place at a constant rate. After refluxing 17 hrs., the temperature reached 220° and gas evolution slowed down. The mixture was cooled and distilled in vacuo to give 77% I (n = 1, R = Et), b0.9 128-9°. Heating the above mixture 74 hrs. at 160-80° gave the product in 83% yield. Also prepared was I (n = 2, R = Et), b2 160°, in 80% yield.
IT 5762-10-7, Carbamic acid, [(3,4-dichlorophenyl)sulfamoyl]-, ester with di-Me (2,2,2-trichloro-1-hydroxyethyl)phosphonate 6039-54-9, Carbamic acid, (phenylsulfamoyl)-, ester with di-Me (2,2,2-trichloro-1-hydroxyethyl)phosphonate 6039-55-0, Carbamic acid, [(p-chlorophenyl)sulfamoyl]-, ester with di-Me (2,2,2-trichloro-1-hydroxyethyl)phosphonate
(preparation of)
RN 5762-10-7 CAPLUS
CN Carbamic acid, [(3,4-dichlorophenyl)sulfamoyl]-, ester with dimethyl (2,2,2-trichloro-1-hydroxyethyl)phosphonate (7CI, 8CI) (CA INDEX NAME)



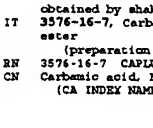
RN 6039-54-9 CAPLUS
CN Carbamic acid, (phenylsulfamoyl)-, ester with dimethyl (2,2,2-trichloro-1-hydroxyethyl)phosphonate (8CI) (CA INDEX NAME)



RN 6039-55-0 CAPLUS
CN Carbamic acid, [(p-chlorophenyl)sulfamoyl]-, ester with dimethyl (2,2,2-trichloro-1-hydroxyethyl)phosphonate (7CI, 8CI) (CA INDEX NAME)



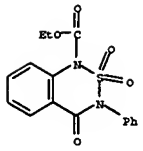
L9 ANSWER 310 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1965:462435 CAPLUS
DOCUMENT NUMBER: 63:62435
ORIGINAL REFERENCE NO.: 63:11355f-h,11356a-f
TITLE: Reaction of sulfonyl isocyanates with orthocarboxylic acid esters
AUTHOR(S): Biener, Hans
CORPORATE SOURCE: Farbwerke Hoechst A.-G. Meister Lucius Bruening, Frankfurt, Germany
SOURCE: Justus Liebigs Annalen der Chemie (1965), 686, 102-7
CODEN: JLACBF; ISSN: 0075-4617
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Arylsulfonyl isocyanates reacted with orthocarboxylic acid esters with cleavage of carboxylic acid esters and rearrangement to form N-arylsulfonyl-N-alkylcarbamic acid esters. Formally, addition products of dialkyl ethers and arylsulfonyl isocyanates, which are not accessible by direct reaction, were thereby formed. The reaction opened up a productive and simple access to this class of compds. From ClSO2NCO (I) were formed ClSO2NRCO2R (II), which on partial saponification gave N-alkylsulfamic acids or N-alkylcarbamic acid esters. To 53 g. HC(OMe)3 in 50 cc. CH2Cl2 was added dropwise 70.8 g. I (Graf, CA 51, 2816d) at -20° with stirring and after 20 min. the solution warmed to room temperature and fractionated to give 87 g. II (R = Me), b0.3 45°, n20D 1.4607. HC(OEt)3 (148 g.) treated with 141.5 g. I with stirring and ice cooling, the mixture let stand 1 h. at room temperature, HCO2Et evaporated in vacuo, and the product fractionated (30-cm. Vigreux column; bath kept below 85°) gave 195 g. II (R = Et), b0.2 65-7°, n20D 1.4515. This reaction also proceeded practically to completion at lower temperature Thus, a mixture of 29.6 g. HC(OEt)3 and 28.3 g. I prepared at -30° stirred 1 h. in vacuo (oil pump) gave (product collected in 2 traps cooled at -75° with dry ice-Me2CO) 12.9 g. HCO2Et, b. 53.5-4.0°, n20D 1.3598. HCO2Et (0.33 mol) treated dropwise with 0.33 mol I at 0° with stirring and the mixture stirred 3 h. at room temperature and fractionated gave only 28% II (R = Et). To 47 g. HC(OBu)3 in 80 cc. CHCl3 was added dropwise 28.3 g. I in 20 cc. CHCl3 with cooling and stirring (the reaction was complete immediately after addition of I), the mixture evaporated in vacuo, and the residue distilled as rapidly as possible (short Vigreux column; bath kept below 115°) gave 42 g. II (R = Bu), b0.2 87-9°, n20D 1.4488. Similarly was prepared from 54.9 g. HC(OAm)3 and 28.3 g. I 48 g. II (R = Am), a product which decomposed only slightly by distillation via a thin-layer evaporator. PhSO2NCO (III) (14.5 g.) added to 14 g. PhC(OMe)3 in 20 cc. CH2Cl2 with cooling and stirring (the temperature must not exceed 30°), the mixture stirred 1 h. at room temperature, CH2Cl2 and BzOMe evaporated, and the residue fractionated gave 1.8 g. 4-RC6H4SO2NR'CO2R' (IV) (R = H, R' = Me), b0.25 127-8°, n20D 1.5300. A mixture of 45 g. HC(OEt)3 and 55 g. III let stand at 25-30° (until a sample treated with H2O no longer evolved CO2) and fractionated gave 41 g. IV (R = H, R' = Et), b0.1 116-18°, n20D 1.5150. From 232 g. HC(OBu)3 and 198 g. 4-MeC6H4SO2NCO was similarly prepared 185 g. IV (R = Me, R' = Bu), b0.2 152-4°, n20D 1.5038. HC(OEt)3 (148 g.) in 60 cc. CH2Cl2 treated with 74 g. O2S(NCO)2 (V) (molar ratio 1:0.5) at 15° with stirring, after 1 h. CH2Cl2 and HCO2Et distilled (the latter in vacuo), and the residual oil refrigerated a long time gave 122 g. O2S(NEtCO2Et)2 (VI), m. 54° (EtOH); when not entirely pure starting compds. were used, crystallization of VI frequently did not occur; oily VI was purified by distillation, b0.2 96-100°. HC(OMe)3 (10.6 g.) in 20 cc. CH2Cl2 treated with 14.8 g. V in 20 cc. CH2Cl2 (molar ratio 1:1) at -5°, the mixture warmed slowly to room temperature, CH2Cl2 and HCO2Me distilled (the latter in vacuo), and the residue fractionated gave 11 g. OCNSO2NMeCO2Me (VII), unstable oil, b0.3 59-60°, identical (b.p. and ir spectrum) with VII obtained by thermolysis of VIII. To 2 g. VII in 3 cc. Et2O was added 1 g. PhOH in 3 cc. Et2O and the solution either kept a long time or heated (faster reaction) gave PhO2CNHSO2NMeCO2Me (IX), m. 116-17° (MeOH-H2O), identical (mixed m.p.) with IX prepared from VII obtained from VIII. II (R = Et) (21.6 g.) and 20 cc. 12 N NaOH diluted with EtOH until dissoln., the solution heated 1 h. on a water bath and evaporated in vacuo, the residue digested with 50 cc. hot absolute EtOH, and the extract filtered, concentrated, and cooled gave EtNHSO3Na, m. 210-15° (absolute EtOH), which (7.4 g.) treated with 25 cc. 3N HCl, the solution kept several hrs. over KOH in an evacuated desiccator, the crystalline residue digested with 50 cc. hot absolute EtOH, and the extract filtered and evaporated gave 5.4 g. EtNHSO3H, m. 170° (EtOH). To 200 cc. H2O were added dropwise simultaneously at 20-30° 215.6 g. II (R = Et) and 12N NaOH in such a way as to maintain a pH of 3-7 (170-90 cc. aqueous NaOH was consumed), the pH adjusted to 7, the upper phase (A) separated, the aqueous phase extracted with CHCl3, the extract dried and evaporated, and the residue combined with phase A and distilled to give 105 g. EtNHCO2Et (X), b. 175-6°; anal. X was obtained by shaking 0.5 h. with 2N NaOH and distilling repeatedly.
IT 3576-16-7, Carbamic acid, N-methyl-N,N'-sulfonyldi-, 1-methyl Ph ester
(preparation of)
RN 3576-16-7 CAPLUS
CN Carbamic acid, N-methyl-N,N'-sulfonyldi-, 1-methyl phenyl ester (7CI, 8CI) (CA INDEX NAME)



L9 ANSWER 311 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1965:82651 CAPLUS
DOCUMENT NUMBER: 62:82651
ORIGINAL REFERENCE NO.: 62:14705g-h,14706a-b
TITLE: 4(3H)-Oxo-2,1,3-benzothiadiazine 2,2-dioxides
INVENTOR(S): Teufel, Helmut
PATENT ASSIGNEE(S): Geigy Chemical Corp.
SOURCE: 6 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3041336		19620626	US	
CH 371125			CH	
CH 371805			CH	
DE 1120456			DE	
DE 1120457			DE	
FR 1254761			FR	
GB 912552			GB	
PRIORITY APPLN. INFO.:			CH	19581001

AB Cf. CA 57, 843h; CA 57, 844b. The title compds. are prepared and used as antiinflammatory agents. Thus, NaOEt solution (2.3 parts Na) is added to a mixture of 27.4 parts 3-phenyl-4(3H)-oxo-2,1,3-benzothiadiazine 2,2-dioxide in 900 parts anhydrous EtOH, 17 parts PhCH2Br in anhydrous EtOH is added dropwise, and the mixture is heated 6 hrs. (bath temperature 90-100°) to give 1-benzyl-3-phenyl-4(3H)-oxo-2,1,3-benzothiadiazine 2,2-dioxide, m. 134-5°. Similarly prepared are the following I (R, R', m.p., and m.p. HCl salt given): Me2NCH2CH2, Me, 74-5°, 195-6°, 2-pyrrolidinylethyl, Me, 87-8°, 241°; 2-morpholinoethyl, 85-6°, 241-2°; Me2NCH2CH2, Bu, 52-4°, --; Et2NCH2CH2, Bu, --, 146-8°; 2-(dicyclohexylamino)ethyl, Bu, 94-5°, --; Et2N(CH2)3, Bu, --, 96-8°; p-H2NSO2C6H4NHCOCH2, Bu, 220-2°, --. Similarly prepared are the following I (R' = Ph)(R and m.p. given): Me, 189-90°; Bu, 105-6°; allyl, 115-17°; A2-cyclopentenyl, 138-40°; HOCH2CH2, 187-9°; BrCH2CH2, 187-8°; Cl(CH2)3, 115-17°; Br(CH2)3, --; ClCH2CH2, --; 2,3-epoxypropyl, 153-5°; Et2NCH2CH2, 85-7°; (iso-Pr)2NCH2CH2, 79-81°; MeCH(NMe2)CH2, --, HCl salt m. 65-8°; 2-piperidinoethyl, 106°; 2-morpholino ethyl, 133-4°; (piperidinocarbonyl)methyl, 163-5°; Bz, 147-8°; ClCH2CH2CO, 144-6°; CO2Et, 170-2°; CH2CO2Et, 174-5°; BzCH2, 143-4°; CH2CN, 215°; Me2NSO2, 150-2°; p-HCONHC6H4SO2, 201-2°; p-AcNHC6H4SO2, 193-5° (decomposition); C13CS, 118-20°; p-H2NSO2C6H4NHCOCH2, 254-6°; MeOCH2CH2, 100-1°; EtOCH2CH2, 104-5°; iso-PrOCH2CH2, 116-17°; BuO-CH2CH2, 59-60°; Et2NCH2CH2OCH2CH2, --, HCl salt m. 164-6°. Similarly prepared are (m.p. given): I (R = A2-cyclopentenyl, R' = PhCH2), 84-6°; I (R = R' = PhCH2), 127-8°. 5-Chloro-2,6-diphenyl-3(2H)-oxo-1,2,6-thiadiazine 1,1-dioxide (6.7 parts) in 60 parts C6H6 is treated with 25 parts NH4Cl and 12 parts Zn dust to give 2,6-diphenyl-3(2H)-oxo-1,2,6-thiadiazine 1,1-dioxide, m. 189-90° (CCl4).
IT 1919-22-8, 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-phenyl-, ethyl ester, 2,2-dioxide
(preparation of)
RN 1919-22-8 CAPLUS
CN 1H-2,1,3-Benzothiadiazine-1-carboxylic acid, 3,4-dihydro-4-oxo-3-phenyl-, ethyl ester, 2,2-dioxide (7CI, 8CI) (CA INDEX NAME)

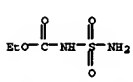


L9 ANSWER 312 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1963:52876 CAPLUS
DOCUMENT NUMBER: 58:52876
ORIGINAL REFERENCE NO.: 58:8939h,8940a-h,8941a
TITLE: Reactions with N-carbonylsulfamic acid chloride. II. Alcohols and phenols
AUTHOR(S): Graf, Roderich
CORPORATE SOURCE: Farbwerke Hoechst A.-G., Vormals Meister Lucius Bruening, Frankfurt/Hoechst, Germany
SOURCE: Ber (1963), 96, 56-67
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 58:52876

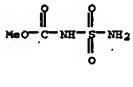
AB cf. CA 53, 11287a. Alcs. and phenols add to OCNSO2Cl (I) with the formation of urethan-N-sulfochlorides (II). The reactions of II with H2O, alcs., phenols, and primary and secondary amines are reported. I (56 g.) in 100 cc. 4:1 CCl4-CH2Cl2 treated with stirring with 12.0 g. MeOH, allowed to warm to 50°, and cooled yielded about 60 g. MeO2CNHSO2C (III), m. 72-3° (C6H6). I (56 g.) in 200 cc. liquid SO2 treated dropwise with stirring at -10° with 18 g. (CH2CH2OH)2 in 50 cc. liquid SO2 and filtered gave nearly 100% (CH2CH2O2CNHSO2Cl)2 (IV), m. 125-30° (decomposition, with gas evolution). 2,4-Cl2C6H3OH (81.5 g.) mixed with 71 g. I and cooled gave 2,4-Cl2-C6H3O2CNHSO2Cl, m. 117-18° (C6H6). Similarly were prepared the following RO2CNHSO2Cl (R, m.p., and reaction medium given): Et, 47-8°, CCl4-cyclohexane; ClCH2CH2, 57-8°, C6H6; iso-Pr, 70-1° liquid SO2; n-C12H25, 65°, cyclohexane; n-C18H37, 90°, cyclohexane; cyclohexyl, 92-3°, C6H6; Ph (V), 102-3°, C6H6; p-ClC6H4, 118-19°, C6H6; 2,4-Cl2C6H3, 102°, C6H6; p-O2NC6H4, 118-19°, C6H6; PhS, 108° (decomposition), C6H6; PhCH2 (VI), 80-1°, C6H6. In the same manner were prepared [(CH2)3-O2CNHSO2Cl]2, m. 109-13°, in liquid SO2, and o-C6H4(O2CNH-SO2Cl)2, m. 160-5° (decomposition), in C6H6. I (283 g.) in 800 cc. liquid SO2 treated with stirring and cooling at -10° with 122 g. S(CH2CH2OH)2, the mixture added with stirring to 3 l. H2O, the whole heated 15 min. at 70°, cooled, and filtered yielded 190 g. S(CH2CH2O2CNH2)2, m. 154° (MeOH). III (174 g.) added in portions with stirring at 0-10° to 140 g. K2CO3 in 200 cc. H2O and filtered gave about 50% MeO2CNHSO3K. VI (125 g.) added with stirring at 0-5° to 400 cc. 4N NaOH, the mixture adjusted with cooling and stirring with dilute HCl to pH 5, and salted with NaCl precipitated PhCH2O2CNHSO3Na.H2O (VII), which, on standing at room temperature decomposed to PhCH2O2CNH2 and NaHSO4. Moist VII (.apprx.120 g.) in 200 cc. 2N NaOH heated to 50-60°, treated with stirring gradually with 500 cc. MeOH, cooled to 0°, and filtered gave about 100 g. Na2[PhCH2O2CNSO3].2H2O. Similarly were prepared the Na urethan-N-sulfonates from BuOH, n-C12H25OH, and n-C16H17OH. V (25 g.) in 100 cc. Me2CO treated with stirring at about 0° with 10.6 g. Na2CO3 in 50 cc. H2O in such a manner that the pH remained below 5, and filtered, and the residue dissolved in 200 cc. H2O, filtered through kieselguhr, and salted with 200 cc. saturated aqueous NaCl yielded PhO2CNHSO3Na.H2O (VIII). Aqueous VIII acidified with dilute HCl and cooled precipitated PhO2CNH2, m. 152°. Concentrated aqueous VIII treated with a few drops aqueous Na2CO3 or NaOAc to pH 8 and warmed slightly gave PhOH. III from 28.3 g. I and 6.4 g. MeOH in 100 cc. CH2Cl2 added with stirring at -20° to 100 cc. CH2Cl2 into which NH3 is passed, the mixture evaporated, and the residue dissolved in the min. amount H2O, acidified with cooling with concentrated HCl, and filtered gave 10 g. MeO2CNHSO2NH2, m. 139-40° (EtOAc); the analogous compds. from higher alcs. are obtained in the same manner in better yields because of their lower solubility III (174 g.) in 300 cc. Et2O added with stirring at -30° to 200 cc. liquid Me2NH and evaporated, the powdery residue acidified with concentrated HCl and extracted with Et2O, and the residue from the extract kept some time at 60-70° at <1 mm. and cooled gave a crude product which was purified by partial melting and filtering to yield pure MeO2CNHSO2NMe2 (IX), m. 52.5°, b0.1 135°. IX in Et2O treated with NaOMe-MeOH gave Na[MeO2CNSO2NMe2] .MeOH. IX (18.2 g.) heated with 20.8 g. PCl5 to 85-115° gave MeCl, the residue distilled yielded 12 g. OCNPOCl2, b100 72-5°, n20D 1.468, and 10 g. Me2NSO2Cl, b100 118-20° which with NH4OH yielded Me2NSO2NH2, m. 97-8°. BuO2CNHSO2Cl from 42.5 g. I and 22.2 g. BuOH in 200 cc. CH2Cl2 added to 56 g. PhNH2 in 300 cc. CH2Cl2 with cooling and stirring, filtered, and extracted with dilute aqueous NaOH, and the aqueous extract acidified yielded about 50 g. BuO2CNHSO2NHPh, m. 129-30°. Similarly were prepared the following RO2CNHSO2NR'R''.from the corresponding ROH and R'R''NH in CH2Cl2 (R, R', R'', m.p. given): Me, Ph, H, 129-30° (C6H6); Me, cyclohexyl, H, 149°; Me, PhCH2, H, 112-13°; Et, H, H (in Et2O), 138-9° (EtOAc); Et, cyclohexyl, H, 166-7°; Et, Ph, H, 141-2°; Et, p-EtO2C6H4, H, 164-5°; Et, p-EtO2CC6H4, H, 154-5°; ClCH2CH2, Me, Me (in Et2O), 47-8° (Et2O); ClCH2CH2, p-MeC6H4, H (in C6H6), 149-50°; Pr, Pr, H, 90-1°; iso-Pr, Ph, H, 157-9°; Bu, H, H, 115-17°; Bu, cyclohexyl, H, 105°; Bu, Ph, H, 129-30°; Et2CHCH2, H, H, 141-2°; BuEtCHCH2, H, H, 117-18°; n-C12H25, H, H, 143-4°; n-C12H25, Me, Me (in Et2O), 45-6°; n-C18H37, Me, Me, 71-2° (Et2O); CH2:CHCH2, CH2:CHCH2, H (in C6H6), 75-6° (C6H6); CH2:-CHCH2, Ph, H, 115-16°; cyclohexyl, Ph, H, 139-40°; cyclohexyl, Me, Me (in Et2O), 92-3°; Ph, H, H, 141-2° Ph, Me, Me (in Et2O), 123-5°; Ph, Ph, H, 135-6°; PhCH2, H, H, 138°; PhCH2, Pr, H, 138-9°; PhCH2, Bu, H, 132-3°; PhCH2, p-Et2NO2CC6H4, H, 141-2° (with gas evolution); all compds. were recrystd. from aqueous MeOH except where stated otherwise. In the same manner were prepared p-C6H4(NHO2SNHCO2Me)2, m. 187-90° (decomposition) (aqueous MeOH), in MeCN, and (CH2CH2O2CNHSO2NHPh)2, m. 167-78° (decomposition) (aqueous MeOH), in CH2Cl2. IV (37.3 g.) added at -40° to MeOH, treated with cooling with 200 cc. 2N MeONa, and evaporated in vacuo, and the residue dissolved with cooling in 100 cc. 2N HCl and extracted with CH2Cl2 gave about 20 g. (CH2CH2O2CNHSO2Me)2, m. 70-4°; an aqueous solution deposited (CH2CH2O2CNH2)2. III in CH2Cl2, treated with n-C12H25OH in the presence of Et3N, the mixture stirred with dilute HCl, and extracted with CH2Cl2, and the oily residue (20 g.) heated briefly at 170° to incipient turbidity, dissolved in MeOH, treated with C, and diluted with H2O to beginning of crystallization gave 5 g. (n-C12H25O)2SO2, m. 48° (MeOH). PhOH (18.8 g.) and 20.2 g. Et3N in 100 cc. Et2O treated with 35 g. III in 50 cc. Et2O, the mixture washed with H2O and extracted with 200 cc. N NaOH, and the aqueous alkaline extract treated dropwise with stirring with dilute HCl to turbidity, filtered, acidified, and cooled yielded 40 g. MeO2-CNHSO3Ph, m. 63-4°. p-ClC6H4OH (26 g.) and 160 cc. C6H6, treated with stirring with 14.2 g. I and then with 8 g. C5H5N, the mixture cooled to 20° and stirred with 100 cc. H2O, gave from the C6H6 phase 25 g. p-ClC6H4O2CNHSO2C5H4Cl-p, m. 136-7° (C6H6). Similarly were prepared the following RO2CNHSO3Ar (R, Ar, and m.p. given): Me, p-ClC6H4, 90° (C6H6); Me, p-O2NC6H4, 141-2° (aqueous MeOH); Et, p-O2NC5H4, 79-80°; iso-Pr, p-ClC6H4, 70-1°; Bu, p-O2NC6H4, 89-90°.

IT **14437-07-1**, Carbamic acid, sulfamoyl-, ethyl ester
14437-08-2, Carbamic acid, sulfamoyl-, methyl ester
89168-09-2, Carbamic acid, (dimethylsulfamoyl)-, methyl ester
89487-65-0, Carbamic acid, (dimethylsulfamoyl)-, 2-chloroethyl ester **89694-29-1**, Carbamic acid, sulfamoyl-, phenyl ester
89851-11-6, Carbamic acid, (propylsulfamoyl)-, propyl ester
89851-12-7, Carbamic acid, sulfamoyl-, 2-ethylbutyl ester
89852-27-7, Carbamic acid, (allylsulfamoyl)-, allyl ester
90222-26-7, Carbamic acid, (cyclohexylsulfamoyl)-, methyl ester
90271-52-6, Carbamic acid, (phenylsulfamoyl)-, methyl ester
90271-53-7, Carbamic acid, sulfamoyl-, benzyl ester
90324-88-2, Carbamic acid, sulfamoyl-, butyl ester
90438-28-1, Carbamic acid, (benzylsulfamoyl)-, methyl ester
90438-30-5, Carbamic acid, (dimethylsulfamoyl)-, phenyl ester
90438-31-6, Carbamic acid, (phenylsulfamoyl)-, ethyl ester
90729-26-3, Carbamic acid, (cyclohexylsulfamoyl)-, ethyl ester
90729-27-4, Carbamic acid, (dimethylsulfamoyl)-, cyclohexyl ester
90796-83-1, Carbamic acid, sulfamoyl-, 2-ethylhexyl ester
90870-34-1, Carbamic acid, (phenylsulfamoyl)-, allyl ester
90874-22-9, Carbamic acid, (phenylsulfamoyl)-, isopropyl ester
91431-18-4, Carbamic acid, (phenylsulfamoyl)-, butyl ester
91431-35-5, Carbamic acid, [(p-ethoxyphenyl)sulfamoyl]-, ethyl ester **91559-16-9**, Benzoic acid, p-[(carboxysulfamoyl)amino]-, diethyl ester **91817-79-7**, Carbamic acid, (p-tolylsulfamoyl)-, 2-chloroethyl ester **91824-63-4**, Carbamic acid, (cyclohexylsulfamoyl)-, butyl ester **91908-87-1**, Carbamic acid, (butylsulfamoyl)-, benzyl ester **92034-34-9**, Carbamic acid, (phenylsulfamoyl)-, cyclohexyl ester **92153-85-0**, Carbamic acid, sulfamoyl-, dodecyl ester **92577-65-6**, Carbamic acid, (propylsulfamoyl)-, benzyl ester **92867-41-9**, Carbamic acid, (dimethylsulfamoyl)-, dodecyl ester **93187-44-1**, Carbamic acid, (phenylsulfamoyl)-, phenyl ester **94629-04-6**, Carbamic acid, [p-phenylenebis(iminosulfonyl)]di-, dimethyl ester **95008-64-3**, Carbamic acid, (dimethylsulfamoyl)-, octadecyl ester **95291-31-9**, Benzoic acid, p-[(carboxysulfamoyl)amino]-, benzyl 1-[2-(diethylamino)ethyl]ester **98636-38-5**, Carbamic acid, (phenylsulfamoyl)-, tetramethylene ester
(preparation of)

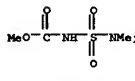
RN 14437-07-1 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



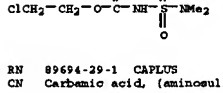
RN 14437-08-2 CAPLUS
CN Carbamic acid, sulfamoyl-, methyl ester (7CI, 8CI) (CA INDEX NAME)



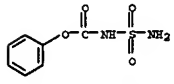
RN 89168-09-2 CAPLUS
CN Carbamic acid, (dimethylsulfamoyl)-, methyl ester (7CI) (CA INDEX NAME)



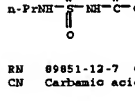
RN 89487-65-0 CAPLUS
CN Carbamic acid, (dimethylsulfamoyl)-, 2-chloroethyl ester (7CI) (CA INDEX NAME)



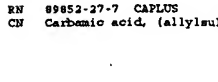
RN 89694-29-1 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, phenyl ester (9CI) (CA INDEX NAME)



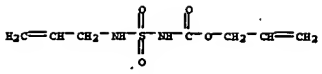
RN 89851-11-6 CAPLUS
CN Carbamic acid, (propylsulfamoyl)-, propyl ester (6CI, 7CI) (CA INDEX NAME)



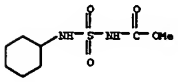
RN 89851-12-7 CAPLUS
CN Carbamic acid, sulfamoyl-, 2-ethylbutyl ester (6CI, 7CI) (CA INDEX NAME)



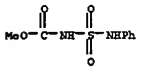
RN 89852-27-7 CAPLUS
CN Carbamic acid, (allylsulfamoyl)-, allyl ester (6CI, 7CI) (CA INDEX NAME)



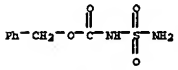
RN 90222-26-7 CAPLUS
CN Carbamic acid, [(cyclohexylamino)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



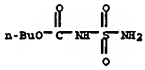
RN 90271-52-6 CAPLUS
CN Carbamic acid, (phenylsulfamoyl)-, methyl ester (6CI, 7CI) (CA INDEX NAME)



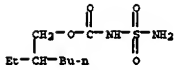
RN 90271-53-7 CAPLUS
CN Carbamic acid, sulfamoyl-, benzyl ester (7CI) (CA INDEX NAME)



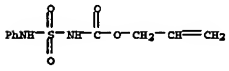
RN 90324-88-2 CAPLUS
CN Carbamic acid, (aminosulfonyl)-, butyl ester (9CI) (CA INDEX NAME)



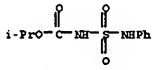
RN 90438-28-1 CAPLUS
CN Carbamic acid, (benzylsulfamoyl)-, methyl ester (7CI) (CA INDEX NAME)



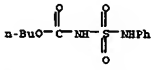
RN 90870-34-1 CAPLUS
CN Carbamic acid, (phenylsulfamoyl)-, allyl ester (6CI, 7CI) (CA INDEX NAME)



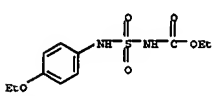
RN 90874-22-9 CAPLUS
CN Carbamic acid, [(phenylamino)sulfonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



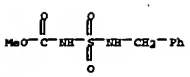
RN 91431-18-4 CAPLUS
CN Carbamic acid, (phenylsulfamoyl)-, butyl ester (6CI, 7CI) (CA INDEX NAME)



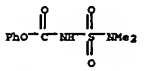
RN 91431-35-5 CAPLUS
CN Carbamic acid, [(p-ethoxyphenyl)sulfamoyl]-, ethyl ester (7CI) (CA INDEX NAME)



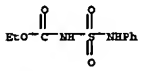
RN 91559-16-9 CAPLUS
CN Benzoic acid, p-[(carboxysulfamoyl)amino]-, diethyl ester (7CI) (CA INDEX NAME)



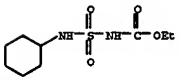
RN 90438-30-5 CAPLUS
CN Carbamic acid, (dimethylsulfamoyl)-, phenyl ester (7CI) (CA INDEX NAME)



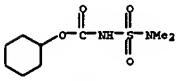
RN 90438-31-6 CAPLUS
CN Carbamic acid, (phenylsulfamoyl)-, ethyl ester (6CI, 7CI) (CA INDEX NAME)



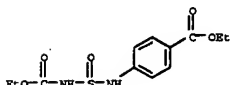
RN 90729-26-3 CAPLUS
CN Carbamic acid, (cyclohexylsulfamoyl)-, ethyl ester (6CI, 7CI) (CA INDEX NAME)



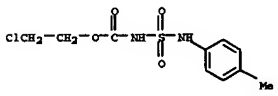
RN 90729-27-4 CAPLUS
CN Carbamic acid, (dimethylsulfamoyl)-, cyclohexyl ester (7CI) (CA INDEX NAME)



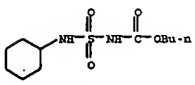
RN 90796-83-1 CAPLUS
CN Carbamic acid, sulfamoyl-, 2-ethylhexyl ester (6CI, 7CI) (CA INDEX NAME)



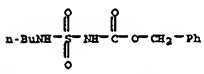
RN 91817-79-7 CAPLUS
CN Carbamic acid, (p-tolylsulfamoyl)-, 2-chloroethyl ester (6CI, 7CI) (CA INDEX NAME)



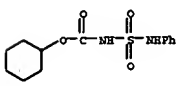
RN 91824-63-4 CAPLUS
CN Carbamic acid, (cyclohexylsulfamoyl)-, butyl ester (6CI, 7CI) (CA INDEX NAME)



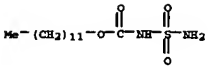
RN 91908-87-1 CAPLUS
CN Carbamic acid, (butylsulfamoyl)-, benzyl ester (7CI) (CA INDEX NAME)



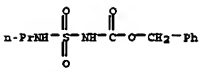
RN 92034-34-9 CAPLUS
CN Carbamic acid, (phenylsulfamoyl)-, cyclohexyl ester (6CI, 7CI) (CA INDEX NAME)



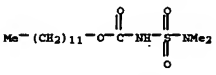
RN 92153-85-0 CAPLUS
CN Carbamic acid, sulfamoyl-, dodecyl ester (6CI, 7CI) (CA INDEX NAME)



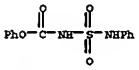
RN 92577-65-6 CAPLUS
CN Carbamic acid, (propylsulfamoyl)-, benzyl ester (6CI, 7CI) (CA INDEX NAME)



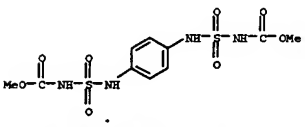
RN 92867-41-9 CAPLUS
CN Carbamic acid, (dimethylsulfamoyl)-, dodecyl ester (7CI) (CA INDEX NAME)



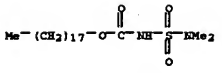
RN 93107-44-1 CAPLUS
CN Carbamic acid, (phenylsulfamoyl)-, phenyl ester (6CI, 7CI) (CA INDEX NAME)



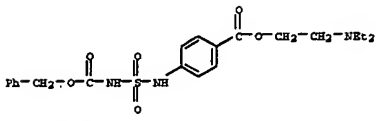
RN 94629-04-6 CAPLUS
CN Carbamic acid, [p-phenylenebis(iminosulfonyl)]di-, dimethyl ester (7CI) (CA INDEX NAME)



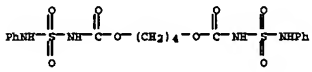
RN 95008-64-3 CAPLUS
CN Carbamic acid, (dimethylsulfamoyl)-, octadecyl ester (7CI) (CA INDEX NAME)



RN 95291-31-9 CAPLUS
CN Benzoic acid, p-[(carboxysulfamoyl)amino]-, benzyl 1-[2-(diethylamino)ethyl] ester (7CI) (CA INDEX NAME)

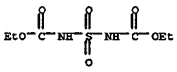


RN 98636-38-5 CAPLUS
CN Carbamic acid, (phenylsulfamoyl)-, tetramethylene ester (6CI, 7CI) (CA INDEX NAME)

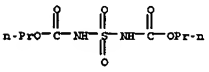


L9 ANSWER 313 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1962:475430 CAPLUS
DOCUMENT NUMBER: 57:75430
ORIGINAL REFERENCE NO.: 57:14932h-i,14933a
TITLE: Cyanoethylation of long-chain aliphatic primary amines
AUTHOR(S): Caldo, Cornelio
SOURCE: Chim. Ind. (Milan) (1962), 44, 753-5
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Monocyanoethylation of n-dodecyl- n-hexadecyl-, and octadecylamine has been effected in absence of solvents and catalyst in high yields (97-9%) by heating 1 mole amine with 1.1 moles acrylonitrile (I) at 55-60° 15 hrs. The corresponding nitriles have been vacuum-distilled from the mixture and have the following properties which agree well with previous values: n-C12H25NHCH2CH2CN (II), m. 20-1°, b0.5 140°, mol. weight 235 [HCl salt, m. 195-7° (decomposition)]; n-C16H33NrHCH2CH2CN, m. 39-43°; b. 174-6°, mol. weight 292; n-C18H37NHCH2CH2CN, m. 50-2°, b1.25 205°, mol. weight 324 [HCl salt m. 185-7° (decomposition); picrate m. 78-9°]. The bis(β-cyanoethylated)-n-dodecylamine has been also synthesized by treating I with II in AcOH at 55-60° 15 hrs., extracting with diethyl ether, neutralizing, washing with water, drying, and distilling the ether to yield 7.5% product, b0.5 181°, mol. weight 294.
IT 56477-47-5, Carbamic acid, sulfonyldi-, diethyl ester
85797-19-9, Carbamic acid, sulfonyldi-, dipropyl ester
85797-20-2, Carbamic acid, sulfonyldi-, dibutyl ester
91565-50-3, Carbamic acid, sulfonyldi-, dipentyl ester
92326-76-6, Carbamic acid, sulfonyldi-, dihexyl ester
94307-07-0, Carbamic acid, sulfonyldi-, dioctyl ester

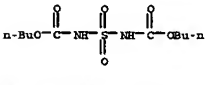
(preparation of)
RN 56477-47-5 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaoctanoic acid, 5-oxo-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



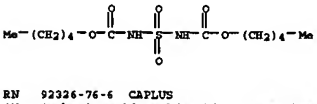
RN 85797-19-9 CAPLUS
CN 6-Oxa-3-thia-2,4-diazanonanoic acid, 5-oxo-, propyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



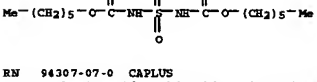
RN 85797-20-2 CAPLUS
CN 6-Oxa-3-thia-2,4-diazadecanoic acid, 5-oxo-, butyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



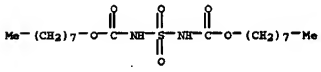
RN 91565-50-3 CAPLUS
CN Carbamic acid, sulfonyldi-, dipentyl ester (7CI) (CA INDEX NAME)



RN 92326-76-6 CAPLUS
CN Carbamic acid, sulfonyldi-, dihexyl ester (7CI) (CA INDEX NAME)

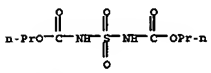


RN 94307-07-0 CAPLUS
CN Carbamic acid, sulfonyldi-, dioctyl ester (7CI). (CA INDEX NAME)

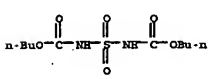


L9 ANSWER 314 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1962:475429 CAPLUS
DOCUMENT NUMBER: 57:75429
ORIGINAL REFERENCE NO.: 57:14932g-h
TITLE: The reaction of sulfuryl diisocyanate with alcohols
AUTHOR(S): Onodera, Norio
CORPORATE SOURCE: Nitto Inst. Chem. Res., Urawa
SOURCE: Kogyo Kagaku Zasshi (1962), 65, 790-3
CODEN: KGKZA7; ISSN: 0368-5462
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The reaction of sulfuryl diisocyanate (I) with monohydric alc. was investigated. The reaction velocity constant of I with 2-ethylhexyl alc. in benzene at 30° was >150 + 10-4 sec. -1 Sulfuryl diurethans were obtained in high yield and in high purities by addition of alc.-benzene solution to I in benzene at 25° 1 hr., heating at 50° 2 hrs., distilling the solvent, and recrystg. the product from alc.-benzene. The phys. consts. of the sulfuryldiurethans obtained were (alkyl group, recrystn. solvent, and m.p. given): Et, C6H6-EtOH, 158.8-8.9°; Pr, C6H6-EtOH, 130.8-1.8°; Bu, C6H6-EtOH, 75.4-6.4°; Am, C6H6, 78.279.3°; n-C6H13, -, 38.2°; n-C8H17, C6H6, 91.3-3.8°.
IT 85797-19-9, Carbamic acid, sulfonyldi-, dipropyl ester
85797-20-2, Carbamic acid, sulfonyldi-, dibutyl ester
91565-50-3, Carbamic acid, sulfonyldi-, dipentyl ester
92326-76-6, Carbamic acid, sulfonyldi-, dihexyl ester
94307-07-0, Carbamic acid, sulfonyldi-, dioctyl ester

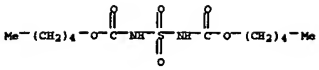
(preparation of)
RN 85797-19-9 CAPLUS
CN 6-Oxa-3-thia-2,4-diazanonanoic acid, 5-oxo-, propyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



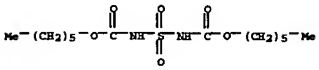
RN 85797-20-2 CAPLUS
CN 6-Oxa-3-thia-2,4-diazadecanoic acid, 5-oxo-, butyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



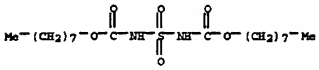
RN 91565-50-3 CAPLUS
CN Carbamic acid, sulfonyldi-, dipentyl ester (7CI) (CA INDEX NAME)



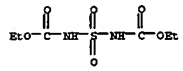
RN 92326-76-6 CAPLUS
CN Carbamic acid, sulfonyldi-, dihexyl ester (7CI) (CA INDEX NAME)



RN 94307-07-0 CAPLUS
CN Carbamic acid, sulfonyldi-, dioctyl ester (7CI) (CA INDEX NAME)



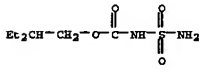
L9 ANSWER 315 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1958:113138 CAPLUS
DOCUMENT NUMBER: 52:113138
ORIGINAL REFERENCE NO.: 52:19938c-g
TITLE: Sulfuryl diisocyanate
AUTHOR(S): Appel, Rolf; Gerber, Hermann
CORPORATE SOURCE: Univ. Heidelberg, Germany
SOURCE: Chemische Berichte (1958), 91, 1200-3
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB ClSO2NCO (70 g.) and 80 g. dry AgOCN heated 45 hrs. at 150-60°, the product sublimed in vacuo into 2 Dry Ice traps during 2.5 hrs. at 150-60°/2-5 mm., the condensate (62 g.) in the 1st trap again refluxed 40 hrs. with 15 g. AgOCN, the mixture worked up again in the usual manner, and the resulting 60.5 g. product treated twice in the same manner with 15-g. portions AgOCN yielded 58.3 g. O2S(NCO)2 (I), b760 139°, d22 1.588. I (5.2 g.) added slowly dropwise to H2O and the H2O evaporated left 3.1 g. O2S(NH2)2, m. 89°. I kept in the open gave an unidentified solid, m. 138-42°, which boiled 5-10 min. with H2O gave 100% O2S(NH2)2. I (15 g.) in 200 cc. C6H6 treated with stirring dropwise with 9.2 g. EtOH in 23 cc. C6H6 at 33° and the precipitate filtered off and recrystd. from EtOH yielded O2S(NHCO2Et)2, m. 169°. I (5 g.) in 100 cc. C6H6 treated dropwise with stirring at room temperature with 10 cc. (CH2OH)2, the C6H6 solution decanted from the precipitated gel, and the precipitate washed with Me2CO and repptd. from HCONMe2 with Me2CO gave polysulfourethan, m. 169°. I (15 g.) in 250 cc. C6H6 treated slowly with stirring with a slow stream of dry NH3 at 35-40°, the precipitate filtered off, dried, dissolved in 50 cc. H2O, repptd. with Me2CO, and this treatment repeated 4 times gave the di-NH4 salt (II) of O2S.NH.CO.NH.CO.NH (III), needles, m. 212°, containing 0.5 mole H2O which was removed in vacuo at 80° over CaCl2. II in H2O treated with 10% aqueous AgNO3 and the mixture treated with 2 drops dilute NH4OH yielded the di-Ag salt (IV) of III.3H2O. IV (7 g.) in 200 cc. Et2O heated 15 hrs. with 2.5 cc. MeI on the steam bath, filtered, and evaporated in vacuo, the residue (1 g.) dissolved in Me2CO, and the solution evaporated gave N,N'-dimethylsulfurylbiuret, m. 194° (Me2CO).
IT 56477-47-5, Carbamic acid, sulfonyldi-, diethyl ester
(preparation of)
RN 56477-47-5 CAPLUS
CN 6-Oxa-3-thia-2,4-diazaoctanoic acid, 5-oxo-, ethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



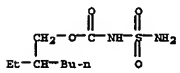
L9 ANSWER 316 OF 316 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1958:82772 CAPLUS
DOCUMENT NUMBER: 52:82772
ORIGINAL REFERENCE NO.: 52:14667a-e
TITLE: Nitrogen- and sulfur-containing condensation products
INVENTOR(S): Graf, Roderich
PATENT ASSIGNEE(S): Farberke Hoechst AG vorm. Meister Lucius & Bruning
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 940292		19560315	DE	

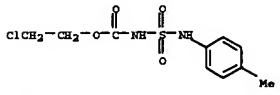
AB R(XCONHSO2Cl)n, where R is an organic radical, X is O, S, or NH, and n a whole number, were treated with compds. containing a reactive NH2 or OH group in the presence of HCl binding agents. Thus, solns. of phenyl carbamate N-sulfo chloride (prepared by treating PhOH 9.4 and N-carbonylsulfamic acid chloride 14.2 in C6H6160 parts) and NaOH 8 in H2O 150 added simultaneously to PhNH2 9.4 and H2O 100 parts with stirring and cooling, the C6H6-layer separated, the aqueous solution acidified with dilute HCl, and the precipitate filtered off gave phenyl carbamate N-sulfanilide, m. 144° (C6H6). Similarly were prepared the following R'O2CNHSO2R'' (R', R'', and m.p. given): C12H25, NH2, 148-9°; Et, NHC6H4OEt, 165-6°; Et, NHPh, 141-2°; Et, NHC6H4CO2Et, 154-5° (EtOH and H2O); PhNHSO2NHCO2(CH2)4, NHPh, 192-3°; Me, OPh, 62-3°; Me, p-OC6H4NO2, 147-8°; Et, p-OC6H4NO2, 79-80°; Bu, p-OC6H4NO2, 90°; p-C6H4Cl, p-OC6H4Cl, 139-40°; C18H35, NHC6H4CO2(CH2)2NEt2, 103-5° (EtOH); Me, NHPh, 133°; Me, p-OC6H4Cl, 94°; Me, 2,4,6-C6H2Cl3, 116°; Et, NHC6H11, 172°; Cl(CH2)2, NHPh, 140-2°; Cl(CH2)2, p-NHC6H4Me, 149-50°; Pr, NHPr, 92°; iso-Pr, NHC6H11, 161-2°; CH2:CHCH2, NHPh, 116-17°; CH2:CHCH2, NHCH2CH:CH2, 75-6°; Bu, NHPh, 134-5°; Bu, NH-C6H11, 107-8°; Bu, NH2, 109-10°; Et2CHCH2, NH2, 140-1°; BuEtCHCH2, NH2, 114-15°; C6H11, NHPh, 140-1°; PhCH2, NHPr, 144°; Ph, NH2, 135°; p-C6H4Cl, NHCH2CH:CH2, 170°; MeOCH2, NHCH2CH:CH2, 98-9°; PhCH2, NHC6H4CO2(CH2)2NEt2, 155-7°; C12H25, NHC6H4CO2(CH2)2NEt2, 122-4°; C18H35, NH(CH2)2NEt2, pasty. Similarly were prepared: N-phenylurea-N'-sulfanilide, m. 164-5° (MeOH and H2O); N-(4-ethoxyphenyl)urea-N'-sulfonic acid 4-phenetidide, m. 190-1° (MeOH). The compds. thus prepared are useful as textile assistants, pharmaceuticals, and pesticides.
IT 89851-12-7, 1-Butanol, 2-ethyl-, sulfamoylcarbamate
90796-83-1, 1-Hexanol, 2-ethyl-, sulfamoylcarbamate
91817-79-7, Carbamic acid, p-tolylsulfamoyl-, 2-chloroethyl ester
92034-34-9, Cyclohexanol, (phenylsulfamoyl)carbamate
98490-77-8, Carbamic acid, [(p-chlorophenoxy)sulfamoyl]-, methyl ester 98554-35-9, Carbamic acid, [(2,4,6-trichlorophenyl)sulfamoyl]-, methyl ester 98636-38-5, 1,4-Butanediol, bis[(phenylsulfamoyl)carbamate] 99115-62-5, Methanol, methoxy-, (allylsulfamoyl)carbamate 119771-80-1, Carbamic acid, [(ethoxyphenyl)sulfamoyl]-, ethyl ester 124343-62-0, Carbamic acid, [(2-diethylaminoethyl)sulfamoyl]-, octadecyl ester
(preparation of)
RN 89851-12-7 CAPLUS
CN Carbamic acid, sulfamoyl-, 2-ethylbutyl ester (6CI, 7CI) (CA INDEX NAME)



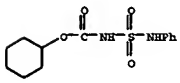
RN 90796-83-1 CAPLUS
CN Carbamic acid, sulfamoyl-, 2-ethylhexyl ester (6CI, 7CI) (CA INDEX NAME)



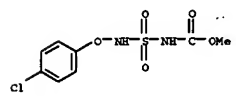
RN 91817-79-7 CAPLUS
CN Carbamic acid, (p-tolylsulfamoyl)-, 2-chloroethyl ester (6CI, 7CI) (CA INDEX NAME)



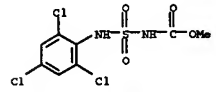
RN 92034-34-9 CAPLUS
CN Carbamic acid, (phenylsulfamoyl)-, cyclohexyl ester (6CI, 7CI) (CA INDEX NAME)



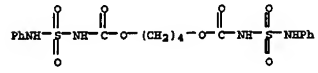
RN 98490-77-8 CAPLUS
CN Carbamic acid, [(p-chlorophenoxy)sulfamoyl]-, methyl ester (6CI) (CA INDEX NAME)



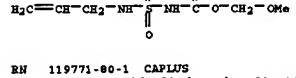
RN 98554-35-9 CAPLUS
CN Carbamic acid, [(2,4,6-trichlorophenyl)sulfamoyl]-, methyl ester (6CI) (CA INDEX NAME)



RN 98636-38-5 CAPLUS
CN Carbamic acid, (phenylsulfamoyl)-, tetramethylene ester (6CI, 7CI) (CA INDEX NAME)

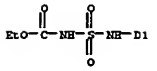


RN 99115-62-5 CAPLUS
CN Carbamic acid, (allylsulfamoyl)-, methoxymethyl ester (6CI) (CA INDEX NAME)

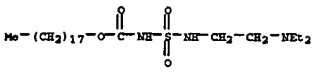


RN 119771-80-1 CAPLUS
CN Carbamic acid, [(ethoxyphenyl)sulfamoyl]-, ethyl ester (6CI) (CA INDEX NAME)

D1—O—Et



RN 124343-62-0 CAPLUS
CN 3-Thia-2,4,7-triazanonanoic acid, 7-ethyl-, octadecyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



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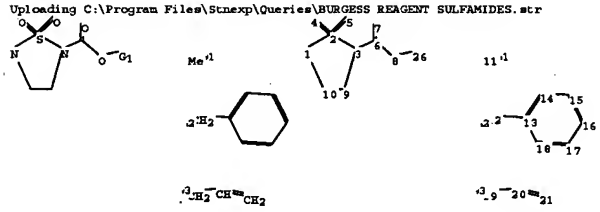

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ring bonds :
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exact/norm bonds :
1-2  1-10  2-3  2-4  2-5  3-6  3-9  6-7  6-8  8-26  9-10
exact bonds :
12-13  19-20  20-21
normalized bonds :
13-14  13-18  14-15  15-16  16-17  17-18

G1:[*1],[*2],[*3]

Match level :
1:Atom  2:Atom  3:Atom  4:CLASS  5:CLASS  6:CLASS  7:CLASS  8:CLASS  9:Atom
10:Atom  11:CLASS  12:CLASS  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom
19:CLASS  20:CLASS  21:CLASS  26:CLASS



L1      STRUCTURE UPLOADED

=> que L1

L2   QUE L1

=> d l2
L2 HAS NO ANSWERS
L1              STR
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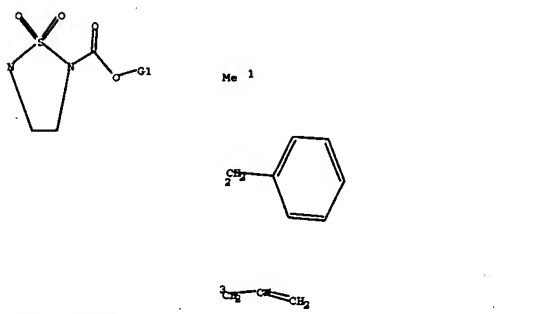

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G1 [@1],[@2],[@3]


Structure attributes must be viewed using STN Express query preparation.
L2              QUE  ABB=ON  PLU=ON  L1


=> s l2
SAMPLE SEARCH INITIATED 08:56:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      6 TO ITERATE

100.0% PROCESSED         6 ITERATIONS                              0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:             6 TO       266
PROJECTED ANSWERS:                0 TO         0

L3            0 SEA SSS SAM L1

=> s l2 sss full
FULL SEARCH INITIATED 08:56:44 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    141 TO ITERATE

100.0% PROCESSED      141 ITERATIONS                             26 ANSWERS
SEARCH TIME: 00.00.01

L4           26 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                              ENTRY    SESSION
FULL ESTIMATED COST                           161.33     163.22

FILE 'CAPLUS' ENTERED AT 08:56:48 ON 25 JUL 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 25 Jul 2005 VOL 143 ISS 5
FILE LAST UPDATED: 24 Jul 2005 (20050724/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l4
L5 7 L4

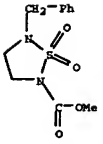
=> d 1-7 ibib abs hitstr

L5 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1011872 CAPLUS
DOCUMENT NUMBER: 142:134530
TITLE: New uses for the Burgess reagent in chemical synthesis: Methods for the facile and stereoselective formation of sulfamidates, glycosylamines, and sulfamides
AUTHOR(S): Nicolaou, K. C.; Snyder, Scott A.; Longbottom, Deborah A.; Nalbandian, Annie Z.; Huang, Xianhai
CORPORATE SOURCE: Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Chemistry--A European Journal (2004), 10(22), 5581-5606
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

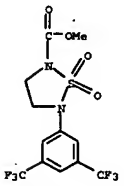
AB Although the Burgess reagent (methoxycarbonylsulfamoyltriethylammonium hydroxide, inner salt) has found significant use in chemical synthesis as a dehydrating agent, almost no work has been directed towards its potential in other synthetic applications. It was found that the Burgess reagent is remarkably effective at accomplishing a number of non-dehydrative synthetic tasks when applied to appropriate substrates, such as the formation of sulfamidates from 1,2-diols or epoxy alcs., α- and β-glycosylamines from carbohydrates, and cyclic sulfamides from 1,2-amino alcs. Beyond delineating the power of these new reaction manifolds, the construction of a group of alternative Burgess-type reagents that extends the scope of these new reactions even further is also described.

IT 503310-46-1P 503310-52-9P 503310-71-2P
503310-72-3P 503310-74-5P 503310-75-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(use of the Burgess reagent in the facile and stereoselective formation of sulfamidates, glycosylamines, and sulfamides)

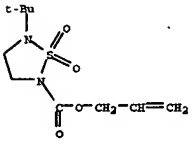
RN 503310-46-1 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(phenylmethyl)-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



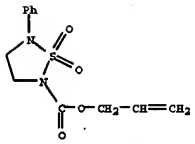
RN 503310-52-9 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-[3,5-bis(trifluoromethyl)phenyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



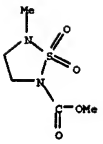
RN 503310-71-2 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(1,1-dimethylethyl)-, 2-propenyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



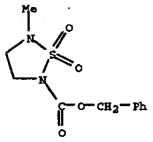
RN 503310-72-3 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-phenyl-, 2-propenyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 503310-74-5 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-methyl-, phenylmethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

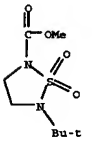


RN 503310-47-2 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(1,1-dimethylethyl)-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



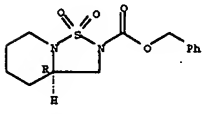
RN 503310-75-6 CAPLUS
CN 2H-[1,2,5]Thiadiazolo[2,3-a]pyridine-2-carboxylic acid, hexahydro-, phenylmethyl ester, 1,1-dioxide, (3aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



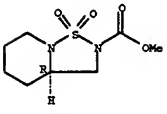
RN 503310-48-3 CAPLUS
CN 2H-[1,2,5]Thiadiazolo[2,3-a]pyridine-2-carboxylic acid, hexahydro-, methyl ester, 1,1-dioxide, (3aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

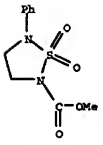


IT 503310-45-0P 503310-47-2P 503310-48-3P
503310-49-4P 503310-50-7P 503310-53-0P
503310-54-1P 503310-55-2P 503310-57-4P
503310-58-5P 503310-61-0P 503310-62-1P
721958-78-7P 721958-79-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(use of the Burgess reagent in the facile and stereoselective formation of sulfamidates, glycosylamines, and sulfamides)

RN 503310-45-0 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-methyl-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

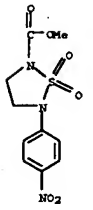


RN 503310-49-4 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-phenyl-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

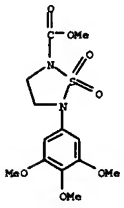


RN 503310-50-7 CAPLUS

CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(4-nitrophenyl)-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

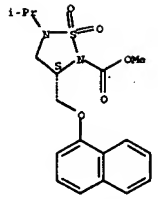


RN 503310-53-0 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(3,4,5-trimethoxyphenyl)-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

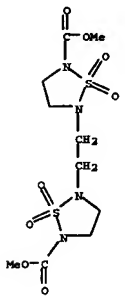


RN 503310-54-1 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(1-methylethyl)-3-[(1-naphthalenyloxy)methyl]-, methyl ester, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

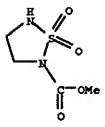
Absolute stereochemistry.



RN 503310-55-2 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5,5'-(1,2-ethanediyl)bis-, dimethyl ester, 1,1,1',1'-tetraoxide (9CI) (CA INDEX NAME)

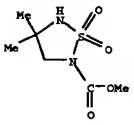


RN 503310-57-4 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



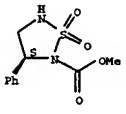
RN 503310-58-5 CAPLUS

CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 4,4-dimethyl-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



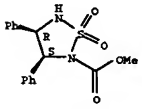
RN 503310-61-0 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 3-phenyl-, methyl ester, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

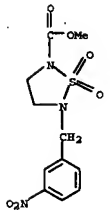


RN 503310-62-1 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 3,4-diphenyl-, methyl ester, 1,1-dioxide, (3S,4R)- (9CI) (CA INDEX NAME)

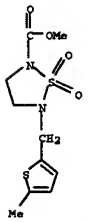
Absolute stereochemistry.



RN 721958-78-7 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-[(3-nitrophenyl)methyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 721958-79-8 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-[(5-methyl-2-thienyl)methyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



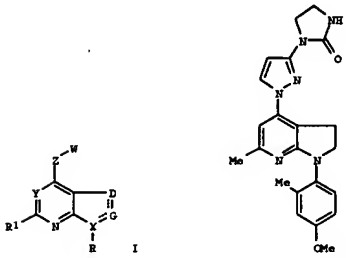
REFERENCE COUNT: 121 THERE ARE 121 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:927207 CAPLUS
DOCUMENT NUMBER: 141:395557
TITLE: Preparation of condensed heterocycles as CRF receptor antagonists for treatment of depression, anxiety, IBS, and IBD
INVENTOR(S): Andreotti, Daniele; Bernasconi, Giovanni; Castiglioni, Emiliano; Comtini, Stefania; Di Fabio, Romano; Fazzolari, Elettra; Feriani, Aldo; Gentile, Gabriella; Mattioli, Mario; Mingardi, Anna; Sabbatini, Fabio; St.-Denis, Yves
PATENT ASSIGNEE(S): SB Pharmco Puerto Rico Inc., USA; Neurocrine Biosciences Inc.
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094420	A1	20041104	WO 2004-IB1350	20040407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2003-8208	A 20030409
			US 2003-485322P	P 20030707
OTHER SOURCE(S):	MARPAT 141:395557			

GI



I II

AB Title [(pyrrolo[2,3-b]pyridinyl)pyrazolyl]imidazolidinones and related compds. I [wherein D = CR8R9, CR8; G = CR10R11, CR10; W = (un)substituted carbocyclyl, heterocyclyl; X = C, N; Y = N, CR7; Z = (un)substituted heterocyclyl, Ph; R = (un)substituted (hetero)aryl; R1 = H, (cyclo)alkyl, (halo)alkoxy, alkylthio, alkenyl, alkynyl, halo(alkyl), halo, NR3R4, CN; R3, R4 = independently H, alkyl; R7 = H, (halo)alkyl, halo; R8-R11 = independently H, (cyclo)alkyl, alkenyl, alkynyl, NR3R4, CN; and stereoisomers, prodrugs and pharmaceutically acceptable salts, or solvates thereof] were prepared as corticotropin-releasing factor (CRF) antagonists. For example, 4-iodo-6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridine was coupled with 1-(1H-pyrazol-3-yl)imidazolidin-2-one (preparation of reactants given) in the presence of CuI, K2CO3, dodecane, and trans-cyclohexanediamine in anh. NMP to afford II (53%). In binding assays using recombinant human CRF1 and CRF2 receptors expressed in CHO cell membranes, compds. of the invention showed affinity for CRF receptors with Ki values of <10 μM. Thus, I and their pharmaceutical compns. are useful for the treatment of depression, anxiety, IBS, and IBD (no data).

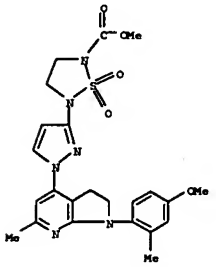
IT 786701-75-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(CRF antagonist; preparation of [(pyrrolopyridinyl)pyrazolyl]imidazolidinone s and related compds. as CRF receptor antagonists for treatment of depression, anxiety, IBS, and IBD)

RN 786701-75-5 CAPLUS

CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-[1-[2,3-dihydro-1-(4-methoxy-2-methylphenyl)-6-methyl-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:740293 CAPLUS

DOCUMENT NUMBER: 141:260762

TITLE: Preparation of aminocyclopentyl fused heterotricyclic amide derivatives as modulators of chemokine receptor activity

INVENTOR(S): Goble, Stephen D.; Pasternak, Alexander; Tang, Chang; Zhou, Changyou; Yang, Lihu

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076411	A2	20040910	WO 2004-US5297	20040223
WO 2004076411	A3	20041223		
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-449547P	P 20030224
OTHER SOURCE(S):	MARPAT 141:260762			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I) [A = C, N; D, E = independently C, N, O, SO, or SO2, where by a fused carbocycle is formed if A, D and E are all C, where by a fused heterocycle is formed if at least one of A, D, or E is N, O, or S; X = O, N, S, SO2, C; R1 = H, C1-6 alkyl, C0-6 alkyl-O-C1-6 alkyl, C0-6 alkyl-S-C1-6 alkyl, C0-6 alkyl-C3-7 cycloalkyl-C0-6 alkyl, hydroxy, heterocycle, cyano, NH2, acylamino, sulfonylamino, acyl, CONH2, etc.; if D = C, then R2 = H, Ph, oxo, (un)substituted C1-3 alkyl or alkoxy; if D = N, then R2 = H, Ph, oxo, (un)substituted C1-3 alkyl or alkoxy; if D = O, SO, or SO2, then R2 is absent; if E = C, then R3 = H, HO, Cl, F, Br, Ph, oxo, (un)substituted C1-3 alkyl or alkoxy; if E = N, then R3 = H, Ph, oxo, or (un)substituted C1-3 alkyl or alkoxy; R4 = Cl, F, Br, Ph, (un)substituted C1-3 alkyl or C1-3 alkoxy; R5 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylcarbonyl, C1-6 alkylthio, pyridyl, F, Cl, Br, C4-6 cycloalkyl, C4-6 cycloalkoxy, Ph, etc.; R6 = H, HO, Cl, F, Br, Ph, (un)substituted C1-3 alkyl or alkoxy, etc.; R7 = H, phenyl-, heterocyclyl-, C3-7 cycloalkyl-, acyl-, or sulfo-C0-6 alkyl, etc.; when X = O, then R7 is absent; R8 = H, HO, C1-6 alkyl, hydroxy-C1-6 alkyl, C1-3 alkoxy, acyl, NH2, cyano, etc.; R9, R10 = H, HO, C1-6 alkyl or alkoxy, benzyl, Ph, etc.; m, n = 0-2] and pharmaceutically acceptable salts thereof and individual diastereomers thereof are prepared These compds. are useful as modulators of the chemokine receptor CCR-2 and could be useful in the prevention or treatment of certain inflammatory and immunoregulatory disorders and diseases, allergic diseases, atopic conditions including allergic rhinitis, dermatitis, conjunctivitis, and asthma, as well as autoimmune pathologies such as rheumatoid arthritis and atherosclerosis (no data). Thus, intermediate (II) was cyclocondensed with paraformaldehyde in the presence of p-MeC6H4SO3H in toluene under refluxing for 18 h with removal of water using a Dean-Stark trap to give the precursor (III; R = COCF3) which was treated with NaBH4 in ethanol at room temperature for 16 h to give, after HPLC purification and treatment with HCl/Et2O, III.xHCl (R = H).

IT 754241-72-0P

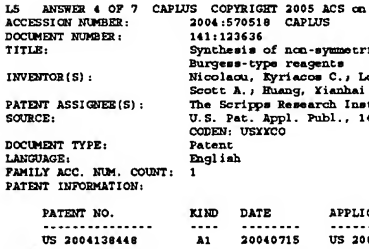
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminocyclopentyl fused heterotricyclic amide derivs. as modulators of chemokine receptor activity)

RN 754241-72-0 CAPLUS

CN 2,1,3-Benzothiadiazole-1(3H)-carboxylic acid, 4-[[[[(1S,3R)-1-(1-methylethyl)-3-[[tetrahydro-2H-pyran-4-yl](trifluoroacetyl)amino]cyclopentyl]carbonyl]amino]methyl]-6-(trifluoromethyl)-, phenylmethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:570518 CAPLUS

DOCUMENT NUMBER: 141:123636

TITLE: Synthesis of non-symmetrical sulfamides using Burgess-type reagents

INVENTOR(S): Nicolaou, Kyriacos C.; Longbottom, Deborah; Snyder, Scott A.; Huang, Yianhai

PATENT ASSIGNEE(S): The Scripps Research Institute, USA

SOURCE: U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004138448	A1	20040715	US 2003-685658	20031014
PRIORITY APPLN. INFO.:			US 2002-417936P	P 20021012
OTHER SOURCE(S):	MARPAT 141:123636			

AB A practical and high-yielding method for the efficient, one-step synthesis of diverse classes of N,N'-differentiated sulfamides employs a wide range of amino alcs. and simple amines using Burgess-type reagents. This methodol. extends the application and availability of sulfamides within the fields of chemical biol., medicinal chemical, asym. synthesis, and supramol. chemical

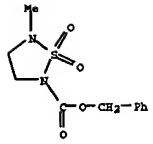
IT 503310-74-5 503310-75-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(in the synthesis of non-sym. sulfamides using Burgess-type reagents)

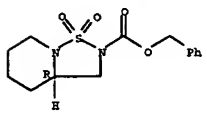
RN 503310-74-5 CAPLUS

CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-methyl-, phenylmethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

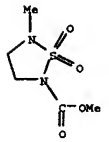


RN 503310-75-6 CAPLUS
CN 2H-[1,2,5]Thiadiazolo[2,3-a]pyridine-2-carboxylic acid, hexahydro-, phenylmethyl ester, 1,1-dioxide, (3aR)- (9CI) (CA INDEX NAME)

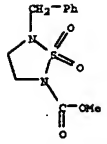
Absolute stereochemistry.



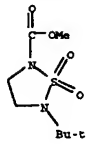
IT 503310-45-0P 503310-46-1P 503310-47-2P
503310-48-3P 503310-49-4P 503310-50-7P
503310-52-9P 503310-53-0P 503310-54-1P
503310-55-2P 503310-57-4P 503310-58-5P
503310-61-0P 503310-62-1P 721958-78-7P
721958-79-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of non-sym. sulfamides using Burgess-type reagents)
RN 503310-45-0 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-methyl-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 503310-46-1 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(phenylmethyl)-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

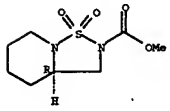


RN 503310-47-2 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(1,1-dimethylethyl)-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

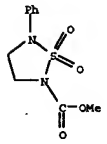


RN 503310-48-3 CAPLUS
CN 2H-[1,2,5]Thiadiazolo[2,3-a]pyridine-2-carboxylic acid, hexahydro-, methyl ester, 1,1-dioxide, (3aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

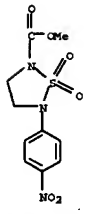


RN 503310-49-4 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-phenyl-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

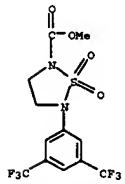


RN 503310-50-7 CAPLUS

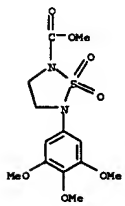
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(4-nitrophenyl)-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 503310-52-9 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-[3,5-bis(trifluoromethyl)phenyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

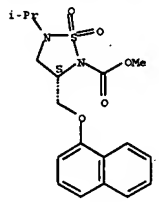


RN 503310-53-0 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(3,4,5-trimethoxyphenyl)-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

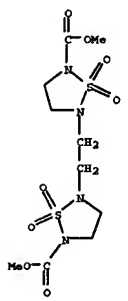


RN 503310-54-1 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(1-methylethyl)-3-[(1-naphthalenyloxy)methyl]-, methyl ester, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

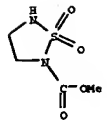
Absolute stereochemistry.



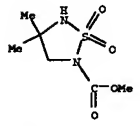
RN 503310-55-2 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5,5'-(1,2-ethanediyl)bis-, dimethyl ester, 1,1,1',1'-tetraoxide (9CI) (CA INDEX NAME)



RN 503310-57-4 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

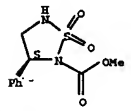


RN 503310-58-5 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 4,4-dimethyl-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



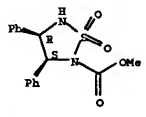
RN 503310-61-0 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 3-phenyl-, methyl ester, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

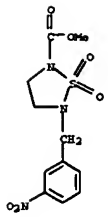


RN 503310-62-1 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 3,4-diphenyl-, methyl ester, 1,1-dioxide, (3S,4R)- (9CI) (CA INDEX NAME)

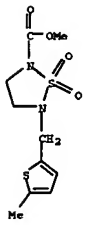
Absolute stereochemistry.



RN 721958-78-7 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-[(3-nitrophenyl)methyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



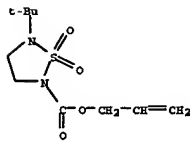
RN 721958-79-8 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-[(5-methyl-2-thienyl)methyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



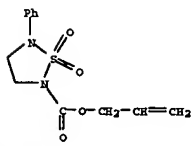
L5 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:859313 CAPLUS
DOCUMENT NUMBER: 138:271601
TITLE: A new method for the synthesis of nonsymmetrical sulfamides using Burgess-type reagents
AUTHOR(S): Nicolaou, K. C.; Longbottom, Deborah A.; Snyder, Scott A.; Nalbandian, Annie Z.; Huang, Xianhai
CORPORATE SOURCE: Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Angewandte Chemie, International Edition (2002), 41(20), 3866-3870
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:271601
AB The reaction of com. available β-amino alcs. with Burgess reagent gave cyclic sulfamides in high yield. For example, the reaction of N,N-diethyl-N-[[(methoxycarbonyl)amino]sulfonyl]ethanaminium inner salt (Burgess reagent) with 2-aminoethanol 5-Methyl-1,2,5-Thiadiazolidine-2-carboxylic acid Me ester 1,1-dioxide in 75% yield. Other Burgess-type reagents included N,N-diethyl-N-[[[(2-propenyloxy)carbonyl]amino]sulfonyl]ethanaminium inner salt and N,N-diethyl-N-[[[(phenylmethoxy)carbonyl]amino]sulfonyl]ethanaminium inner salt.

IT 503310-71-2 503310-72-3 503310-74-5
503310-75-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of nonsym. sulfamides from amino alcs. and Burgess-type reagents)

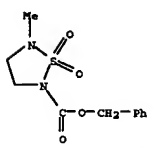
RN 503310-71-2 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(1,1-dimethylethyl)-, 2-propenyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 503310-72-3 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-phenyl-, 2-propenyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

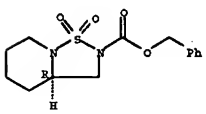


RN 503310-74-5 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-methyl-, phenylmethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



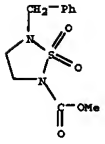
RN 503310-75-6 CAPLUS
CN 2H-[1,2,5]Thiadiazolo[2,3-a]pyridine-2-carboxylic acid, hexahydro-, phenylmethyl ester, 1,1-dioxide, (3aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

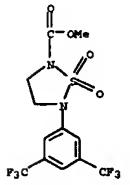


IT 503310-46-1P, 5-(Phenylmethyl)-1,2,5-Thiadiazolidine-2-carboxylic acid methyl ester 1,1-dioxide 503310-52-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nonsym. sulfamides from amino alcs. and Burgess-type reagents)

RN 503310-46-1 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(phenylmethyl)-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



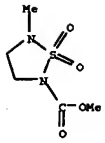
RN 503310-52-9 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-[3,5-bis(trifluoromethyl)phenyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



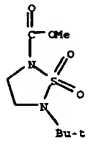
IT 503310-45-0P, 5-Methyl-1,2,5-Thiadiazolidine-2-carboxylic acid methyl ester 1,1-dioxide 503310-47-2P, 5-(1,1-Dimethylethyl)-1,2,5-Thiadiazolidine-2-carboxylic acid methyl ester 1,1-dioxide
503310-48-3P 503310-49-4P 503310-50-7P
503310-53-0P 503310-54-1P 503310-55-2P
503310-57-4P 503310-58-5P 503310-61-0P
503310-62-1P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of nonsym. sulfamides from amino alcs. and Burgess-type reagents)

RN 503310-45-0 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-methyl-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

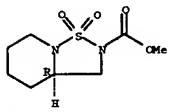


RN 503310-47-2 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(1,1-dimethylethyl)-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

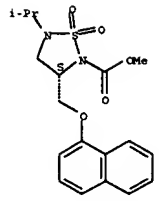


RN 503310-48-3 CAPLUS
CN 2H-[1,2,5]Thiadiazolo[2,3-a]pyridine-2-carboxylic acid, hexahydro-, methyl ester, 1,1-dioxide, (3aR)- (9CI) (CA INDEX NAME)

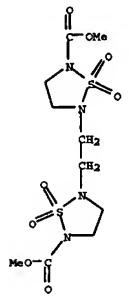
Absolute stereochemistry.



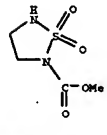
RN 503310-49-4 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-phenyl-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



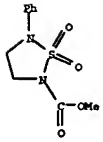
RN 503310-55-2 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5,5'-(1,2-ethanediyl)bis-, dimethyl ester, 1,1,1',1'-tetraoxide (9CI) (CA INDEX NAME)



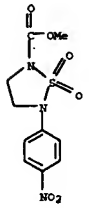
RN 503310-57-4 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



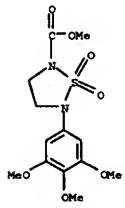
RN 503310-58-5 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 4,4-dimethyl-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 503310-50-7 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(4-nitrophenyl)-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

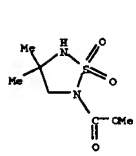


RN 503310-53-0 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(3,4,5-trimethoxyphenyl)-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



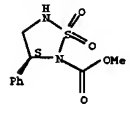
RN 503310-54-1 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-(1-methylethyl)-3-[(1-naphthalenyloxy)methyl]-, methyl ester, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



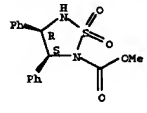
RN 503310-61-0 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 3-phenyl-, methyl ester, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 503310-62-1 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 3,4-diphenyl-, methyl ester, 1,1-dioxide, (3S,4R)- (9CI) (CA INDEX NAME)

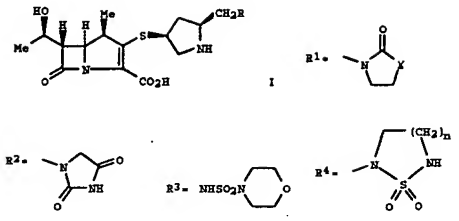
Absolute stereochemistry.



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:136704 CAPLUS
DOCUMENT NUMBER: 124:216802
TITLE: A novel 1 β-methylcarbapenem antibiotic, S-4661. Synthesis and structure-activity relationships of 2-(5-substituted pyrrolidin-3-ylthio)-1 β-methylcarbapenems
AUTHOR(S): Iso, Yasuyoshi; Irie, Tadashi; Nishino, Yutaka; Motokawa, Kiyoshi; Nishitani, Yasuhiro
CORPORATE SOURCE: Shionogi Res. Lab., Shionogi & Co., Ltd., Osaka, 553, Japan
SOURCE: Journal of Antibiotics (1996), 49(2), 199-209
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal

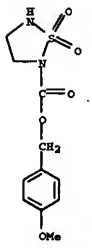
LANGUAGE: English
GI



AB The synthesis and biol. activity of (1R,5S,6S)-2-[(3S,5S)-5-substituted pyrrolidin-3-ylthio]-6-[(1R)-1-hydroxyethyl]-1-methylcarbapen-2-em-3-carboxylic acids I [R = NH2, NHAc, R1 (X = CH2), NHCO-3-pyridyl, NHCONH2, NHCONHMe, R3, NHCO2Me, R1 (X = O), NHSO2Me, NHSO2CH2CCNH2, NHSO2CH2CH2OH, NHSO2NH2, NHSO2NHCH2CH2OH, R3, R4 (n = 1, 2)] are described. These compds. exhibit potent antibacterial activity against a wide range of both Gram-pos. and Gram-neg. bacteria including Pseudomonas aeruginosa. Of these new carbapenems, (1R,5S,6S)-2-[(3S,5S)-5-sulfamoylaminomethylpyrrolidin-3-ylthio]-6-[(1R)-1-hydroxyethyl]-1-methylcarbapen-2-em-3-carboxylic acid (S-4661) showed the most potent and well balanced activity and was selected as a candidate for further evaluation.

IT 175846-38-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and structure-activity relationships of substituted (pyrrolidinylthio)-1 β-methylcarbapenems)

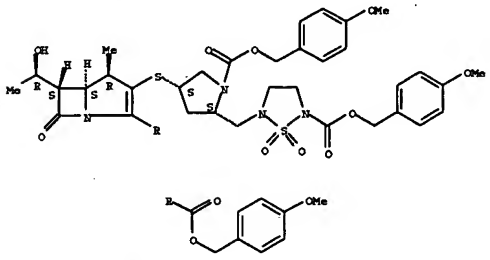
RN 175846-38-5 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, (4-methoxyphenyl)methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



IT 148017-59-8P 175846-23-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and structure-activity relationships of substituted (pyrrolidinylthio)-1 β-methylcarbapenems)

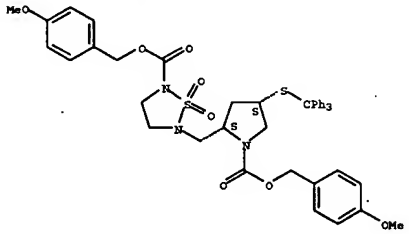
RN 148017-59-8 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[1-[[(4-methoxyphenyl)methoxy]carbonyl]-5-[[5-[[(4-methoxyphenyl)methoxy]carbonyl]-1,1-dioxido-1,2,5-thiadiazolidin-2-yl]methyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, (4-methoxyphenyl)methyl ester, [4R-[3(3S*,5S*),4 α,5β,6β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175846-23-8 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-[[1-[[(4-methoxyphenyl)methoxy]carbonyl]-4-[(triphenylmethyl)thio]-2-pyrrolidinyl]methyl]-, (4-methoxyphenyl)methyl ester, 1,1-dioxide, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:472425 CAPLUS
DOCUMENT NUMBER: 119:72425
TITLE: Preparation of 2-(pyrrolidinylthio)carbaperen antibacterials
INVENTOR(S): Nishitani, Yasuhiro; Irie, Tadashi; Nishino, Yutaka
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXKDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 528678	A1	19930224	EP 1992-307547	19920818
EP 528678	B1	20010523		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5317016	A	19940531	US 1992-929961	19920814
AU 9221090	A1	19930225	AU 1992-21090	19920818
AU 652273	B2	19940818		
PT 528678	T	20010830	PT 1992-307547	19920818
ES 2159277	T3	20011001	ES 1992-307547	19920818
CA 2076430	AA	19930221	CA 1992-2076430	19920819
CA 2076430	C	19971223		
NO 9203256	A	19930222	NO 1992-3256	19920819
NO 301371	B1	19971020		
CA 2203942	C	20010213	CA 1992-2203942	19920819
CN 1071428	A	19930428	CN 1992-111069	19920820
CN 1032257	B	19960710		
AU 667442	B2	19960321	AU 1994-70307	19940818
AU 9470307	A1	19941013		
CN 1113233	A	19951213	CN 1995-104834	19950421
CN 1034571	B	19970416		
US 5703243	A	19971230	US 1995-574863	19951219
GR 3036434	T3	20011130	GR 2001-401285	20010822

PRIORITY APPLN. INFO.:

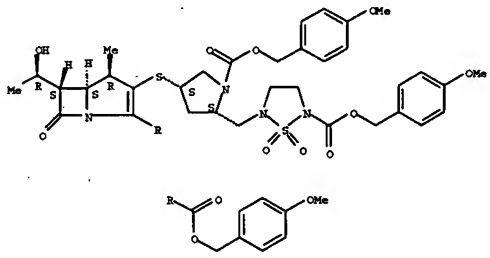
JP 1991-207972	A	19910820
JP 1992-35366	A	19920221
US 1992-929961	A3	19920814
CA 1992-2076430	A3	19920819
US 1994-204629	B1	19940301

OTHER SOURCE(S): MARPAT 119:72425
GI For diagram(s), see printed CA Issue.
AB Title compds. [I; R1 = H, alkyl; R2-R4 = H, (substituted) alkyl; protecting group; R2R3, R2R4, R3R4 = atoms to form (unsatd.) (substituted) cyclic groups; X1 = H, protecting group; X2 = H, protecting group, ammonio, alkali- or alkaline earth metal; Y2 = H, protecting group], were prepared Thus, (1R,5S,6S)-6-[(1R)-1-hydroxyethyl]-2-oxo-1-methyl-1-carbapenem-3-carboxylic acid p-methoxybenzyl ester in MeCN was stirred with (PhO)2P(O)Cl and (Me2CH)2NEt at -25° to room temperature; 2-sulfamoylaminomethyl-1-tert-butoxycarbonyl-4-mercaptopyrrolidine and (Me2CH)2NEt were added and the mixture was stirred 22 h at room temperature to give 60% coupling product, which was stirred with AlCl3 in CH2Cl2/MeNO2 to give title compound II (R4 = H). I have 2-8 times the activity of imipenem or meropenem against Pseudomonas aeruginosa. An injection formulation containing II was prepared for treating bladder infection caused by Staphylococcus aureus.

IT 148017-59-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of, in preparation of antibacterial)

RN 148017-59-8 CAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[[1-[[(4-methoxyphenyl)methoxy]carbonyl]-5-[[5-[[(4-methoxyphenyl)methoxy]carbonyl]-1,1-dioxido-1,2,5-thiadiazolidin-2-yl]methyl]-3-pyrrolidinyl]thio]-4-methyl-7-oxo-, (4-methoxyphenyl)methyl ester, [4R-[3(3S*,5S*),4 α,5β,6β(R*)]]- (9CI) (CA INDEX NAME)

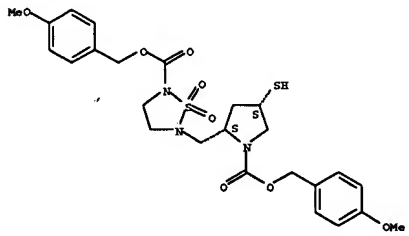
Absolute stereochemistry.



IT 148017-70-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of pyrrolidinylthiocarbamapenem antibacterial)

RN 148017-70-3 CAPLUS
CN 1,2,5-Thiadiazolidine-2-carboxylic acid, 5-[[4-mercapto-1-[[(4-methoxyphenyl)methoxy]carbonyl]-2-pyrrolidinyl]methyl]-, (4-methoxyphenyl)methyl ester, 1,1-dioxide, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y
COST IN U.S. DOLLARS SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	35.48	198.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.11	-5.11

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